XenoPort®

2012

ANNUAL REPORT

UNITED STATES SECURITIES AND EXCHANGE COMMISSION
Washington, D.C. 20549

Form 10-K

☑ **ANNUAL REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934**
For the fiscal year ended December 31, 2012

or

☐ **TRANSITION REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934**
For the transition period from to
Commission File Number 000-51329

XenoPort, Inc.
(Exact name of registrant as specified in its charter)

Delaware	**94-3330837**
(State or other jurisdiction of incorporation or organization)	*(IRS employer identification no.)*
3410 Central Expressway, Santa Clara, California	**95051**
(Address of principal executive offices)	*(Zip code)*

(408) 616-7200
(Registrant's telephone number, including area code)

Securities registered pursuant to Section 12(b) of the Act:

Title of Each Class	Name of Each Exchange on Which Registered
Common stock, par value $0.001 per share	The NASDAQ Stock Market LLC

Securities registered pursuant to Section 12(g) of the Act:
None

Indicate by check mark if the registrant is a well-known seasoned issuer, as defined in Rule 405 of the Securities Act. Yes ☐ No ☑

Indicate by check mark if the registrant is not required to file reports pursuant to Section 13 or Section 15(d) of the Exchange Act. Yes ☐ No ☑

Indicate by check mark whether the registrant (1) has filed all reports required to be filed by Section 13 or 15(d) of the Securities Exchange Act of 1934 during the preceding 12 months (or for such shorter period that the registrant was required to file such reports), and (2) has been subject to such filing requirements for the past 90 days. Yes ☑ No ☐

Indicate by check mark whether the registrant has submitted electronically and posted on its corporate Web site, if any, every Interactive Data File required to be submitted and posted pursuant to Rule 405 of Regulation S-T during the preceding 12 months (or for such shorter period that the registrant was required to submit and post such files). Yes ☑ No ☐

Indicate by check mark if disclosure of delinquent filers pursuant to Item 405 of Regulation S-K is not contained herein, and will not be contained, to the best of registrant's knowledge, in definitive proxy or information statements incorporated by reference in Part III of this Form 10-K or any amendment to this Form 10-K. ☑

Indicate by check mark whether the registrant is a large accelerated filer, an accelerated filer, a non-accelerated filer, or a smaller reporting company. See the definitions of "large accelerated filer," "accelerated filer" and "smaller reporting company" in Rule 12b-2 of the Exchange Act.

Large accelerated filer ☐ Accelerated filer ☑ Non-accelerated filer ☐ Smaller reporting company ☐
(Do not check if a smaller reporting company)

Indicate by check mark whether the registrant is a shell company (as defined in Rule 12b-2 of the Exchange Act). Yes ☐ No ☑

As of June 29, 2012 (the last business day of the registrant's most recently completed second quarter), the aggregate market value of the registrant's common stock held by non-affiliates of the registrant was approximately $208.9 million based on the closing sale price as reported on The NASDAQ Global Select Market for such date, which excludes an aggregate of 1,279,084 shares of the registrant's common stock held by officers, directors and stockholders that the registrant has concluded are affiliates of the registrant. Exclusion of such shares should not be construed to indicate that the holder of any such shares possesses the power, direct or indirect, to direct or cause the direction of the management or policies of the registrant or that such person is controlled by, or under common control with, the registrant.

Indicate the number of shares outstanding of each of the registrant's classes of common stock, as of the latest practicable date.

Class	Outstanding at March 1, 2013
Common stock, par value $0.001 per share	47,245,209 shares

DOCUMENTS INCORPORATED BY REFERENCE

Document	Parts Into Which Incorporated
Portions of the Definitive Proxy Statement for the Annual Meeting of Stockholders to be held on or about May 14, 2013 to be filed with the Securities and Exchange Commission pursuant to Regulation 14A not later than 120 days after the end of the fiscal year covered by this Form 10-K are incorporated by reference.	Part III, Items 10-14

XENOPORT, INC.

TABLE OF CONTENTS

Horizant, *Regnite*, Transported Prodrug, XENOPORT and the XenoPort logo are trademarks of XenoPort, Inc.

This Annual Report on Form 10-K contains forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended, and Section 21E of the Securities Exchange Act of 1934, as amended, which are subject to the "safe harbor" created by those sections. Forward-looking statements are based on our management's beliefs and assumptions and on information currently available to our management. All statements other than statements of historical facts are "forward-looking statements" for purposes of these provisions. In some cases, you can identify forward-looking statements by terms such as "anticipate," "believe," "could," "estimate," "expect," "intend," "may," "plan," "potential," "predict," "project," "should," "will," "would" and similar expressions intended to identify forward-looking statements. These statements involve known and unknown risks, uncertainties and other factors, which may cause our actual results, performance, time frames or achievements to be materially different from any future results, performance, time frames or achievements expressed or implied by the forward-looking statements. We discuss many of these risks, uncertainties and other factors in this Annual Report on Form 10-K in greater detail under the heading "Risk Factors." Given these risks, uncertainties and other factors, you should not place undue reliance on these forward-looking statements. Also, these forward-looking statements represent our estimates and assumptions only as of the date of this filing. You should read this Annual Report on Form 10-K completely and with the understanding that our actual future results may be materially different from what we expect. We hereby qualify our forward-looking statements by these cautionary statements. Except as required by law, we assume no obligation to update these forward-looking statements publicly, or to update the reasons actual results could differ materially from those anticipated in these forward-looking statements, even if new information becomes available in the future.

PART I.

Item 1. *Business.*

Overview

We are a biopharmaceutical company focused on developing and commercializing a portfolio of internally discovered product candidates with an initial focus on neurological disorders. Our innovative product and product candidates are prodrugs that are typically created by modifying the chemical structure of currently marketed drugs, referred to as parent drugs, and are designed to correct limitations in the oral absorption, distribution and/or metabolism of the parent drug. Our marketed product and each of our product candidates are orally available, patented or patentable molecules that address potential markets with clear unmet medical needs. Our marketed product is approved in the United States, where it is known as Horizant® (gabapentin enacarbil) Extended-Release Tablets, and in Japan, where it is known as Regnite® (gabapentin enacarbil) Extended-Release Tablets. *Horizant* has been approved by the U.S. Food and Drug Administration, or FDA, for the treatment of moderate-to-severe primary restless legs syndrome, or RLS, in adults and for the management of postherpetic neuralgia, or PHN, in adults. Restless legs syndrome, also known as Willis-Ekbom Disease, is a neurological disorder characterized by an urge to move the legs, usually caused or accompanied by uncomfortable and unpleasant sensations in the legs. PHN is a neuropathic (nerve) pain syndrome that can follow the healing of an outbreak of herpes zoster, commonly known as shingles. *Regnite* has been approved by the Japanese Ministry of Health, Labor and Welfare, or MHLW, as a treatment for patients with RLS.

Glaxo Group Limited, or GSK, holds exclusive commercialization rights for *Horizant* in the United States during a transition period ending on April 30, 2013, following which we will be responsible for the further development, manufacturing and commercialization of *Horizant*. On November 8, 2012, we entered into a termination and transition agreement with GSK to terminate the collaboration agreement between us and GSK and to resolve all ongoing litigation between the parties. Under the collaboration agreement, we had granted to GSK exclusive commercialization and certain development rights in the United States to *Horizant*. Pursuant to the termination and transition agreement, we will reacquire the exclusive rights to commercialize, promote, manufacture and distribute *Horizant* in the United States on May 1, 2013, following the expiration of the transition period. We and GSK also entered into a stock purchase agreement on November 8, 2012, pursuant to which GSK purchased an aggregate of $40.0 million of our common stock, or an aggregate of 4,031,212 shares at an average price of $9.923 per share.

Gabapentin enacarbil is licensed to Astellas Pharma Inc. in Japan and five other Asian countries. In July 2012, Astellas initiated sales of *Regnite* in Japan. We are entitled to receive percentage-based high-teen royalties on net sales of *Regnite* in Japan, with the royalties recognized when royalty payments are received by us.

We have three product candidates in clinical development. Our lead product candidate, arbaclofen placarbil, or AP, is a potential treatment for patients with spasticity. We are conducting a pivotal Phase 3 clinical trial under a Special Protocol Assessment, or SPA, with the FDA for AP as a potential treatment for spasticity in patients with multiple sclerosis, or MS. If a positive outcome from this trial is achieved, along with supportive data from certain additional studies, we intend to submit a new drug application, or NDA, to the FDA under Section 505(b)(2) of the Federal Food, Drug, and Cosmetic Act, as amended, or FDCA. Section 505(b)(2) of the FDCA allows reference to published literature and/or the FDA's previous finding of safety and effectiveness for baclofen, a drug that has been approved by the FDA for the alleviation of signs and symptoms of spasticity in individuals with MS and may also be of some value in patients with spinal cord injuries and other spinal cord diseases. We anticipate that top-line results of the pivotal Phase 3 clinical trial will be available in the second quarter of 2013.

Our second product candidate, XP21279, is a potential treatment for patients with advanced idiopathic Parkinson's disease. In 2011, we completed a Phase 2 clinical trial of XP21279/carbidopa compared to patient-optimized doses of Sinemet (levodopa/carbidopa) in patients with Parkinson's disease who experience motor fluctuations. While the results of the pharmacokinetic analysis from the trial showed that subjects had significantly lower variation in levodopa blood levels over a 16-hour time period while taking XP21279/carbidopa as compared Sinemet, the results of the primary analysis of the trial showed that the improvement with XP21279/carbidopa dosed three times per day was not statistically better than the improvement seen with optimized Sinemet dosed four or five times per day during the double-blind phase of the trial. We conducted an End-of-Phase 2 meeting with the FDA in which we received feedback that a proposed development program for XP21279 could support an NDA submission under Section 505(b)(2) of the FDCA. The FDA provided specific guidance on the proposed design of the pivotal trial and confirmed that efficacy and safety data from this study could be included in the product label. We plan to continue development of XP21279 to the extent our resources permit or we enter into a collaboration with a third party.

We are evaluating our third product candidate, XP23829, in Phase 1 studies with healthy subjects to determine its safety and pharmacokinetic profile. We believe that XP23829 could be a potential treatment of patients with relapsing-remitting MS, or RRMS, psoriasis and/or certain other disorders where the mechanism of action of XP23829 may be relevant. XP23829 is a fumaric acid ester compound and a patented prodrug of monomethyl fumarate, or MMF. Fumaric acid ester compounds have shown immuno-modulatory and neuroprotective effects in cell-based systems and preclinical models of disease. A fumaric acid ester product is approved in Germany for the treatment of psoriasis, and in the United States, a fumaric acid ester compound is currently under U.S. regulatory review as a potential treatment for RRMS.

In addition to our collaboration agreement with Astellas for *Regnite*, we plan to enter into other agreements with pharmaceutical companies for our product candidates: (1) when access to a primary care physician or expanded sales force is necessary to maximize the commercial potential of our product candidates in the United States; (2) for the development and commercialization of our product candidates outside the United States; or (3) to develop and commercialize product candidates that fall outside our core focus or our core development capabilities.

Our Proprietary Prodrugs

The conventional approach to designing new oral drugs is to rely on the drug's ability to passively diffuse through the intestinal wall to enter the bloodstream and reach the targeted tissue. However, this can be a difficult task, because the chemical and physical properties that allow a drug to bind to its cellular target and cause the intended therapeutic effect frequently impair the drug's ability to passively diffuse through the wall of the intestines. Critical to the success of any drug is its ability to access the targeted tissues, achieve and maintain effective concentrations at the site of therapeutic action for an appropriate period of time and have minimal side effects. In addition, convenient administration is frequently necessary to ensure patient compliance. Many

marketed drugs do not possess all of these attributes, leading to limitations in their therapeutic benefit and commercial potential.

If the medical need is high, drugs with poor absorption from the gastrointestinal, or GI, tract are still developed and marketed, but often with suboptimal therapeutic benefit. In some cases, drugs that are poorly absorbed from the GI tract are marketed as injectable medicines, which are inconvenient for patients. Another problem frequently encountered by drug designers occurs when a drug is well-absorbed from the intestines but does not last in the bloodstream for a sufficient period of time to maintain a therapeutic benefit. In this situation, frequent oral dosing is required, which is inconvenient for patients and can lead to poor compliance. In addition, drugs requiring frequent dosing often exhibit unwanted side effects when the drug is present in high concentration and then ineffectiveness when the concentration of the drug is insufficient. Sustained-release formulations that deliver medicine slowly as a pill travels through the entire GI tract can sometimes improve the utility of drugs that exhibit suboptimal therapeutic properties. However, drugs absorbed only in the upper GI tract do not benefit from sustained-release formulations.

The human body contains specific membrane proteins, known as transporters, which are responsible for carrying nutrients into cells and across cell barriers. Active transport refers to cellular transporter mechanisms that interact with substrates such as nutrients and use energy to carry them across membranes. One aspect of our expertise and know-how utilizes the body's natural mechanisms for actively transporting nutrients through cellular barriers to permit certain parent drugs with suboptimal oral absorption to be effectively and efficiently delivered into the body after the oral administration. We have identified specific, high-capacity nutrient transporter proteins in the intestines and chemically modified the structure of the parent drug to create what we call a Transported Prodrug that utilizes these transporters to achieve absorption across the intestinal cell barrier through active transport. Our Transported Prodrugs are designed to split apart, releasing the parent drug and natural substances that generally have well-studied, known safety characteristics. Gabapentin enacarbil, AP and XP21279 are all prodrugs that target transporter proteins that are present throughout the entire GI tract, including the colon.

Another aspect of our expertise and know-how is our prodrug chemistry knowledge, including control of the kinetics of cleavage of prodrugs in certain tissues. XP23829 is a prodrug that we believe to be passively absorbed from the GI tract, but it has been designed to selectively split apart in a specific way, releasing MMF and natural substances that have well-studied, known safety characteristics. Our preclinical studies of XP23829 have demonstrated reduced GI irritation and higher achievable MMF levels than dimethyl fumarate, or DMF, which is another prodrug of MMF.

We have designed our prodrugs to be absorbed in the lower gastrointestinal tract which enables formulation using sustained-release technology and thereby may be used to maintain appropriate blood concentrations for an extended period after dosing. As a result of the improved oral absorption of our prodrugs, they may potentially have enhanced therapeutic benefits compared to the parent drugs, such as improved clinical efficacy, reduced side effects and less frequent dosing, which may result in increased patient convenience and compliance.

Marketed Product

Gabapentin Enacarbil (Known as Horizant in the United States and Regnite in Japan)

Gabapentin enacarbil is our first approved product. It was approved in the United States in April 2011 for the treatment of RLS and was approved in June 2012 for the management of PHN in adults. GSK holds commercialization rights for *Horizant* in the United States during a transition period ending on April 30, 2013, following which XenoPort will be responsible for the further development, manufacturing and commercialization of *Horizant*. Gabapentin enacarbil was also approved in Japan in January 2012 for the treatment of RLS. Astellas began selling gabapentin enacarbil in Japan in July 2012 under the trade name *Regnite*.

Approved Indications

Restless Legs Syndrome

Background on Restless Legs Syndrome. Restless legs syndrome is a neurological condition that causes an irresistible urge to move the legs. This urge is usually caused or accompanied by unpleasant sensations of burning, creeping, tugging or tingling inside the patients' legs, ranging in severity from uncomfortable to painful. These restless legs syndrome-related symptoms typically begin or worsen during periods of rest or inactivity, particularly when lying down or sitting, and may be temporarily relieved by movement such as walking or massaging the legs. Symptoms often worsen at night, and disturbed sleep is a common result of restless legs syndrome. Left untreated, restless legs syndrome may cause exhaustion, daytime fatigue, inability to concentrate and impaired memory.

Potential Market. Although the exact prevalence rate of RLS is uncertain, a study published in Movement Disorders in 2010 indicated that approximately 2% to 3% of people in the United States are afflicted with RLS. We estimate that there are approximately 6.1 million prescriptions written annually for drugs to treat RLS in the United States.

Although the exact prevalence is uncertain, Astellas estimates that there are approximately 2.1 million patients in Japan with RLS.

Current Treatments for RLS. In the United States, the currently approved and most widely prescribed treatments for RLS belong to a class of drugs called dopamine agonists and include Mirapex (pramipexole) from Boehringer Ingelheim, Requip (ropinirole) from GSK and generic equivalents to these drugs, as well as Neupro (a rotigotine transdermal system), a dopamine agonist patch from UCB, Inc. Physicians also prescribe opioids, benzodiazepines and anticonvulsants, such as gabapentin, to treat patients with restless legs syndrome. In Japan, we believe that *Regnite* competes with Sifrol (pramipexole) from Boehringer Ingelheim and could compete with the Neupro transdermal system, which was approved in Japan in December 2012. Otsuka Pharmaceutical Co., Ltd. holds exclusive marketing rights for Neupro in Japan.

Postherpetic Neuralgia

Background on Postherpetic Neuralgia (PHN). Neuropathic pain is pain that results from damage to nerves. One form of chronic neuropathic pain is PHN. PHN is a complication of shingles, a painful outbreak of rash or blisters on the skin caused by a reactivation of the same virus that causes chicken pox. PHN is often characterized as constant stabbing, burning or electric shock-like sensations in the area affected by shingles after the rash has cleared. Approximately 10% to 15% of all patients with shingles develop PHN, which can persist for many years.

Potential Market. We estimate that the prevalence of PHN is less than 200,000 patients in the United States. In May 2006, Merck & Co. received FDA approval for Zostavax, a live attenuated vaccine, to help prevent shingles. In October 2006, the U.S. Centers for Disease Control and Prevention's Advisory Committee on Immunization Practices voted unanimously to recommend that adults 60 years of age and older be vaccinated with Zostavax for the prevention of shingles. In 2011, Zostavax was approved by the FDA for use in adults between the ages of 50 to 59 years of age. While Zostavax is not a treatment for shingles or PHN, the availability of this vaccine could impact the future market for therapies for PHN.

Current Treatments. Current classes of drugs used to treat patients with PHN include anticonvulsants, antidepressants and tricyclic drugs, with anticonvulsants representing the largest share of the PHN market. Of the anticonvulsants, generic gabapentin is the market leader, and Lyrica (pregabalin), from Pfizer Inc., is also widely prescribed for the management of PHN. In addition, Gralise (a once-daily formulation of gabapentin) from Depomed Inc. is also approved for the management of PHN. Other treatments used in selected patients include Qutenza (a capsaicin patch) from NeurogesX, Inc. and local application of lidocaine.

Commercialization

United States

GSK holds commercialization rights for *Horizant* in the United States during a transition period ending on April 30, 2013, following which we will be responsible for the further development, manufacturing and

commercialization of *Horizant*. We will reacquire the exclusive rights to commercialize, promote, manufacture and distribute *Horizant* in the United States on May 1, 2013, following the expiration of the transition period under the termination and transition agreement we entered into with GSK. We are working with GSK to transition the necessary materials and information to allow us to begin commercializing *Horizant*. We have no experience in commercializing products on our own and have only limited management expertise in developing a commercial operation. However, we are establishing an infrastructure for sales and marketing, supply chain, distribution, pharmacovigilance, compliance and safety reporting that we believe will enable us to promote *Horizant*. We have also been evaluating current *Horizant* sales, including the geographic distribution of sales and physician prescribing patterns, to further identify the optimal sales and marketing structure for the promotion of *Horizant*. At this time, we plan to approach our commercialization of *Horizant* in a controlled and measured fashion. We are planning to deploy a *Horizant*-dedicated sales team through a contract sales organization in select geographic regions where market data suggest there is a high-prescribing rate for RLS drugs. We will also consider regions with favorable managed market access to *Horizant*, which we believe could minimize obstacles to patient access to *Horizant*. In addition, we plan to focus our sales efforts on specialty physicians, including neurologists, sleep specialists and pain specialists, where the majority of *Horizant* prescriptions are currently being generated. To complement the sales effort, we plan to also concentrate our marketing efforts in these regions.

We believe this initial commercialization strategy will enable us to evaluate our success in promoting *Horizant* within certain geographic regions and may be used as a template for scalable expansion in the future. Provided we are successful, we would consider expansion to additional regions beyond those originally targeted. In addition, we may consider potential partnering opportunities to enable greater access to the primary care physician market in the future.

Japan

Astellas holds exclusive rights to commercialize *Regnite* in Japan. We receive high-teen royalties on net sales of *Regnite*.

Intellectual Property

The patent rights relating to *Horizant* and its synthesis, formulations and methods of use are owned by us and consist of issued U.S. patents that expire at the earliest in 2022 and a number of pending U.S. patent applications. A U.S. patent term extension has been requested, which could extend the patent term on the compound until 2025. The patent rights relating to *Regnite*, its synthesis, formulations and methods of use are owned by us and expire at the earliest in 2025. We also hold patents or pending patent applications in the United States and outside the United States that are directed to the formulations and methods of synthesis and use of gabapentin enacarbil.

Our Product Candidates

Clinical Development Programs

Product Candidate and Indication	U.S. Development Status				Commercial Rights
	Preclinical	Phase 1	Phase 2	Phase 3	
Arbaclofen Placarbil, or AP					
Spasticity			[redacted]		Unpartnered
XP21279					
Parkinson's Disease			[redacted]		Unpartnered
XP23829		[redacted]			Unpartnered
Possible Indications					
RRMS					
Psoriasis					

Arbaclofen Placarbil, or AP — A Transported Prodrug of R-baclofen

We are developing our product candidate, AP, a Transported Prodrug of R-baclofen, for the potential treatment of spasticity. We hold a composition-of-matter patent and methods-of-synthesis patents in the United States on AP, and hold patents or pending patent applications directed to AP formulations and methods of use in the United States and other jurisdictions.

Parent Drug Background

Baclofen is now sold as a generic drug in the United States. It has been used since 1977 for the alleviation of the signs and symptoms of spasticity in patients with MS and may also be of some value in patients with spinal cord injuries and other spinal cord diseases. Baclofen is racemic, which means it is a mixture of the R- and S-isomers. The efficacy of baclofen is thought to be attributable to activation of a target known as the $GABA_B$ receptor. The R-isomer has more than a 100-fold higher affinity for $GABA_B$ receptors than the S-isomer, and is believed to be responsible for the anti-spasticity effects of administered baclofen. There are data to suggest that the S-isomer may potentially contribute to side effects of baclofen. Market research suggests that approximately 40% of spasticity patients administered baclofen as initial therapy discontinue the drug, primarily due to intolerability that limits the ability of baclofen therapy to achieve adequate spasticity relief.

Both isomers of baclofen are well absorbed when dosed orally, and both are rapidly eliminated, which necessitates oral dosing of baclofen at least three times per day. Even with three to four times per day dosing, the short half-life of baclofen in blood leads to periods where drug exposure may be below the threshold of therapeutic benefit. Due to the poor absorption of baclofen in the colon, development of a less frequently dosed, sustained-release formulation of baclofen that produces a more constant level of the active R-baclofen isomer in the blood has proven challenging to date. To address these limitations of oral baclofen, an implantable pump that delivers baclofen directly into the spinal cord fluid via a catheter has been developed. However, physicians typically reserve this invasive surgical procedure for those patients with severe spasticity for whom oral baclofen is not well tolerated or effective.

Our Transported Prodrug

AP was designed to address the limitations of baclofen by targeting high-capacity nutrient transporter mechanisms expressed throughout the length of the entire GI tract, including the colon. By targeting these transporters, we have made it possible to formulate AP in a sustained-release pill that provides an extended exposure to R-baclofen and could require less frequent dosing than baclofen. AP is a chiral molecule, which means that it exists as a single isomeric form and produces only the R isomer of baclofen.

AP was designed to rapidly convert to R-baclofen upon absorption, with limited systemic exposure to the intact prodrug. Once absorbed, AP converts to R-baclofen and natural substances that have well-studied, favorable safety characteristics. At the time of the design of AP, we believed that the inherently safe nature of the metabolic breakdown products of AP would pose no new safety concerns compared to baclofen. We believe this has been confirmed in preclinical and clinical trials of AP to date.

Phase 1 Clinical Trials

We have completed multiple Phase 1 clinical trials of AP that included approximately 350 healthy volunteers who received either single or multiple doses of AP. The results of these Phase 1 clinical trials indicated that AP was well absorbed and rapidly converted to the R isomer of baclofen. Exposure to intact AP was low compared to the level of R-baclofen produced at all dose levels. Comparison of AP pharmacokinetic data with data for subjects administered with equivalent doses of racemic baclofen suggests that AP taken every 12 hours provides similar R-baclofen blood levels compared to racemic baclofen dosed four times per day.

Target Indication

Spasticity

Background on Spasticity. Spasticity is a debilitating condition that is associated with some common neurological disorders, such as MS, stroke and cerebral palsy, as well as spinal cord injury. The underlying cause of spasticity is unknown, but it is believed to result from an imbalance of inhibitory and excitatory functioning within the central nervous system. Patients with spasticity may experience abnormal increases in muscle tone that are associated with loss of range of motion, increased muscle stretch reflexes, weakness and problems with coordination. Common complications of spasticity include joint and muscle contracture, pain and difficulty performing activities of daily living.

Potential Market. The exact number of MS sufferers is unknown, but experts currently estimate that there are 250,000 to 350,000 people in the United States who suffer from MS and roughly 200,000 people in the United States live with a disability related to a spinal cord injury. It is estimated that spasticity affects 60% of MS patients and 40% of spinal cord injury patients. We estimate that there are approximately 4.2 million prescriptions written in the United States annually for drugs for the treatment of spasticity.

Current Treatments. The three most widely prescribed drugs that are approved in the United States for the treatment of spasticity are baclofen, tizanidine and dantrolene sodium. In addition, diazepam is also prescribed for patients with spasticity. Although these medications may provide symptom relief in some people, they are often only partially effective and generally require dosing three or more times a day. In addition, these medications are often associated with unwanted side effects such as sedation and weakness, as well as issues with bladder, bowel and sexual function.

Phase 2 Clinical Trial Results. In June 2009, we announced preliminary results from a multi-dose, randomized, placebo-controlled, crossover Phase 2 clinical trial of AP in spinal cord injury patients with spasticity. This trial enrolled 37 subjects at ten sites in the United States and Canada. Patients received either AP (10, 20 or 30 mg given twice daily, or BID) or placebo in the first treatment segment, and were then crossed over to the alternative treatment or placebo in the second segment of the trial. The primary endpoint in the study was the difference in Ashworth Scale score during the placebo and AP treatment segments for the muscle group with the highest Ashworth Scale score at baseline. Ashworth Scale scores were determined by the investigator prior to dosing, and again two, four and six hours after the morning dose. The primary analysis used a repeated-measures analysis of variance model and included data from the 35 subjects who completed both treatment segments.

Mean maximum baseline Ashworth Scale scores were 3.2 (n=10), 3.1 (n=12) and 3.1 (n=13) for the 10, 20 and 30 mg BID AP dose cohorts, respectively. For the primary endpoint, the overall adjusted mean differences between placebo and AP over the six-hour assessment period were -0.17 (not significant), -0.60 (p=0.0059) and -0.88 (p=0.0007) for the 10, 20 and 30 mg BID AP dose cohorts, respectively. AP treatment was associated with statistically significant differences from placebo at all time points in the 20 and 30 mg BID AP dose cohorts, indicating a treatment effect over the 12-hour dosing interval. In a secondary analysis, 20 and 30 mg BID of AP also showed a statistically significant difference from placebo in the average Ashworth Scale score for all six muscle groups.

AP was well tolerated at all dose levels. There were no withdrawals due to adverse events during the trial. The most commonly reported adverse events while on any AP dose were urinary tract infection (11% AP; 9% placebo), pain in extremity (8% AP; 0% placebo), insomnia (8% AP; 0% placebo) and nasopharyngitis (8% AP; 3% placebo). Side effects were generally mild to moderate in intensity. There were no drug-related serious adverse events.

Current Clinical Development of AP in Spasticity. We are conducting a pivotal Phase 3 clinical trial of AP as a potential treatment of spasticity in patients with MS. The trial is being conducted under an SPA whereby we reached agreement with the FDA on the clinical trial design and statistical analysis plan for determining the efficacy and safety of AP as a potential treatment for spasticity in patients with MS. The trial is a 13-week, multi-center, randomized, double-blind, placebo-controlled study designed to assess the efficacy and safety of AP as a treatment for spasticity in approximately 200 MS patients. Eligible patients were randomized to one of four arms: 15 mg, 30 mg or 45 mg of AP or placebo dosed twice daily with food. There are two co-primary endpoints for

the trial. The first co-primary endpoint is the change from baseline in maximum Ashworth Scale score assessed six hours after morning dosing at week 10. The maximum Ashworth score is determined by the muscle group with the highest Ashworth score at baseline. At baseline, subjects must have a maximum Ashworth score of two or greater. The second co-primary endpoint is the score on the 7-point Patient Global Impression of Change, or PGIC, scale at week 10. The analysis of the co-primary endpoints will examine the change in maximum Ashworth score and the PGIC score after at least eight weeks of stable dosing at the fixed dose to which the patient is randomized. The co-primary endpoints will be analyzed independently, both using observed case data and utilizing a mixed models repeated measures analysis. We have completed enrollment in this study, and we anticipate that top-line results of this trial will be available in the second quarter of 2013.

In accordance with the guidance that we received from the FDA, we are conducting an open-label safety study and a sub-study to provide nine months of AP exposure for approximately 100 MS patients. The study includes patients who are dosed with AP for up to six months who have completed the 13-week pivotal Phase 3 efficacy trial. The sub-study includes MS patients who are dosed with AP for up to nine months who directly enter the study without prior participation in the pivotal Phase 3 trial.

The Phase 3 efficacy trial, the open-label studies, along with results from other previously completed preclinical and Phase 1 clinical trials and the Phase 2 clinical trial in spinal cord injury patients with spasticity, could form the basis of an NDA to be submitted to the FDA under Section 505(b)(2) of the FDCA, which allows reference to published literature and/or the FDA's previous finding of safety and effectiveness for baclofen, a drug that has been approved by the FDA for the alleviation of signs and symptoms of spasticity in individuals with MS and may also be of some value in patients with spinal cord injuries and other spinal cord diseases.

AP Development, Commercialization and Partnering Strategy

We are evaluating the market for AP in the United States and other regions of the world. If we determine that we could maximize the value of AP through the direct commercialization by us in the U.S. market, we would seek to retain those rights. We may seek a partner for the development and commercialization of AP outside of the United States. If we determine that our commercialization of AP within the United States is not feasible, we may seek a partner for the development and commercialization of AP worldwide. Factors that we would consider in determining a strategy to partner AP include: the results of our clinical trials, improved access to our target market and whether a potential partner seeks development and commercialization rights in or outside of the United States.

XP21279 — A Transported Prodrug of Levodopa

Our second product candidate, XP21279, is a Transported Prodrug of levodopa for the potential treatment of patients with Parkinson's disease who experience motor fluctuations. We hold a composition-of-matter patent and a formulation patent in the United States on XP21279 and hold patents or pending patent applications directed to the XP21279 methods of synthesis and use in the United States. We have also filed applications directed to the XP21279 composition of matter and methods of synthesis and use in other jurisdictions. At this time, we plan to continue development of XP21279 to the extent our resources permit or we enter into a collaboration with a third party.

Parent Drug Background

Patients with Parkinson's disease have a deficiency of the neurotransmitter dopamine resulting from neuronal degeneration within certain nerve cells in an area of the brain collectively known as the substantia nigra. Levodopa is an immediate precursor of dopamine that, unlike dopamine, readily crosses the blood-brain barrier. When administered in conjunction with carbidopa (and, in some cases, with benzerazide or carbidopa and entacapone), levodopa is protected from rapid metabolism by enzymes that are found throughout the body outside of the brain. Once levodopa crosses the blood-brain barrier it is able to be converted to dopamine at its desired site of action in the brain. Levodopa is widely viewed as one of the most effective treatments of Parkinson's disease, and virtually all patients with Parkinson's disease ultimately require it. However, levodopa

has many undesirable pharmacokinetic characteristics, including its rapid breakdown by gastric and other peripheral enzymes, a narrow absorption window within the GI tract and a short duration of exposure in blood after oral dosing that leads to the fluctuation of drug plasma concentrations upon frequent dosing. The poor colonic absorption of levodopa has precluded the development of a satisfactory sustained-release formulation that would prolong absorption beyond the small intestine.

Our Transported Prodrug

We believe that XP21279 has the potential to improve upon the limitations of levodopa. XP21279 is designed to engage natural nutrient transport mechanisms located throughout the length of the GI tract and then be rapidly converted to levodopa by the body's naturally occurring enzymes. In addition to levodopa, the metabolic breakdown products of XP21279 are substances with favorable safety characteristics. Because XP21279 is designed to be well absorbed from the lower GI tract, we believe that it can be formulated for sustained release, thus reducing fluctuations of levodopa levels in the bloodstream. From December 2002 to December 2004, we were engaged in a collaboration with ALZA, now a subsidiary of Johnson & Johnson, to jointly develop Transported Prodrugs of levodopa. In March 2005, ALZA relinquished all rights to such Transported Prodrugs, subject to a low single-digit royalty upon net sales of certain product candidates if they are ultimately commercialized.

Phase 1 Clinical Trials in Healthy Volunteers

We have conducted three Phase 1 clinical trials of XP21279 that included a total of 82 healthy volunteers. The trials evaluated the pharmacokinetic profile of different formulations of XP21279 administered with carbidopa compared to a combination of levodopa/carbidopa. The results of these Phase 1 clinical trials indicated that XP21279/carbidopa was well absorbed and rapidly converted to levodopa. Exposure to the intact Transported Prodrug was negligible. Data from the trials indicated that compared to the pharmacokinetic data of levodopa/carbidopa, XP21279/carbidopa was associated with a decreased peak-to-trough ratio of levodopa blood levels over 24 hours compared to levodopa/carbidopa. XP21279 was generally well tolerated, with no serious adverse events reported in these trials.

Target Indication

Parkinson's Disease

Background on Parkinson's Disease. Parkinson's disease is a motor system disorder that results from the loss of dopamine-producing nerve cells in the brain. Dopamine is a chemical that is naturally produced by the body. It is responsible for smooth, coordinated function of the body's muscles and movement. When approximately 80% of dopamine-producing cells are damaged, the symptoms of Parkinson's disease appear. The primary symptoms of Parkinson's disease are tremor or shaking, slowness of movement, rigidity or stiffness and difficulty with balance.

Potential Market. It is estimated that as many as 1.5 million people in North America are living with Parkinson's disease. According to the National Institute of Neurological Disorders and Stroke, the average age of onset is 60, though some people are diagnosed at age 40 or younger. We estimate that there are approximately 4.0 million prescriptions written annually for levodopa drugs indicated for the treatment of Parkinson's disease in the United States.

Current Treatments. At present, there is no cure for Parkinson's disease, but a variety of medications provide relief from the symptoms. Levodopa acts to replenish dopamine in the brain. It is usually administered with carbidopa, or a combination of carbidopa and entacapone, which delays the premature conversion of levodopa to dopamine in peripheral tissues. According to the National Institute of Neurological Disorders and Stroke, treatment with levodopa helps patients in at least three-quarters of Parkinson's disease cases.

Another class of drugs, called dopamine agonists, is also commonly used to treat Parkinson's disease. Dopamine agonists, which include bromocriptine, pergolide, pramipexole and ropinirole, mimic the role of

dopamine in the brain, which causes neurons to react as they would to dopamine. In spite of their wide use, both levodopa and dopamine agonists remain suboptimal in treating the symptoms of Parkinson's disease. Levodopa therapy has been associated with "wearing-off," a condition where treatment effects diminish over time as the disease progresses, and "on-off" dyskinesias, or impairment of movement, due to changes in levodopa plasma concentrations. Dopamine agonists are generally considered the next most powerful drug class in treating the symptoms of Parkinson's disease, but are more likely to cause hallucinations, confusion and psychosis, especially in the elderly.

Phase 1 Clinical Trial in Parkinson's Disease Patients. In January 2010, we reported preliminary results from an open-label, crossover, Phase 1 clinical trial of XP21279 administered with carbidopa in ten Parkinson's disease patients who were sequentially administered levodopa/carbidopa three or four times per day for 14 days followed by administration of XP21279/carbidopa three times per day for 14 days. Dosing for both levodopa/carbidopa and XP21279/carbidopa was optimized to minimize "off-time" (the period in which patients believe their medication is not working well or causing worsening of Parkinson's symptoms), with no appreciable increase in duration of dyskinesias (involuntary movements). The primary objective of the study was the comparison of pharmacokinetic profiles of XP21279/carbidopa compared to levodopa/carbidopa. XP21279 taken three times a day showed less variation in average levodopa concentrations over 16 hours compared to levodopa/carbidopa dosed three or four times a day, with a lower peak to trough ratio for XP21279. Efficacy assessments at the end of each treatment period showed improvements with XP21279 over levodopa. However, because the trial was not blinded, i.e., subjects knew what treatment was administered, the results of the efficacy analyses must be viewed with caution. XP21279 was well tolerated.

Phase 2 Clinical Trial Result. In December 2011, we reported preliminary results of a Phase 2, randomized, crossover clinical trial of XP21279 that compared optimized treatment with either Sinemet (immediate-release levodopa/carbidopa) or XP21279 co-formulated with carbidopa (XP21279/CD) in advanced Parkinson's disease patients with motor fluctuations. The trial enrolled patients with Parkinson's disease at 12 U.S. sites who were on a stable regimen of Sinemet dosed four or five times per day. Subjects were required to have "off time" in at least half of the inter-dose intervals between the first and last daily doses of Sinemet and an average daily "off time" greater than or equal to two hours during the three-day baseline assessment period.

The trial consisted of an open-label, crossover optimization phase followed by a double-blind, crossover treatment phase. Thirty-five subjects entered the open-label phase of the trial, during which doses of Sinemet and XP21279/CD were each optimized for two weeks in a random order using the same protocol-specified guidelines. For Sinemet, doses were optimized while maintaining the same four or five times per day dosing frequency that the subject was taking during the baseline period. For XP21279/CD, doses were optimized using a fixed, three-times-per-day regimen. Qualified subjects then entered the double-blind phase, during which they received the optimized doses of Sinemet and XP21279/CD for two weeks each in random order.

Results of the pharmacokinetic analysis from the trial showed that subjects had significantly lower variation in levodopa blood levels over a 16-hour time period while taking XP21279/CD as compared to Sinemet. However, in the primary efficacy endpoint of the trial, the improvement with XP21279/CD was not statistically better than the improvement seen with optimized Sinemet dosed four or five times per day during the double-blind phase of the trial. The primary analysis was performed on the difference between Sinemet and XP21279/CD in the change from baseline in mean daily "off time" at the end of each period during the double-blind phase of the trial. The efficacy analysis included 28 subjects who completed the double-blind phase of the trial. The baseline mean daily "off time" for the analysis population was 6.4 hours. At the end of the open-label phase, mean daily "off time" was reduced from baseline by 2.0 hours for Sinemet compared to 3.4 hours for XP21279/CD. At the end of the double-blind phase, mean daily "off time" was reduced from baseline by 2.6 hours for Sinemet compared to 2.9 hours for XP21279/CD. The mean difference between Sinemet and XP21279/CD at the end of the double-blind phase of the trial was not statistically significant.

All treatment-emergent adverse events were mild to moderate in severity. During the double-blind phase of the trial, dyskinesias were the most common adverse event. The incidence of new or worsening dyskinesias during the double-blind phase of the trial was 11% for Sinemet and 13% for XP21279/CD. There were no serious adverse events.

Further Clinical Development of XP21279 in Parkinson's Disease. We conducted an End-of-Phase 2 meeting with the FDA in which we received feedback that a proposed development program for XP21279 could support an NDA submission under Section 505(b)(2) of the FDCA. Based on our discussions with the FDA, we believe that a single, pivotal, Phase 3 clinical trial comparing optimized doses of XP21279 to Sinemet, along with an open-label safety study, could form the basis for an NDA submission as a potential treatment for advanced idiopathic Parkinson's disease. The FDA provided specific guidance on the proposed design of the pivotal trial and confirmed that efficacy and safety data from this study could be included in the product label. We plan to continue development of XP21279 to the extent our resources permit or we enter into a collaboration with a third party.

XP21279 Development, Commercialization and Partnering Strategy

We plan to retain rights to XP21279 in the United States, while seeking a partner for the development and commercialization of XP21279 as a treatment for Parkinson's disease outside the United States.

XP23829 — A Prodrug of Monomethyl Fumarate

Our third product candidate, XP23829, is in Phase 1 clinical development. Provided we are able to demonstrate the safety and desired pharmacokinetic, or PK, profile of XP23829 in our Phase 1 trials, we believe that XP23829 could be a potential treatment of patients with RRMS, psoriasis and/or certain other disorders where the mechanism of action of XP23829 may be relevant. For example, we are exploring the potential of XP23829 to protect against neurodegeneration in experimental preclinical models of Parkinson's disease through a grant from The Michael J. Fox Foundation. We hold a composition-of-matter patent and a formulation patent in the United States on XP23829 and hold patents or pending patent applications directed to the XP23829 methods of synthesis and use in the United States. We have also filed applications directed to the XP23829 composition of matter and methods of synthesis and use in other jurisdictions.

Prodrug Background

XP23829 is a fumaric acid ester compound and a patented prodrug of MMF. Fumaric acid ester compounds have shown immuno-modulatory and neuroprotective effects in cell-based systems and preclinical models of disease. A product containing a combination of fumaric acid ester compounds, known as Fumaderm, is approved in Germany for the treatment of psoriasis. Tecfidera (a formulation of DMF, also known as BG-12) from Biogen Idec Inc. is another fumaric acid ester prodrug that converts to MMF in the body. Phase 3 clinical trials of Tecfidera as a potential treatment for RRMS showed statistically significant benefits of Tecfidera versus placebo. Tecfidera is currently under U.S. regulatory review as a potential treatment for RRMS.

Our Prodrug

XP23829 is a novel prodrug of MMF that we believe may provide improved tolerability and efficacy compared to DMF. In preclinical studies that compared molar equivalent doses of XP23829 to DMF, XP23829 provided higher blood levels of the biologically active molecule MMF and a similar or greater degree of efficacy in MS and psoriasis animal models. Toxicology studies conducted in two species showed that XP23829 caused less stomach irritation when compared to DMF.

Phase 1 Clinical Trial in Healthy Volunteers

In October 2012, we reported favorable preliminary results from our first Phase 1 clinical trial in healthy adults designed to assess the pharmacokinetics, safety and tolerability of single doses of four different formulations of XP23829. The trial was a randomized, double-blind, two-period crossover, food effect comparison clinical trial of XP23829. Sixty subjects were assigned to five cohorts of 12, with each cohort receiving one of four different formulations of XP23829 or placebo. The trial demonstrated that administration of XP23829 resulted in the expected levels of MMF in the blood. As anticipated, the four formulations produced

different PK profiles of MMF, including one formulation that could potentially be dosed twice a day and at least one formulation that may be suitable for once-a-day dosing. XP23829 was generally well-tolerated in the trial. All 12 subjects in each cohort completed both dosing periods.

Potential Target Indications

RRMS

Background on MS and RRMS. MS is a chronic and progressive neurodegenerative disease in which the body's immune system attacks the myelin protein that wraps around nerve fibers. The disease typically strikes between the ages of 20 to 40 years, and because it is progressive in nature, disability accumulates over time and can lead to permanent impairment of mobility, cognition and the ability for self care. After a subsequent attack, followed by a remission of symptoms, the condition is diagnosed as RRMS. This classification represents approximately two-thirds of the patients with a diagnosis of MS. A typical course of the disease involves progressively more frequent relapses of symptoms resulting in greater levels of disability after each relapse.

Potential Market. Although the exact prevalence is not known, it is estimated that approximately 250,000 to 350,000 people in the United States have been diagnosed with MS and that approximately one million people worldwide suffer from MS. In 2012, there were approximately 1.2 million prescriptions written for the treatment of RRMS, representing approximately $4.6 billion in sales in the United States.

Current Treatments. At present, there is no cure for MS, but a variety of medications have been shown to reduce relapses in patients with RRMS. Most of these drugs modulate or suppress the inflammatory reactions of the disease, but often have untoward and occasionally severe side effects, including worsening MS symptoms, blood cancers, heart damage, progressive multifocal encephalopathy and potentially severe blood pressure control problems. The current medications for RRMS include oral and injectable agents. The first oral agent for RRMS, Gilenya (fingolimod), marketed by Novartis, was approved by the FDA in 2010. More recently, the FDA approved Aubagio (teriflunomide), marketed by Sanofi-Aventis. Injectable formulations of interferon-beta1a and beta1b isoforms include Avonex, which is marketed by Biogen, Rebif, marketed by Merck Serono S.A. and Betaseron and Extavia, which are marketed by Bayer AG/Novartis. In addition, Copaxone (glatiramer acetate), an injectable mixture of peptides that is marketed by Teva Pharmaceutical Industries Ltd., is also widely used for the treatment of RRMS. Tysabri (natralizumab), a monthly intravenously-infused antibody that is marketed by Biogen, is also used in the treatment of RRMS.

Psoriasis

Background on Psoriasis. Psoriasis is a chronic, systemic, inflammatory disease that manifests in the skin and/or joints. It typically manifests as thick scaling red plaques, with variable morphology and distribution, resulting from an unusually high rate of skin cell growth. There is no cure for psoriasis, and treatment often requires complex medical intervention. The main cause of psoriasis is uncertain, but it is thought to be caused by autoimmunity, genetic predisposition and environmental factors.

Potential Market. Psoriasis is the most prevalent autoimmune disease in the United States with as many as 7.5 million Americans suffering from the condition. It is estimated that approximately 1.5 million adults in the United States are considered to have moderate-to-severe psoriasis and between 150,000 and 260,000 new cases of psoriasis are diagnosed each year.

Current Treatments. In the United States, therapeutic options for psoriasis consist of topical agents, phototherapy and systemic therapies. Topical therapies are typically the first line of defense in treating psoriasis and include corticosteroids, anthrolin, synthetic vitamin D and vitamin A. Phototherapy and systemic therapies are used to treat moderate-to-severe psoriasis. Phototherapy involves exposing the skin to ultraviolet light and requires multiple treatments a week. Common side effects of this treatment include nausea, itching, redness of the skin, photoaging and long-term risk of skin cancer. Oral systemic agents for the treatment of moderate-to-severe psoriasis include acitretin, cyclosporine and methotrexate, which are recommended for use prior to biologic therapies. These agents can be efficacious in treating psoriasis but may result in serious side effects,

including liver failure and cirrhosis, teratogenicity risks (ability to cause developmental anomalies in a fetus), impaired kidney function, hypertension, hyperlipidemia, elevated creatinine and elevated urea nitrogen. Biological therapies, such as Enbrel (etanercept), Humira (adalimumab), Remicade (infliximab) and Stelara (ustekinumab) are recommended for patients with chronic moderate-to-severe psoriasis who fail to respond to or experience intolerance to phototherapy or other oral systemic therapies. These agents can be effective treatments, but may be associated with a requirement for dose increases to achieve or maintain treatment response and with treatment discontinuation due to treatment failure, reduced efficacy or adverse reactions. The addition of phototherapy or methotrexate may improve treatment with biological therapies, or physicians may switch from one biologic therapy to another to improve treatment benefits.

Further Clinical Development of XP23829

We are currently conducting a Phase 1, multiple ascending dose clinical trial of XP23829 to determine the safety and steady state PK profile of XP23829 in once-per-day and twice-per-day formulations. We are also conducting a radiolabeled XP23829 study in healthy subjects to establish the metabolism and disposition of XP23829.

XP23829 Development, Commercialization and Partnering Strategy

We believe that XP23829 could be a potential treatment of patients with RRMS, psoriasis and/or certain other disorders where the mechanism of action of XP23829 may be relevant. Provided our Phase 1 clinical trials offer adequate safety and pharmacokinetic results, we intend to meet with the FDA to determine our next steps in the development of XP23829. We are also in ongoing discussions with potential partners regarding the development and commercialization of XP23829.

Our Strategic Alliances

Astellas Pharma Inc.

In December 2005, we entered into an agreement in which we licensed to Astellas exclusive rights to develop and commercialize gabapentin enacarbil, to be marketed in Japan under the trade name *Regnite*, in Japan, Korea, the Philippines, Indonesia, Thailand and Taiwan. Under the terms of this agreement, we received an initial license payment of $25.0 million and have subsequently received $40.0 million in milestone payments as of December 31, 2012. As of March 2013, we remain eligible to receive potential clinical and regulatory contingent payments totaling up to an additional $20.0 million. We are entitled to receive percentage-based high-teen royalties on net sales of *Regnite* in Japan, with the royalties recognized when royalty payments are received by us. In November 2012, we received $0.1 million in royalties based on third quarter 2012 net sales of *Regnite* in Japan. Astellas is solely responsible for the manufacturing of *Regnite*/gabapentin enacarbil to support its development and commercialization within the Astellas territory. Astellas may terminate the collaboration at its discretion; in such event, all *Regnite*/gabapentin enacarbil product rights would revert to us and we would be entitled to specified transition assistance from Astellas.

Glaxo Group Limited

In February 2007, we entered into an exclusive collaboration agreement with GSK to develop and commercialize gabapentin enacarbil, known in the United States by the trade name *Horizant* (gabapentin enacarbil) Extended-Release Tablets, in all countries of the world excluding the Astellas territory. In November 2010, we amended and restated our collaboration agreement with GSK, pursuant to which we reacquired all rights to gabapentin enacarbil outside of the United States previously granted to GSK (which excludes the Astellas territory) and obtained the right, but not the obligation, to pursue development of *Horizant* for: (i) the potential treatment of diabetic peripheral neuropathy, or DPN; (ii) the potential treatment of PHN to the extent that a product label would reflect a superiority claim over a currently approved drug; and (iii) any additional indications in the United States. GSK remained responsible for further development and regulatory matters with respect to *Horizant* for the potential management of PHN and manufacturing and commercialization of *Horizant* in the United States for all indications.

In January 2012, we provided notice to GSK of our belief that, among other matters, GSK had materially breached its contractual obligation to use commercially reasonable efforts to (i) maximize the sales of *Horizant* in an expeditious manner and (ii) achieve the sales milestones set forth in our collaboration agreement.

In February 2012, GSK filed a complaint, the GSK Complaint, in the United States District Court for the District of Delaware naming us and other unspecified individuals as defendants. Pursuant to the GSK Complaint, GSK sought declaratory judgment that it was not in breach of the collaboration agreement and that we did not have the right to terminate the collaboration agreement as a result of GSK's performance under the agreement. Also in February 2012, we filed a complaint, the XenoPort Complaint, in the Superior Court of the State of California in the County of Santa Clara against GSK and its affiliates, GlaxoSmithKline LLC and GlaxoSmithKline Holdings (Americas) Inc., for breach of contract, fraud, breach of fiduciary duty, breach of the covenant of good faith and fair dealing and unfair competition. Pursuant to the XenoPort Complaint, in addition to injunctive and equitable relief, we sought damages for lost profits, damage to the value of *Horizant* and unattained royalties and milestone payments in an amount to be proven at trial, as well as punitive damages and restitution.

On November 8, 2012, we reached an agreement with GSK to terminate our collaboration agreement pursuant to the termination and transition agreement. The termination and transition agreement also provided for a mutual release of claims and resolved all ongoing litigation between the parties.

Under the terms of the termination and transition agreement, during a transition period that will end on April 30, 2013, GSK will continue to exclusively commercialize, promote, manufacture and distribute *Horizant* in the United States. We will not be responsible for any losses associated with the terminated collaboration agreement, are no longer eligible to receive any further milestone payments from GSK and will not receive any revenue or incur any losses from GSK's sales of *Horizant* during the transition period. GSK will also continue to fully fund the costs associated with the management and conduct of clinical studies initiated by GSK prior to the date of the termination and transition agreement. In addition, prior to the end of the transition period, GSK will provide to us inventory of gabapentin enacarbil in GSK's possession that is not required for use by GSK in the manufacture of *Horizant*. In exchange for such inventory, we will make annual payments to GSK of $1.0 million for six years beginning in 2016. Following the transition period, we will assume all responsibilities for further development, manufacturing and commercialization of *Horizant* in the United States. We have elected to have GSK continue to supply *Horizant* tablets to us for up to six months following the transition period on pricing terms established under the termination and transition agreement.

Pursuant to a separate stock purchase agreement entered into between us and GSK on November 8, 2012, GSK purchased $20.0 million of our common stock on November 9, 2012, or an aggregate of 1,841,112 shares at $10.863 per share, which per share price represented a 12.5 percent premium to the average of the closing prices of our common stock for the ten trading days prior to October 31, 2012. On November 9, 2012, we also exercised a put option requiring GSK to purchase an additional 2,190,100 shares at $9.132 per share, which per share price represented a 12.5 percent premium to the average of the closing prices of our common stock for the ten trading days prior to November 9, 2012. The closing of the purchase and sale of the put shares occurred on December 10, 2012.

Patents and Proprietary Rights

We will be able to protect our technology from unauthorized use by third parties only to the extent that our technology is covered by valid and enforceable patents or effectively maintained as trade secrets and able to be utilized without infringing the proprietary rights of others. Our success in the future will depend in part on obtaining and maintaining patent protection for our technologies, product candidates and marketed products. Accordingly, patents and other proprietary rights are essential elements of our business. Our policy is to actively seek in the United States and selected foreign countries patent protection for novel technologies and compositions of matter that are commercially important to the development of our business.

Issued U.S. and foreign patents generally expire 20 years after filing. We hold a number of issued patents in the United States, including composition-of-matter patents on *Horizant*/gabapentin enacarbil, AP, XP21279 and

XP23829. We have a number of pending patent applications in the United States. Of the U.S. patents that we hold, many patents are related to compounds, pharmaceutical compositions containing the compounds and therapeutic methods of using the compounds and compositions. We also have U.S. patents that are related to methods of synthesis, proteomics methodology and screening methodology. We also hold a number of issued foreign patents. We have pending Patent Cooperation Treaty regional applications that permit us to pursue patents outside of the United States, pending European regional patent applications that permit us to pursue patents in various European countries and foreign national patent applications. The claims in these various patents and patent applications are directed to compositions of matter, including claims covering product candidates, lead compounds and key intermediates, pharmaceutical compositions, methods of use and processes for making our compounds, along with methods of design, synthesis, selection and use of Transported Prodrugs in general and to our research and development programs in particular.

The patent rights relating to *Horizant*, its synthesis, formulations and methods of use are owned by us and consist of issued U.S. patents that expire at the earliest in 2022 and a number of pending U.S. patent applications. We have petitioned for a U.S. patent term extension, which could extend the compound patent term until 2025. In addition, a second patent directed at the crystalline form of *Horizant* could extend the effective compound patent coverage of *Horizant* until 2026. We believe that in all countries in which we hold or have licensed rights to patents or patent applications related to *Horizant*, *Regnite* and gabapentin enacarbil, the composition-of-matter patents relating to gabapentin have expired. For AP, U.S. composition-of-matter patents have issued that will expire no earlier than 2025. For XP21279, a U.S. composition-of-matter patent has issued that will expire no earlier than 2025. For XP23829, a U.S. composition-of-matter patent has issued that will expire no earlier than 2029. Although third parties may challenge our rights to, or the scope or validity of, our patents, to date, other than the European opposition described below, we have not received any communications from third parties challenging our patents or patent applications covering *Horizant*, *Regnite* or our product candidates.

In September 2008, a law firm, on behalf of an undisclosed client, filed an opposition against the patent grant of one of our European patent applications covering gabapentin enacarbil. The European patent office, at an opposition hearing in April 2010, undertook a full review of the grant of the European patent, and ruled that our European patent covering the composition of matter of gabapentin enacarbil is valid. While the law firm that filed the opposition initially appealed the ruling on behalf of the undisclosed client, that appeal was withdrawn in November 2010. The composition-of-matter patent on gabapentin, the parent drug of *Horizant/Regnite/* gabapentin enacarbil, expired in 2000, but Pfizer sold gabapentin exclusively based on a formulation patent until September 2004. This formulation patent, which expires in 2017, has been the subject of ongoing litigation between Pfizer and several generic manufacturers, including Alpharma, Inc. and Teva Pharmaceutical Industries, Ltd. Pfizer currently markets generic gabapentin through its Greenstone Ltd. subsidiary. Alpharma and Teva, along with many others, currently market gabapentin as a generic drug. In May 2011, this suit was settled and Pfizer granted the generic gabapentin makers a license to make and sell gabapentin under the patent. We have not been a party to this litigation, and we believe that the manufacturing process for gabapentin enacarbil does not infringe the patent that was the subject of this litigation. Since the settlement apparently did not enjoin or limit the sale of generic gabapentin, we and/or Astellas are not limited in our choices of potential suppliers.

Certain product candidates that we develop may be submitted to the FDA for approval under Section 505(b)(2) of the Food, Drug and Cosmetic Act, or FDCA, which was enacted as part of the Drug Price Competition and Patent Term Restoration Act of 1984, also known as the Hatch-Waxman Act. Section 505(b)(2) permits the submission of an NDA where at least some of the information required for approval comes from studies not conducted by, or for, the applicant and for which the applicant has not obtained a right of reference. In accordance with the Hatch-Waxman Act, such NDAs may be required to include certifications, known as Paragraph IV certifications, that certify that any patents listed in the Patent and Exclusivity Information Addendum of the FDA's publication, Approved Drug Products with Therapeutic Equivalence Evaluations, commonly known as the Orange Book, with respect to any product referenced in the Section 505(b)(2) application, are invalid, unenforceable or will not be infringed by the manufacture, use or sale of the product that is the subject of the Section 505(b)(2) application. Under the Hatch-Waxman Act, the holder of patents that the Section 505(b)(2) application references may file a patent infringement lawsuit after receiving notice of the Paragraph IV certification. Filing of a patent infringement lawsuit within 45 days of the patent owner's receipt of

notice triggers a one-time, automatic, 30-month stay of the FDA's ability to approve the 505(b)(2) application. Accordingly, we may invest a significant amount of time and expense in the development of one or more products only to be subject to significant delay and patent litigation before such products may be commercialized, if at all. We are not aware of any unexpired patents in the Orange Book covering the compounds of baclofen or levodopa, the parent drugs of AP and XP21279, respectively.

We also rely on trade secret protection and confidentiality agreements to protect our proprietary know-how that is not patentable, processes for which patents are difficult to enforce and any other elements of our drug discovery process that involve proprietary know-how and technology that is not covered by patent applications, especially where patent protection is not believed to be appropriate or obtainable. We require all of our employees, consultants and advisors to enter into confidentiality agreements. Where it is necessary to share our proprietary information or data with outside parties, our policy is to make available only that information and data required to accomplish the desired purpose and only pursuant to a duty of confidentiality on the part of those parties.

Manufacturing

We do not own or operate manufacturing facilities for the production of clinical or commercial quantities of *Horizant, Regnite* or any of our product candidates. We will rely on GSK for the commercial supply of *Horizant* through October 2013. For our product candidates, we have relied on, and we expect to continue to rely on, a limited number of third-party drug substance and drug product manufacturers. Other than the termination and transition agreement with GSK with respect to *Horizant*, we do not have commercial supply agreements with any of these third parties, and our agreements with these parties are generally terminable at will by either party at any time. If, for any reason, GSK or these third parties are unable or unwilling to perform under our agreements or enter into new agreements, we may not be able to locate alternative manufacturers or enter into favorable agreements with them. Any inability to acquire sufficient quantities of *Horizant* or our product candidates in a timely manner from these third parties could delay clinical trials and prevent us or our partners from developing and commercializing *Horizant* and our product candidates in a cost-effective manner or on a timely basis.

Under the terms of our termination and transition agreement with GSK, GSK is responsible for the commercial manufacture and supply of *Horizant* during the transition period, and we have elected to have GSK continue to supply us for up to six months following the transition period. GSK is relying on a single source supplier for such commercial supplies of *Horizant.* If we or GSK fail to qualify alternative manufacturers of *Horizant*, the current contract manufacturer terminates its agreement with GSK or we are not able to enter an agreement with such manufacturer, and we or GSK are otherwise unable to manufacture or contract to manufacture sufficient quantities of *Horizant,* the commercialization of *Horizant* could be impaired or delayed. As part of the termination and transition agreement, GSK agreed to provide its inventory of gabapentin enacarbil drug substance to us. Although the inventory of drug substance has reached the end of its specified shelf life, we believe that such inventory will remain in specification and will be usable, or in the alternative, we believe the drug substance can be re-crystallized into usable form. GSK has relied on a single source supplier of gabapentin enacarbil drug substance, and its agreement with such manufacturer has expired. If we are incorrect about the usability of the gabapentin enacarbil drug substance, are unable to have it meet specifications upon re-crystallization or are unable to enter into an agreement with the contract manufacturer or qualify an alternative manufacturer, we may be limited in the amount of *Horizant* we could have manufactured and the commercialization of *Horizant* could be impaired or delayed. Under the terms of our collaboration agreement with Astellas, Astellas is solely responsible for the manufacture of *Regnite*/gabapentin enacarbil to support its development and commercialization within the Astellas territory. To our knowledge, Astellas is currently relying on single source suppliers for commercial supplies of *Regnite*/gabapentin enacarbil. As a result, if Astellas fails to manufacture or contract to manufacture sufficient quantities of *Regnite*/gabapentin enacarbil, development and commercialization of *Regnite*/gabapentin enacarbil could be impaired in the Astellas territory.

We rely on a single source supplier of R-baclofen, the active agent used to make AP, under purchase orders issued from time to time. In the event that such supplier determines to not sell R-baclofen to us at a price that is commercially attractive, and if we were unable to qualify an alternative supplier of R-baclofen, this could delay the development of, and impair our ability to commercialize, this product candidate.

We rely on a single source supplier of our current worldwide requirements of AP drug substance under a manufacturing services and product supply agreement. Our current agreement with this supplier does not provide for a supply of drug substance that would be necessary for full-scale commercialization. In the event that the parties cannot agree to the terms and conditions for this supplier to provide some or all of our clinical and commercial supply needs of drug substance, we would not be able to manufacture AP drug substance until an alternative supplier is identified and qualified, which could also delay the development of, and impair our ability to commercialize, this product candidate. The drug substance is manufactured using a four-step synthetic process that uses commercially available starting materials for each step. There are no complicated chemistries or unusual equipment required in the manufacturing process.

We rely on a single source supplier of AP formulated in sustained-release tablets at specified transfer prices under quotations agreed upon by the parties as a part of a master services agreement. We do not have an agreement with this supplier for the commercial supply of AP sustained-release tablets. In the event that such supplier terminates our agreement under specified circumstances, or we are not able to come to an agreement for the commercial supply of AP on reasonable terms, we would not be able to commercialize AP sustained-release tablets until an alternative supplier is qualified. This could delay the development of, and impair our ability to commercialize, AP.

We rely on a single source supplier of levodopa, which is used to make XP21279, under purchase orders issued from time to time. We are aware of several alternative suppliers of levodopa, and we believe at least one alternative manufacturer could potentially supply levodopa in the event that our supplier determines to not sell levodopa to us at a price that is commercially attractive. If we are unable to qualify an alternative supplier of levodopa, this could further delay the development of, and impair our ability to commercialize, XP21279.

We rely on a single source supplier of XP21279 drug substance under a manufacturing services and product supply agreement. In the event that such supplier terminates the agreement under specified circumstances, we would not be able to manufacture drug substance until a qualified alternative supplier is identified and qualified, which could also further delay the development of, and impair our ability to commercialize, this product candidate. The drug substance is manufactured by a four-step synthetic process that uses commercially available starting materials. There are no complicated chemistries or unusual equipment required in the manufacturing process.

We have purchased XP21279 formulated in sustained-release tablets from a single source supplier at specified transfer prices under quotations agreed upon by the parties as part of a master services agreement. We have recently qualified another supplier for the manufacture of XP21279 with carbidopa bi-layer tablets to be supplied under quotations agreed upon by the parties as part of a master services agreement. In the event that either supplier terminates its agreement under specified circumstances for the manufacture of XP21279 sustained-release tablets or carbidopa bi-layer tablets, we would not be able to manufacture XP21279 until an alternative supplier is qualified. This could further delay the development of, and impair our ability to commercialize, XP21279.

We rely on a single source supplier of MMF, which is used to make XP23829, under purchase orders issued from time to time. We are aware of several alternative suppliers of MMF, and we believe at least one alternative manufacturer could potentially supply MMF in the event that our supplier determines to not sell MMF to us at a price that is commercially attractive. If we are unable to qualify an alternative supplier of MMF, this could delay the development of, and impair our ability to commercialize, XP23829.

We rely on a single source supplier of XP23829 drug substance under a manufacturing services and supply agreement. In the event that such supplier terminates the agreement under specified circumstances, we would not be able to manufacture drug substance until a qualified alternative supplier is identified and qualified, which could also delay the development of, and impair our ability to commercialize, this product candidate. The drug substance is manufactured by a short synthetic process that uses commercially available starting materials. There are no complicated chemistries or unusual equipment required in the manufacturing process.

We have purchased XP23829 formulated in different forms from multiple suppliers at specified transfer prices under quotations agreed upon by the parties as part of master services agreements. In the event that such

suppliers terminate our agreements under specified circumstances, we would not be able to manufacture XP23829 until an alternative supplier is qualified. This could delay the development of, and impair our ability to commercialize, XP23829.

Our contract manufacturers may own process technology related to the manufacture of our compounds. This would increase our reliance on this manufacturer. However, we have been successful in negotiating agreements with our contract manufacturers that include licenses, with the right to grant sublicenses, to any technology incorporated into the manufacture of our compounds or that is invented by employees of the contract manufacturers during the course of work conducted on our product candidates.

Research and Development

Since inception, we have devoted a significant amount of resources to develop our product candidates. For the years ended December 31, 2012, 2011 and 2010, we recorded $42.9 million, $43.8 million and $52.5 million, respectively, in research and development expenses. As part of a restructuring that we implemented in March 2010 due to a significant delay in the regulatory review of *Horizant*, we eliminated our discovery research department, which prevents us from being able to discover additional product candidates at this time.

Potential Marketing and Sales of Our Product Candidates

After April 30, 2013, we will have responsibility for the marketing and sales of *Horizant* in the United States. As such, we intend to hire a contract sales organization and assume all responsibility for *Horizant*'s commercialization in the United States. We believe that the markets for our product candidates could overlap with the *Horizant* market opportunity and that we could enhance the efficiency of our contract sales force through the direct sale of our product candidates, should they be approved in the United States, to the specialty physicians that may be interested in our other potential products. We are currently evaluating the synergies for commercializing our product candidates through the contract sales organization that we plan to establish for *Horizant*.

We also may establish additional development and commercialization partnerships with pharmaceutical and biotechnology companies to accelerate the completion of regulatory approval and product introduction and to maximize the breadth of the commercial opportunity of our other product candidates.

Competition

The pharmaceutical and biotechnology industries are intensely competitive. *Horizant/Regnite* will compete, and our product candidates that may obtain approval will likely compete, with existing drugs and therapies. There are many pharmaceutical companies, biotechnology companies, public and private universities, government agencies and research organizations actively engaged in research and development of products targeting the same markets as our product and product candidates. Many of these organizations have substantially greater financial, technical, manufacturing and marketing resources than we have. Several of them have developed or are developing therapies that could be used for treatment of the same diseases as *Horizant/Regnite* or diseases that we are targeting in our clinical development programs. In addition, many of these competitors have significantly greater commercial infrastructures than we have. Our ability to compete successfully will depend largely on our ability to leverage our experience in drug development to:

- develop products that are superior to other products in the market;
- attract and retain qualified product development and commercial personnel;
- obtain patent and/or other proprietary protection for our products and technologies;
- obtain required regulatory approvals; and
- successfully collaborate with pharmaceutical companies and/or third-party vendors in the development and commercialization of new products.

We expect to compete on, among other things, product efficacy and safety, time to market, price, extent of adverse side effects experienced and convenience of treatment procedures.

In addition, our ability to compete may be affected if insurers and other third-party payors seek to encourage the use of generic products, making branded products less attractive to buyers from a cost perspective.

Our objective is to develop and commercialize new medicines with superior efficacy, convenience, tolerability and/or safety. To the extent that we are able to commercialize and develop medicines, they are likely to compete with existing drugs that have long histories of effective and safe use and with new therapeutic agents. We expect that any medicines that we commercialize with current or potential collaborative partners or on our own will compete with existing, market-leading medicines.

Horizant/Regnite. Products that we believe compete with *Horizant* in the United States include the following drugs approved for the treatment of RLS: Mirapex (pramipexole) from Boehringer Ingelheim and generic pramipexole; Requip (ropinirole) from GSK and generic ropinirole; and Neupro (a rotigotine transdermal system), a dopamine agonist patch from UCB, Inc., which was approved in 2012. In Japan, we believe that *Regnite* competes with pramipexole, which was approved in Japan in 2010. We also believe that *Regnite* could compete with a rotigotine transdermal system, which was approved in Japan in December 2012. Otsuka has exclusive rights to market the UCB rotigotine transdermal system in Japan.

Products that we believe compete with *Horizant* in the United States for the management of PHN include drugs that act on the same target as *Horizant*, such as Lyrica (pregabalin) and Neurontin (gabapentin) from Pfizer Inc., generic gabapentin and Gralise (once-daily formulation of gabapentin) from Depomed, Inc. *Horizant* could also experience competition from a capsaicin patch (marketed as Qutenza by NeurogesX, Inc.) and transdermal patches containing the anesthetic known as lidocaine, which are sometimes used for the management of PHN.

AP. We believe that AP, our product candidate that is a Transported Prodrug of R-baclofen, could experience competition from several generic drugs approved for the treatment of spasticity, including racemic baclofen, dantrolene sodium and tizanidine. In addition, the FDA has approved Botox (onabotulinumtoxin A) from Allergan Inc. to treat upper limb spasticity in adults. Physicians also prescribe diazepam for the treatment of spasticity. Therapies in development for the treatment of spasticity based on sustained-release versions of baclofen or R-baclofen include IPX056 from Impax Laboratories, Inc., Baclofen GRS from Sun Pharma Advanced Research Company Limited and Arbaclofen Extended-Release Tablets from Osmotica Pharmaceutical Corp.

XP21279. Products that could compete with XP21279, our product candidate that is a Transported Prodrug of levodopa, include: generic levodopa/carbidopa drugs and other drugs approved for the treatment of Parkinson's disease, including Stalevo, a combination therapy of levodopa/carbidopa/entacapone that is marketed in the United States by Novartis Inc.; dopamine agonists such as Mirapex (pramipexole) as well as Requip (ropinirole) and Requip XL (ropinirole extended-release tablets), which are marketed by Boehringer Ingelheim and GSK, respectively; generic dopamine agonists, including pramipexole and ropinirole; and Neupro (a rotigotine transdermal system), a dopamine agonist patch from UCB, which was approved in April 2012 by the FDA for the treatment of Parkinson's disease. Impax submitted an NDA for Rytary (previously known as IPX066), an extended-release formulation of levodopa/carbidopa that is currently under FDA review. Other therapies under development in the United States include levodopa/carbidopa formulations such as a levodopa/carbidopa gel delivered by a portable pump directly into the duodenum being developed by Abbott Laboratories, as well as DM-1992 and OS-320 (extended-release formulations of levodopa/carbidopa being developed by Depomed and Osmotica Pharmaceutical Corp., respectively).

XP23829. Products that could compete with XP23829, our product candidate that is a prodrug of MMF, include oral and injectable agents that are approved in the United States for the treatment of RRMS. These include oral agents such as Gilenya (fingolimod), marketed by Novartis, and Aubagio (teriflunomide), marketed by Sanofi-Aventis, as well as injectable formulations of interferon-beta1a and beta1b isoforms that include Avonex, which is marketed by Biogen Idec, Rebif, marketed by Merck Serono S.A., and Betaseron and Extavia, which are marketed by Bayer AG/Novartis. In addition, Copaxone (glatiramer acetate), an injectable mixture of peptides that is marketed by Teva Pharmaceutical Industries Ltd., is also widely used for the treatment of RRMS.

XP23829 could also compete with Tysabri (natralizumab), a monthly intravenously-infused antibody that is marketed by Biogen Idec. There are also a number of possible competitive products that are in late-stage product development. For example, in February 2012, Biogen Idec submitted an NDA for Tecfidera (dimethyl fumarate) that is currently under FDA review. Other therapies in late-stage clinical development in the United States include Movecto (oral cladribine) from Merck KGaA/Teva, BIIB-017 (PEG-IFN-beta1a) from Biogen Idec, Daclizumab from Abbott/Biogen Idec, Laquinimod from Teva and Lemtrada (alemtuzumab) from Genzyme/ Sanofi-Aventis/Bayer/Takeda Pharmaceutical.

Products that could compete with XP23829 for the treatment of psoriasis include topical agents and oral systemic therapies. Topical therapies include corticosteroids, anthrolin and synthetic vitamin D and vitamin A. Oral systemic agents include acitretin, cyclosporine and methotrexate, which are generic products and are recommended for use prior to biologic therapies. Biological therapies include Enbrel (etanercept), Humira (adalimumab), Remicade (infliximab) and Stelara (ustekinumab), which are recommended for patients with chronic moderate-to-severe psoriasis who fail to respond to or experience intolerance to other psoriasis treatments.

There may be other compounds of which we are not aware or that are at an earlier stage of development and may compete with our product or product candidates. If any of those compounds are successfully developed and approved, they could compete directly with our product and product candidates.

Government Regulation

Product Approval Process

The clinical testing, manufacturing, labeling, storage, record keeping, advertising, promotion, export and marketing, among other things, of our product and product candidates are subject to extensive regulation by governmental authorities in the United States and other countries. The FDA, under the FDCA, regulates pharmaceutical products in the United States. The steps required before a drug may be approved for marketing in the United States generally include:

- preclinical laboratory tests and animal tests;
- the submission to the FDA of an Investigational New Drug (IND) application for human clinical testing, which must become effective before human clinical trials commence;
- adequate and well-controlled human clinical trials to establish the safety and efficacy of the product;
- the submission to the FDA of an NDA;
- FDA acceptance, review and approval of the NDA; and
- satisfactory completion of an FDA inspection of the manufacturing facilities at which the product is made to assess compliance with current Good Manufacturing Practices, or cGMPs.

The testing and approval process requires substantial time, effort and financial resources, and the receipt and timing of any approval is uncertain. The FDA may suspend clinical trials at any time on various grounds, including a finding that the subjects or patients are being exposed to an unacceptable health risk.

Preclinical studies include laboratory evaluations of the product candidate, as well as animal studies to assess the potential safety and efficacy of the product candidate. The results of the preclinical studies, together with manufacturing information and analytical data, are submitted to the FDA as part of the IND, which must become effective before clinical trials may be commenced. The IND will become effective automatically 30 days after receipt by the FDA, unless the FDA raises concerns or questions about the conduct of the trials as outlined in the IND prior to that time. In this case, the IND sponsor and the FDA must resolve any outstanding concerns before clinical trials can proceed.

Clinical trials involve the administration of the product candidates to healthy volunteers or patients with the disease to be treated under the supervision of a qualified principal investigator. Further, each clinical trial must be reviewed and approved by an independent institutional review board, or IRB, at each institution at which the clinical trial will be conducted. The IRB will consider, among other things, ethical factors, the safety of human subjects and the possible liability of the institution.

Clinical trials typically are conducted in three sequential phases prior to approval, but the phases may overlap. These phases generally include the following:

Phase 1. Phase 1 clinical trials represent the initial introduction of a product candidate into human subjects, frequently healthy volunteers. In Phase 1, the product candidate is usually tested for safety, including adverse effects, dosage tolerance, absorption, distribution, metabolism, excretion and pharmacodynamics.

Phase 2. Phase 2 clinical trials usually involve studies in a limited patient population to (1) evaluate the efficacy of the product candidate for specific indications, (2) determine dosage tolerance and optimal dosage and (3) identify possible adverse effects and safety risks.

Phase 3. If a product candidate is found to be potentially effective and to have an acceptable safety profile in Phase 2 studies, the clinical trial program will be expanded to Phase 3 clinical trials to further demonstrate clinical efficacy, optimal dosage and safety within an expanded patient population at geographically dispersed clinical study sites.

Phase 4 clinical trials are conducted after approval to gain additional experience from the treatment of patients in the intended therapeutic indication and to document a clinical benefit in the case of drugs approved under accelerated approval regulations, or when otherwise requested by the FDA in the form of post-market requirements or commitments. If the FDA approves a product while a company has ongoing clinical trials that were not necessary for approval, a company may be able to use the data from these clinical trials to meet all or part of any Phase 4 clinical trial requirements. These clinical trials are often referred to as Phase 3/4 post-approval clinical trials. Failure to promptly conduct Phase 4 clinical trials could result in withdrawal of approval for products approved under accelerated approval regulations.

The results of preclinical studies and clinical trials, together with detailed information on the manufacture and composition of the product, are submitted to the FDA in the form of an NDA requesting approval to market the product. The FDA has substantial discretion in the approval process and may refuse to accept any application or decide that the data is insufficient for approval and require additional preclinical, clinical or other studies.

Generally, regulatory approval of a new drug by the FDA may follow one of three routes. The most traditional of these routes is the submission of a full NDA under Section 505(b)(1) of the FDCA. A second route, which is possible where an applicant chooses to rely in part on data generated or approvals obtained previously by other parties and/or on data described in published literature, is to submit a more limited NDA described in Section 505(b)(2) of the FDCA. The final route is the submission of an Abbreviated New Drug Application for products that are shown to be pharmaceutically and therapeutically equivalent to previously approved drug products as permitted under Section 505(j) of the FDCA.

Both Section 505(b)(1) and Section 505(b)(2) applications are required by the FDA to contain full reports of investigations of safety and effectiveness. However, in contrast to a traditional NDA submitted pursuant to Section 505(b)(1) in which the applicant submits all of the data demonstrating safety and effectiveness, we believe an application submitted pursuant to Section 505(b)(2) can rely upon previous findings by the FDA that the parent drug is safe and effective in that indication, and/or upon data described in published literature. As a consequence, the preclinical and clinical development programs leading to the submission of an NDA under Section 505(b)(2) may be less expensive to carry out and could be concluded in a shorter period of time than programs required for a Section 505(b)(1) application. In its review of any NDA submission, however, the FDA has broad discretion to require an applicant to generate additional data related to safety and efficacy, and it is impossible to predict the number or nature of the studies that may be required before the FDA will grant approval.

As a result of the termination and transition agreement with GSK, we are now the sponsor of the NDA for *Horizant* for the treatment of RLS, the sponsor of the sNDA for *Horizant* for the management of PHN and are responsible for leading the registration of *Horizant* for any additional indications in the United States. For our other product candidates that are undergoing clinical trials, we intend to follow the development pathway permitted under the FDCA that will maximize the commercial opportunities for these proprietary prodrugs. We are evaluating both Section 505(b)(1) and Section 505(b)(2) NDA routes for our proprietary prodrugs. In the

event that we decide to utilize Section 505(b)(2) of the FDCA to pursue an approval of our proprietary prodrugs in indications for which the relevant parent drug has previously been approved, we will engage in discussions with the FDA to determine which, if any, portions of our development program can be modified.

In addition, for NDAs submitted under Section 505(b)(2) of the FDCA, the patent certification and related provisions of the Hatch-Waxman Act apply. In accordance with the Hatch-Waxman Act, such NDAs may be required to include Paragraph IV certifications that certify that any patents listed in the Orange Book with respect to any product referenced in the Section 505(b)(2) application are invalid, unenforceable or will not be infringed by the manufacture, use or sale of the product that is the subject of the Section 505(b)(2) application. Under the Hatch-Waxman Act, the holder of patents that the Section 505(b)(2) application references may file a patent infringement lawsuit after receiving notice of the Paragraph IV certification. Filing of a patent infringement lawsuit within 45 days of the patent owner's receipt of notice triggers a one-time, automatic, 30-month stay of the FDA's ability to approve the 505(b)(2) application. A Section 505(b)(2) application may also not be approved until any non-patent exclusivity, such as exclusivity for obtaining approval of a new chemical entity, listed in the Orange Book for the referenced product has expired. The FDA may also require us to perform one or more additional clinical studies or measurements to support the change from the approved product. The FDA may also reject our future Section 505(b)(2) submissions and require us to file such submissions under Section 505(b)(1) of the FDCA, which could cause delay and be considerably more expensive and time consuming.

Once the NDA submission has been accepted for filing, the FDA sets a PDUFA date that informs the applicant of the specific date in which the FDA intends to complete their review. This is typically 12 months from the date of filing the NDA application. The review process is often extended by FDA requests for additional information or clarification. Before approving an NDA, the FDA will inspect the facilities at which the product is manufactured and will not approve the product unless the manufacturing facility complies with cGMPs. The FDA may delay approval of an NDA if applicable regulatory criteria are not satisfied, the FDA requires additional testing or information and/or the FDA requires post-marketing testing and surveillance to monitor safety or efficacy of a product. FDA approval of any NDA submitted by us will be at a time the FDA chooses.

Federal and State Fraud and Abuse and Data Privacy and Security Laws and Regulations

In addition to FDA restrictions on marketing of pharmaceutical products, federal and state fraud and abuse laws restrict certain business practices in the biopharmaceutical industry. These laws include anti-kickback and false claims statutes. We will be subject to these laws and regulations as we begin to directly commercialize our products.

The federal Anti-Kickback Statute prohibits, among other things, knowingly and willfully offering, paying, soliciting or receiving remuneration to induce, or in return for, purchasing, leasing, ordering or arranging for the purchase, lease or order of any item or service reimbursable under Medicare, Medicaid or other federal healthcare programs. The term "remuneration" has been broadly interpreted to include anything of value, including for example, gifts, discounts, the furnishing of supplies or equipment, credit arrangements, payments of cash, waivers of payment, ownership interests and providing anything at less than its fair market value. The Anti-Kickback Statute has been interpreted to apply to arrangements between pharmaceutical manufacturers on one hand and prescribers, purchasers and formulary managers on the other. Although there are a number of statutory exemptions and regulatory safe harbors protecting certain common activities from prosecution, the exemptions and safe harbors are drawn narrowly, and our practices may not in all cases meet all of the criteria for statutory exemptions or safe harbor protection. Practices that involve remuneration that may be alleged to be intended to induce prescribing, purchases or recommendations may be subject to scrutiny if they do not qualify for an exemption or safe harbor. Several courts have interpreted the statute's intent requirement to mean that if any one purpose of an arrangement involving remuneration is to induce referrals of federal healthcare covered business, the statute has been violated. The reach of the Anti-Kickback Statute was also broadened by the Patient Protection and Affordable Care Act as amended by the Health Care and Education Reconciliation Act of 2010, or collectively, PPACA, which, among other things, amends the intent requirement of the federal Anti-Kickback Statute. Pursuant to the statutory amendment, a person or entity no longer needs to have actual knowledge of this statute or specific intent to violate it in order to have committed a violation. In addition, PPACA provides that the

government may assert that a claim including items or services resulting from a violation of the federal Anti-Kickback Statute constitutes a false or fraudulent claim for purposes of the civil False Claims Act (discussed below) or the civil monetary penalties statute, which imposes penalties against any person who is determined to have presented or caused to be presented a claim to a federal health program that the person knows or should know is for an item or service that was not provided as claimed or is false or fraudulent.

The federal False Claims Act prohibits any person from knowingly presenting, or causing to be presented, a false claim for payment to the federal government or knowingly making, using or causing to be made or used a false record or statement material to a false or fraudulent claim to the federal government. As a result of a modification made by the Fraud Enforcement and Recovery Act of 2009, a claim includes "any request or demand" for money or property presented to the U.S. government. Recently, several pharmaceutical and other healthcare companies have been prosecuted under these laws for allegedly providing free product to customers with the expectation that the customers would bill federal programs for the product. Other companies have been prosecuted for causing false claims to be submitted because of the companies' marketing of the product for unapproved, and thus non-reimbursable, uses. The federal Health Insurance Portability and Accountability Act of 1996, or HIPAA, created new federal criminal statutes that prohibit knowingly and willfully executing a scheme to defraud any healthcare benefit program, including private third-party payors and knowingly and willfully falsifying, concealing or covering up a material fact or making any materially false, fictitious or fraudulent statement in connection with the delivery of, or payment for, healthcare benefits, items or services. Also, many states have similar fraud and abuse statutes or regulations that apply to items and services reimbursed under Medicaid and other state programs, or, in several states, apply regardless of the payor.

In addition, we may be subject to data privacy and security regulation by both the federal government and the states in which we conduct our business. HIPAA, as amended by the Health Information Technology and Clinical Health Act, or HITECH, and its implementing regulations, imposes certain requirements relating to the privacy, security and transmission of individually identifiable health information. Among other things, HITECH makes HIPAA's privacy and security standards directly applicable to "business associates" — independent contractors or agents of covered entities that receive or obtain protected health information in connection with providing a service on behalf of a covered entity. HITECH also increased the civil and criminal penalties that may be imposed against covered entities, business associates and possibly other persons, and gave state attorneys general new authority to file civil actions for damages or injunctions in federal courts to enforce the federal HIPAA laws and seek attorney's fees and costs associated with pursuing federal civil actions. In addition, state laws govern the privacy and security of health information in certain circumstances, many of which differ from each other in significant ways and may not have the same effect, thus complicating compliance efforts.

Because of the breadth of these laws and the narrowness of available statutory and regulatory exemptions, it is possible that some of our business activities could be subject to challenge under one or more of such laws. If our operations are found to be in violation of any of the federal and state laws described above or any other governmental regulations that apply to us, we may be subject to penalties, including criminal and significant civil monetary penalties, damages, fines, imprisonment, exclusion of products from reimbursement under government programs and the curtailment or restructuring of our operations, any of which could adversely affect our ability to operate our business and our results of operations. To the extent that any of our products are sold in a foreign country, we may be subject to similar foreign laws and regulations, which may include, for instance, applicable post-marketing requirements, including safety surveillance, anti-fraud and abuse laws and implementation of corporate compliance programs and reporting of payments or transfers of value to healthcare professionals.

Pharmaceutical Coverage, Pricing and Reimbursement

In both domestic and foreign markets, our sales of *Horizant/Regnite* and any approved products will depend in part on the availability of coverage and adequate reimbursement from third-party payors. Third-party payors include government health administrative authorities, managed care providers, private health insurers and other organizations. These third-party payors are increasingly challenging the price and examining the cost-effectiveness of medical products and services. In addition, significant uncertainty exists as to the reimbursement status of newly approved healthcare product candidates. The market for our products and product candidates for

which we may receive regulatory approval will depend significantly on access to third-party payors' drug formularies, or lists of medications for which third-party payors provide coverage and reimbursement. The industry competition to be included in such formularies often leads to downward pricing pressures on pharmaceutical companies. Also, third-party payors may refuse to include a particular branded drug in their formularies or otherwise restrict patient access to a branded drug when a less costly generic equivalent or other alternative is available. Because each third-party payor individually approves coverage and reimbursement levels, obtaining coverage and adequate reimbursement is a time-consuming and costly process. We may be required to provide scientific and clinical support for the use of any product to each third-party payor separately with no assurance that approval would be obtained, and we may need to conduct expensive pharmacoeconomic studies in order to demonstrate the cost-effectiveness of our products. This process could delay the market acceptance of any product and could have a negative effect on our future revenues and operating results. We cannot be certain that our products and our product candidates will be considered cost-effective. If we are unable to obtain coverage of, and adequate payment levels for, our product candidates from third-party payors, physicians may limit how much or under what circumstances they will prescribe or administer them and patients may decline to purchase them. This in turn could affect our ability to successfully commercialize our products and impact our profitability, results of operations, financial condition and future success.

In addition, in many foreign countries, particularly the countries of the European Union, the pricing of prescription drugs is subject to government control. In some non-U.S. jurisdictions, the proposed pricing for a drug must be approved before it may be lawfully marketed. The requirements governing drug pricing vary widely from country to country. For example, the EU provides options for its member states to restrict the range of medicinal products for which their national health insurance systems provide reimbursement and to control the prices of medicinal products for human use. A member state may approve a specific price for the medicinal product or it may instead adopt a system of direct or indirect controls on the profitability of the company placing the medicinal product on the market. We may face competition for our product candidates from lower-priced products in foreign countries that have placed price controls on pharmaceutical products. In addition, there may be importation of foreign products that compete with our own products, which could negatively impact our profitability.

Healthcare Reform

In the United States and foreign jurisdictions, there have been a number of legislative and regulatory changes to the healthcare system that could affect our future results of operations as we begin to directly commercialize our products. In particular, there have been and continue to be a number of initiatives at the United States federal and state level that seek to reduce healthcare costs. If a drug product is reimbursed by Medicare or Medicaid, pricing and rebate programs must comply with, as applicable, the Medicaid rebate requirements of the Omnibus Budget Reconciliation Act of 1990, as amended, and the Medicare Prescription Drug, Improvement, and Modernization Act of 2003, or the MMA. The MMA imposed new requirements for the distribution and pricing of prescription drugs for Medicare beneficiaries. Under Part D, Medicare beneficiaries may enroll in prescription drug plans offered by private entities that provide coverage of outpatient prescription drugs. Part D plans include both stand-alone prescription drug benefit plans and prescription drug coverage as a supplement to Medicare Advantage plans. Unlike Medicare Part A and B, Part D coverage is not standardized. Part D prescription drug plan sponsors are not required to pay for all covered Part D drugs, and each drug plan can develop its own drug formulary that identifies which drugs it will cover and at what tier or level. However, Part D prescription drug formularies must include drugs within each therapeutic category and class of covered Part D drugs, though not necessarily all the drugs in each category or class. Any formulary used by a Part D prescription drug plan must be developed and reviewed by a pharmacy and therapeutic committee. Government payment for some of the costs of prescription drugs may increase demand for our products for which we receive marketing approval. However, any negotiated prices for our future products covered by a Part D prescription drug plan will likely be lower than the prices we might otherwise obtain. Moreover, while the MMA applies only to drug benefits for Medicare beneficiaries, private payors often follow Medicare coverage policy and payment limitations in setting their own payment rates. Any reduction in payment that results from Medicare Part D may result in a similar reduction in payments from non-governmental payors.

Furthermore, political, economic and regulatory influences are subjecting the healthcare industry in the United States to fundamental change. Initiatives to reduce the federal deficit and to reform healthcare delivery are increasing cost-containment efforts. We anticipate that Congress, state legislatures and the private sector will continue to review and assess alternative benefits, controls on healthcare spending through limitations on the growth of private health insurance premiums and Medicare and Medicaid spending, the creation of large insurance purchasing groups, price controls on pharmaceuticals and other fundamental changes to the healthcare delivery system. Any proposed or actual changes could limit or eliminate our spending on development projects and affect our ultimate profitability. In March 2010, PPACA was signed into law. PPACA substantially changes the way healthcare is financed by both governmental and private insurers. Among other cost containment measures, PPACA establishes: an annual, nondeductible fee on any entity that manufactures or imports certain branded prescription drugs and biologic agents; a new Medicare Part D coverage gap discount program; and a new formula that increases the rebates a manufacturer must pay under the Medicaid Drug Rebate Program. In the future, there may continue to be additional proposals relating to the reform of the U.S. healthcare system, some of which could further limit the prices we are able to charge for our products, or the amounts of reimbursement available for our products. If future legislation were to impose direct governmental price controls and access restrictions, it could have a significant adverse impact on our business. Managed care organizations, as well as Medicaid and other government agencies, continue to seek price discounts. Some states have implemented, and other states are considering, price controls or patient access constraints under the Medicaid program, and some states are considering price-control regimes that would apply to broader segments of their populations that are not Medicaid-eligible. Due to the volatility in the current economic and market dynamics, we are unable to predict the impact of any unforeseen or unknown legislative, regulatory, payor or policy actions, which may include cost containment and healthcare reform measures. Such policy actions could have a material adverse impact on our profitability.

Facilities

We lease approximately 103,000 square feet of office and laboratory space in an office building in Santa Clara, California. In October 2012, we entered into a Second Amendment to Lease with SI 34 LLC, or Sobrato, with respect to our current office space at 3410 Central Expressway, Santa Clara, California, or, as amended, the 3410 Lease. The original 3410 Lease commenced in December 2001. This amendment extends the term of the 3410 Lease for an additional two years, so that the 3410 Lease will expire in August 2015. We had also leased approximately 59,000 square feet at an adjacent building at 3400 Central Expressway, Santa Clara, California, but terminated the lease in February 2013. As part of the termination, we are still required to pay rent until the earlier of the landlord entering into a new lease for such building or until the original expiration of the lease in August 2013. The 2012 aggregate annual rental amount payable under the leases was approximately $3.7 million.

Employees

As of December 31, 2012, we had 88 full-time employees, 46 of whom were engaged in product development activities. Fifty two employees hold post-graduate degrees, including two with M.D. degrees and 18 with Ph.D. degrees. Our employees are not represented by a collective bargaining agreement. We believe our relations with our employees are good.

Executive Officers of the Registrant

The following sets forth certain information regarding our executive officers as of March 1, 2013:

Name	Age	Position
Ronald W. Barrett, Ph.D.	57	Chief Executive Officer and Director
Vincent J. Angotti	45	Executive Vice President, Chief Operating Officer
Gregory T. Bates, D.V.M.	54	Senior Vice President of Regulatory Affairs and Quality
Gianna M. Bosko	43	Senior Vice President, Chief Administrative Officer, General Counsel and Secretary
William G. Harris	54	Senior Vice President of Finance and Chief Financial Officer
David R. Savello, Ph.D.	67	Senior Vice President of Development Operations

Ronald W. Barrett is one of our founders and has served as our chief executive officer since September 2001. He served as our chief scientific officer from 1999 to 2001. Dr. Barrett has been a director since August 1999. From 1989 to 1999, he held various positions at Affymax Research Institute, a company employing combinatorial chemistry and high-throughput target screening for drug discovery, the most recent of which was senior vice president of research. Glaxo Wellcome plc, a pharmaceutical company, acquired Affymax Research Institute in 1995. Glaxo Wellcome subsequently merged with SmithKline Beecham plc, a pharmaceutical company, in 2000 to form GlaxoSmithKline plc, a pharmaceutical company. Prior to Affymax Research Institute, Dr. Barrett was a molecular pharmacologist in the Neuroscience Group at Abbott Laboratories, a healthcare company, from 1986 to 1989. Dr. Barrett received a B.S. from Bucknell University and a Ph.D. in pharmacology from Rutgers University.

Vincent J. Angotti has been our executive vice president, chief operating officer since June 2012. He was previously our senior vice president and chief commercialization officer from 2008 to 2012. From 2001 to 2008, he held several positions with Reliant Pharmaceuticals, Inc., a pharmaceutical company, the most recent of which was senior vice president of sales and marketing. GlaxoSmithKline acquired Reliant Pharmaceuticals in 2008. Prior to Reliant Pharmaceuticals, from 1991 to 2001, Mr. Angotti held several positions at Novartis Pharmaceuticals Corporation, a pharmaceutical company, most recently as executive director, field operations. Mr. Angotti received a B.S. from Cornell University and an M.B.A. from Columbia University.

Gregory T. Bates has been our senior vice president of regulatory affairs and quality since June 2012. He was previously our vice president of regulatory affairs from 2006 to June 2012. From 1998 to 2006, Dr. Bates held various positions at Pharmacyclics, Inc, a biopharmaceutical company, the most recent of which was senior director of regulatory affairs. Prior to Pharmacyclics, in 1998, Dr. Bates was director of regulatory affairs and quality at Otsuka America Pharmaceutical, Inc. From 1995 to 1998, he was manager of regulatory affairs at Genentech, Inc., a biotechnology company, and from 1990 to 1995, he was senior manager of agribusiness regulatory affairs at Syntex (USA), Inc., a pharmaceutical company. Dr. Bates received a B.A. from the University of California, Berkeley and a Doctor of Veterinary Medicine from the University of California, Davis.

Gianna M. Bosko has been our senior vice president, chief administrative officer, general counsel and secretary since August 2010. She was previously our vice president, general counsel and secretary from 2007 to 2010, and senior corporate counsel from 2005 to 2007. From 2004 to 2005, Ms. Bosko was a legal consultant, providing general corporate and in-house legal consulting services for private and public companies, including XenoPort. From 1996 to 2004, she was an associate at Cooley LLP, a law firm, practicing general corporate and securities law, with an emphasis on securities transactions and mergers and acquisitions. Ms. Bosko received an A.B. from Stanford University and a J.D. from the University of Chicago Law School.

William G. Harris has been our senior vice president of finance and chief financial officer since November 2001. From 1996 to 2001, he held several positions with Coulter Pharmaceutical, Inc., a biotechnology company engaged in the development of novel therapies for the treatment of cancer and autoimmune diseases, the most recent of which was senior vice president and chief financial officer. Corixa Corp., a developer of immunotherapeutic products, acquired Coulter Pharmaceutical in 2000. Prior to Coulter Pharmaceutical, from 1990 to 1996, Mr. Harris held several positions at Gilead Sciences, Inc., the most recent of which was director of finance. Mr. Harris received a B.A. from the University of California, San Diego and an M.B.A. from Santa Clara University, Leavey School of Business and Administration.

David R. Savello has been our senior vice president of development operations since November 2010. He was previously our senior vice president of development from 2007 to November 2010. He was responsible for our regulatory affairs, quality and project management from 2005 to 2007. From 1999 to 2005, Dr. Savello was executive vice president and chief scientific officer for the Pharmaceutical Technology and Services Sector of Cardinal Health, Inc. Prior to joining Cardinal Health, from 1997 to 1999, he was senior vice president for drug development at Guilford Pharmaceuticals Inc. From 1985 to 1997, Dr. Savello held several positions at Glaxo and Glaxo Wellcome including both vice president of drug development and vice president of regulatory affairs and compliance. Prior to that, he held R&D management and executive management positions at Boehringer Ingelheim GmbH, and 3M Company. Dr. Savello received his B.S. degree from the Massachusetts College of Pharmacy and both an M.S. and a Ph.D. in pharmaceutics from the University of Maryland School of Pharmacy.

About XenoPort

We were incorporated in Delaware in May 1999. Our principal offices are located at 3410 Central Expressway, Santa Clara, California 95051, and our telephone number is (408) 616-7200. Our Web site address is www.XenoPort.com. Information found on, or accessible through, our Web site is not a part of, and is not incorporated into, this Annual Report on Form 10-K. *Horizant*, *Regnite*, Transported Prodrug, XENOPORT and the XenoPort logo are our trademarks. Service marks, trademarks and trade names appearing in this Annual Report on Form 10-K are the property of their respective owners. Unless the context requires otherwise, references in this Annual Report on Form 10-K to "the company," "we," "us" and "our" refer to XenoPort, Inc.

Available Information

We file electronically with the U.S. Securities and Exchange Commission, or SEC, our annual reports on Form 10-K, quarterly reports on Form 10-Q, current reports on Form 8-K and amendments to those reports filed or furnished pursuant to Section 13(a) or 15(d) of the Securities Exchange Act of 1934, as amended. We make available on our Web site at www.XenoPort.com, free of charge, copies of these reports as soon as reasonably practicable after we electronically file such material with, or furnish it to, the SEC. Further, copies of these reports are located at the SEC's Public Reference Room at 100 F Street, NE, Washington, D.C. 20549. Information on the operation of the Public Reference Room can be obtained by calling the SEC at 1-800-SEC-0330. The SEC maintains a Web site that contains reports, proxy and information statements, and other information regarding our filings, at www.sec.gov.

Item 1A. ***Risk Factors.***

The following risks and uncertainties may have a material adverse effect on our business, financial condition or results of operations. Investors should carefully consider the risks described below before making an investment decision. The risks described below are not the only ones we face. Additional risks not presently known to us or that we believe are immaterial may also significantly impair our business operations. Our business could be harmed by any of these risks. The trading price of our common stock could decline due to any of these risks, and investors may lose all or part of their investment.

Risks Related to our Business and Industry

We have incurred cumulative operating losses since inception, we expect to continue to incur losses for the foreseeable future and we may never obtain profitability.

We have incurred cumulative losses of $451.6 million since our inception in May 1999, including net losses of $30.8 million, $33.4 million and $82.5 million for the years ended December 31, 2012, 2011 and 2010, respectively. We expect to make substantial expenditures in connection with our planned commercialization of Horizant (gabapentin enacarbil) Extended-Release Tablets and to further develop and potentially commercialize our product candidates, and we anticipate that our rate of spending will accelerate as a result of the increased costs and expenses associated with establishing sales, marketing and commercial capabilities as well as those associated with research, development, clinical trials, manufacturing and potential regulatory approvals and commercialization of our product candidates. Annual losses have had, and will continue to have, an adverse effect on our stockholders' equity.

Because of the numerous risks and uncertainties associated with drug development and commercialization, we are unable to predict the timing or amount of increased expenses or when, or if, we will be able to achieve or sustain profitability. *Horizant* is approved by the U.S. Food and Drug Administration, or FDA, for the treatment of moderate-to-severe primary restless legs syndrome, or RLS, in adults and for the management of postherpetic neuralgia, or PHN, in adults. Glaxo Group Limited, or GSK, is responsible for promoting *Horizant* in the United States through a transition period ending April 30, 2013 pursuant to our November 2012 termination and transition agreement that terminated the prior collaboration agreement between the parties. Following the transition period, we will be solely responsible for the commercialization and further development of *Horizant*.

Regnite (gabapentin enacarbil) Extended-Release Tablets has been approved by the Japanese Ministry of Health, Labour and Welfare, or MHLW, as a treatment for patients with RLS, and Astellas Pharma Inc. initiated sales of *Regnite* in Japan in July 2012.

To date, we have not generated any product sales revenue from *Horizant* nor substantial revenue from *Regnite*. We have financed our operations primarily through the sale of equity securities, non-equity payments from collaborative partners and interest earned on investments. We have devoted substantially all of our past efforts to research and development, including clinical trials. We have begun to devote substantial efforts to the preparation for commercial operations expected to commence on May 1, 2013, and we expect substantial increases in selling, general and administrative expenses compared to 2012 levels as we establish sales, marketing and commercial capabilities. If sales-related revenue from *Horizant*, *Regnite* or any other product candidate that receives marketing approval is insufficient, if we are unable to develop and commercialize our product candidates or if development is delayed, we may never become profitable. Even if we do become profitable, we may not be able to sustain or increase our profitability on a quarterly or annual basis.

Our success depends substantially on the success of Horizant. If we are unable to establish sales, marketing, distribution, supply chain and other sufficient capabilities to sell Horizant, or enter into arrangements with third parties to do so, sales of Horizant and our business will be harmed.

For the year ended December 31, 2012, net sales in the United States of *Horizant* as recorded by GSK were only $6.5 million. To achieve profitability, we will need to generate substantially more product revenue from *Horizant*, *Regnite* or our other product candidates that may receive approval.

GSK commercially launched *Horizant* in the United States in 2011 and remains responsible for the commercialization of *Horizant* through the transition period ending April 30, 2013. We are planning to deploy a *Horizant*-dedicated sales team through a contract sales organization for the commercialization of *Horizant* following the end of this transition period. To assume control of, and be prepared to, commercialize *Horizant* on May 1, 2013, we will need to continue to expand our organization and infrastructure substantially. In this regard, in order for us to be able to commercialize *Horizant*, we will need to contract with third parties to provide a sales force with appropriate technical expertise. We will also need to build, or contract with third parties to build, a complete distribution and supply chain infrastructure. We may not be able to enter into such arrangements with third parties in a timely manner or on acceptable terms, or to establish sales, marketing, distribution and supply chain capabilities of our own. Such additional contracting or development of a sales and distribution organization will be time-consuming and require a significant expenditure of resources up-front prior to receiving any revenue from *Horizant*.

Factors that may inhibit or delay our efforts to commercialize *Horizant* or any other approved product candidates include:

- the inability to recruit and retain adequate numbers of effective sales and marketing personnel;
- the inability of sales personnel to obtain access to adequate numbers of physicians to provide appropriate information on the advantages and risks of prescribing *Horizant* or other products that may result from our product candidates;
- the lack of complementary products to be offered by sales personnel, which may put us at a competitive disadvantage compared to companies with more extensive product lines; and
- unforeseen costs and expenses associated with creating an independent sales and marketing organization.

The competition for qualified personnel in the pharmaceutical and biotechnology field is intense, and we may experience difficulties in recruiting, hiring and retaining qualified individuals. We have no experience commercializing products on our own, and we have only limited management expertise in developing a commercial organization. Future growth will impose significant added responsibilities on members of management, including the need to identify, recruit, maintain and integrate additional employees. Our future financial performance and our ability to commercialize *Horizant* and compete effectively will depend, in part, on our ability to manage any future growth effectively. Due to our limited internal resources and the limited amount

of time prior to the transfer of responsibility for *Horizant*, we anticipate that we will contract with third-party vendors to manage much of our growth and sales infrastructure. We will be at risk to the extent we rely on such third parties without effective oversight. In addition, such third-party contractors may not be the most efficient allocation of resources if we could implement such infrastructure internally in a more cost-effective manner. If we are not successful in recruiting sales and marketing personnel or in building a sales and marketing infrastructure, we will have difficulty commercializing *Horizant* or our product candidates, which would adversely affect our business and financial condition.

In addition, we are building these new commercial capabilities and related infrastructure in a compressed timeframe with limited resources, and such implementation needs to be sufficient for us to manage *Horizant* on May 1, 2013. Such infrastructure must include many complex operational matters, including processes, procedures, information technology and other systems that we have limited experience in managing. For example, we need to build an infrastructure that is adequate to take over the management of the global safety database for *Horizant* and handle all pharmacovigilance reporting. If we are not able to build the appropriate capabilities and infrastructure prior to the *Horizant* transition scheduled for May 1, 2013, including a functioning global safety database and adequate pharmacovigilance capabilities, we may not be able to assume control of *Horizant* on May 1, 2013, sales of *Horizant* could suffer and our business will be harmed.

Problems in GSK's manufacturing and supply chain have resulted in some near-term outages or unavailability of inventory of Horizant, which could reduce the sales of Horizant and harm our reputation and business.

Manufacturing delays at GSK's contract manufacturer have resulted in an insufficient amount of *Horizant* in the supply chain to meet the forecasted demand of *Horizant* sales. As a result, starting in March 2013, certain patients who have been prescribed, or are refilling prescriptions for, *Horizant* may not be able to have such prescriptions filled in the near term. Such a situation is often referred to as "spot outages". If GSK is not able to appropriately allocate inventory across the country to minimize such spot outages, sales of *Horizant* will be reduced and our business could be severely harmed. In addition, if GSK's contract manufacturer is not able to quickly manufacture and distribute additional *Horizant* inventory, a complete stock out of *Horizant* could occur. In both cases, sales of *Horizant* will be reduced, we could suffer reputational damage if patients are frustrated by the lack of available inventory and physicians could decide not to prescribe *Horizant* in the future, further reducing *Horizant* sales and harming our business.

If we do not successfully market and sell Horizant, or if Astellas does not effectively market and sell Regnite in Japan, we may be unable to generate significant product revenue and may be unable to achieve profitability.

Our ability to generate significant revenue from *Horizant* depends on our ability to achieve market acceptance of, and to otherwise effectively market, *Horizant* for the treatment of RLS and for the management of PHN. We may not be able to devote sufficient resources to the advertising, promotion and sales efforts for *Horizant*. We will also need to expend significant time and resources to train any sales force that we do hire to be credible, persuasive and compliant in discussing *Horizant* with physicians. We will also need to train and monitor the sales force to ensure that a consistent and appropriate message about *Horizant* is being delivered. If we are unable to effectively educate physicians and potential customers about the benefits and risks of *Horizant*, we could face significant pressure from generic competition, negative market perception due to GSK's promotional efforts and a lack of physician awareness, third-party reimbursement and differentiation from currently approved treatments. In addition, we could fail to comply with applicable regulatory guidelines with respect to the marketing and manufacturing of *Horizant* or with post-marketing commitments or requirements mandated by the FDA, which could result in administrative or judicially imposed sanctions, including warning letters, civil and criminal penalties, injunctions, product seizures or detention, product recalls and total or partial suspension of production. In addition, if we are unable to effectively train a sales force and equip them with effective materials, including medical and sales literature to help inform and educate potential customers about the benefits and risks of *Horizant* and its proper administration, our efforts to successfully commercialize *Horizant* could be put in jeopardy, which could have a material adverse effect on our financial condition, stock price and operations.

Regnite was approved in Japan in January 2012, and Astellas initiated sales of *Regnite* in Japan in July 2012. We have limited control over the amount and timing of resources that Astellas will dedicate to the marketing of *Regnite*, and Astellas could fail to effectively commercialize, market and distribute *Regnite*.

Horizant or *Regnite* may not achieve significant sales, even if we or Astellas devote substantial resources to its commercialization. Even if we achieve significant levels of sales of *Horizant*, we expect the expenses of establishing sales and marketing capabilities and a distribution and supply chain infrastructure to be substantial, and such costs may outweigh any sales of *Horizant*, preventing us from achieving profitability. The success of *Horizant* and *Regnite* is dependent on a number of factors, which include competition from alternative treatments for RLS and, in the case of *Horizant*, PHN, including generic treatments in the United States, pricing pressures and whether *Horizant* and *Regnite* can obtain sufficient third-party coverage or reimbursement, among other factors that are described below.

Our success also depends substantially on our product candidates that are still under development. If we are unable to bring any of these product candidates to market, or experience significant delays in doing so, our ability to generate product revenue and our likelihood of success will be reduced.

Our ability to generate product revenue in the future will depend heavily on the successful development and commercialization of our product candidates. We are conducting a Phase 3 clinical program to evaluate our product candidate, arbaclofen placarbil, or AP, for the potential treatment of spasticity in multiple sclerosis, or MS, patients. Our other product candidates are either in Phase 2 or Phase 1 clinical development. Any of our product candidates could be unsuccessful if it:

- does not demonstrate acceptable safety and efficacy in preclinical studies or clinical trials or otherwise does not meet applicable regulatory standards for approval;
- does not offer therapeutic or other improvements over existing or future drugs used to treat the same conditions;
- is not capable of being produced in commercial quantities at acceptable costs;
- is not accepted in the medical community; or
- is not reimbursed by third-party payors or is reimbursed only at limited levels.

For example, in March 2011, we announced that we would not be investing further in the development of AP at that time as adjunctive treatment of gastroesophageal reflux disease, or GERD, following completion of a Phase 2 clinical trial of AP that did not demonstrate statistically significant improvements of AP over placebo in the analysis of the primary endpoint. In addition, in December 2011, following our preliminary results of a Phase 2 clinical trial of XP21279 for the potential treatment of patients with Parkinson's disease who were experiencing motor fluctuations, we announced that we were deferring further investment in the program pending the outcome of discussions with regulatory authorities and availability of resources. Following our End-of-Phase 2 meeting with the FDA in June 2012, we plan to continue development of XP21279 to the extent our resources permit or we enter into a collaboration with a third party, which we may be unable to do. If our resources prove insufficient for the continuing development of XP21279 or we are unable to establish a collaboration with a third party for the development and commercialization of XP21279, we may be unable to significantly advance its development or we may determine to discontinue our development of XP21279. If we are unable to make additional product candidates commercially available, we may not be able to generate substantial product revenues, which would adversely affect our business and financial condition. The results of our clinical trials to date do not provide assurance that acceptable efficacy or safety will be shown upon completion of future clinical trials.

We will continue to need additional funding and may be unable to raise capital when needed, which would force us to delay, reduce or eliminate our commercialization efforts or our product development programs.

We will continue to need to raise additional capital to fund our operations, establish a sales infrastructure and marketing and distribution capabilities and to continue the development of our product candidates. Our future funding requirements will depend on many factors, including:

- the timing, receipt and amount of sales or royalties, if any, from *Horizant*, *Regnite* and our other potential products;
- the timing and costs of our establishment of a sales and marketing, supply chain, distribution, pharmacovigilance, compliance and safety infrastructure to promote *Horizant*;
- the scope, rate of progress, results and cost of our preclinical testing, clinical trials and other research and development activities;
- the cost of manufacturing clinical and commercial supplies of *Horizant* and our product candidates;
- the timing and costs of complying with the remaining post-marketing commitments and post-marketing requirements established in connection with the approval of *Horizant*, and any future additional commitments or requirements imposed on us by the FDA;
- the number and characteristics of product candidates that we pursue, including any additional potential indications for *Horizant*;
- the cost, timing and outcomes of regulatory approvals, if any;
- the terms and timing of any collaborative, licensing and other arrangements that we may establish or modify;
- the cost and expenses associated with any potential litigation;
- the cost of preparing, filing, prosecuting, defending and enforcing any patent claims and other intellectual property rights; and
- the extent to which we acquire or invest in businesses, products or technologies that complement our business, although we have no commitments or agreements relating to any of these types of transactions.

Until we can generate a sufficient amount of product revenues, if ever, we expect to finance future cash needs through public or private equity offerings, debt financings or corporate collaboration and licensing arrangements. If we raise additional funds by issuing our common stock, or securities convertible into or exchangeable or exercisable for common stock, our stockholders will experience dilution. Any debt financing or additional equity that we raise may contain terms that are not favorable to our stockholders or us. To the extent that we raise additional capital through licensing arrangements or arrangements with collaborative partners, we may be required to relinquish, on terms that are not favorable to us, rights to some of our technologies or product candidates that we would otherwise seek to develop or commercialize ourselves. Our ability to raise additional funds and the terms upon which we are able to raise such funds may be adversely impacted by the uncertainty regarding our financial condition, the commercial prospects of *Horizant* and *Regnite* based on their respective sales to date and our lack of experience in commercializing products, and/or current economic conditions, including the effects of disruptions to, and volatility in, the credit and financial markets in the United States, Asia, the European Union and other regions of the world, including those resulting from or associated with rising government debt levels.

We believe that our existing capital resources, together with interest thereon, will be sufficient to meet our projected operating requirements into the second quarter of 2014. We have based our cash sufficiency estimate on assumptions that may prove to be wrong, and we could utilize our available capital resources sooner than we expect. Further, our operating plan may change, and we may need additional funds to meet operational needs and capital requirements for product development and commercialization sooner than planned. We have no credit facility or committed sources of capital other than potential contingent event-based and royalty payments that we are eligible to receive under our collaboration agreement with Astellas. Pursuant to the termination and transition agreement with GSK, upon the expiration of the transition period, we will be responsible for all *Horizant* commercialization and development activities, including all post-marketing requirements and commitments.

Such costs could be greater than we anticipate, and sales of *Horizant* may be less than we anticipate, which could accelerate our need for additional capital.

Additional funds may not be available when we need them on terms that are acceptable to us, or at all. If adequate funds are not, or we anticipate that they may not be, available on a timely basis, we may:

- terminate or delay clinical trials for one or more of our product candidates;
- curtail or delay significant drug development programs;
- delay our establishment of sales and marketing capabilities; or
- reduce the amount of resources devoted to medical affairs, advertising, promotion or sales of *Horizant*.

For example, in March 2010, as a result of the Complete Response letter that delayed approval of the *Horizant* NDA for RLS at that time, we implemented a restructuring plan to reduce expenses, focus our resources on advancement of our later-stage product candidates and eliminate our discovery research efforts. In connection with this restructuring, we postponed the commencement of additional clinical trials of AP as a potential treatment for spasticity until 2011 to focus our clinical development resources on the completion of the Phase 2 clinical trial of AP as a potential treatment for GERD. In addition, in January 2012, we suspended clinical development activities for XP21279, to focus our resources on development of our other product candidates.

We will rely on third parties to perform many essential services for Horizant, including services related to warehousing and inventory control, distribution, customer service, government price reporting, recording of sales, accounts receivable management, cash collection and adverse event reporting, and if such third parties fail to provide us with accurate information, perform as expected or to comply with legal and regulatory requirements, our efforts to commercialize Horizant may be significantly impacted and/or we may be subject to regulatory sanctions.

We intend to rely on third-party service providers to perform a variety of functions related to the sale and distribution of *Horizant*, key aspects of which are out of our direct control. The services provided by these third parties include warehousing and inventory control, distribution, customer service, government price reporting, recording of sales, accounts receivable management and cash collection. If these third-party service providers fail to comply with applicable laws and regulations, fail to meet expected deadlines or otherwise do not carry out their contractual duties to us, or if *Horizant* encounters physical or natural damage at their facilities, our ability to deliver *Horizant* to meet commercial demand would be significantly impaired. If these third parties do not provide us with timely and accurate information, it could impact our ability to comply with our financial reporting, state aggregate spend reporting and securities laws obligations, which could expose us to the risk of shareholder lawsuits and adversely affect our business. In addition, we have engaged, or will engage, third parties to perform various other services for us relating to adverse event reporting, safety database management, fulfillment of requests for medical information regarding *Horizant* and related services. If the quality or accuracy of the data maintained or services performed by these third parties is insufficient, we could be subject to regulatory sanctions.

The commercial success of Horizant, Regnite or any other products that we may develop will depend upon the degree of market acceptance among physicians, patients, healthcare payors and the medical community.

Horizant, *Regnite* or any other products that result from our product candidates may not gain market acceptance among physicians, patients, healthcare payors and the medical community. If these products do not achieve an adequate level of acceptance, we may not generate material product revenues and we may not become profitable. The degree of market acceptance of *Horizant*, *Regnite* or any products resulting from our product candidates will depend on a number of factors, including:

- the ability to offer such products for sale at competitive prices;
- sufficient third-party coverage or reimbursement for such products;
- the product labeling required by the FDA, the Japanese MHLW or any other regulatory authorities;
- demonstration of efficacy and safety in clinical trials;

- the prevalence and severity of any side effects;
- potential or perceived advantages over alternative treatments;
- perceptions about the relationship or similarity between our product candidates and the parent drug upon which each candidate is based;
- the timing of market entry relative to competitive treatments;
- relative convenience and ease of administration; and
- the strength of marketing and distribution support.

For example, as *Horizant* is a prodrug of an already approved drug, gabapentin, and is indicated for the treatment of conditions that also have been treated by generic competitors, there could be a perception among physicians that *Horizant* may not offer a significant clinical advantage or be sufficiently differentiated from current treatments to justify its price, thereby limiting the market acceptance and sales that GSK may have experienced and that we may achieve with *Horizant* in the future. In addition, *Horizant*'s limited sales performance under GSK may create a negative market perception that is difficult to overcome in our future marketing efforts.

Our ability to generate revenue from Horizant, Regnite or any other products that we may develop will depend on the availability of coverage and adequate reimbursement from third-party payors and drug pricing policies and regulations.

In both U.S. and foreign markets, our ability to commercialize our products successfully and to attract strategic partners for our products depends in significant part on the availability of financial coverage and adequate reimbursement from third-party payors, including, in the United States, governmental payors such as the Medicare and Medicaid programs, managed care organizations and private health insurers. Many patients may be unable to pay for *Horizant*, *Regnite* or any other products that we may develop. We cannot be sure that coverage and adequate reimbursement in the United States, Japan, Europe or elsewhere will be available for *Horizant*, *Regnite* or any other products that we may develop, and any reimbursement that may become available may be decreased or eliminated in the future. Third-party payors increasingly are challenging prices charged for medical products and services, and many third-party payors may refuse to provide reimbursement for particular drugs when an equivalent generic drug is available. Although we believe *Horizant*, *Regnite* and any other products that may result from our product candidates represent an improvement over the parent drugs upon which they are based and should be considered unique and not subject to substitution by a generic parent drug, it is possible that a third-party payor may consider *Horizant*, *Regnite* or our product candidates and the respective generic parent drug as equivalents and only offer to reimburse patients for the generic drug. Even if we show improved efficacy or improved convenience of administration with *Horizant*, *Regnite* or our product candidates, pricing of the existing parent drug may limit the amount we will be able to charge for *Horizant*, *Regnite* or our product candidates. If reimbursement is not available or is available only at limited levels, we or Astellas may not be able to successfully commercialize *Horizant*, *Regnite* or our product candidates, and may not be able to obtain a satisfactory financial return on such products.

Such reimbursement pricing pressures have increased as a result of the Medicare Prescription Drug Improvement and Modernization Act of 2003, or the 2003 MMA, due to the enhanced purchasing power of the private sector plans that negotiate on behalf of Medicare beneficiaries. Furthermore, managed care organizations, as well as Medicaid and other government agencies, continue to seek price discounts. Some states have implemented, and other states are considering, price controls or patient access constraints under the Medicaid program, and some states are considering price-control regimes that would apply to broader segments of their populations that are not Medicaid-eligible. If legislation were enacted to mandate rebates or provide for direct government negotiation in prescription drug benefits, access and reimbursement for *Horizant* or our product candidates upon commercialization could be restricted.

The trend toward managed healthcare in the United States and the changes in health insurance programs, as well as the Patient Protection and Affordable Care Act, as amended by the Health Care and Education Affordability Reconciliation Act, or collectively, PPACA, enacted in 2010, may result in lower prices for

pharmaceutical products, including *Horizant* or any other products that may result from our product candidates. In addition, if the 2003 MMA or the PPACA were amended to impose direct governmental price controls and access restrictions, these could have a significant adverse impact on our business, including on any product sales revenue from *Horizant*. Any future regulatory changes regarding the healthcare industry or third-party coverage and reimbursement may affect demand for *Horizant* or any other products that we may develop and could harm our sales and profitability.

If our competitors are able to develop and market products that are more effective, safer or less costly than Horizant, Regnite or any other products that we may develop, our commercial opportunity will be reduced or eliminated.

We face competition from established pharmaceutical and biotechnology companies, including generic competitors, as well as from academic institutions, government agencies and private and public research institutions. Our commercial opportunity will be reduced or eliminated if our competitors develop and commercialize products that are safer, more effective, have fewer side effects or are less expensive than *Horizant, Regnite* or any other products that we may develop. In addition, significant delays in the development of our product candidates could allow our competitors to bring products to market before us and impair our ability to effectively commercialize our product candidates.

Products that we believe compete with *Horizant* in the United States include the following drugs approved for the treatment of RLS: Mirapex (pramipexole) from Boehringer Ingelheim and generic pramipexole; Requip (ropinirole) from GSK and generic ropinirole; and Neupro (a rotigotine transdermal system), a dopamine agonist patch from UCB, Inc., which was approved in 2012. In Japan, we believe that *Regnite* competes with pramipexole, which was approved in Japan in 2010. We also believe that *Regnite* could compete with a rotigotine transdermal system, which was approved in Japan in December 2012. Otsuka has exclusive rights to market the UCB rotigotine transdermal system in Japan.

Products that we believe compete with *Horizant* in the United States for the management of PHN include drugs that act on the same target as *Horizant*, such as Lyrica (pregabalin) and Neurontin (gabapentin) from Pfizer Inc., generic gabapentin and Gralise (once-daily formulation of gabapentin) from Depomed, Inc. *Horizant* could also experience competition from a capsaicin patch (marketed as Qutenza by NeurogesX, Inc.) and transdermal patches containing the anesthetic known as lidocaine, which are sometimes used for the management of PHN.

We believe that AP, our product candidate that is a Transported Prodrug of R-baclofen, could experience competition from several generic drugs approved for the treatment of spasticity, including racemic baclofen, dantrolene sodium and tizanidine. In addition, the FDA has approved Botox (onabotulinumtoxin A) from Allergan Inc. to treat upper limb spasticity in adults. Physicians also prescribe diazepam for the treatment of spasticity. Therapies in development for the treatment of spasticity based on sustained-release versions of baclofen or R-baclofen include IPX056 from Impax Laboratories, Inc., Baclofen GRS from Sun Pharma Advanced Research Company Limited and Arbaclofen Extended-Release Tablets from Osmotica Pharmaceutical Corp.

Products that could compete with XP21279, our product candidate that is a Transported Prodrug of levodopa, include: generic levodopa/carbidopa drugs and other drugs approved for the treatment of Parkinson's disease, including Stalevo, a combination therapy of levodopa/carbidopa/entacapone that is marketed in the United States by Novartis Inc.; dopamine agonists such as Mirapex (pramipexole) as well as Requip (ropinirole) and Requip XL (ropinirole extended-release tablets), which are marketed by Boehringer Ingelheim and GSK, respectively; generic dopamine agonists, including pramipexole and ropinirole; and Neupro (a rotigotine transdermal system), a dopamine agonist patch from UCB, which was approved in April 2012 by the FDA for the treatment of Parkinson's disease. Impax submitted an NDA for Rytary (previously known as IPX066), an extended-release formulation of levodopa/carbidopa, that is currently under FDA review. Other therapies under development in the United States include levodopa/carbidopa formulations such as a levodopa/carbidopa gel delivered by a portable pump directly into the duodenum being developed by Abbott Laboratories, as well as DM-1992 and OS-320 (extended-release formulations of levodopa/carbidopa being developed by Depomed and Osmotica Pharmaceutical Corp., respectively).

Products that could compete with XP23829, our product candidate that is a prodrug of monomethyl fumarate, or MMF, include oral and injectable agents that are approved in the United States for the treatment of relapsing-remitting MS, or RRMS. These include oral agents such as Gilenya (fingolimod), marketed by Novartis, and Aubagio (teriflunomide), marketed by Sanofi-Aventis, as well as injectable formulations of interferon-beta1a and beta1b isoforms that include Avonex, which is marketed by Biogen Idec Inc., Rebif, marketed by Merck Serono S.A., and Betaseron and Extavia, which are marketed by Bayer AG/Novartis. In addition, Copaxone (glatiramer acetate), an injectable mixture of peptides that is marketed by Teva Pharmaceutical Industries Ltd., is also widely used for the treatment of RRMS. XP23829 could also compete with Tysabri (natralizumab), a monthly intravenously-infused antibody that is marketed by Biogen Idec. There are also a number of possible competitive products that are in late-stage product development. For example, in February 2012, Biogen Idec submitted an NDA for Tecfidera (dimethyl fumarate) that is currently under FDA review. Other therapies in late-stage clinical development in the United States include Movecto (oral cladribine) from Merck KGaA/Teva, BIIB-017 (PEG-IFN-beta1a) from Biogen Idec, Daclizumab from Abbott/Biogen Idec, Laquinimod from Teva and Lemtrada (alemtuzumab) from Genzyme/Sanofi-Aventis/Bayer/Takeda Pharmaceutical.

Products that could compete with XP23829 for the treatment of psoriasis include topical agents and oral systemic therapies. Topical therapies include corticosteroids, anthrolin and synthetic vitamin D and vitamin A. Oral systemic agents include acitretin, cyclosporine and methotrexate, which are generic products and are recommended for use prior to biologic therapies. Biological therapies include Enbrel (etanercept), Humira (adalimumab), Remicade (infliximab) and Stelara (ustekinumab), which are recommended for patients with chronic moderate-to-severe psoriasis who fail to respond to or experience intolerance to other psoriasis treatments.

There may be other compounds of which we are not aware that are at an earlier stage of development and may compete with our products or product candidates. If any of those compounds are successfully developed and approved, they could compete directly with our products or product candidates.

Many of our competitors have significantly greater financial resources and expertise in research and development, manufacturing, preclinical testing, conducting clinical trials, obtaining regulatory approvals and marketing, distributing and selling approved products than we do. Established pharmaceutical companies may invest heavily to quickly discover and develop novel compounds that could make *Horizant*, *Regnite* or our product candidates obsolete. Larger pharmaceutical companies also may have significantly greater sales forces, distribution capabilities and marketing expertise, which may result in more effective communication and awareness of their products. Smaller or early-stage companies may also prove to be significant competitors, particularly through collaborative arrangements with large and established companies. In addition, these third parties compete with us in recruiting and retaining qualified scientific and management personnel, establishing clinical trial sites and patient registration for clinical trials, as well as in acquiring technologies and technology licenses complementary to our programs or advantageous to our business. Accordingly, our competitors may succeed in obtaining patent protection, receiving FDA approval or discovering, developing and commercializing medicines before we do. We are also aware of other companies that may currently be engaged in the discovery of medicines that will compete with *Horizant*, *Regnite* or the product candidates that we are developing. In addition, in the markets that we are targeting, we expect to compete against current market-leading medicines. If we are not able to compete effectively against our current and future competitors, our business will not grow and our financial condition will suffer.

Off-label sale or use of generic gabapentin products could lead to pricing pressure or decrease sales of Horizant.

U.S. physicians are permitted to prescribe legally available drugs for uses that are not described in the drug's labeling and that differ from those uses tested and approved by the FDA. The occurrence of such off-label uses in the practice of medicine could significantly reduce our ability to market and sell *Horizant* or any other products that we may develop.

We believe that in the United States, the composition-of-matter patents relating to gabapentin have expired. Off-label prescriptions written for gabapentin for indications for which we will be marketing or developing *Horizant* could adversely affect our ability to generate revenue from the sale of *Horizant*. This could result in

reduced sales and increased pricing pressure on *Horizant*, which in turn would reduce our ability to generate revenue and have a negative impact on our results of operations.

If product liability lawsuits are brought against us, we will incur substantial liabilities and may be required to limit commercialization of Horizant or any other products that we may develop.

We face an inherent risk of product liability exposure related to the commercial use of *Horizant* and the testing of *Horizant* or our product candidates in human clinical trials. If we cannot successfully defend ourselves against claims that *Horizant*, our product candidates or products that we successfully develop caused injuries, we will incur substantial liabilities.

Regardless of merit or eventual outcome, liability claims may result in:

- decreased demand for *Horizant* or any product candidates or products that we may develop;
- injury to our reputation;
- costly recalls of *Horizant* or other products that we may develop;
- withdrawal of clinical trial participants;
- costs to defend the related litigation;
- substantial monetary awards to clinical trial participants or patients;
- loss of revenue; and
- the inability to commercialize any future products that we may develop.

We have product liability insurance that covers our commercial use and clinical trials up to a $10.0 million annual aggregate limit. Insurance coverage is increasingly expensive, and we may not be able to maintain insurance coverage at a reasonable cost and we may not be able to obtain insurance coverage that will be adequate to satisfy any liability that may arise.

If third parties do not manufacture Horizant, Regnite or our product candidates in sufficient quantities or at an acceptable cost, commercialization of Horizant and Regnite and clinical development and commercialization of our product candidates would be harmed or delayed.

We do not own or operate manufacturing facilities for the production of clinical or commercial quantities of *Horizant, Regnite* or any of our product candidates. We also have limited management expertise in commercial supply operations. We will rely on GSK for the commercial supply of *Horizant* through October 2013. For our product candidates, we have relied on, and we expect to continue to rely on, a limited number of third-party drug substance and drug product manufacturers. Other than the termination and transition agreement with GSK with respect to *Horizant*, we do not have commercial supply agreements with any of these third parties, and our agreements with these parties are generally terminable at will by either party at any time. If, for any reason, GSK or these third parties are unable or unwilling to perform under our agreements or enter into new agreements, we may not be able to locate alternative manufacturers or enter into favorable agreements with them. Any inability to acquire sufficient quantities of *Horizant* or our product candidates in a timely manner from these third parties could delay clinical trials and prevent us or our partners from developing and commercializing *Horizant* and our product candidates in a cost-effective manner or on a timely basis.

Under the terms of our termination and transition agreement with GSK, GSK is responsible for the commercial manufacture and supply of *Horizant* during the transition period, and we have elected to have GSK continue to supply us for up to six months following the transition period. GSK is relying on a single source supplier for such commercial supplies of *Horizant.* If we or GSK fail to qualify alternative manufacturers of *Horizant*, the current contract manufacturer terminates its agreement with GSK or we are not able to enter an agreement with such manufacturer, and we or GSK are otherwise unable to manufacture or contract to manufacture sufficient quantities of *Horizant,* the commercialization of *Horizant* could be impaired or delayed. As part of the termination and transition agreement, GSK agreed to provide its inventory of gabapentin enacarbil

drug substance to us. Although the inventory of drug substance has reached the end of its specified shelf life, we believe that such inventory will remain in specification and will be usable, or in the alternative, we believe the drug substance can be re-crystallized into usable form. GSK has relied on a single source supplier of gabapentin enacarbil drug substance, and its agreement with such manufacturer has expired. If we are incorrect about the usability of the gabapentin enacarbil drug substance, are unable to have it meet specifications upon re-crystallization or are unable to enter into an agreement with the contract manufacturer or qualify an alternative manufacturer, we may be limited in the amount of *Horizant* we could have manufactured and the commercialization of *Horizant* could be impaired or delayed. Under the terms of our collaboration agreement with Astellas, Astellas is solely responsible for the manufacture of *Regnite*/gabapentin enacarbil to support its development and commercialization within the Astellas territory. To our knowledge, Astellas is currently relying on single source suppliers for commercial supplies of *Regnite*/gabapentin enacarbil. As a result, if Astellas fails to manufacture or contract to manufacture sufficient quantities of *Regnite*/gabapentin enacarbil, development and commercialization of *Regnite*/gabapentin enacarbil could be impaired in the Astellas territory.

We rely on a single source supplier of R-baclofen, the active agent used to make AP, under purchase orders issued from time to time. In the event that such supplier determines to not sell R-baclofen to us at a price that is commercially attractive, and if we were unable to qualify an alternative supplier of R-baclofen, this could delay the development of, and impair our ability to commercialize, this product candidate.

We rely on a single source supplier of our current worldwide requirements of AP drug substance under a manufacturing services and product supply agreement. Our current agreement with this supplier does not provide for a supply of drug substance that would be necessary for full-scale commercialization. In the event that the parties cannot agree to the terms and conditions for this supplier to provide some or all of our clinical and commercial supply needs of drug substance, we would not be able to manufacture AP drug substance until an alternative supplier is identified and qualified, which could also delay the development of, and impair our ability to commercialize, this product candidate. The drug substance is manufactured using a four-step synthetic process that uses commercially available starting materials for each step. There are no complicated chemistries or unusual equipment required in the manufacturing process.

We rely on a single source supplier of AP formulated in sustained-release tablets at specified transfer prices under quotations agreed upon by the parties as a part of a master services agreement. We do not have an agreement with this supplier for the commercial supply of AP sustained-release tablets. In the event that such supplier terminates our agreement under specified circumstances, or we are not able to come to an agreement for the commercial supply of AP on reasonable terms, we would not be able to commercialize AP sustained-release tablets until an alternative supplier is qualified. This could delay the development of, and impair our ability to commercialize, AP.

We rely on a single source supplier of levodopa, which is used to make XP21279, under purchase orders issued from time to time. We are aware of several alternative suppliers of levodopa, and we believe at least one alternative manufacturer could potentially supply levodopa in the event that our supplier determines to not sell levodopa to us at a price that is commercially attractive. If we are unable to qualify an alternative supplier of levodopa, this could further delay the development of, and impair our ability to commercialize, XP21279.

We rely on a single source supplier of XP21279 drug substance under a manufacturing services and product supply agreement. In the event that such supplier terminates the agreement under specified circumstances, we would not be able to manufacture drug substance until a qualified alternative supplier is identified and qualified, which could also further delay the development of, and impair our ability to commercialize, this product candidate. The drug substance is manufactured by a four-step synthetic process that uses commercially available starting materials. There are no complicated chemistries or unusual equipment required in the manufacturing process.

We have purchased XP21279 formulated in sustained-release tablets from a single source supplier at specified transfer prices under quotations agreed upon by the parties as part of a master services agreement. We have recently qualified another supplier for the manufacture of XP21279 with carbidopa bi-layer tablets to be supplied under quotations agreed upon by the parties as part of a master services agreement. In the event that either supplier terminates its agreement under specified circumstances for the manufacture of XP21279 sustained-release tablets or carbidopa bi-layer tablets, we would not be able to manufacture XP21279 until an

alternative supplier is qualified. This could further delay the development of, and impair our ability to commercialize, XP21279.

We rely on a single source supplier of MMF, which is used to make XP23829, under purchase orders issued from time to time. We are aware of several alternative suppliers of MMF, and we believe at least one alternative manufacturer could potentially supply MMF in the event that our supplier determines to not sell MMF to us at a price that is commercially attractive. If we are unable to qualify an alternative supplier of MMF, this could delay the development of, and impair our ability to commercialize, XP23829.

We rely on a single source supplier of XP23829 drug substance under a manufacturing services and supply agreement. In the event that such supplier terminates the agreement under specified circumstances, we would not be able to manufacture drug substance until a qualified alternative supplier is identified and qualified, which could also delay the development of, and impair our ability to commercialize, this product candidate. The drug substance is manufactured by a short synthetic process that uses commercially available starting materials. There are no complicated chemistries or unusual equipment required in the manufacturing process.

We have purchased XP23829 formulated in different forms from multiple suppliers at specified transfer prices under quotations agreed upon by the parties as part of master services agreements. In the event that such suppliers terminate our agreements under specified circumstances, we would not be able to manufacture XP23829 until an alternative supplier is qualified. This could delay the development of, and impair our ability to commercialize, XP23829.

If we are required to obtain alternate third-party manufacturers, it could delay or prevent the clinical development and commercialization of our product candidates.

We may not be able to maintain or renew our existing, or obtain new, third-party manufacturing arrangements on acceptable terms, if at all. If we are unable to continue relationships with our suppliers for *Horizant*/gabapentin enacarbil, AP, XP21279 and XP23829, or to continue relationships at an acceptable cost or if these suppliers fail to meet our requirements for these product candidates for any reason, we would be required to obtain alternative suppliers. Any inability to obtain qualified alternative suppliers, including an inability to obtain, or delay in obtaining, approval of an alternative supplier from the FDA, would delay or prevent the clinical development and commercialization of *Horizant* and these product candidates.

Use of third-party manufacturers may increase the risk that we will not have adequate supplies of Horizant or our product candidates.

Our current, and anticipated future, reliance on third-party manufacturers will expose us to risks that could result in disruptions to our supply chain, patients not having access to their regular treatment, higher costs or lost product revenues, or it could delay or prevent:

- the commercialization of our products;
- the initiation or completion of clinical trials;
- the submission of applications for regulatory approvals; and
- the approval of our product candidates by the FDA or foreign regulatory authorities.

In particular, our or our partners' contract manufacturers:

- could encounter difficulties in achieving volume production, quality control and quality assurance or suffer shortages of qualified personnel, which could result in their inability to manufacture sufficient quantities of drugs to meet commercial needs or clinical supplies of *Horizant*, *Regnite* or our product candidates;
- could terminate or choose not to renew manufacturing agreements, based on their own business priorities, at a time that is costly or inconvenient for us or our partners;
- could fail to establish and follow FDA-mandated current good manufacturing practices, or cGMPs, which are required for FDA approval of our product candidates, or fail to document their adherence to cGMPs, either of which could require costly recalls of products already having received approval, lead to

significant delays in the availability of material for clinical study or delay or prevent marketing approval for our product candidates;

- could encounter financial difficulties that would interfere with their obligations to supply *Horizant*, *Regnite* or our product candidates; and
- could breach, or fail to perform as agreed under, manufacturing agreements.

For example, GSK's contract manufacturer has not been able to produce a validation batch of a 300 mg dosage form of *Horizant* that can be taken by patients with severe renal impairment, and *Horizant* is labeled for such 300 mg dosage form. Following the transition of responsibility for *Horizant* back to us, we have an ongoing obligation to make this dosage form available. If the contract manufacturer is unable to produce such validation batch and the 300 mg dosage form is not made available to patients, the FDA could require us to change the label for *Horizant* to no longer reference renally-impaired patients or the 300 mg dosage form.

If we or our partners are not able to obtain adequate supplies of *Horizant*, *Regnite* or our product candidates, it will have a significant impact on the commercialization efforts for *Horizant* or *Regnite*, and will make it more difficult to develop our product candidates. *Horizant*, *Regnite*, our product candidates and any products that we may develop may compete with other products and product candidates for access to manufacturing facilities.

In addition, the manufacturing facilities of certain of our suppliers are located outside of the United States. This may give rise to difficulties in importing our products or product candidates or their components into the United States or other countries as a result of, among other things, regulatory agency import inspections, incomplete or inaccurate import documentation or defective packaging.

If our preclinical studies do not produce successful results or our clinical trials do not demonstrate safety and efficacy in humans, we will not be able to commercialize our product candidates.

To obtain the requisite regulatory approvals to market and sell any of our product candidates, we must demonstrate, through extensive preclinical studies and clinical trials, that the product candidate is safe and effective in humans. Preclinical and clinical testing is expensive, can take many years and has an uncertain outcome. A failure of one or more of our clinical trials could occur at any stage of testing. For example, in July 2010, GSK announced top-line results from a 30-week, double-blind, placebo-controlled, Phase 2 clinical trial of *Horizant* as a potential prophylactic treatment for migraine headaches in which *Horizant* did not demonstrate a statistically significant improvement on the primary endpoint when compared to placebo. In addition, long-term safety concerns may prevent the approval of any of our product candidates by a regulatory authority. For example, in February 2010, safety concerns related to a preclinical finding of pancreatic acinar cell tumors in rats delayed FDA approval of the *Horizant* NDA at that time. Furthermore, success in preclinical testing and early clinical trials does not ensure that later clinical trials will be successful, and interim results of a clinical trial do not necessarily predict final results. In addition, the results of clinical trials by third parties evaluating a prodrug sharing the same parent drug as our prodrug candidate, including prodrugs of MMF such as Tecfidera being developed by Biogen Idec, may not be indicative of the results in clinical trials that we may conduct with our prodrug candidate, including XP23829. Further, unfamiliarity with novel patient-reported outcome tools, trial assessments or endpoints or with certain patient populations, including related subject drop-out rates, could result in additional cost, delay or failure of our clinical trials. For example, in 2012, as part of the first clinical program we have conducted in MS patients, based on our discussions with the FDA and in connection with a higher than expected drop-out rate, we modified our six-month, open-label, safety clinical trial of AP for subjects who complete the 13-week pivotal Phase 3 efficacy trial in an effort to ensure that our development program for AP meets the patient exposure requirements previously established with the FDA. As such, the protocol for the open-label safety trial was modified to allow patients to directly enter the trial without prior participation in the pivotal Phase 3 trial and be dosed for up to nine months.

We may experience numerous unforeseen events during, or as a result of, preclinical testing and the clinical trial process, which could delay or prevent our ability to commercialize our product candidates, including:

- regulators or institutional review boards may not authorize us to commence a clinical trial at a prospective trial site;

- our preclinical testing or clinical trials may produce negative or inconclusive results, which may require us to conduct additional preclinical or clinical testing or to abandon projects that we expect to be promising;
- we may suspend or terminate our clinical trials if the participating patients are being exposed to unacceptable health risks;
- risks associated with clinical trial design may result in a failure of the clinical trial to show statistically significant results even if the product candidate is effective;
- regulators or institutional review boards may suspend or terminate clinical research for various reasons, including noncompliance with regulatory requirements; and
- the effects of our product candidates may not be the desired effects or may include undesirable side effects.

Any failure or delay in commencing or completing clinical trials for our product candidates could severely harm our business.

The commencement and completion of clinical trials for our product candidates may be delayed or terminated as a result of many factors, including:

- delays in patient enrollment, unanticipated high patient drop-out rates and variability in the number and types of patients available for clinical trials, all of which we have experienced in the past;
- our inability to manufacture or obtain from third parties materials sufficient for use in preclinical studies and clinical trials;
- difficulty in maintaining contact with patients after treatment, resulting in incomplete data;
- poor effectiveness of product candidates during clinical trials;
- unforeseen safety issues or side effects; and
- governmental or regulatory delays and changes in regulatory requirements, policies and guidelines.

For example, based on the results of a planned interim analysis of the clinical data, although no safety concerns were noted, Astellas terminated its Phase 2 clinical trial of *Regnite* as a potential treatment for diabetic peripheral neuropathy, or DPN, due to difficulty in demonstrating a statistically significant advantage of *Regnite* over placebo. As a result, Astellas does not intend to continue the development of *Regnite* in Japan as a potential treatment for DPN at this time. Any delay in commencing or completing clinical trials for our product candidates would delay commercialization of our product candidates and severely harm our business and financial condition. In addition, unforeseen safety issues or side effects could result from our collaborators' current or future clinical trials, which could delay or negatively impact commercialization of our product candidates. It is also possible that none of our product candidates will complete clinical trials in any of the markets in which we or our collaborators intend to sell those product candidates. Accordingly, we or our collaborators would not receive the regulatory approvals needed to market our product candidates, which would severely harm our business and financial condition.

We rely on third parties to conduct our clinical trials. If these third parties do not perform as contractually required or expected, we may not be able to obtain regulatory approval for, or commercialize, our product candidates.

We do not have the ability to independently conduct clinical trials, and we must rely on third parties, such as contract research organizations, medical institutions, clinical investigators, collaborative partners and contract laboratories, to conduct our clinical trials. We have, in the ordinary course of business, entered into agreements with these third parties. Nonetheless, we are responsible for confirming that each of our clinical trials is conducted in accordance with its general investigational plan and protocol. Moreover, the FDA requires us to comply with regulations and standards, commonly referred to as good clinical practices, for conducting and recording and reporting the results of clinical trials to assure that data and reported results are credible and accurate and that the trial participants are adequately protected. Our reliance on third parties that we do not control does not relieve us of these responsibilities and requirements. For example, we need to prepare, and

ensure our compliance with, various procedures required under good clinical practices, even though third-party contract research organizations have prepared and are complying with their own, comparable procedures. If these third parties do not successfully carry out their contractual duties or regulatory obligations or meet expected deadlines, if the third parties need to be replaced or if the quality or accuracy of the data they obtain is compromised due to the failure to adhere to our clinical protocols or regulatory requirements or for other reasons, our preclinical development activities or clinical trials may be extended, delayed, suspended or terminated, and we may not be able to obtain regulatory approval for our product candidates, or successfully commercialize *Horizant*.

As an illustrative example, in 2011, the FDA announced that certain bioanalytical studies conducted by a contract research organization may need to be repeated or confirmed by the pharmaceutical company sponsors of the marketing applications that included such studies. The FDA's decision was the result of two inspections and an internal audit at a facility that identified significant instances of misconduct and violations of federal regulations, including falsification of documents and manipulation of samples. Although we have not contracted with this contract research organization for any studies or clinical trials, if one of the contract research organizations that conducted trials on our behalf were found to have similar or other violations, the FDA may require such trials to be repeated or it may affect the approvability of our product candidates and harm our business.

Horizant and Regnite remain, and future products, if any, will remain, subject to ongoing regulatory review. If we or our collaborative partners fail to comply with continuing regulations, these approvals could be rescinded and the sale of our products could be suspended.

Any regulatory approval to market a product could be conditioned on conducting additional, costly, post-approval studies or implementing a risk evaluation and mitigation strategy or could contain strict limits on the indicated uses included in the labeling. For example, the FDA approval for *Horizant* for the treatment of RLS included requirements for GSK to conduct a program of post-marketing commitments, or PMCs and post-marketing requirements, or PMRs, in adults, including a 12-week, double-blind, placebo-controlled efficacy study evaluating 300 mg, 450 mg and 600 mg tablets of *Horizant* dosed once per day, two simulated driving studies, a drug-drug interaction study with morphine and a cardiovascular safety, or QTc, study. GSK also agreed to conduct a pediatric program for subjects 13 years and older. The pediatric clinical program, which was not completed by GSK and is scheduled to commence after requested adult data is obtained and reviewed by the FDA, includes a pharmacokinetics, or PK, study, a parallel, fixed-dose response efficacy study, a long-term safety study and a simulated driving study. The specific protocol submission and trial completion dates for these PMCs/PMRs range from April 2011 through July 2024. Although GSK has completed some of these PMCs/PMRs, and has agreed to complete the low-dose efficacy study ongoing at the time we entered into the termination and transition agreement, we will be responsible for fulfilling the remaining, and any additional future, post-marketing study requirements, which will be expensive and time-consuming and may divert management time and resources away from our commercialization efforts or the development of our product candidates. In addition, *Horizant* has certain warnings and precautions in the label, including information that *Horizant* causes significant driving impairment. A medication guide, which contains information about the labeling intended for the patient, is also required to be distributed with *Horizant*.

Moreover, the product may later cause adverse effects that limit or prevent its widespread use, force us to withdraw it from the market or impede or delay our ability to obtain regulatory approvals in additional countries or indications. In addition, the contract manufacturer of the product and its facilities will continue to be subject to FDA review and periodic inspections to ensure adherence to applicable regulations. In addition, the manufacturing, labeling, packaging, adverse event reporting, storage, advertising, promotion and record keeping related to *Horizant, Regnite* and any future products remain subject to extensive regulatory requirements.

We are also subject to regulation by regional, national, state and local agencies, including the Department of Justice, the Federal Trade Commission, the Office of Inspector General of the U.S. Department of Health and Human Services and other regulatory bodies, as well as governmental authorities in those foreign countries in which we may commercialize our products. The FDCA, the Public Health Service Act and other federal and state statutes and regulations govern to varying degrees the research, development, manufacturing and commercial

activities relating to prescription pharmaceutical products, including preclinical testing, approval, production, labeling, sale, distribution, import, export, post-market surveillance, advertising, dissemination of information and promotion. These statutes and regulations include anti-kickback statutes and false claims statutes.

The federal Anti-Kickback Statute prohibits, among other things, knowingly and willfully offering, paying, soliciting or receiving remuneration to induce or in return for purchasing, leasing, ordering or arranging for the purchase, lease or order of any healthcare item or service reimbursable under Medicare, Medicaid or other federally financed healthcare programs. This statute has been interpreted to apply to arrangements between pharmaceutical companies on the one hand and prescribers, purchasers and formulary managers on the other. Although there are a number of statutory exemptions and regulatory safe harbors protecting identified common activities from prosecution, the exemptions and safe harbors are drawn narrowly, and practices that involve remuneration intended to induce prescribing, purchases or recommendations may be subject to scrutiny if they do not qualify for an exemption or safe harbor.

Federal false claims laws prohibit any person from knowingly presenting, or causing to be presented, a false claim for payment to the federal government, or knowingly making, or causing to be made, a false statement to get a false claim paid.

Recently, several pharmaceutical and other healthcare companies have been prosecuted under these laws for allegedly providing free product to customers with the expectation that the customers would bill federal programs for the product. Other companies have been prosecuted for causing false claims to be submitted because of the company's marketing of the product for unapproved, and thus non-reimbursable, uses. Pharmaceutical and other healthcare companies have also been prosecuted on other legal theories of Medicare fraud. The majority of states also have statutes or regulations similar to the federal Anti-Kickback Statute and federal false claims laws that apply to items and services reimbursed under Medicaid and other state programs, or, in several states, apply regardless of the payor. Sanctions under these federal and state laws may include civil monetary penalties, exclusion of a company's products from reimbursement under government programs, criminal fines and imprisonment. Several states now require pharmaceutical companies to report expenses relating to the marketing and promotion of pharmaceutical products and prohibit or require reporting of the provision of gifts, meals and entertainment to individual healthcare providers. Other states require the posting of information relating to clinical studies. In addition, California requires pharmaceutical companies to implement a comprehensive compliance program that includes a limit on expenditures for, or payments to, individual medical or health professionals. We have adopted a comprehensive compliance program that we believe complies with California law. Several additional states are considering similar proposals. Compliance with these laws is difficult and time consuming, and companies that do not comply with these state laws face civil penalties. Because of the breadth of these laws and the narrowness of available statutory and regulatory exemptions, it is possible that some of our business activities could be subject to challenge under one or more of such laws. Such a challenge could have a material adverse effect on our business, financial condition, results of operations and growth prospects.

In addition to the federal Anti-Kickback Statute and federal false claims laws, the federal Health Insurance Portability and Accountability Act of 1996, or HIPAA, created new federal criminal statutes that prohibit executing a scheme to defraud any healthcare benefit program or making false statements relating to healthcare matters. HIPAA, as amended by the Health Information Technology for Economic and Clinical Health Act of 2009, or HITECH, and its implementing regulations, also imposes certain requirements relating to the privacy, security and transmission of individually identifiable health information. State and foreign laws governing the privacy and security of health information in certain circumstances differ from each other in significant ways and often are not preempted by HIPAA, thus complicating compliance efforts.

If we or our operations are found to be in violation of any of the laws described above or any other governmental regulations that apply to us, we may be subject to penalties, including criminal and significant civil penalties, damages, fines, imprisonment, exclusion of products from reimbursement under U.S. federal or state healthcare programs, and the curtailment or restructuring of our operations. Any penalties, damages, fines, curtailment or restructuring of our operations could materially adversely affect our ability to operate our business and our financial results. Although compliance programs can mitigate the risk of investigation and prosecution for violations of these laws, the risks cannot be entirely eliminated. Any action against us for violation of these

laws, even if we successfully defend against it, could cause us to incur significant legal expenses and divert our management's attention from the operation of our business. Moreover, achieving and sustaining compliance with these laws may prove costly.

Following the return of responsibility of the commercialization of *Horizant* to us, we expect to have a contract sales organization employ the sales representatives who will promote *Horizant*. However, we expect that government and regulatory agencies will hold us responsible for any actions by such sales representatives or sales organizations. If GSK (during the transition period), we or our contract sales organization fails to comply with the regulatory requirements of the FDA and other applicable U.S. and foreign regulatory authorities or if previously unknown problems with our products, manufacturers or manufacturing processes are discovered, we and our partners could be subject to administrative or judicially imposed sanctions, including:

- restrictions on the products, manufacturers or manufacturing processes;
- warning letters;
- civil or criminal penalties or fines;
- injunctions;
- product seizures, detentions or import bans;
- voluntary or mandatory product recalls and publicity requirements;
- suspension or withdrawal of regulatory approvals;
- total or partial suspension of production; and
- refusal to approve pending applications for marketing approval of new drugs or supplements to approved applications.

If we or Astellas are not able to obtain or maintain required regulatory approvals, we or Astellas will not be able to commercialize Horizant, Regnite or our product candidates, our ability to generate revenue will be materially impaired and our business will not be successful.

Our product candidates and the activities associated with their development and commercialization are subject to comprehensive regulation by the FDA and other agencies in the United States and by comparable authorities in other countries. The inability to obtain or maintain FDA approval or approval from comparable authorities in other countries would prevent us and our collaborative partners from commercializing *Horizant, Regnite* or our product candidates in the United States or other countries. Although *Horizant* and *Regnite* have been approved for commercial sale in the United States and Japan, respectively, we may never receive regulatory approval for the commercial sale of our product candidates, including AP for the potential treatment of spasticity. In addition, even if a product candidate ultimately receives regulatory approval, the regulatory process may include significant delays that could harm our business. For example, in February 2010, GSK received a Complete Response letter from the FDA in which a preclinical finding of pancreatic acinar cell tumors in rats precluded approval of the *Horizant* NDA for the treatment of RLS at that time. GSK responded to questions raised by the FDA in the Complete Response letter with an NDA resubmission, which included new data from nonclinical studies of *Horizant* and two epidemiology studies conducted by GSK exploring gabapentin use and cancer based on the UK General Practice Research Database, as well as a final safety update that provided updated or new safety information on patients in clinical studies who had been treated with *Horizant*. GSK also amended the NDA from a Section 505(b)(1) to a 505(b)(2) application in order for the FDA to be able to consider published gabapentin nonclinical data in their assessment of *Horizant*. *Horizant* subsequently received approval from the FDA in April 2011. However, our business was harmed due to the delay in obtaining approval for *Horizant* as a treatment for RLS. Moreover, if the FDA requires that any of our products or product candidates be scheduled by the U.S. Drug Enforcement Agency, or DEA, we or our collaborative partners will be unable to continue or begin commercial sale of that product until the DEA completes scheduling proceedings. If any of our products or product candidates is classified as a controlled substance by the DEA, we or our collaborative partners would have to register annually with the DEA and those products or product candidates would be subject to additional regulation.

We have only limited experience in preparing and filing the applications necessary to gain regulatory approvals. The process of applying for regulatory approval is expensive, often takes many years and can vary substantially based upon the type, complexity and novelty of the product candidates involved. The application process begins with the submission of an NDA that the FDA initially reviews and either accepts or rejects for filing. NDA submissions are complex electronic filings, which include vast compilations of data sets, integrated documents and data calculations. The FDA has substantial discretion in the submission process and may refuse to accept an NDA submission for any reason, including insufficient information or if there are errors or omissions relating to the electronic transmittal process, data entry, data compilation or formatting. For example, in November 2008, GSK withdrew a previously submitted NDA for *Horizant* for the treatment of RLS in connection with the FDA's request that the data from a single study be reformatted.

Changes in the regulatory approval policy during the development period, changes in, or the enactment of, additional regulations or statutes or changes in regulatory review for each submitted product application may cause delays in the approval or rejection of an NDA. If the FDA were to miss a Prescription Drug User Fee Act, or PDUFA, timing goal for one of our product candidates, the development and commercialization of the product candidate could be delayed or impaired. For example, in November 2009, the FDA notified GSK that it was extending the PDUFA timing goal for *Horizant* for the treatment of RLS to February 2010. In addition, the Food and Drug Administration Amendments Act of 2007, or FDAAA, mandates FDA advisory committee reviews of all new molecular entities as part of the NDA approval process, although the FDA maintains discretion under FDAAA to approve NDAs for new molecular entities without advisory committee reviews in certain instances. The FDA may convene an advisory committee at any time during the review process. The advisory committee review process can be a lengthy and uncertain process that could delay the FDA's NDA approval and delay or impair the development and commercialization of our product candidates.

The FDA has substantial discretion in the approval process and may refuse to approve any application or decide that our data is insufficient for approval and require additional preclinical, clinical or other studies. Varying interpretations of the data obtained from preclinical and clinical testing or other studies could delay, limit or prevent regulatory approval of any of our product candidates. As part of their review process, the FDA could require additional studies or trials to satisfy particular safety concerns. For example, although we have had discussions with the FDA regarding the studies that could be required for filing an NDA for AP as a potential treatment of spasticity, the FDA could change their guidance in the future. Thus, although the FDA has indicated that a study to assess the effect of AP on driving would not be required as part of an NDA for AP for spasticity, FDA guidance could change in the future and a driving study could be required at a later date. Additionally, although we had discussions with the FDA in June 2012 regarding the studies required by the FDA to support an NDA submission for XP21279 for the potential treatment of advanced idiopathic Parkinson's disease, when or if we decide to pursue these studies and the approval of XP21279, the FDA could change their guidance or require additional studies, causing delay or the expenditure of additional resources. Even if the FDA or other regulatory agency approves a product candidate, the approval may impose significant restrictions on the indicated uses, conditions for use, labeling, advertising, promotion, marketing and/or production of such product and may impose ongoing commitments or requirements for post-approval studies, including additional research and development and clinical trials, and we or our collaborative partners may be unable to maintain regulatory approvals for our products. For example, the FDA approval for *Horizant* for the treatment of RLS included requirements for GSK to conduct a number of PMCs and PMRs. Although GSK has completed and agreed to complete some of the PMCs/PMRs, we will be responsible for fulfilling the remaining post-marketing study requirements, or any additional post-marketing requirements that may be imposed on us or *Horizant*, which will be expensive and time-consuming, and may divert management time and resources away from our commercialization efforts or the development of our product candidates. In addition, the FDA and other agencies also may impose various civil or criminal sanctions for failure to comply with regulatory requirements, including withdrawal of product approval.

We or our potential collaborative partners will need to obtain regulatory approval from authorities in foreign countries to market our product candidates in those countries. Approval by one regulatory authority does not ensure approval by regulatory authorities in other jurisdictions. If we or our potential collaborative partners fail to obtain approvals from foreign jurisdictions, the geographic market for our product candidates would be limited.

Although we have reached agreement with the FDA on a Special Protocol Assessment, or SPA, relating to our pivotal Phase 3 clinical trial of AP for the potential treatment of spasticity in patients with MS, this agreement does not guarantee any particular outcome with respect to regulatory review of the pivotal trial or with respect to regulatory approval of AP.

The protocol for the pivotal Phase 3 clinical trial of AP for the potential treatment of spasticity in patients with MS was reviewed by the FDA under the SPA process, which allows for FDA evaluation of a clinical trial protocol intended to form the primary basis of an efficacy claim in support of an NDA and provides an agreement that the study design, including trial size, clinical endpoints and/or data analyses are acceptable to the FDA. Reaching agreement with the FDA on an SPA is not an indication of approvability. Even if we believe that the data from the pivotal Phase 3 clinical trial are supportive, an SPA agreement is not a guarantee of approval, and we cannot be certain that the design of, or data collected from, the pivotal Phase 3 clinical trial will be adequate to demonstrate the safety and efficacy of AP for the potential treatment of spasticity in patients with MS, or will otherwise be sufficient to support FDA or any foreign regulatory approvals. Further, the SPA agreement is not binding on the FDA if public health concerns unrecognized at the time the SPA agreement is entered into become evident, other new scientific concerns regarding product safety or efficacy arise, new drugs are approved in the same indication or if we fail to comply with the agreed upon trial protocols. In addition, the SPA agreement may be changed by us or the FDA on written agreement of both parties, and the FDA retains significant latitude and discretion in interpreting the terms of the SPA agreement and the data and results from the pivotal Phase 3 clinical trial. As a result, we do not know how the FDA will interpret the parties' respective commitments under the SPA agreement, how it will interpret the data and results from the pivotal trial or whether AP will receive any regulatory approvals. Therefore, despite the potential benefits of the SPA agreement, significant uncertainty remains regarding the clinical development of and regulatory approval process for AP for the potential treatment of spasticity in patients with MS, and it is possible that we might never receive any regulatory approvals for AP.

An NDA submitted under Section 505(b)(2) of the Federal Food, Drug, and Cosmetic Act subjects us to the risk that we may be subject to a patent infringement lawsuit that would delay or prevent the review and approval of our product candidate.

Certain product candidates that we develop may be submitted to the FDA for approval under Section 505(b)(2) of the Federal Food, Drug, and Cosmetic Act, as amended, or FDCA, which was enacted as part of the Drug Price Competition and Patent Term Restoration Act of 1984, also known as the Hatch-Waxman Act. Section 505(b)(2) permits the submission of an NDA where at least some of the information required for approval comes from studies not conducted by, or for, the applicant and for which the applicant has not obtained a right of reference. If we receive positive results in our pivotal Phase 3 clinical trial of AP as a potential treatment for spasticity in MS patients, along with supportive data from certain additional studies, we intend to submit an NDA with the FDA under Section 505(b)(2) seeking approval of AP in this indication. The Section 505(b)(2) application would enable us to reference published literature and/or the FDA's previous finding of safety and effectiveness for baclofen, a drug that has been approved by the FDA for the alleviation of signs and symptoms of spasticity in patients with MS and may also be of some value in patients with spinal cord injuries and other spinal cord diseases. If we develop XP21279 through a positive Phase 3 clinical program, we also could potentially submit an NDA seeking its approval for the treatment of advanced idiopathic Parkinson's disease under Section 505(b)(2) of the FDCA.

For NDAs submitted under Section 505(b)(2) of the FDCA, the patent certification and related provisions of the Hatch-Waxman Act apply. In accordance with the Hatch-Waxman Act, such NDAs may be required to include certifications, known as Paragraph IV certifications, that certify that any patents listed in the Patent and Exclusivity Information Addendum of the FDA's publication, *Approved Drug Products with Therapeutic Equivalence Evaluations*, commonly known as the Orange Book, with respect to any product referenced in the Section 505(b)(2) application, are invalid, unenforceable or will not be infringed by the manufacture, use or sale of the product that is the subject of the Section 505(b)(2) application. Under the Hatch-Waxman Act, the holder of patents that the Section 505(b)(2) application references may file a patent infringement lawsuit after receiving notice of the Paragraph IV certification. Filing of a patent infringement lawsuit within 45 days of the patent

owner's receipt of notice triggers a one-time, automatic, 30-month stay of the FDA's ability to approve the 505(b)(2) application. Accordingly, we may invest a significant amount of time and expense in the development of one or more products only to be subject to significant delay and patent litigation before such products may be commercialized, if at all. A Section 505(b)(2) application may also not be approved until any non-patent exclusivity, such as exclusivity for obtaining approval of a new chemical entity, or NCE, listed in the Orange Book for the referenced product has expired. The FDA may also require us to perform one or more additional clinical studies or measurements to support the change from the approved product. The FDA may also reject our future Section 505(b)(2) submissions and require us to file such submissions under Section 505(b)(1) of the FDCA, which could cause delay and be considerably more expensive and time consuming. These factors, among others, may limit our ability to successfully commercialize our product candidates.

Current healthcare laws and regulations and future legislative or regulatory reforms to the healthcare system may affect our ability to profitably sell any products that we may develop.

The United States and some foreign jurisdictions are considering, or have enacted, a number of legislative and regulatory proposals to change the healthcare system in ways that could affect our ability to sell our products profitably. Among policy makers and payors in the United States and elsewhere, there is significant interest in promoting changes in healthcare systems with the stated goals of containing healthcare costs, improving quality and/or expanding access. In the United States, the pharmaceutical industry has been a particular focus of these efforts and has been significantly affected by major legislative initiatives.

In March 2010, PPACA became law in the United States. PPACA substantially changes the way healthcare is financed by both governmental and private insurers and significantly affects the pharmaceutical industry. Among the provisions of PPACA of importance to the pharmaceutical industry are the following:

- an annual, nondeductible fee on any entity that manufactures or imports certain branded prescription drugs and biologic agents, apportioned among these entities according to their market share in certain government healthcare programs;
- an increase in the rebates a manufacturer must pay under the Medicaid Drug Rebate Program, retroactive to January 1, 2010, to 23.1% and 13% of the average manufacturer price for branded and generic drugs, respectively;
- a new Medicare Part D coverage gap discount program, in which manufacturers must agree to offer 50% point-of-sale discounts off negotiated prices of applicable brand drugs to eligible beneficiaries during their coverage gap period, as a condition for the manufacturer's outpatient drugs to be covered under Medicare Part D;
- extension of manufacturers' Medicaid rebate liability to covered drugs dispensed to individuals who are enrolled in Medicaid managed care organizations;
- expansion of eligibility criteria for Medicaid programs by, among other things, allowing states to offer Medicaid coverage to additional individuals and by adding new mandatory eligibility categories for certain individuals with income at or below 133% of the Federal Poverty Level beginning in 2014, thereby potentially increasing manufacturers' Medicaid rebate liability;
- expansion of the entities eligible for discounts under the Public Health Service pharmaceutical pricing program;
- new requirements to report certain financial arrangements with physicians and teaching hospitals, as defined in PPACA and its implementing regulations, including reporting any "transfer of value" made or distributed to teaching hospitals, prescribers and other healthcare providers, and reporting any ownership and investment interests held by physicians and their immediate family members and applicable group purchasing organizations during the preceding calendar year, with data collection to be required beginning August 1, 2013 and reporting to the Centers for Medicare & Medicaid Services to be required by March 31, 2014 and by the 90th day of each subsequent calendar year;

- a new requirement to annually report drug samples that manufacturers and distributors provide to physicians;
- expansion of healthcare fraud and abuse laws, including the False Claims Act and the Anti-Kickback Statute, new government investigative powers and enhanced penalties for noncompliance;
- a licensure framework for follow-on biologic products; and
- a new Patient-Centered Outcomes Research Institute to oversee, identify priorities in, and conduct comparative clinical effectiveness research, along with funding for such research.

In addition, other legislative changes have been proposed and adopted since PPACA was enacted. In August 2011, the Budget Control Act of 2011, among other things, created measures for spending reductions by Congress. A Joint Select Committee on Deficit Reduction, tasked with recommending a targeted deficit reduction of at least $1.2 trillion for the years 2013 through 2021, was unable to reach required goals, thereby triggering the legislation's automatic reduction to several government programs. This includes aggregate reductions to Medicare payments to providers of up to 2% per fiscal year, starting in 2013. In January 2013, President Obama signed into law the American Taxpayer Relief Act of 2012, or the ATRA, which, among other things, reduced Medicare payments to several providers, including hospitals, imaging centers and cancer treatment centers, and increased the statute of limitations period for the government to recover overpayments to providers from three to five years. These new laws may result in additional reductions in Medicare and other healthcare funding, which could have a material adverse effect on our customers and accordingly, our financial operations.

We anticipate that PPACA, as well as other healthcare reform measures that may be adopted in the future, may result in more rigorous coverage criteria and an additional downward pressure on the price that we receive for any approved product, and could seriously harm our business. Any reduction in reimbursement from Medicare or other government programs may result in a similar reduction in payments from private payors. Insurers may also refuse to provide any coverage of uses of approved products for medical indications other than those for which the FDA has granted market approvals. As a result, significant uncertainty exists as to whether and how much third-party payors will reimburse for newly-approved drugs, which in turn will put pressure on the pricing of drugs.

We also cannot be certain that *Horizant* or any other products that may result from our product candidates will successfully be placed on the list of drugs covered by particular health plan formularies, nor can we predict the negotiated price for such products, which will be determined by market factors. Many states have also created preferred drug lists and include drugs on those lists only when the manufacturers agree to pay a supplemental rebate. If *Horizant* or other products that may result from our product candidates are not included on these preferred drug lists, physicians may not be inclined to prescribe them to their patients, thereby diminishing the potential market for such products. Astellas will face similar pricing and reimbursement restrictions in Japan for *Regnite*, and further efforts to reform the Japanese healthcare system may increase such restrictions.

If some or all of our patents expire, are invalidated or are unenforceable, or if some or all of our patent applications do not yield issued patents or yield patents with narrow claims, competitors may develop competing products using our intellectual property and our business will suffer.

Our success will depend in part on our ability to obtain and maintain patent and trade secret protection for our technologies, *Horizant*, *Regnite* and our product candidates both in the United States and other countries. We have a number of U.S. and foreign patents, patent applications and rights to patents related to our compounds, product candidates, products and technology, but we cannot guarantee that issued patents will be enforceable or that pending or future patent applications will result in issued patents. Alternatively, a third party may successfully circumvent our patents. Our rights under any issued patents may not provide us with sufficient protection against competitive products or otherwise cover commercially valuable products or processes.

The degree of future protection for our proprietary technologies, *Horizant*, *Regnite* and our product candidates is uncertain because legal means afford only limited protection and may not adequately protect our rights or permit us to gain or keep our competitive advantage. For example:

- we might not have been the first to make the inventions covered by each of our pending patent applications and issued patents;
- we might not have been the first to file patent applications for these inventions;
- others may independently develop similar or alternative technologies or duplicate any of our technologies;
- it is possible that none of our pending patent applications will result in issued patents;
- any patents issued to us or our collaborators may not provide a basis for commercially viable products or may be challenged by third parties; or
- the patents of others may have an adverse effect on our ability to do business.

Even if valid and enforceable patents cover *Horizant*, *Regnite* or our product candidates and technologies, the patents will provide protection only for a limited amount of time.

Our and our collaborators' ability to obtain patents is highly uncertain because, to date, some legal principles remain unresolved, there has not been a consistent policy regarding the breadth or interpretation of claims allowed in patents in the United States and the specific content of patents and patent applications that are necessary to support and interpret patent claims is highly uncertain due to the complex nature of the relevant legal, scientific and factual issues. Furthermore, the policies governing biotechnology patents outside the United States are even more uncertain. Changes in either patent laws or interpretations of patent laws in the United States and other countries may diminish the value of our intellectual property or narrow the scope of our patent protection. For example, on September 16, 2011, the Leahy-Smith America Invents Act, or the Leahy-Smith Act, was signed into law. The Leahy-Smith Act includes a number of significant changes to United States patent law. These include provisions that affect the way patent applications will be prosecuted and may also affect patent litigation. The United States Patent Office has developed new and untested regulations and procedures to govern the full implementation of the Leahy-Smith Act, and many of the substantive changes to patent law associated with the Leahy-Smith Act, and in particular, the first to file provisions, will not become effective until March 2013. Accordingly, it is too early to tell what, if any, impact the Leahy-Smith Act will have on the operation of our business. However, the Leahy-Smith Act and its implementation could increase the uncertainties and costs surrounding the prosecution of our patent applications and the enforcement or defense of our issued patents, all of which could have a material adverse effect on our business and financial condition.

Even if patents are issued regarding *Horizant*, *Regnite* or our product candidates or methods of using them, those patents can be challenged by our competitors who can argue such patents are invalid and/or unenforceable. For example, in September 2008, a law firm on behalf of an undisclosed client filed an opposition against the patent grant of one of our European patent applications covering gabapentin enacarbil. The European patent office, at an opposition hearing in April 2010, undertook a full review of the grant of the European patent, and ruled that our European patent covering the composition of matter of gabapentin enacarbil is valid. While the law firm that filed the opposition initially appealed the ruling on behalf of the undisclosed client, that appeal was withdrawn in November 2010. Patents also may not protect *Horizant*, *Regnite* or our product candidates if competitors devise ways of making them or similar products without legally infringing our patents. The FDCA and FDA regulations and policies provide incentives to manufacturers to challenge patent validity and these same types of incentives encourage manufacturers to submit NDAs that rely on literature and clinical data not prepared for or by the drug sponsor.

We may obtain patents for certain product candidates many years before marketing approval is obtained for those products. Because patents have a limited life, which may begin to run prior to the commercial sale of the related product, the commercial value of the patent may be limited. However, we may be able to apply for patent term extensions.

As part of the approval process of our product candidates in the United States, the FDA may determine that the product candidates be granted an exclusivity period during which other manufacturers' applications for approval of generic versions of our products will not be granted. Generic manufacturers often wait to challenge the patents protecting products that have been granted exclusivity until one year prior to the end of the exclusivity period. For example, the FDA granted *Horizant* five years of regulatory exclusivity based on it being a new chemical entity. It is possible that generic manufacturers are considering attempts to seek FDA approval for a similar or identical drug as *Horizant* through an abbreviated NDA, which is the application form typically used by manufacturers seeking approval of a generic drug. If our patents are subject to challenges, we may need to spend significant resources to defend such challenges and we may not be able to defend our patents successfully.

We also rely on trade secrets to protect our technology, especially where we do not believe that patent protection is appropriate or obtainable. However, trade secrets are difficult to protect. Our employees, consultants, contractors, outside scientific collaborators and other advisors may unintentionally or willfully disclose our confidential information to competitors. Enforcing a claim that a third party illegally obtained and is using our trade secrets is expensive and time-consuming, and the outcome is unpredictable. Failure to obtain or maintain trade secret protection could adversely affect our competitive business position.

Our research and development collaborators may have rights to publish data and other information in which we have rights. In addition, we sometimes engage individuals or entities to conduct research that may be relevant to our business. The ability of these individuals or entities to publish or otherwise publicly disclose data and other information generated during the course of their research is subject to certain contractual limitations. In most cases, these individuals or entities are, at the least, precluded from publicly disclosing our confidential information and are only allowed to disclose other data or information generated during the course of the research after we have been afforded an opportunity to consider whether patent and/or other proprietary protection should be sought. If we do not apply for patent protection prior to such publication or if we cannot otherwise maintain the confidentiality of our technology and other confidential information, then our ability to receive patent protection or protect our proprietary information may be jeopardized.

Third-party claims of intellectual property infringement would require us to spend significant time and money and could prevent us from developing or commercializing our products.

Our commercial success depends in part on not infringing the patents and proprietary rights of other parties and not breaching any licenses that we have entered into with regard to our technologies and products. Because others may have filed, and in the future are likely to file, patent applications covering products or other technologies of interest to us that are similar or identical to ours, patent applications or issued patents of others may have priority over our patent applications or issued patents. For example, we are aware of a family of third-party patent applications relating to prodrugs of gabapentin. We believe the applications have been abandoned in the United States, the European Patent Office, Canada, Australia and the United Kingdom. Additionally, with respect to the development of XP23829, we are aware of third-party patents relating to the use of MMF in the treatment of MS and of other third-party patents relating to the use of fumarates in the treatment of psoriasis. We are also aware of third-party patents relating to the use of baclofen in the treatment of GERD. With respect to the claims contained in these patent applications and patents, we believe that our activities do not infringe the patents at issue and/or that the third-party patent or patent applications are invalid. In addition, we believe that in all countries in which we hold or have licensed rights to patents or patent applications related to *Horizant*, *Regnite* or gabapentin enacarbil, the composition-of-matter patents relating to gabapentin have expired. Similarly, we believe that in all countries in which we hold rights to patents or patent applications related to AP, the composition-of-matter patents relating to baclofen have expired. However, it is possible that a judge or jury will disagree with our conclusions regarding non-infringement, invalidity and/or expiration, and we could incur substantial costs in litigation if we are required to defend against patent suits brought by third parties or if we initiate these suits. In addition, there could be other third-party patents or patent applications covering certain aspects of our planned development or commercialization activities that we are not yet aware of. Any legal action against our collaborators or us claiming damages and seeking to enjoin commercial activities relating to the affected products and processes could, in addition to subjecting us to potential liability for damages, require our

collaborators or us to obtain a license to continue to manufacture or market the affected products and processes. Licenses required under any of these patents may not be available on commercially acceptable terms, if at all. Failure to obtain such licenses could materially and adversely affect our ability to develop, commercialize and sell *Horizant, Regnite* or our product candidates. Such legal actions against us could also include the theory of contributory infringement, or claiming that because our prodrugs are broken down in the body into an active, parent drug and other substances, that we have infringed on patents that cover the use of the active, parent drug. We believe that there may continue to be significant litigation in the biotechnology and pharmaceutical industry regarding patent and other intellectual property rights. If we become involved in litigation, it could consume a substantial portion of our management and financial resources and we may not prevail in any such litigation.

Furthermore, our commercial success will depend, in part, on our ability to develop additional product candidates in current indications of interest or opportunities in other indications. Some of these activities may involve the use of genes, gene products, screening technologies and other research tools that are covered by third-party patents. Court decisions have indicated that the exemption from patent infringement afforded by the Hatch-Waxman Act does not encompass all research and development activities associated with product development. In some instances, we may be required to obtain licenses to such third-party patents to conduct our development activities, including activities that may have already occurred. It is not known whether any license required under any of these patents would be made available on commercially acceptable terms, if at all. Failure to obtain such licenses could materially and adversely affect our ability to maintain a pipeline of potential product candidates and to bring new products to market. If we are required to defend against patent suits brought by third parties relating to third-party patents that may be relevant to our development activities, or if we initiate such suits, we could incur substantial costs in litigation. Moreover, an adverse result from any legal action in which we are involved could subject us to damages and/or prevent us from conducting some of our development activities.

Because we have a number of product candidates and are considering a variety of target indications, we may expend our limited resources to market or sell a product or pursue the development of a particular candidate or indication and fail to capitalize on other products or product candidates or indications that may be more profitable or for which there is a greater likelihood of success.

Because we have limited financial and managerial resources, we must focus on products and product candidates for the specific indications that we believe are the most promising. As a result, we may forego or delay pursuit of opportunities with other product candidates or other indications that later prove to have greater commercial potential. Our resource allocation decisions may cause us to fail to capitalize on viable commercial products, including *Horizant*, or profitable market opportunities. In addition, we may spend valuable time and managerial and financial resources on marketing or promoting a product that is not commercially viable or developing product candidates for specific indications that ultimately do not yield any commercially viable products. If we do not accurately evaluate the commercial potential or target market for a particular product or product candidate, we may relinquish valuable rights to that product or product candidate through collaboration, licensing or other royalty arrangements in situations where it would have been more advantageous for us to retain sole rights to development and commercialization.

Safety issues with Horizant, Regnite or our product candidates, or the parent drugs or other components of Horizant, Regnite or our product candidates, or with approved products of third parties that are similar to Horizant, Regnite or our product candidates, could decrease sales of Horizant and Regnite, or give rise to delays in the regulatory approval process, restrictions on labeling or product withdrawal.

Discovery of previously unknown problems, or increased focus on a known problem, with an approved product may result in restrictions on its permissible uses, including withdrawal of the medicine from the market. The label for *Horizant* currently includes warnings and precautions related to driving impairment, somnolence/ sedation and dizziness, lack of interchangeability with gabapentin, suicidal behavior or ideation, multiorgan

hypersensitivity, discontinuation and tumorigenic potential. If we or others later identify undesirable side effects caused by *Horizant* or any of our other product candidates that receive marketing approval:

- regulatory authorities may require the addition of labeling statements, specific warnings, contraindications or field alerts to physicians and pharmacies;
- regulatory authorities may withdraw their approval of the product and require us to take our approved drug off the market;
- we may be required to change the way the product is administered, conduct additional clinical trials, change the labeling of the product or conduct a Risk Evaluation and Mitigation Strategies, or REMS, program;
- we may have limitations on how we promote our drugs;
- sales of products may decrease significantly;
- we may be subject to litigation or product liability claims; and
- our reputation may suffer.

Any of these events could prevent us from achieving or maintaining market acceptance of the affected product or could substantially increase our commercialization costs and expenses, which in turn could delay or prevent us from generating significant revenues from its sale.

Horizant, *Regnite* and our product candidates may also be affected by the safety of the parent drugs or drugs related to our products or product candidates. Although gabapentin, baclofen (which includes the R-isomer of baclofen) and levodopa, the parent drugs of *Horizant/Regnite/*gabapentin enacarbil, AP and XP21279, respectively, have been used successfully in patients for many years, newly observed toxicities or worsening of known toxicities, in preclinical studies of, or in patients receiving, gabapentin, baclofen and levodopa, or reconsideration of known toxicities of gabapentin, baclofen or levodopa in the setting of new indications, could result in increased regulatory scrutiny of *Horizant/Regnite/*gabapentin enacarbil, AP and XP21279, respectively. For example, the label for baclofen, the R-isomer of which is the parent drug of AP, includes a warning that hallucinations and seizures have occurred on abrupt withdrawal of baclofen dosing without proper tapering in spasticity patients. Although a product containing dimethyl fumarate, or DMF, another prodrug of MMF, has been approved and used in Germany for the treatment of psoriasis, it has not been approved in the United States. In addition, Biogen Idec has submitted an NDA to the FDA seeking approval of Tecfidera, a formulation of DMF, as a treatment for RRMS. Any safety concerns or other problems noted by the FDA with respect to DMF, Tecfidera or MMF could increase the risk of regulatory scrutiny of XP23829, possibly delaying or preventing any regulatory approval of XP23829. The FDA has substantial discretion in the NDA approval process and may refuse to approve any application if the FDA concludes that the risk/benefit analysis of a potential drug treatment for a specific indication does not warrant approval. For example, in February 2010, safety concerns related to a preclinical finding of pancreatic acinar cell tumors in rats precluded FDA approval of the *Horizant* NDA in RLS in its form at that time. Although there were similar findings of rat pancreatic acinar cell tumors following treatment with gabapentin, the parent drug of *Horizant*, the FDA has, to date, not prevented the use of gabapentin. In the February 2010 Complete Response letter, the FDA noted that they had concluded that the seriousness and severity of refractory epilepsy and the benefit to patients provided by gabapentin justified the potential risk at that time. Thus, although the parent drug for, or a drug related to, one of our product candidates may be approved by the FDA in a particular indication, the FDA may conclude that our product candidate's risk/benefit profile does not warrant approval in a different indication, and the FDA may refuse to approve our product candidate. Such conclusion and refusal would prevent us from developing and commercializing our product candidates and severely harm our business and financial condition. For example, even if Biogen Idec receives approval of Tecfidera for RRMS, the FDA may not agree that the risk/benefit profile of XP23829 for the treatment of psoriasis, if established, would warrant approval in such indication.

Horizant, *Regnite* and our product candidates are engineered to be broken down by the body's natural metabolic processes and to release the active drug and other substances. While these breakdown products are generally regarded as safe, it is possible that there could be unexpected toxicity associated with these breakdown

products that will cause any or all of *Horizant/Regnite/*gabapentin enacarbil, AP, XP21279 and XP23829 to be poorly tolerated by, or toxic to, humans. Any unexpected toxicity of, or suboptimal tolerance to, our product or product candidates could reduce sales of *Horizant* and *Regnite*, and delay or prevent commercialization of our product candidates.

Additionally, problems with approved products marketed by third parties that utilize the same therapeutic target or that belong to the same therapeutic class as the parent drug of *Horizant, Regnite* or our product candidates could adversely affect the commercialization of *Horizant* or *Regnite* or the development of our product candidates. For example, the product withdrawals of Vioxx from Merck & Co., Inc. and Bextra from Pfizer in 2005 due to safety issues have caused other drugs that have the same therapeutic target, such as Celebrex from Pfizer, to receive additional scrutiny from regulatory authorities. If either gabapentin or pregabalin, drugs from Pfizer that are marketed as Neurontin and Lyrica, respectively, encounters unexpected toxicity problems in humans, the FDA may restrict the use of *Horizant* since it is believed to share the same therapeutic target as gabapentin and pregabalin. In 2005, the FDA requested that all makers of epilepsy drugs analyze their clinical trial data to determine whether these drugs increase the risk of suicide in patients. In 2008, the FDA added warnings to 11 antiepileptic drugs, including gabapentin, regarding an increased risk of suicide or suicidal thoughts. In 2009, the FDA approved safety label changes for all approved antiepileptic drugs, except those indicated only for short-term use, to include a warning about an increased risk of suicidal thoughts or actions. In addition, in 2011, the FDA added warnings to the labels of antiepileptic drugs regarding an increased risk of drug reaction with Eosinophilia and Systemic Symptoms, or DRESS, also known as multiorgan hypersensitivity, which has been reported in patients taking antiepileptic drugs. *Horizant*, as a compound that is believed to share the same therapeutic target as antiepileptic drugs such as gabapentin and pregabalin, has similar warnings regarding suicidality and DRESS in its label. Additional scrutiny could be placed on *Horizant* if it is found have an increased risk of suicides or suicidal behavior. In 2010, the FDA released draft guidance recommending that prospective suicidality assessments be performed in clinical trials of any drug with central nervous system activity. We expect that the FDA will follow this guidance, and we will be required to perform suicidality assessments in all of our clinical trials, including Phase 1 trials, of any of our product candidates with central nervous system activity. Finally, if the FDA determines that a drug may present a risk of substance abuse, it can recommend to the DEA that the drug be scheduled under the Controlled Substances Act. While gabapentin is not a scheduled drug at the present time, pregabalin has been scheduled as a controlled substance. Since pregabalin is a scheduled drug, it is possible that the FDA may require additional testing of *Horizant* in the future, the results of which could lead the FDA to conclude that *Horizant* should be scheduled as well. Scheduled substances are subject to DEA regulations relating to manufacturing, storage, distribution and physician prescription procedures, and the DEA regulates the amount of a scheduled substance that is available for clinical trials and commercial distribution. Accordingly, any scheduling action that the FDA or DEA may take with respect to *Horizant* may limit *Horizant's* marketing approval. Any failure or delay in commencing or completing clinical trials or obtaining regulatory approvals for our product candidates would delay commercialization of our product candidates, and severely harm our business and financial condition.

We may depend on collaborations to complete the development, regulatory approval and commercialization of some of our product candidates. These collaborations may place the development of our product candidates outside our control, may require us to relinquish important rights, may otherwise be on terms unfavorable to us and may ultimately not be successful.

In December 2005, we entered into a collaboration agreement with Astellas for the development and commercialization of gabapentin enacarbil, also known as *Regnite*, in Japan, Korea, the Philippines, Indonesia, Thailand and Taiwan. In February 2007, we entered into an exclusive collaboration agreement with GSK to develop and commercialize gabapentin enacarbil worldwide, excluding the Astellas territory. Following a significant dispute in 2012, including the filing of lawsuits in California and Delaware, in November 2012, we terminated our collaboration agreement with GSK pursuant to a termination and transition agreement, under which the product rights to *Horizant* will return to us.

In addition to our collaboration with Astellas, we may enter into collaborations with third parties to further develop and commercialize *Horizant*/gabapentin enacarbil and/or to develop and commercialize some of our product candidates. Our dependence on Astellas for the development and commercialization of *Regnite* subjects us to, and our dependence on future collaborators for development and commercialization of *Horizant*/ gabapentin enacarbil or our product candidates will subject us to, a number of risks, including:

- we are not able to control the amount and timing of resources that Astellas devotes to the development or commercialization of *Regnite* or to its marketing and distribution;
- disputes may arise between us and our collaborators, such as the litigation proceedings with GSK in 2012, that result in the delay or termination of the research, development or commercialization of our product candidates or that result in costly litigation or arbitration that diverts management's attention and resources;
- we may not be able to control the amount and timing of resources that our potential future collaborators may devote to the development or commercialization of products and product candidates or to their marketing and distribution;
- collaborators may delay clinical trials, provide insufficient funding for a clinical trial program, stop a clinical trial or abandon a product candidate, repeat or conduct new clinical trials or require a new formulation of a product candidate for clinical testing;
- if we do not receive timely and accurate information from any collaborator or our third-party vendors regarding sales activities, expenses and resulting operating profits and losses, our estimates at a given point of time could be incorrect and we could be required to record adjustments in future periods or restate our financial results for prior periods;
- collaborators may not be successful in their efforts to obtain regulatory approvals in a timely manner, or at all;
- collaborators may receive regulatory sanctions relating to other aspects of their business that could adversely affect the approval or commercialization of our product candidates;
- collaborators may not properly maintain or defend our intellectual property rights or may use our proprietary information in such a way as to invite litigation that could jeopardize or invalidate our proprietary information or expose us to potential litigation;
- business combinations or significant changes in a collaborator's business strategy may also adversely affect a collaborator's willingness or ability to complete its obligations under any arrangement;
- a collaborator could independently move forward with a competing product candidate developed either independently or in collaboration with others, including our competitors;
- collaborators may experience financial difficulties; and
- the collaboration agreements may be terminated or allowed to expire, which would delay the development or commercialization and may increase the cost of developing or commercializing our product candidates.

For example, in October 2007, we entered into a collaboration agreement with Xanodyne Pharmaceuticals, Inc. for the development and commercialization of XP21510 in the United States. Effective July 2009, Xanodyne terminated the collaboration agreement. Likewise, our collaboration with GSK was not successful and was terminated following a significant dispute and litigation related to GSK's performance under the collaboration.

We cannot control the amount and timing of resources that Astellas devotes to the development or commercialization of *Regnite* or their marketing and distribution. Astellas may abandon further development of *Regnite*, may not pursue development in any additional countries in the Astellas territory other than Japan and may terminate their collaboration agreement with us at any time, which could delay or impair the development and commercialization of *Regnite* and harm our business.

If we do not establish collaborations for our product candidates, we may have to alter our development and commercialization plans.

Our strategy includes selectively collaborating with leading pharmaceutical and biotechnology companies to assist us in furthering development and potential commercialization of some of our product candidates. We intend to do so, especially for indications that involve a large, primary care market that must be served by large sales and marketing organizations or to develop and commercialize product candidates that fall outside our core focus or our core development capabilities. We face significant competition in seeking appropriate collaborators, and these collaborations are complex and time consuming to negotiate and document. We may not be able to negotiate additional collaborations on acceptable terms, or at all. We are unable to predict when, if ever, we will enter into any additional collaborations because of the numerous risks and uncertainties associated with establishing additional collaborations. If we are unable to negotiate additional collaborations, we may not be able to maximize the market opportunity of a product, or we may have to curtail the development of a particular product candidate, reduce or delay its development program or one or more of our other development programs, delay its potential commercialization, reduce the scope of our sales or marketing activities or increase our expenditures and undertake development or commercialization activities at our own expense. If we elect to increase our expenditures to fund development or commercialization activities on our own, we may need to obtain additional capital, which may not be available to us on acceptable terms, or at all. If we do not have sufficient funds, we will not be able to bring our product candidates to market and generate product revenues.

We may not develop additional prodrug product candidates.

As part of a restructuring in March 2010, we eliminated our discovery research department, which prevents our ability to discover additional product candidates at this time. If we are unable to develop suitable product candidates from our internal efforts, we may pursue additional product candidates through in-licensing. Any growth through in-licensing would depend upon the availability of suitable product candidates at favorable prices and upon advantageous terms and conditions. To obtain additional product candidates, we may also reconstitute our discovery research department, which would require the expenditure of significant resources and the identification and hiring of a number of highly-skilled employees. Such efforts could divert the time and resources from the later-stage development or commercialization of *Horizant* or our product candidates.

If we are unable to develop or obtain suitable product candidates, we will not be able to increase our revenues in future periods, which could result in significant harm to our financial position and adversely impact our stock price.

If we fail to attract and keep senior management and key scientific personnel, we may be unable to successfully develop or commercialize Horizant or our product candidates.

Our success depends on our continued ability to attract, retain and motivate highly qualified management, clinical and scientific personnel and on our ability to develop and maintain important relationships with leading clinicians. If we are not able to retain our key personnel, we may not be able to successfully develop or commercialize *Horizant* or our product candidates. Competition for experienced scientists and development staff may limit our ability to hire and retain highly qualified personnel on acceptable terms. In addition, none of our employees have employment commitments for any fixed period of time and could leave our employment at will. We do not carry "key person" insurance covering members of senior management or key scientific personnel. If we fail to identify, attract and retain qualified personnel, we may be unable to continue our development and commercialization activities.

If we use biological and hazardous materials in a manner that causes contamination or injury or violates laws, we may be liable for damages.

Our development activities involve the use of potentially harmful biological materials as well as hazardous materials, chemicals and various radioactive compounds. We cannot completely eliminate the risk of accidental contamination or injury from the use, storage, handling or disposal of these materials. In the event of

contamination or injury, we could be held liable for damages that result, and any liability could exceed our resources. We, the third parties that conduct clinical trials on our behalf and the third parties that manufacture *Horizant* or our product candidates are subject to federal, state and local laws and regulations governing the use, storage, handling and disposal of these materials and waste products. The cost of compliance with these laws and regulations could be significant. The failure to comply with these laws and regulations could result in significant fines and work stoppages and may harm our business.

Our facility is located in California's Silicon Valley, in an area with a long history of industrial activity and use of hazardous substances, including chlorinated solvents. Environmental studies conducted prior to our leasing of the site found levels of metals and volatile organic compounds in the soils and groundwater at our site. While these constituents of concern predated our occupancy, certain environmental laws, including the U.S. Comprehensive, Environmental Response, Compensation and Liability Act of 1980, impose strict, joint and several liability on current operators of real property for the cost of removal or remediation of hazardous substances. These laws often impose liability even if the owner or operator did not know of, or was not responsible for, the release of such hazardous substances. As a result, while we have not been, we cannot rule out the possibility that we could in the future be held liable for costs to address contamination at the property beneath our facility, which costs could be material.

Our facility is located near known earthquake fault zones, and the occurrence of an earthquake, extremist attack or other catastrophic disaster could cause damage to our facilities and equipment, which could require us to cease or curtail operations.

Our facility is located near known earthquake fault zones and, therefore, is vulnerable to damage from earthquakes. In October 1989, a major earthquake struck this area and caused significant property damage and a number of fatalities. We are also vulnerable to damage from other types of disasters, including power loss, attacks from extremist organizations, fire, floods and similar events. If any disaster were to occur, our ability to operate our business could be seriously impaired. We may not have adequate insurance to cover our losses resulting from disasters or other similar significant business interruptions, and we do not plan to purchase additional insurance to cover such losses due to the cost of obtaining such coverage. Any significant losses that are not recoverable under our insurance policies could seriously impair our business and financial condition.

Risks Related to Ownership of our Common Stock

Our stock price is volatile, and purchasers of our common stock could incur substantial losses.

The market prices for securities of biopharmaceutical companies in general have been highly volatile. The market price of our common stock may be influenced by many factors, including:

- the commercial sales of *Horizant, Regnite* or any of our other products approved by the FDA or its foreign counterparts;
- the costs to establish and maintain adequate sales, marketing and commercial capabilities to assume control of, and to commercialize, *Horizant* following the end of the transition period under our termination and transition agreement with GSK;
- adverse results or delays in our or our collaborative partners' clinical trials;
- the timing of achievement of our clinical, regulatory, partnering and other milestones, such as the commencement of clinical development, the completion of a clinical trial, the filing for regulatory approval or the establishment of commercial partnerships for one or more of our product candidates;
- announcement of FDA approvability, approval or non-approval of our product candidates, and the timing of the FDA review process;
- actions taken by regulatory agencies with respect to *Horizant, Regnite* or our product candidates, our clinical trials or our sales and marketing activities;

- actions taken by regulatory agencies with respect to products or drug classes related to *Horizant*, *Regnite* or our product candidates;
- changes in our collaborators' business strategies;
- developments in our relationship with Astellas, including potential disputes or the termination or modification of our agreement with Astellas;
- regulatory developments in the United States and foreign countries;
- changes in the structure of healthcare payment systems;
- any intellectual property matter involving us, including infringement lawsuits;
- actions taken by regulatory agencies with respect to our or our partners' compliance with regulatory requirements;
- announcements of technological innovations or new products by us or our competitors;
- market conditions for equity investments in general, or the biotechnology or pharmaceutical industries in particular;
- changes in financial estimates or recommendations by securities analysts;
- sales of large blocks of our common stock;
- sales of our common stock by our executive officers, directors and significant stockholders;
- restatements of our financial results and/or material weaknesses in our internal controls; and
- the loss of any of our key scientific or management personnel.

The stock markets in general and the markets for biotechnology stocks in particular, have experienced extreme volatility that has often been unrelated to the operating performance of particular companies. These broad market fluctuations may adversely affect the trading price of our common stock. In the past, purported class action lawsuits have often been instituted against companies, including our company, whose securities have experienced periods of volatility in market price. Any such litigation brought against us could result in substantial costs, which would hurt our financial condition and results of operations, divert management's attention and resources and possibly delay our clinical trials or commercialization efforts.

Failure to maintain effective internal controls in accordance with Section 404 of the Sarbanes-Oxley Act of 2002 could have a material adverse effect on our stock price.

Section 404 of the Sarbanes-Oxley Act of 2002 and the related rules and regulations of the Securities and Exchange Commission, or SEC, require annual management assessments of the effectiveness of our internal control over financial reporting and a report by our independent registered public accounting firm attesting to, and reporting on, the effectiveness of our internal control over financial reporting. If we fail to maintain the adequacy of our internal control over financial reporting, as such standards are modified, supplemented or amended from time to time, we may not be able to ensure that we can conclude on an ongoing basis that we have effective internal control over financial reporting in accordance with Section 404 of the Sarbanes-Oxley Act of 2002 and the related rules and regulations of the SEC. If we cannot favorably assess, or our independent registered public accounting firm is unable to provide an unqualified attestation report on, the effectiveness of our internal control over financial reporting, investor confidence in the reliability of our financial reports may be adversely affected, which could have a material adverse effect on our stock price.

Fluctuations in our operating results could cause our stock price to decline.

The following factors are likely to result in fluctuations of our operating results from quarter to quarter and year to year:

- the commercial sales of *Horizant*, *Regnite* or any of our other products approved by the FDA or its foreign counterparts;
- the costs to establish and maintain adequate sales, marketing and commercial capabilities to assume control of, and to commercialize, *Horizant*, and the costs associated with fulfilling the remaining, and any additional future, PMCs and PMRs for *Horizant*;
- adverse results or delays in our or our collaborative partners' clinical trials;
- the timing and achievement of our clinical, regulatory, partnering and other milestones, such as the commencement of clinical development, the completion of a clinical trial, the filing for regulatory approval or the establishment of a commercial partnership for one or more of our product candidates;
- announcement of FDA approvability, approval or non-approval of our product candidates and the timing of the FDA review process;
- actions taken by regulatory agencies with respect to *Horizant*, *Regnite* or our product candidates, our clinical trials or our sales and marketing activities;
- actions taken by regulatory agencies with respect to products or drug classes related to *Horizant*, *Regnite* or our product candidates;
- changes in our collaborators' business strategies;
- developments in our relationship with Astellas, including potential disputes or the termination or modification of our agreement with Astellas;
- actions taken by regulatory agencies with respect to our or our partners' compliance with regulatory requirements;
- regulatory developments in the United States and foreign countries;
- changes in the structure of healthcare payment systems;
- any intellectual property matter involving us, including patent infringement lawsuits; and
- announcements of technological innovations or new products by us or our competitors.

Due to these fluctuations in our operating results, a period-to-period comparison of our results of operations may not be a good predictor of our future performance. For example, due primarily to the recognition of revenues from up-front, milestone and contingent event-based payments from our collaboration agreements with Astellas and GSK, we were profitable for the year ended December 31, 2007 and in the three months ended June 30, 2011. However, while recognition of these revenues resulted in a profitable year for those periods, we incurred net losses in each full year since 2007. In any particular financial period, the actual or anticipated fluctuations could be below the expectations of securities analysts or investors and our stock price could decline.

Because a small number of existing stockholders own a large percentage of our voting stock, they may be able to exercise significant influence over our affairs, acting in their best interests and not necessarily those of other stockholders.

As of March 1, 2013, our executive officers, directors and holders of 5% or more of our outstanding common stock, based upon information known to us and derived from Schedules 13G filed with the SEC, beneficially owned approximately 72% of our common stock. The interests of this group of stockholders may not always coincide with our interests or the interests of other stockholders. This concentration of ownership could also have the effect of delaying or preventing a change in our control or otherwise discouraging a potential acquiror from attempting to obtain control of us, which in turn could reduce the price of our common stock.

Our stockholder rights plan and anti-takeover provisions in our charter documents and under Delaware law could make an acquisition of us, which may be beneficial to our stockholders, more difficult and may prevent attempts by our stockholders to replace or remove our current management.

Provisions in our amended and restated certificate of incorporation and bylaws may delay or prevent an acquisition of us, a change in our management or other changes that stockholders may consider favorable. These provisions include:

- a classified board of directors;
- a prohibition on actions by our stockholders by written consent;
- the ability of our board of directors to issue preferred stock without stockholder approval, which could be used to make it difficult for a third party to acquire us;
- notice requirements for nominations for election to the board of directors; and
- limitations on the removal of directors.

Moreover, we are governed by the provisions of Section 203 of the Delaware General Corporation Law, which prohibits a person who owns in excess of 15% of our outstanding voting stock from merging or combining with us for a period of three years after the date of the transaction in which the person acquired in excess of 15% of our outstanding voting stock, unless the merger or combination is approved in a prescribed manner.

We have adopted a rights agreement under which certain stockholders have the right to purchase shares of a new series of preferred stock, at an exercise price of $140.00 per one one-hundredth of a share, if a person acquires more than 15% of our common stock. The rights plan could make it more difficult for a person to acquire a majority of our outstanding voting stock. The rights plan could also reduce the price that investors might be willing to pay for shares of our common stock and result in the market price being lower than it would be without the rights plan. In addition, the existence of the rights plan itself may deter a potential acquiror from acquiring us. As a result, either by operation of the rights plan or by its potential deterrent effect, mergers and acquisitions of us that our stockholders may consider in their best interests may not occur.

If there are large sales of our common stock, the market price of our common stock could drop substantially.

If our existing stockholders sell a large number of shares of our common stock or the public market perceives that existing stockholders might sell shares of our common stock, the market price of our common stock could decline significantly. As of March 1, 2013, we had 47,245,209 outstanding shares of common stock, substantially all of which may be sold in the public market without restriction.

Item 1B. ***Unresolved Staff Comments.***

Not applicable.

Item 2. ***Properties.***

We lease approximately 103,000 square feet of office and laboratory space in an office building in Santa Clara, California. In October 2012, we entered into a Second Amendment to Lease with SI 34 LLC, or Sobrato, with respect to our current office space at 3410 Central Expressway, Santa Clara, California, or, as amended, the 3410 Lease. The original 3410 Lease commenced in December 2001. This amendment extends the term of the 3410 Lease for an additional two years, so that the 3410 Lease will expire in August 2015. We had also leased approximately 59,000 square feet at an adjacent building at 3400 Central Expressway, Santa Clara, California, but terminated the lease in February 2013. As part of the termination, we are still required to pay rent until the earlier of the landlord entering into a new lease for such building or until the original expiration of the lease in August 2013. The 2012 aggregate annual rental amount payable under the leases was approximately $3.7 million.

Item 3. ***Legal Proceedings.***

In January 2012, we provided a notice of dispute and notice of breach and termination to Glaxo Group Limited, or GSK, that provided notice of our belief that, among other matters, GSK materially breached its contractual obligation to use commercially reasonable efforts to (i) maximize the sales of *Horizant* (gabapentin enacarbil) Extended-Release Tablets in an expeditious manner and (ii) achieve the sales milestones set forth in the collaboration agreement we had entered into with GSK.

On February 23, 2012, GSK filed a complaint, or the GSK Complaint, in the United States District Court for the District of Delaware naming us and other unspecified individuals as defendants. Pursuant to the GSK Complaint, GSK sought declaratory judgment that GSK was not in breach of the agreement and that we did not have the right to terminate the agreement as a result of GSK's performance under the agreement to date. On February 24, 2012, we filed a complaint, or the XenoPort Complaint, in the Superior Court of the State of California in the County of Santa Clara against GSK and its affiliates, GlaxoSmithKline LLC and GlaxoSmithKline Holdings (Americas) Inc., for breach of contract, fraud, breach of fiduciary duty, breach of the covenant of good faith and fair dealing and unfair competition. Pursuant to the XenoPort Complaint, in addition to injunctive and equitable relief, we sought damages for lost profits, damage to the value of *Horizant*, and unattained royalties and milestone payments in an amount to be proven at trial, as well as punitive damages and restitution. In March 2012, GSK filed a Notice of Removal and removed the California state case to the United States District Court for the Northern District of California. A settlement conference was scheduled for October 31, 2012. In November 2012, the parties entered into a termination and transition agreement that provided for a mutual release of claims and resolves all ongoing litigation between the parties. The termination and transition agreement also provided for the termination of the collaboration agreement and the return of rights to *Horizant* to us with certain specified transition assistance, among other matters.

From time to time, we may be involved in additional litigation relating to claims arising out of our ordinary course of business.

Item 4. ***Mine Safety Disclosures.***

Not applicable.

PART II.

Item 5. ***Market for Registrant's Common Equity, Related Stockholder Matters and Issuer Purchases of Equity Securities.***

Market for Registrant's Common Equity

Our common stock is traded on The NASDAQ Global Select Market under the symbol "XNPT." As of March 1, 2013, there were approximately 78 holders of record of our common stock. No cash dividends have been paid on our common stock to date, and we intend to utilize any earnings for development of our business. The following table sets forth, for the periods indicated, the range of high and low intraday sales prices of our common stock as quoted on The NASDAQ Global Select Market for the two most recent fiscal years.

	High	Low
2012		
4th Quarter	$12.98	$7.04
3rd Quarter	11.56	5.82
2nd Quarter	6.35	3.96
1st Quarter	4.88	3.75
2011		
4th Quarter	$ 6.43	$3.46
3rd Quarter	7.90	5.63
2nd Quarter	11.34	5.85
1st Quarter	9.69	5.79

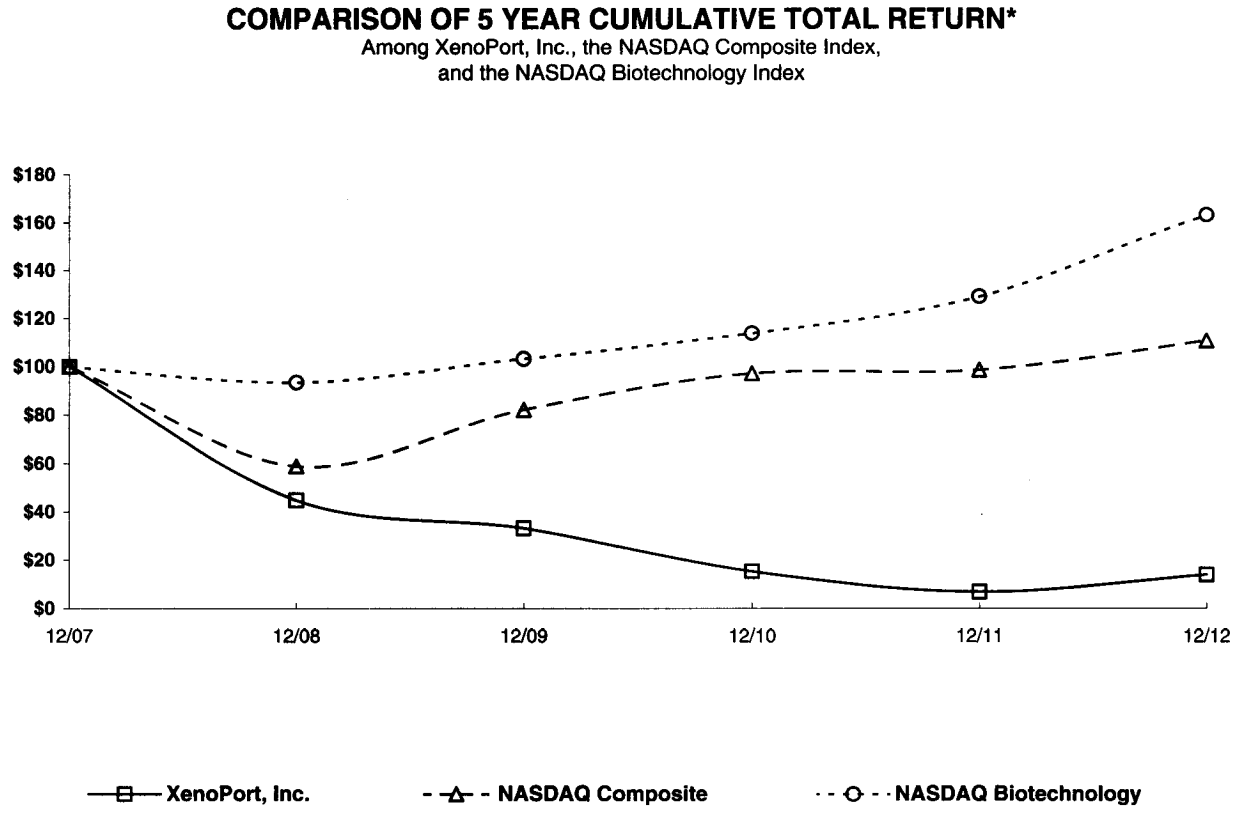
The closing price for our common stock as reported by The NASDAQ Global Select Market on March 1, 2013 was $7.72 per share.

Issuer Purchases of Equity Securities

None.

Performance Measurement Comparison(1)

The following graph shows the total stockholder return of an investment of $100 in cash on December 31, 2007 for: (i) our common stock; (ii) the NASDAQ Composite Index; and (iii) the NASDAQ Biotechnology Index for the five-year period ended December 31, 2012. Pursuant to applicable SEC rules, all values assume reinvestment of the full amount of all dividends; however, no dividends have been declared on our common stock to date. The stockholder return shown on the graph below is not necessarily indicative of future performance, and we do not make or endorse any predictions as to future stockholder returns.



(1) This section is not "soliciting material," is not deemed "filed" with the SEC and is not to be incorporated by reference into any filing of XenoPort under the Securities Act of 1933, as amended, or the Securities Exchange Act of 1934, as amended, whether made before or after the date hereof and irrespective of any general incorporation language in any such filing.

Item 6. ***Selected Financial Data.***

You should read the following selected financial data together with our audited financial statements and related notes and the "Management's Discussion and Analysis of Financial Condition and Results of Operations" section and other financial information included in this Annual Report on Form 10-K.

	Year Ended December 31,				
	2012	2011	2010	2009	2008
	(In thousands, except per share amounts)				
Statement of Operations Data:					
Revenues:					
Net revenue from unconsolidated joint operating activities	$ 10,000	$ 35,000	$ 1,364	$ 24,758	$ 28,981
Collaboration revenue	11,515	8,515	1,515	9,515	13,015
Royalty revenue	109	—	—	—	—
Total revenues	21,624	43,515	2,879	34,273	41,996
Operating expenses (gains):					
Research and development	42,947	43,788	52,546	70,747	83,172
Selling, general and administrative	30,244	30,427	28,323	31,807	26,391
Gain on litigation settlement	(20,499)	—	—	—	—
Restructuring charges	—	2,923	5,275	—	—
Total operating expenses	52,692	77,138	86,144	102,554	109,563
Loss from operations	(31,068)	(33,623)	(83,265)	(68,281)	(67,567)
Interest and other income	254	243	796	1,229	4,640
Interest and other expense	—	—	—	(4)	(19)
Loss before income taxes	(30,814)	(33,380)	(82,469)	(67,056)	(62,946)
Income tax benefit	—	—	—	(722)	(406)
Net loss	$ (30,814)	$ (33,380)	$ (82,469)	$ (66,334)	$ (62,540)
Basic and diluted net loss per share	$ (0.78)	$ (0.94)	$ (2.68)	$ (2.31)	$ (2.48)
Shares used to compute basic and diluted net loss per share	39,434	35,400	30,813	28,766	25,180
Balance Sheet Data:					
Cash, cash equivalents and short-term investments	$139,002	$ 94,442	$108,595	$143,668	$152,783
Working capital	141,317	83,922	99,314	131,749	128,835
Restricted investments	1,955	1,954	1,948	1,933	1,824
Total assets	159,048	104,036	121,229	160,212	169,097
Other noncurrent liability	2,314	—	—	—	—
Accumulated deficit	451,600	420,786	387,406	304,937	238,603
Total stockholders' equity	130,210	75,135	93,959	127,276	121,974

Item 7. *Management's Discussion and Analysis of Financial Condition and Results of Operations.*

Overview

We are a biopharmaceutical company focused on developing and commercializing a portfolio of internally discovered product candidates with an initial focus on neurological disorders. Our innovative product and product candidates are prodrugs that are typically created by modifying the chemical structure of currently marketed drugs, referred to as parent drugs, and are designed to correct limitations in the oral absorption, distribution and/or metabolism of the parent drug. Our marketed product and each of our product candidates are orally-available, patented or patentable molecules that address potential markets with clear unmet medical needs. Our marketed product is approved in the United States, where it is known as Horizant® (gabapentin enacarbil) Extended-Release Tablets, and in Japan, where it is known as Regnite® (gabapentin enacarbil) Extended-Release Tablets. *Horizant* is approved by the U.S. Food and Drug Administration, or FDA, for the treatment of moderate-to-severe primary restless legs syndrome, or RLS, and for the management of postherpetic neuralgia, or PHN, in adults *Regnite* is approved by the Japanese Ministry of Health, Labor and Welfare, or MHLW, as a treatment for patients with RLS.

In addition to our marketed product, we have three product candidates in clinical development. Our lead product candidate, arbaclofen placarbil, or AP, is a potential treatment for patients with spasticity. We are conducting a pivotal Phase 3 clinical trial for AP as a potential treatment for spasticity in patients with multiple sclerosis, or MS, and we anticipate top-line results will be available early in the second quarter of 2013. Our second product candidate, XP21279, is a potential treatment for patients with advanced idiopathic Parkinson's disease, and we plan to continue development of XP21279 to the extent our resources permit or we enter into a collaboration with a third party. We are evaluating our third product candidate, XP23829, in Phase 1 studies with healthy subjects to determine its safety and pharmacokinetic profile. We believe that XP23829 could be a potential treatment for patients with relapsing-remitting MS, or RRMS, psoriasis and/or certain other disorders where the mechanism of action of XP23829 may be relevant.

On November 8, 2012, we executed a termination and transition agreement with Glaxo Group Limited, or GSK, that terminated our development and commercialization agreement with respect to *Horizant*, and also provided for a mutual release of claims and resolved all ongoing litigation between the parties. Pursuant to the termination and transition agreement, during a transition period that will end on April 30, 2013, GSK will continue to exclusively commercialize, promote, manufacture and distribute *Horizant* in the United States. We will not be responsible for any losses associated with the terminated collaboration agreement, are no longer eligible to receive any further milestone payments from GSK and will not receive any revenue or incur any losses from GSK's sales of *Horizant* during the transition period. In addition, prior to the end of the transition period, GSK will provide to us inventory of gabapentin enacarbil in GSK's possession that is not required for use by GSK in the manufacture of *Horizant*. In exchange for such inventory, we will make annual payments to GSK of $1.0 million for six years beginning in 2016. Following the transition period, we will assume all responsibilities for further development, manufacturing and commercialization of *Horizant* in the United States. We have elected to have GSK continue to supply *Horizant* tablets to us for up to six months following the transition period.

Pursuant to a separate stock purchase agreement, or SPA, entered into between us and GSK on November 8, 2012, GSK purchased $20.0 million of our common stock, or an aggregate of 1,841,112 shares at $10.863 per share, which per share price represented a 12.5 percent premium to the average of the closing prices of our common stock for the ten trading days prior to October 31, 2012. In addition, on November 9, 2012, we exercised a put option requiring GSK to purchase an additional 2,190,100 shares of our common stock at $9.132 per share, which per share price represented a 12.5 percent premium to the average of the closing prices of our common stock for the ten trading days prior to November 9, 2012.

Revenues recognized through December 31, 2012 have been primarily comprised of up-front, milestone and contingent event-based payments from our collaboration agreements. However, as a result of our termination and transition agreement with GSK and the return of the commercialization rights to *Horizant* to us, we expect the future composition of our revenues to consist primarily of revenues from *Horizant* product sales. However, we have no experience in commercializing products on our own, and have only limited management expertise in developing a commercial operation. To assume control of and to be prepared to commercialize *Horizant* on

May 1, 2013, we will need to continue to expand our organization and infrastructure substantially. We expect that the expenses of establishing sales and marketing capabilities and a distribution and supply chain infrastructure will be substantial, and these costs may exceed any revenues that we are able to generate from *Horizant* product sales. If we are not able to build the appropriate capabilities and infrastructure prior to the *Horizant* transition scheduled for May 1, 2013, we may not be able to assume control of *Horizant* on May 1, 2013, sales of *Horizant* could suffer and our business will be harmed. In the six months ended December 31, 2011, GSK recorded net sales in the United States of *Horizant* of $2.0 million, and in the year ended December 31, 2012, GSK recorded net sales in the United States of *Horizant* of $6.5 million. Our future product sales of *Horizant* will be dependent upon the success of our strategies for commercialization, promotion, manufacturing and distribution as well as our ability to successfully execute on these activities and to comply with applicable laws, regulations and regulatory requirements. Specifically, our strategy includes contracting with a contract sales organization that will focus our promotion on specialty doctors in certain geographic territories. However, our commercialization strategy for *Horizant* is unproven and our commercialization efforts may not be successful. In addition, our lack of commercialization experience, as an organization and with respect to *Horizant* product sales, will make future operating results difficult to predict.

Gabapentin enacarbil is licensed to Astellas Pharma Inc. in Japan and five other Asian countries. In July 2012, Astellas initiated sales of *Regnite* in Japan. We are entitled to receive percentage-based high-teen royalties on net sales of *Regnite* in Japan, and the royalties will be recognized when royalty payments are received.

During the year ended December 31, 2012, royalty revenue from net sales of *Regnite* in Japan was only $0.1 million. We expect royalty revenues from our collaboration with Astellas to fluctuate based on the results of their commercialization, marketing and distribution efforts of *Regnite* in Japan. Additionally, we expect revenues to fluctuate to the extent we enter into new collaborative agreements for our marketed product or any of our product candidates.

We expect our research and development expenses to increase in 2013 primarily due to the AP Phase 3 spasticity and XP23829 development programs as well as regulatory costs related to the commercialization of *Horizant*. The timing and amount of research and development expenses incurred will primarily depend upon the extent of current or future clinical trials for AP and XP23829 and post-marketing requirements for *Horizant* as well as the related expenses associated with our development organization, regulatory requirements for our product candidates and *Horizant*, advancement of our preclinical program and product candidate manufacturing costs. Our future research and development expenses are subject to numerous assumptions that may prove to be wrong and also are subject to risks related to the difficulty and uncertainty of clinical success and regulatory approvals of our product candidates.

On July 30, 2012, we completed an underwritten public offering of 7,076,922 shares of our common stock at a price to the public of $6.50 per share, including 923,076 shares representing the exercise in full of the over-allotment option granted to the underwriters. Net cash proceeds from the public offering were $43.0 million, after deducting the underwriting discounts and commissions and offering expenses payable by us.

We believe that our existing capital resources, together with interest thereon, will be sufficient to meet our projected operating requirements into the second quarter of 2014. However, we have based our estimate of cash sufficiency on assumptions that may prove to be wrong, and we could utilize our available capital resources sooner than we expect. Further, our operating plan may change, and we may need additional funds to meet operational needs and capital requirements for product development and commercialization sooner than planned. We have no credit facility or committed sources of capital other than potential contingent event-based and royalty payments that we are eligible to receive under our collaboration agreement with Astellas. Pursuant to the termination and transition agreement with GSK, upon the expiration of the transition period, we will be responsible for all *Horizant* commercialization and development activities, including all post-marketing requirements and commitments. Such costs could be greater than we anticipate, and sales of *Horizant* may be less than we anticipate, which could accelerate our need for additional capital.

Critical Accounting Policies and Significant Judgments and Estimates

Our management's discussion and analysis of our financial condition and results of operations is based on our financial statements, which have been prepared in accordance with accounting principles generally accepted in the United States. The preparation of these financial statements requires us to make estimates and assumptions that affect the reported amounts of assets and liabilities and the disclosure of contingent assets and liabilities at the date of the financial statements, as well as the reported revenues and expenses during the reporting periods. On an ongoing basis, we evaluate our estimates and judgments related to each of our critical accounting areas. We base our estimates on historical experience and on various other factors that we believe are reasonable under the circumstances, the results of which form the basis for making judgments about the carrying value of assets and liabilities that are not readily apparent from other sources. Actual results may differ from these estimates under different assumptions or conditions.

Revenue Recognition

Revenue arrangements entered into, or materially modified, through December 31, 2010 are accounted for in accordance with the provisions of the *Revenue Recognition-Multiple-Element Arrangements* topic of the Financial Accounting Standards Board Accounting Standards Codification, or the Codification. A variety of factors were considered in determining the appropriate method of revenue recognition under these arrangements, such as whether the various elements could be considered separate units of accounting, whether there was objective and reliable evidence of fair value for these elements and whether there was a separate earnings process associated with a particular element of an agreement.

The provisions of Accounting Standards Update, or ASU, 2009-13, *Multiple-Deliverable Revenue Arrangements,* or ASU 2009-13, which is included within the Codification as *Revenue Recognition-Multiple-Element Arrangements,* will be applied by us to revenue arrangements entered into, or materially modified, beginning January 1, 2011. Under the provisions of ASU 2009-13, we will use a selling price hierarchy for determining the selling price of a deliverable, which will be used to determine the allocation of consideration to each unit of accounting under an arrangement. The selling price used for each deliverable will be based on vendor-specific objective evidence if available, third-party evidence if vendor-specific objective evidence is not available or estimated selling price if neither vendor-specific objective evidence nor third-party evidence is available. As of December 31, 2012, we had not applied the provisions of ASU 2009-13 to any of our revenue arrangements as we had not entered into any new, or materially modified any current revenue arrangements in 2011 or 2012.

The provisions of ASU 2010-17, *Milestone Method of Revenue Recognition,* or ASU 2010-17, which is included within the Codification as *Revenue Recognition-Milestone Method,* are being applied by us on a prospective basis for milestones achieved starting in 2011.

Where there are multiple deliverables combined as a single unit of accounting, revenues are deferred and recognized over the period during which we remain obligated to perform services. The specific methodology for the recognition of the revenue (e.g., straight-line or according to specific performance criteria) is determined on a case-by-case basis according to the facts and circumstances applicable to a given agreement. For contracts with specific performance criteria, we utilize the performance-based expected revenue method of revenue recognition, which requires that we estimate the total amount of costs to be expended for a given unit of accounting and then recognize revenue equal to the portion of costs expended to date. The estimated total costs to be expended are subject to revision from time-to-time as the underlying facts and circumstances change.

Payments received in excess of revenues recognized are recorded as deferred revenue until such time as the revenue recognition criteria have been met.

Collaboration revenue includes revenue from our current collaboration agreement with Astellas. Net revenue from unconsolidated joint operating activities included all revenue that resulted solely from our terminated collaboration agreement with GSK. We account for the revenue-related activities of these collaboration agreements as follows:

- *Up-front, licensing-type payments.* Up-front, licensing-type payments are assessed to determine whether or not the licensee is able to obtain any stand-alone value from the license. Where this is not the case, we

do not consider the license deliverable to be a separate unit of accounting, and the revenue is deferred with revenue recognition for the license fee being assessed in conjunction with the other deliverables that constitute the combined unit of accounting.

- *Milestones.* Under the provisions of ASU 2010-17, consideration that is contingent upon achievement of a milestone can be recognized in its entirety as revenue in the period in which the milestone is achieved. Recognition will occur only if the consideration earned from the achievement of a milestone meets all the criteria for the milestone to be considered substantive at the inception of the arrangement, such that it: (i) is commensurate with either our performance to achieve the milestone or the enhancement of the value of the item delivered as a result of a specific outcome resulting from our performance to achieve the milestone; (ii) relates solely to past performance; and (iii) is reasonable relative to all deliverables and payment terms in the arrangement.

  The provisions of ASU 2010-17 do not apply to contingent payments for which payment is either contingent solely upon the passage of time or the result of a collaborative partner's performance. We will assess the nature of, and appropriate accounting for, these payments on a case-by-case basis in accordance with the provisions of the *Revenue Recognition* topic of the Codification.

- *Profit and loss sharing.* This represented our share of the profits and losses from the co-promotion of *Horizant* with GSK until the termination of our collaboration agreement. Amounts were recognized in the period in which the related activities occurred, and their financial statement classification was based on our assessment that these activities constituted part of our ongoing central operations.

- *Product royalties.* We are entitled to receive royalties on net sales of *Regnite* in the Astellas territory. Astellas initiated sales of *Regnite* in Japan in July 2012, and we recognize the associated product royalties when they can be reliably measured and collectability is reasonably assured (generally upon receipt of the royalty payment).

Accrued Expenses

As part of the process of preparing financial statements, we are required to estimate accrued expenses. This process involves communicating with our applicable personnel to identify services that have been performed on our behalf and estimating the level of service performed and the associated cost incurred for the service when we have not yet been invoiced or otherwise notified of actual cost. The majority of our service providers invoice us monthly in arrears for services performed. We make estimates of our accrued expenses as of each balance sheet date in our financial statements based on facts and circumstances known to us. We periodically confirm the accuracy of our estimates with selected service providers and make adjustments, if necessary. To date, we have not adjusted our estimate at any particular balance sheet date by any material amount. Examples of estimated accrued expenses include:

- fees paid to contract research organizations in connection with preclinical and toxicology studies and clinical trials;
- fees paid to investigative sites in connection with clinical trials;
- fees paid to contract manufacturers in connection with the production of clinical trial materials; and
- professional service fees.

We base our expenses related to clinical trials on our estimates of the services received and efforts expended pursuant to contracts with multiple research institutions and clinical research organizations that conduct and manage clinical trials on our behalf. The financial terms of these agreements are subject to negotiation, vary from contract to contract and may result in uneven payment flows. Payments under some of these contracts depend on factors such as the successful enrollment of patients and the completion of clinical trial milestones. In accruing service fees, we estimate the time period over which services will be performed and the level of effort to be expended in each period. If we do not identify costs that we have begun to incur or if we underestimate or overestimate the level of services performed or the costs of these services, our actual expenses could differ from our estimates.

Fair Value Measurements

The carrying amounts of certain of our financial instruments, including cash and cash equivalents and short-term investments, are stated at fair value. We account for the fair value of our financial instruments in accordance with the provisions of the *Fair Value Measurement* topic of the Codification.

Fair value is the price that would be received to sell an asset or paid to transfer a liability (an exit price) in an orderly transaction between market participants at the measurement date. We apply the market approach valuation technique for fair value measurements on a recurring basis and attempt to maximize the use of observable inputs and minimize the use of unobservable inputs. The fair value hierarchy prioritizes the inputs to valuation techniques used to measure fair value into three broad levels. All of our cash equivalents and short-term investments are measured using inputs classified at Level 1 or Level 2 within the fair value hierarchy. Level 1 inputs are quoted prices in active markets for identical assets. Level 2 inputs are based upon quoted prices for similar instruments in active markets, quoted prices for identical or similar instruments in markets that are not active and model-based valuation techniques for which all significant inputs are observable in the market or can be corroborated by observable market data for substantially the full term of the assets. Level 3 inputs are unobservable inputs that are supported by little or no market activity and are significant to the fair value of the assets or liabilities. Where applicable, these models project future cash flows and discount the future amounts to a present value using market-based observable inputs obtained from various third-party data providers, including but not limited to, benchmark yields, interest rate curves, reported trades, broker/dealer quotes and market reference data.

Stock-Based Compensation

The provisions of the *Compensation — Stock Compensation* topic of the Codification establish accounting for stock-based awards exchanged for employee services. In accordance with the topic, for stock options, awards and stock purchase rights granted under the 2005 Employee Stock Purchase Plan, stock-based compensation cost is measured on the grant date, based on the fair value of the award, and is recognized as expense over the requisite employee service period.

We estimate the fair value of stock options and stock purchase rights using a Black-Scholes valuation model. The fair value of each option grant is estimated on the date of grant using the Black-Scholes option valuation model, and the resulting charge is expensed using the straight-line attribution method over the vesting period. Restricted stock units are measured at the fair value of our common stock on the date of grant and expensed over the period of vesting using the straight-line attribution approach. The *Compensation — Stock Compensation* topic of the Codification requires the use of option-pricing models that were not developed for use in valuing employee stock options. The Black-Scholes option-pricing model was developed for use in estimating the fair value of short-lived, exchange-traded options that have no vesting restrictions and are fully transferable. In addition, option-pricing models require the input of highly subjective assumptions, including the option's expected life and the price volatility of the underlying stock. Historically, we derived both the expected life and the expected stock price volatility assumptions using data obtained from similar entities, taking into consideration factors such as industry, stage of life cycle, size and financial leverage. On a prospective basis, beginning in the first quarter of 2011, we have determined that our historical volatility can be used as a reasonable basis to derive the expected stock price volatility assumption and have applied our historical volatility when valuing employee stock options granted beginning in the first quarter of 2011.

We account for stock compensation arrangements to non-employees in accordance with the *Equity-Based Payments to Non-Employees* topic of the Codification, using a fair value approach. The compensation costs of these arrangements are subject to remeasurement over the vesting terms as earned.

Nonretirement Postemployment Benefits

On May 31, 2012, we adopted the XenoPort Amended and Restated 2012 Severance Plan, or the 2012 Severance Plan, for the benefit of our non-executive employees. Under the terms of the 2012 Severance Plan, a non-executive employee terminated by us because of elimination of his or her position is eligible to receive

continuation of medical insurance under COBRA and specified severance payments based on the employee's level and years of service with us. We account for employee termination benefits in accordance with the provisions of the *Compensation-Nonretirement Postemployment Benefits* topic of the Codification and record employee termination liabilities once they are both probable and estimable for severance provided under our existing severance program.

Research and Development Expenses

Research and development expenses consisted of costs associated with both partnered and unpartnered research activities, as well as costs associated with our drug discovery efforts, conducting preclinical studies and clinical trials, manufacturing development efforts and activities related to regulatory filings. Research and development expenses are comprised of: external research and development expenses incurred under agreements with (i) third-party contract research organizations and investigative sites, where a substantial portion of our preclinical studies and all of our clinical trials are conducted, (ii) third-party manufacturing organizations, where a substantial portion of our preclinical supplies and all of our clinical supplies are produced and (iii) consultants; employee-related expenses, which include salaries and benefits; and facilities, depreciation and amortization and other allocated expenses, which include direct and allocated expenses for rent and maintenance of facilities, depreciation of leasehold improvements and equipment and laboratory and other supplies. We use our employee and infrastructure resources across multiple research projects, including our drug development programs. We do not allocate our employee and infrastructure costs on a project-by-project basis.

Our current portfolio of proprietary product candidates is summarized in the table below. The table summarizes development initiatives, including the related stages of development and the direct, third-party research and development expenses recognized in connection with each of our product candidates. The information in the column labeled "Estimated Completion of Current Phase" is our current estimate of the timing of completion of the current phase of development. The actual timing of completion could differ materially from the estimates provided in the table. For a discussion of the risks and uncertainties associated with the timing of completing a product development phase, see the "Our success also depends substantially on our product candidates that are still under development. If we are unable to bring any of these product candidates to market, or experience significant delays in doing so, our ability to generate product revenue and our likelihood of success will be reduced;" "If third parties do not manufacture *Horizant*, *Regnite* or our product candidates in sufficient quantities or at an acceptable cost, commercialization of *Horizant* and *Regnite* and clinical development and commercialization of our product candidates would be harmed or delayed;" "If we are required to obtain alternate third-party manufacturers, it could delay or prevent the clinical development and commercialization of our product candidates;" "Use of third-party manufacturers may increase the risk that we will not have adequate supplies of *Horizant* or our product candidates;" "If our preclinical studies do not produce successful results or our clinical trials do not demonstrate safety and efficacy in humans, we will not be able to commercialize our product candidates;" "Any failure or delay in commencing or completing clinical trials for our product candidates could severely harm our business;" "We rely on third parties to conduct our clinical trials. If these third parties do not perform as contractually required or expected, we may not be able to obtain regulatory approval for, or commercialize, our product candidates;" "If we or Astellas are not able to obtain or maintain required regulatory approvals, we or Astellas will not be able to commercialize *Horizant*, *Regnite* or our product candidates, our ability to generate revenue will be materially impaired and our business will not be successful;" and "If we do not establish collaborations for our product candidates, we will have to alter our development and commercialization plans" sections of "Risk Factors."

Product Candidate	Description	Phase of Development	Estimated Completion of Current Phase	Related R&D Expenses Year Ended December 31, 2012	2011	2010
				(In thousands)		
Preclinical and clinical development						
AP*	Spasticity GERD	Phase 3 Discontinued in 2011	2013 N/A	13,224	10,673	15,729
XP21279	Parkinson's disease	Phase 2 completed	Pending resources	208	2,547	2,580
XP23829	RRMS	Phase 1	2013	3,502	2,743	—
Other(1)				26,013	27,825	24,694
Total preclinical and clinical development				42,947	43,788	43,003
Research(2)				—	—	9,543
Total research and development				$42,947	$43,788	$52,546

* Arbaclofen placarbil, previously known as XP19986. Related R&D expenses included costs for both the spasticity and gastroesophageal reflux disease, or GERD, indications.

(1) "Other" constitutes preclinical and clinical development costs for our marketed product and product candidates that are not directly allocated to AP, XP21279 or XP23829. For the year ended December 31, 2012, "other" expenses consisted primarily of personnel costs of $17.0 million and office and facilities overhead costs of $6.0 million.

(2) "Research" expenses for the year ended December 31, 2010 consisted primarily of personnel costs and office and facilities overhead costs. As a result of our focus on advancement of our later-stage product candidates and to reduce expenses, we eliminated our discovery research efforts in 2010. The remaining office and facilities overhead costs were reclassified to the "preclinical and clinical development" other expenses for the year ended December 31, 2011.

The largest component of our total operating expenses is our ongoing investment in our research and development activities, including the clinical development of our product candidate pipeline. The process of conducting the clinical research necessary to obtain FDA approval is costly and time consuming. We consider the active management and development of our clinical pipeline to be critical to our long-term success. The actual probability of success for each product candidate and clinical program may be impacted by a variety of factors, including, among others, the quality of the product candidate, early clinical data, investment in the program, competition, manufacturing capability and commercial viability. Furthermore, our strategy includes entering into additional collaborations with third parties to participate in the development and commercialization of at least some of our product candidates. In situations in which third parties have control over the preclinical development or clinical trial process for a product candidate, the estimated completion date is largely under the control of that third party and not under our control. We cannot forecast with any degree of certainty which of our product candidates, if any, will be subject to future collaborations or how such arrangements would affect our development plans or capital requirements.

As a result of the uncertainties discussed above, we are unable to determine the duration and completion costs of our research and development projects or when and to what extent we will generate revenues from the commercialization and sale of any of our product candidates.

Results of Operations

Years Ended December 31, 2012, 2011 and 2010

Revenues

Our collaboration revenue consisted of the recognition of revenues from up-front and milestone payments from our collaboration with Astellas. Our royalty revenue is also from our collaboration with Astellas. Our net revenue from unconsolidated joint operating activities consisted of the recognition of revenues from up-front, milestone and contingent event-based payments and the recognition of our share of operating losses resulting from our election to co-promote *Horizant* in the United States with GSK. In connection with the amendment and restatement of our collaboration agreement with GSK in November 2010, our share of operating losses was forgiven up to a maximum of $10.0 million, and as a result, we did not share in any losses under the collaboration. On November 8, 2012, we reached an agreement with GSK to terminate the amended and restated collaboration agreement. Under the terms of the termination and transition agreement, during a transition period that will end on April 30, 2013, GSK will continue to exclusively commercialize, promote, manufacture and distribute *Horizant* in the United States. We will not be responsible for any losses associated with the terminated collaboration agreement, are not eligible to receive any further milestone and contingent payments from GSK, and we will not receive any revenue or incur any losses from GSK's sales of *Horizant* during the transition period. Following the expiration of the transition period, we will reacquire the exclusive rights to commercialize, promote, manufacture and distribute *Horizant* in the United States.

	Year Ended December 31,			2011 to 2012 Change		2010 to 2011 Change	
	2012	2011	2010	$	%	$	%
	(In thousands, except percentages)						
Net revenue from unconsolidated joint operating activities	$10,000	$35,000	$1,364	$(25,000)	(71)%	$33,636	2,466%
Collaboration revenue	11,515	8,515	1,515	3,000	35%	7,000	462%
Royalty revenue	109	—	—	109	100%	—	—
Total revenues	$21,624	$43,515	$2,879	$(21,891)	(50)%	$40,636	1,411%

The decrease in net revenue from unconsolidated joint operating activities for 2012 compared to 2011 was primarily due to the receipt and recognition of a $30.0 million milestone payment from GSK in connection with the first shipment of *Horizant* to a wholesaler in 2011, and a $5.0 million milestone payment from GSK in connection with the FDA's acceptance for review of the sNDA under Section 505(b)(2) requesting approval of *Horizant* for the potential management of PHN in 2011, compared to the recognition of a $10.0 million contingent payment from GSK in connection with the first commercial sale of *Horizant* for the management of PHN in adults in 2012.

The increase in net revenue from unconsolidated joint operating activities for 2011 compared to 2010 was primarily due to the receipt and recognition in 2011 of a $30.0 million milestone payment from GSK in connection with first shipment of *Horizant* to a wholesaler and a $5.0 million milestone payment from GSK in connection with the FDA's acceptance for review of the sNDA under Section 505(b)(2) requesting approval of *Horizant* for the potential management of PHN.

The increase in collaboration revenue for 2012 compared to 2011 was due to the recognition of a $10.0 million milestone payment from Astellas in connection with the approval of *Regnite* in Japan in 2012, compared to the recognition of a $7.0 million milestone payment from Astellas in connection with FDA approval of the *Horizant* NDA for RLS in 2011.

The increase in collaboration revenue in 2011 compared to 2010 was due to the recognition of a $7.0 million milestone payment from Astellas in connection with FDA approval of the *Horizant* NDA for RLS in 2011.

As a result of our termination and transition agreement with GSK and the return of the *Horizant* commercialization rights to us, we expect the future composition of our revenues to consist primarily of revenues from *Horizant* product sales. Our future product sales of *Horizant* will be dependent upon the success of our

strategies for commercialization, promotion, manufacturing and distribution as well as our ability to successfully execute on these activities and to comply with applicable laws, regulations and regulatory requirements. We expect royalty revenues from our collaboration with Astellas to fluctuate based on the results of their commercialization, marketing and distribution efforts for *Regnite* in Japan. Additionally, we expect revenues to fluctuate to the extent we enter into new collaborative agreements for our marketed product or any of our product candidates.

Research and Development Expenses

Of the total research and development expenses for the years ended December 31, 2012, 2011, and 2010, the costs associated with research and preclinical and clinical development activities approximated the following:

	Year Ended December 31,			2011 to 2012 Change		2010 to 2011 Change	
	2012	2011	2010	$	%	$	%
	(In thousands, except percentages)						
Research	$ —	$ —	$ 9,543	$ —	—	$(9,543)	(100)%
Preclinical and clinical development	42,947	43,788	43,003	(841)	(2)%	785	2%
Total research and development	$42,947	$43,788	$52,546	$(841)	(2)%	$(8,758)	(17)%

The decrease in research and development expenses for 2012 compared to 2011 was principally due to the following:

- decreased net costs for XP21279 of $2.3 million primarily due to decreased clinical and manufacturing costs;
- decreased office and facilities overhead costs of $1.3 million; and
- decreased personnel costs of $0.7 million primarily due to decreased headcount and decreased non-cash stock-based compensation of $0.8 million; partially offset by
- increased net costs for AP of $2.6 million primarily due to increased clinical costs; and
- increased net costs for XP23829 of $0.8 million primarily due to increased clinical costs, partially offset by decreased toxicology costs.

The decrease in research and development expenses for 2011 compared to 2010 was principally due to the following:

- decreased net costs for AP of $5.1 million primarily due to decreased clinical costs;
- decreased personnel costs of $4.7 million primarily due to decreased headcount and decreased non-cash stock-based compensation of $2.7 million;
- decreased supplies and services costs of $0.7 million; and
- decreased office and facilities overhead costs of $0.6 million; partially offset by
- increased net costs for XP23829 of $2.7 million primarily due to increased toxicology costs.

We expect our research and development expenses to increase in 2013 primarily due to the AP Phase 3 spasticity and XP23829 development programs as well as regulatory costs related to the commercialization of *Horizant*. The timing and amount of research and development expenses incurred will primarily depend upon the extent of current or future clinical trials for AP, XP23829 and post-marketing requirements for *Horizant* as well as the related expenses associated with our development organization, regulatory requirements for our product candidates and *Horizant* and product candidate manufacturing costs.

Selling, General and Administrative Expenses

Selling, general and administrative expenses consisted principally of salaries and other related costs for personnel in executive, finance, accounting, business development, information technology, legal, sales, marketing, and human resources functions. Other selling, general and administrative expenses included facility costs not otherwise included in research and development expenses, patent-related costs and professional fees for legal, consulting and accounting services.

	Year Ended December 31,			2011 to 2012 Change		2010 to 2011 Change	
	2012	2011	2010	$	%	$	%
	(In thousands, except percentages)						
Selling, general and administrative . .	$30,244	$30,427	$28,323	$(183)	(1)%	$2,104	7%

Our selling, general and administrative expenses in 2012 remained relatively constant compared to the same period in 2011.

The increase in selling, general and administrative expenses in 2011 compared to 2010 was principally due to increased personnel costs of $0.8 million, consulting costs of $0.8 million and market research costs of $0.6 million.

Pursuant to our termination and transition agreement with GSK, at the end of the transition period on April 30, 2013, we will be responsible for the commercialization and promotion of *Horizant* in the United States. Accordingly, we expect substantial increases in 2013 in selling, general and administrative expenses compared to 2012 levels as we establish sales, marketing, distribution and other commercial capabilities.

Gain on Litigation Settlement

As a result of the termination and transition agreement that we entered into with GSK that provided for a mutual release of claims and resolved all ongoing litigation between the parties, we recorded a gain of $20.5 million in the fourth quarter of 2012.

Restructuring Charges

As a result of the implementation of our March 2010 restructuring plan that resulted in a reduction in force of 107 employees, or approximately 50% of our workforce at the time, we recorded restructuring charges of $5.3 million in the year ended December 31, 2010. The restructuring charges consisted primarily of $3.9 million of leave of absence pay, severance and healthcare benefits, $0.9 million of non-cash stock-based compensation and $0.4 million of property and equipment write-offs. As of December 31, 2010, we had made all cash payments in association with this restructuring plan.

In December 2011, as part of our ongoing evaluation of our facilities requirements in light of future plans, we recorded restructuring charges of $2.9 million in the fourth quarter of 2011 in connection with the permanent cease use of the office space in a building at 3400 Central Expressway, Santa Clara, California. The restructuring charges consisted of $2.5 million of facility-related charges and $0.4 million of property and equipment write-offs. As of December 31, 2012, we expect to make all cash payments associated with this action by August 2013.

Interest and Other Income

	Year Ended December 31,			2011 to 2012 Change		2010 to 2011 Change	
	2012	2011	2010	$	%	$	%
	(In thousands, except percentages)						
Interest and other income	$254	$243	$796	$11	5%	$(553)	(69)%

The interest income for the year ended December 31, 2012 remained relatively constant compared to the year ended December 31, 2011.

The decrease in interest and other income in 2011 compared to 2010 resulted primarily from awards that totaled $0.5 million received and recognized in 2010 through the Qualifying Therapeutic Discovery Project program under section 48D of the Internal Revenue Code of 1986, as amended, which was enacted as part of the Patient Protection and Affordable Care Act of 2010, and, to a lesser extent, earnings on cash equivalents and short-term investments.

Liquidity and Capital Resources

	Year Ended December 31,		
	2012	2011	2010
		(In thousands)	
Cash provided by (used in):			
Operating activities	$(27,629)	$(13,413)	$(64,481)
Investing activities	(35,193)	15,429	20,227
Financing activities	73,570	178	31,191
Capital expenditures (included in investing activities above)	(123)	(225)	(646)

Due to our significant research and development expenditures, we have generated cumulative operating losses since we incorporated in 1999. As such, we have funded our research and development operations primarily through sales of our equity securities, non-equity payments from our collaborators and interest earned on investments. At December 31, 2012, we had available cash and cash equivalents and short-term investments of $139.0 million. Our cash and investment balances are held in a variety of interest-bearing instruments, including corporate debt securities, investments backed by U.S. government-sponsored agencies and money market accounts. Cash in excess of immediate requirements is invested with regard to liquidity and capital preservation, and we seek to minimize the potential effects of concentration and degrees of risk.

Net cash used in operating activities was $27.6 million, $13.4 million and $64.5 million in the years ended December 31, 2012, 2011 and 2010, respectively. The net cash used in operating activities in 2012 primarily reflected our net loss and, to a lesser extent, a gain on litigation settlement, net of stock premium in connection with the stock purchase agreement with GSK, partially offset by non-cash stock-based compensation. The net cash used in operating activities in 2011 primarily reflected our net loss partially offset by non-cash stock-based compensation. The net cash used in operating activities in 2010 primarily reflected our net loss and, to a lesser extent, changes in operating assets and liabilities, partially offset by non-cash stock-based compensation.

Net cash provided by (used in) investing activities primarily reflected the timing of purchases of investments and proceeds from maturities of investments.

Net cash provided by financing activities was $73.6 million, $0.2 million and $31.2 million in the years ended December 31, 2012, 2011 and 2010, respectively. The net cash provided by financing activities in 2012, 2011 and 2010 primarily reflected the net proceeds from the issuance of common stock and the exercise of stock options. Additionally, in 2012, we recorded proceeds from issuance of common stock to GSK in connection with the stock purchase agreement of $30.3 million.

We believe that our existing capital resources, together with interest thereon, will be sufficient to meet our projected operating requirements into the second quarter of 2014. We have based our estimate of cash sufficiency on assumptions that may prove to be wrong, and we could utilize our available capital resources sooner than we expect. Further, our operating plan may change, and we may need additional funds to meet operational needs and capital requirements for product development and commercialization sooner than planned. We have no credit facility or committed sources of capital other than potential contingent event-based and royalty payments that we are eligible to receive under our collaboration agreement with Astellas. Pursuant to the termination and transition agreement with GSK, upon the expiration of the transition period, we will be responsible for all *Horizant* commercialization and development activities, including all post-marketing requirements and commitments. Such costs could be greater than we anticipate, and sales of *Horizant* may be less than we anticipate, which could accelerate our need for additional capital. Our forecast of the period of time through which our financial resources will be adequate to support our operations is a forward-looking statement and involves risks and

uncertainties, and actual results could vary as a result of a number of factors, including the factors discussed in "Risk Factors." Because of the numerous risks and uncertainties associated with the development and commercialization of our marketed product and product candidates, and the extent to which we enter into additional collaborations with third parties to participate in their development and commercialization, we are unable to estimate the amounts of increased capital outlays and operating expenditures associated with our current and anticipated clinical trials. Our future funding requirements will depend on many factors, including:

- the timing, receipt and amount of sales or royalties, if any, from *Horizant*, *Regnite* and our other potential products;
- the timing and costs of our establishment of a sales and marketing, supply chain, distribution, pharmacovigilance, compliance and safety infrastructure to promote *Horizant*;
- the scope, rate of progress, results and cost of our preclinical testing, clinical trials and other research and development activities;
- the cost of manufacturing clinical and commercial supplies of *Horizant* and our product candidates;
- the timing and costs of complying with the remaining post-marketing commitments and post-marketing requirements established in connection with the approval of *Horizant*, and any future additional commitments or requirements imposed on us by the FDA;
- the number and characteristics of product candidates that we pursue, including any additional potential indications for *Horizant*;
- the cost, timing and outcomes of regulatory approvals, if any;
- the terms and timing of any collaborative, licensing and other arrangements that we may establish or modify;
- the cost and expenses associated with any potential litigation;
- the cost of preparing, filing, prosecuting, defending and enforcing any patent claims and other intellectual property rights; and
- the extent to which we acquire or invest in businesses, products or technologies that complement our business, although we have no commitments or agreements relating to any of these types of transactions.

Until we can generate a sufficient amount of product revenues, if ever, we expect to finance future cash needs through public or private equity offerings, debt financings or corporate collaboration and licensing arrangements. If we raise additional funds by issuing our common stock, or securities convertible into or exchangeable or exercisable for common stock, our stockholders will experience dilution. Any debt financing or additional equity that we raise may contain terms that are not favorable to our stockholders or us. To the extent that we raise additional capital through licensing arrangements or arrangements with collaborative partners, we may be required to relinquish, on terms that are not favorable to us, rights to some of our technologies or product candidates that we would otherwise seek to develop or commercialize ourselves. Our ability to raise additional funds and the terms upon which we are able to raise such funds may be adversely impacted by the uncertainty regarding our financial condition, the commercial prospects of *Horizant* and *Regnite* based on their respective sales to date and our lack of expertise in commercializing products, and/or current economic conditions, including the effects of disruptions to, and volatility in, the credit and financial markets in the United States, Asia, the European Union and other regions of the world, including those resulting from or associated with rising government debt levels.

If we need to raise additional money to fund our operations, funding may not be available to us on acceptable terms, or at all. If we are, or anticipate that we may be, unable to raise additional funds when needed, we may terminate or delay clinical trials for one or more of our product candidates, curtail or delay significant drug development programs, delay our establishment of sales and marketing capabilities or reduce the amount of resources devoted to medical affairs, advertising, promotion or sales of *Horizant*. If we raise additional funds by issuing our common stock, or securities convertible into or exchangeable or exercisable for common stock, our

stockholders will experience dilution. Any debt financing or additional equity that we raise may contain terms that are not favorable to our stockholders or us. To the extent that we raise additional capital through licensing arrangements or arrangements with collaborative partners, we may be required to relinquish, on terms that are not favorable to us, rights to some of our technologies or product candidates that we would otherwise seek to develop or commercialize ourselves.

Off-Balance Sheet Arrangements

We have no material off-balance sheet arrangements as defined in Regulation S-K 303(a)(4)(ii).

Contractual Obligations

Our future contractual obligations at December 31, 2012 were as follows (in thousands):

Contractual Obligations	Total	Less Than 1 Year	1-3 Years	3-5 Years	Greater Than 5 Years
Operating lease obligations	$6,846	$2,975	$3,871	$ —	$ —

In the fourth quarter of 2012, we entered into an amendment to the lease with respect to our current office space at 3410 Central Expressway, Santa Clara, California, or, as amended, the 3410 Lease, which extended the term of the 3410 Lease for an additional two years so that the 3410 Lease will expire on August 27, 2015. The aggregate rent that is due over the two-year extended period is approximately $4.7 million, which amount is included in the table above. Operating lease obligations do not assume the exercise by us of any termination or extension options.

Item 7A. *Quantitative and Qualitative Disclosures About Market Risk.*

The primary objective of our investment activities is to preserve our capital to fund operations. We also seek to maximize income from our investments without assuming significant risk. To achieve our objectives, we maintain a portfolio of cash equivalents and investments in a variety of securities of high-credit quality. As of December 31, 2012, we had cash and cash equivalents and short-term investments of $139.0 million consisting of cash and highly liquid investments deposited in highly rated financial institutions in the United States. A portion of our investments may be subject to interest rate risk and could fall in value if market interest rates increase. However, because our investments are short-term in duration, we believe that our exposure to interest rate risk is not significant and a 1% movement in market interest rates would not have a significant impact on the total value of our portfolio. We actively monitor changes in interest rates.

From time to time, we are subject to exposure to fluctuations in foreign exchange rates in connection with agreements with certain foreign contract manufacturers. To date, the effect of the exposure to these fluctuations in foreign exchange rates has not been material, and we do not expect it to be material in the foreseeable future. We do not hedge our foreign currency exposures. We have not used derivative financial instruments for speculation or trading purposes.

Item 8. *Financial Statements and Supplementary Data.*

The information required by this item is incorporated herein by reference to the financial statements and schedule listed in Item 15 (1) and (2) of Part IV of this Annual Report on Form 10-K.

Item 9. *Changes in and Disagreements With Accountants on Accounting and Financial Disclosure.*

Not applicable.

Item 9A. *Controls and Procedures.*

Evaluation of Disclosure Controls and Procedures

Based on their evaluation as required by paragraph (b) of Rules 13a-15 or 15d-15 of the Securities Exchange Act of 1934, as amended, or the Exchange Act, as of December 31, 2012, our chief executive officer and chief financial officer concluded that our disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) or 15d-15(e)) were effective.

Limitations on the Effectiveness of Controls. A control system, no matter how well conceived and operated, can provide only reasonable, not absolute, assurance that the objectives of the control system are met. Because of inherent limitations in all control systems, no evaluation of controls can provide absolute assurance that all control issues, if any, within an organization have been detected. Accordingly, our disclosure controls and procedures are designed to provide reasonable, not absolute, assurance that the objectives of our disclosure control system are met and, as set forth above, our chief executive officer and chief financial officer have concluded, based on their evaluation as of December 31, 2012, that our disclosure controls and procedures were effective to provide reasonable assurance that the objectives of our disclosure control system were met.

Management's Report on Internal Control over Financial Reporting

Our management is responsible for establishing and maintaining adequate internal control over financial reporting, as such term is defined in Exchange Act Rules 13a-15(f) and 15d-15(f). Our internal control system is designed to provide reasonable assurance regarding the preparation and fair presentation of financial statements for external purposes in accordance with generally accepted accounting principles. All internal control systems, no matter how well designed, have inherent limitations and can provide only reasonable assurance that the objectives of the internal control system are met.

Under the supervision and with the participation of our management, including our chief executive officer and chief financial officer, we conducted an evaluation of the effectiveness of our internal control over financial reporting using the criteria set forth by the Committee of Sponsoring Organizations of the Treadway Commission (COSO) in *Internal Control — Integrated Framework.* Based on our evaluation, management concluded that our internal control over financial reporting was effective as of December 31, 2012.

Ernst & Young LLP, an independent registered public accounting firm, has audited our financial statements included herein and has issued an audit report on the effectiveness of our internal control over financial reporting, which report is included below.

REPORT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

The Board of Directors and Stockholders of XenoPort, Inc.

We have audited XenoPort, Inc.'s internal control over financial reporting as of December 31, 2012, based on criteria established in Internal Control — Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission (the COSO criteria). XenoPort, Inc.'s management is responsible for maintaining effective internal control over financial reporting, and for its assessment of the effectiveness of internal control over financial reporting included in the accompanying Management's Report on Internal Control over Financial Reporting. Our responsibility is to express an opinion on the company's internal control over financial reporting based on our audit.

We conducted our audit in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether effective internal control over financial reporting was maintained in all material respects. Our audit included obtaining an understanding of internal control over financial reporting, assessing the risk that a material weakness exists, testing and evaluating the design and operating effectiveness of internal control based on the assessed risk, and performing such other procedures as we considered necessary in the circumstances. We believe that our audit provides a reasonable basis for our opinion.

A company's internal control over financial reporting is a process designed to provide reasonable assurance regarding the reliability of financial reporting and the preparation of financial statements for external purposes in accordance with generally accepted accounting principles. A company's internal control over financial reporting includes those policies and procedures that (1) pertain to the maintenance of records that, in reasonable detail, accurately and fairly reflect the transactions and dispositions of the assets of the company; (2) provide reasonable assurance that transactions are recorded as necessary to permit preparation of financial statements in accordance with generally accepted accounting principles, and that receipts and expenditures of the company are being made only in accordance with authorizations of management and directors of the company; and (3) provide reasonable assurance regarding prevention or timely detection of unauthorized acquisition, use, or disposition of the company's assets that could have a material effect on the financial statements.

Because of its inherent limitations, internal control over financial reporting may not prevent or detect misstatements. Also, projections of any evaluation of effectiveness to future periods are subject to the risk that controls may become inadequate because of changes in conditions, or that the degree of compliance with the policies or procedures may deteriorate.

In our opinion, XenoPort, Inc. maintained, in all material respects, effective internal control over financial reporting as of December 31, 2012, based on the COSO criteria.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), the balance sheets of XenoPort, Inc. as of December 31, 2012 and 2011, and the related statements of comprehensive loss, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2012 of XenoPort, Inc., and our report dated March 14, 2013 expressed an unqualified opinion thereon.

/s/ ERNST & YOUNG LLP

Redwood City, California
March 14, 2013

Changes in Internal Controls Over Financial Reporting

There were no changes in our internal controls over financial reporting during the fourth quarter of 2012 that have materially affected, or are reasonably likely to materially affect, our internal control over financial reporting.

Item 9B. ***Other Information.***

Not applicable.

PART III.

Certain information required by Part III is omitted from this Annual Report on Form 10-K because we intend to file our definitive proxy statement for our 2013 annual meeting of stockholders, or the Proxy Statement, pursuant to Regulation 14A of the Exchange Act, not later than 120 days after the end of the fiscal year covered by this Annual Report on Form 10-K, and certain information to be included in the Proxy Statement is incorporated herein by reference.

Item 10. ***Directors, Executive Officers and Corporate Governance.***

The information required by this item with respect to our executive officers may be found under the caption, "Executive Officers of the Registrant" in Item 1 of this Annual Report on Form 10-K. The information required by this item relating to our directors and nominees, including information with respect to our audit committee, audit committee financial experts and procedures by which stockholders may recommend nominees to our board of directors, may be found under the section entitled "Proposal 1 — Election of Directors" appearing in the Proxy Statement. Such information is incorporated herein by reference. Information regarding compliance with Section 16(a) of the Exchange Act may be found under the section entitled "Section 16(a) Beneficial Ownership Reporting Compliance" appearing in our Proxy Statement. Such information is incorporated herein by reference.

We have adopted a code of ethics that applies to our employees, officers and directors and incorporates guidelines designed to deter wrongdoing and to promote honest and ethical conduct and compliance with applicable laws and regulations. In addition, the code of ethics incorporates our guidelines pertaining to topics such as conflicts of interest and workplace behavior. We have posted the text of our code of ethics on our Web site at www.XenoPort.com in connection with "Investor Relations/Corporate Governance" materials. In addition, we intend to promptly disclose (1) the nature of any amendment to our code of ethics that applies to our principal executive officer, principal financial officer, principal accounting officer or controller or persons performing similar functions and (2) the nature of any waiver, including an implicit waiver, from a provision of our code of ethics that is granted to one of these specified officers, the name of such person who is granted the waiver and the date of the waiver on our Web site in the future.

Item 11. ***Executive Compensation.***

The information required by this item is included in our Proxy Statement under the sections entitled "Executive Compensation," "Director Compensation," "Compensation Committee Interlocks and Insider Participation" and "Compensation Committee Report" and is incorporated herein by reference.

Item 12. *Security Ownership of Certain Beneficial Owners and Management and Related Stockholder Matters.*

Equity Compensation Plan Information

The following table provides certain information regarding our equity compensation plans in effect as of December 31, 2012:

Plan Category	Number of Securities to be Issued Upon Exercise of Outstanding Options, Warrants and Rights (a)	Weighted-Average Exercise Price of Outstanding Options, Warrants and Rights (b)	Number of Securities Remaining Available for Future Issuance Under Equity Compensation Plans (Excluding Securities Reflected in Column (a))
Equity compensation plans approved by security holders:			
1999 Stock Plan(1)	370,895	$ 4.30	—
2005 Equity Incentive Plan(2)	5,179,289	$13.48	1,108,954
2005 Non-Employee Directors' Stock Option Plan(3)	532,500	$17.66	15,000
2005 Employee Stock Purchase Plan(4)	—	—	148,057
Equity compensation plans not approved by security holders:			
New Hire Option Agreement with Vincent J. Angotti(5)	140,612	$42.59	—
2010 Inducement Award Plan(6)	215,476	$ 6.86	752,901
Total	6,438,772	$13.72	2,024,912

(1) In December 1999, we adopted the 1999 Stock Plan, or the 1999 Plan, which was terminated in June 2005 in connection with our initial public offering so that no further awards may be granted under the 1999 Plan. Although the 1999 Plan has terminated, all outstanding options under the 1999 Plan will continue to be governed by their existing terms.

(2) In January 2005, we adopted the 2005 Equity Incentive Plan, or the 2005 Incentive Plan, which became effective in June 2005 in connection with our initial public offering. A total of 2,000,000 shares of common stock were initially authorized for issuance under the 2005 Incentive Plan. Our board of directors may increase the share reserve of the 2005 Incentive Plan as of each January 1, from January 1, 2006 through January 1, 2015, by an amount determined by our board; provided, however that the increase for any year may not exceed the lesser of (1) 2.5% of the total number of shares of our common stock outstanding on the December 31st of the preceding calendar year or (2) 2,000,000 shares. During the year ended December 31, 2012, the annual increase to the 2005 Incentive Plan reserve was 887,866 shares. Restricted stock unit awards and a performance stock unit award have been granted under the 2005 Incentive Plan and are included in column (a). The outstanding performance stock unit award has a variable amount of securities that may be issued under it depending on certain performance measures. The maximum number of shares of common stock that may be issued under such award, 200,000, has been included in column (a). The weighted-average exercise price in column (b) does not take the performance stock unit award into account, but does include the effect of the restricted stock unit awards under the 2005 Incentive Plan, which awards do not carry an exercise price. At December 31, 2012, the weighted-average exercise price of outstanding options under the 2005 Incentive Plan was $20.88, excluding the restricted stock unit awards.

(3) In January 2005, we adopted the 2005 Non-Employee Directors' Stock Option Plan, or the Directors' Plan, which became effective in June 2005 in connection with our initial public offering. The Directors' Plan provides for the automatic grant of options to purchase shares of our common stock to non-employee directors. A total of 150,000 shares of our common stock were initially authorized for issuance under the Directors' Plan. Our board of directors may increase the share reserve of the Directors' Plan as of each

January 1, from January 1, 2006 through January 1, 2015, by an amount determined by our board; provided, however that the increase for any year may not exceed the excess of (1) the number of shares of our common stock subject to options granted under the Directors' Plan during the preceding calendar year over (2) the number of shares added back to the share reserve of the Directors' Plan during the preceding calendar year from cancellations. During the year ended December 31, 2012, the annual increase to the Directors' Plan reserve was 5,834 shares.

(4) In January 2005, we adopted the 2005 Employee Stock Purchase Plan, or ESPP, which became effective in June 2005 in connection with our initial public offering. The ESPP allows for qualified employees (as defined in the ESPP) to purchase shares of our common stock at a price equal to the lower of 85% of the closing price of our common stock at the beginning of the offering period or 85% of the closing price of our common stock on the date of purchase. A total of 250,000 shares of our common stock were initially authorized for issuance under the ESPP. Our board of directors may increase the share reserve of the ESPP as of each January 1, from January 1, 2006 through January 1, 2015, by an amount determined by our board; provided, however that the increase for any year may not exceed the lesser of (1) 1% of the total number of shares of our common stock outstanding on the December 31st of the preceding calendar year or (2) 250,000 shares. During the year ended December 31, 2012, our board determined that the share reserve of the ESPP was sufficient and did not require an annual increase.

(5) On May 1, 2008, Mr. Angotti was granted a new employee inducement stock award outside of our stockholder approved equity plans consisting of nonqualified stock options to purchase 140,612 shares of our common stock. The stock options have a per share exercise price of $42.59, the closing trading price of our common stock on the NASDAQ Global Market on May 1, 2008. The stock options have a ten-year term and vest over four years, with 25% cliff vesting on the first anniversary of the May 1, 2008 grant date, and 1/48th of the shares subject to the options vesting monthly thereafter.

(6) In May 2010, the 2010 Inducement Award Plan, or the 2010 Inducement Plan, was adopted by our board of directors and became effective. We intend to grant awards under the 2010 Inducement Plan to persons not previously employees or directors of ours (or following *bona fide* periods of non-employment by us and our affiliates) as inducements material to such individuals entering into employment with us and to provide incentives for such persons to exert maximum efforts for our success. A total of 350,000 shares of common stock were initially authorized for issuance under the 2010 Inducement Plan and an additional 625,000 shares were authorized for issuance in 2011. The 2010 Inducement Plan provides for the grant of stock options, stock appreciation rights, restricted stock awards, restricted stock unit awards and other stock awards. Restricted stock unit awards have been granted under the 2010 Inducement Plan and are included in column (a). The weighted-average exercise price in column (b) includes the effect of the restricted stock unit awards under the 2010 Inducement Plan, which awards do not carry an exercise price. At December 31, 2012, the weighted-average exercise price of outstanding options under the 2010 Inducement Plan was $7.33, excluding the restricted stock unit awards.

Security Ownership of Certain Beneficial Owners and Management

The information required by this item relating to security ownership of certain beneficial owners and management is included in our Proxy Statement under the section entitled "Security Ownership of Certain Beneficial Owners and Management" and is incorporated herein by reference.

Item 13. ***Certain Relationships and Related Transactions, and Director Independence.***

The information required by this item is included in our Proxy Statement under the sections entitled "Transactions with Related Persons" and "Proposal 1 — Election of Directors" and is incorporated herein by reference.

Item 14. ***Principal Accountant Fees and Services.***

The information required by this item is incorporated herein by reference to the information included in our Proxy Statement under the section entitled "Proposal 2 — Ratification of Selection of Independent Registered Public Accounting Firm."

PART IV.

Item 15. ***Exhibits, Financial Statement Schedules.***

1. *Index to Financial Statements*

The following Financial Statements are included herein:

2. *Index to Financial Statement Schedules*

None.

All schedules are omitted because they are not applicable, or not required, or because the required information is included in the financial statements or notes thereto.

3. *Exhibits — The following exhibits are included herein or incorporated herein by reference:*

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3.2	Certificate of Amendment of Amended and Restated Certificate of Incorporation(2)
3.3	Amended and Restated Bylaws(3)
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4.1	Specimen Common Stock Certificate(5)
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(35) This certification accompanies the annual report on Form 10-K to which it relates, is not deemed filed with the Securities and Exchange Commission and is not to be incorporated by reference into any filing of the Registrant under the Securities Act of 1933, as amended, or the Securities Exchange Act of 1934, as amended (whether made before or after the date of the Form 10-K), irrespective of any general incorporation language contained in such filing.

SIGNATURES

Pursuant to the requirements of Section 13 or 15(d) of the Securities Exchange Act of 1934, the Registrant has duly caused this report to be signed on its behalf by the undersigned, thereunto duly authorized.

XenoPort, Inc.
(Registrant)

March 14, 2013

/s/ Ronald W. Barrett

Ronald W. Barrett
Chief Executive Officer and Director

March 14, 2013

/s/ William G. Harris

William G. Harris
Senior Vice President of Finance and
Chief Financial Officer
(Principal Financial and Accounting Officer)

POWER OF ATTORNEY

KNOW ALL PERSONS BY THESE PRESENTS, that each person whose signature appears below constitutes and appoints Ronald W. Barrett and William G. Harris, and each of them, as his or her true and lawful attorneys-in-fact and agents, each with the full power of substitution for him or her, and in his or her name and in any and all capacities, to sign any and all amendments to this Annual Report on Form 10-K, and to file the same, with exhibits thereto and other documents in connection therewith, with the Securities and Exchange Commission, granting unto said attorneys-in-fact and agents, and each of them, full power and authority to do and perform each and every act and thing requisite and necessary to be done therewith, as fully to all intents and purposes as he or she might or could do in person, hereby ratifying and confirming all that each of said attorneys-in-fact and agents, and any of them or his or her substitute or substitutes, may lawfully do or cause to be done by virtue hereof.

Pursuant to the requirements of the Securities Exchange Act of 1934, this report has been signed below by the following persons on behalf of the registrant and in the capacities and on the dates indicated:

Signature	Title	Date
/s/ Ronald W. Barrett Ronald W. Barrett	Chief Executive Officer and Director *(Principal Executive Officer)*	March 14, 2013
/s/ William G. Harris William G. Harris	Senior Vice President of Finance and Chief Financial Officer *(Principal Financial and Accounting Officer)*	March 14, 2013
/s/ Paul L. Berns Paul L. Berns	Director	March 14, 2013
/s/ Dennis M. Fenton Dennis M. Fenton	Director	March 14, 2013
/s/ John G. Freund John G. Freund	Director	March 14, 2013
/s/ Catherine J. Friedman Catherine J. Friedman	Director	March 14, 2013
/s/ Jeryl L. Hilleman Jeryl L. Hilleman	Director	March 14, 2013
/s/ Ernest Mario Ernest Mario	Director	March 14, 2013
/s/ William J. Rieflin William J. Rieflin	Director	March 14, 2013
/s/ Wendell Wierenga Wendell Wierenga	Director	March 14, 2013

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REPORT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

The Board of Directors and Stockholders of XenoPort, Inc.

We have audited the accompanying balance sheets of XenoPort, Inc. as of December 31, 2012 and 2011, and the related statements of comprehensive loss, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2012. These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these financial statements based on our audits.

We conducted our audits in accordance with the standards of the Public Company Accounting Oversight Board (United States). Those standards require that we plan and perform the audit to obtain reasonable assurance about whether the financial statements are free of material misstatement. An audit includes examining, on a test basis, evidence supporting the amounts and disclosures in the financial statements. An audit also includes assessing the accounting principles used and significant estimates made by management, as well as evaluating the overall financial statement presentation. We believe that our audits provide a reasonable basis for our opinion.

In our opinion, the financial statements referred to above present fairly, in all material respects, the financial position of XenoPort, Inc. at December 31, 2012 and 2011, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2012, in conformity with U.S. generally accepted accounting principles.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), XenoPort, Inc.'s internal control over financial reporting as of December 31, 2012, based on criteria established in Internal Control — Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission and our report dated March 14, 2013, expressed an unqualified opinion thereon.

/s/ Ernst & Young LLP

Redwood City, California
March 14, 2013

XENOPORT, INC.

BALANCE SHEETS

	December 31, 2012	December 31, 2011
	(In thousands, except per share amount)	
Current assets:		
Cash and cash equivalents	$ 36,134	$ 25,386
Short-term investments	102,868	69,056
Right to the *Horizant* business	13,557	—
Prepaids and other current assets	2,529	3,010
Total current assets	155,088	97,452
Property and equipment, net	1,528	3,921
Restricted investments and other assets	2,432	2,663
Total assets	$ 159,048	$ 104,036
Current liabilities:		
Accounts payable	$ 567	$ 1,032
Accrued compensation	4,875	4,176
Accrued restructuring charges	993	1,627
Accrued preclinical and clinical costs	4,397	4,433
Other accrued liabilities	1,424	747
Deferred revenue	1,515	1,515
Total current liabilities	13,771	13,530
Accrued restructuring charges	—	1,103
Deferred revenue	12,753	14,268
Other noncurrent liability	2,314	—
Commitments and contingencies		
Stockholders' equity:		
Common stock, $0.001 par value; 100,000 and 60,000 shares authorized; 47,068 and 35,515 shares issued and outstanding, at December 31, 2012 and December 31, 2011, respectively	47	35
Additional paid-in capital	581,741	495,902
Accumulated other comprehensive income (loss)	22	(16)
Accumulated deficit	(451,600)	(420,786)
Total stockholders' equity	130,210	75,135
Total liabilities and stockholders' equity	$ 159,048	$ 104,036

The accompanying notes are an integral part of these financial statements.

XENOPORT, INC.

STATEMENTS OF COMPREHENSIVE LOSS

	Year Ended December 31,		
	2012	2011	2010
	(In thousands, except per share amounts)		
Revenues:			
Net revenue from unconsolidated joint operating activities	$ 10,000	$ 35,000	$ 1,364
Collaboration revenue	11,515	8,515	1,515
Royalty revenue	109	—	—
Total revenues	21,624	43,515	2,879
Operating expenses (gains):			
Research and development	42,947	43,788	52,546
Selling, general and administrative	30,244	30,427	28,323
Gain on litigation settlement	(20,499)	—	—
Restructuring charges	—	2,923	5,275
Total operating expenses	52,692	77,138	86,144
Loss from operations	(31,068)	(33,623)	(83,265)
Interest and other income	254	243	796
Net loss	(30,814)	(33,380)	(82,469)
Other comprehensive loss:			
Unrealized gains (losses) on available-for-sale securities	38	(10)	(32)
Comprehensive loss	$ (30,776)	$ (33,390)	$ (82,501)
Basic and diluted net loss per share	$ (0.78)	$ (0.94)	$ (2.68)
Shares used to compute basic and diluted net loss per share	39,434	35,400	30,813

The accompanying notes are an integral part of these financial statements.

XENOPORT, INC.

STATEMENTS OF STOCKHOLDERS' EQUITY

	Common Stock		Additional Paid-In Capital	Accumulated Other Comprehensive Income (Loss)	Accumulated Deficit	Total Stockholders' Equity
	Shares	Amount				
	(In thousands, except share amounts)					
Balance at December 31, 2009	30,403,057	$30	$432,157	$ 26	$(304,937)	$127,276
Issuance of common stock upon exercise of options and vesting of restricted stock units	119,605	—	(358)	—	—	(358)
Issuance of common stock in connection with Employee Stock Purchase Plan	104,100	—	859	—	—	859
Employee stock-based compensation expense	—	—	17,993	—	—	17,993
Issuance of common stock upon public offering, net of offering costs	4,600,000	5	30,685	—	—	30,690
Change in unrealized gains (losses) on investments	—	—	—	(32)	—	(32)
Net loss	—	—	—	—	(82,469)	(82,469)
Balance at December 31, 2010	35,226,762	35	481,336	(6)	(387,406)	93,959
Issuance of common stock upon exercise of options and vesting of restricted stock units	143,969	—	(624)	—	—	(624)
Issuance of common stock in connection with Employee Stock Purchase Plan	143,905	—	802	—	—	802
Employee stock-based compensation expense	—	—	14,388	—	—	14,388
Change in unrealized gains (losses) on investments	—	—	—	(10)	—	(10)
Net loss	—	—	—	—	(33,380)	(33,380)
Balance at December 31, 2011	35,514,636	35	495,902	(16)	(420,786)	75,135
Issuance of common stock upon exercise of options and vesting of restricted stock units	259,650	1	(481)	—	—	(480)
Issuance of common stock in connection with Employee Stock Purchase Plan	185,249	—	763	—	—	763
Employee stock-based compensation expense	—	—	12,281	—	—	12,281
Issuance of common stock upon public offering, net of offering costs	7,076,922	7	43,012	—	—	43,019
Issuance of common stock to Glaxo Group Limited, or GSK	4,031,212	4	30,264	—	—	30,268
Change in unrealized gains (losses) on investments	—	—		38	—	38
Net loss	—	—	—	—	(30,814)	(30,814)
Balance at December 31, 2012	47,067,669	$47	$581,741	$ 22	$(451,600)	$130,210

The accompanying notes are an integral part of these financial statements.

XENOPORT, INC.

STATEMENTS OF CASH FLOWS

	Year Ended December 31,		
	2012	2011	2010
		(In thousands)	
Operating activities			
Net loss	$ (30,814)	$ (33,380)	$ (82,469)
Adjustments to reconcile net loss to net cash used in operating activities:			
Depreciation and amortization	2,516	3,513	4,163
Accretion of investment discounts and amortization of investment premiums, net	1,295	677	1,090
Stock-based compensation expense	12,281	14,388	17,993
Gain on litigation settlement, net of stock premium in connection with the stock purchase agreement with GSK	(11,243)	—	—
Changes in assets and liabilities:			
Prepaids and other current and noncurrent assets	713	(242)	408
Accounts payable	(465)	517	(1,516)
Accrued compensation	699	1,683	(3,160)
Accrued restructuring charges	(1,737)	2,730	—
Accrued preclinical and clinical costs	(36)	(451)	1,775
Accrued unconsolidated joint operating activities	—	—	(1,095)
Other accrued liabilities	677	(1,333)	114
Deferred revenue	(1,515)	(1,515)	(1,784)
Net cash used in operating activities	(27,629)	(13,413)	(64,481)
Investing activities			
Purchases of investments	(147,645)	(141,357)	(144,085)
Proceeds from maturities of investments	112,576	157,017	164,973
Change in restricted investments	(1)	(6)	(15)
Purchases of property and equipment	(123)	(225)	(646)
Net cash provided by (used in) investing activities	(35,193)	15,429	20,227
Financing activities			
Net proceeds from issuance of common stock and exercise of stock options	43,302	178	31,191
Proceeds from issuance of common stock to GSK in connection with the stock purchase agreement	30,268	—	—
Net cash provided by financing activities	73,570	178	31,191
Net increase (decrease) in cash and cash equivalents	10,748	2,194	(13,063)
Cash and cash equivalents at beginning of period	25,386	23,192	36,255
Cash and cash equivalents at end of period	$ 36,134	$ 25,386	$ 23,192
Supplemental disclosure of cash flow information			
Income taxes refunded	$ —	$ —	$ 722
Non-cash investing activity			
Right to the *Horizant* business	$ 13,557	$ —	$ —

The accompanying notes are an integral part of these financial statements.

1. Organization and Summary of Significant Accounting Policies

Nature of Operations

XenoPort, Inc., or the Company, was incorporated in the state of Delaware on May 19, 1999. The Company is a biopharmaceutical company focused on developing and commercializing a portfolio of internally discovered product candidates with an initial focus on neurological disorders. The Company's innovative product and product candidates are prodrugs that are typically created by modifying the chemical structure of currently marketed drugs, referred to as parent drugs, and are designed to correct limitations in the oral absorption, distribution and/or metabolism of the parent drug. The Company's marketed product and each of its product candidates are orally available, patented or patentable molecules that address potential markets with clear unmet medical needs. The Company's facilities are located in Santa Clara, California.

On November 8, 2012, the Company reached an agreement with Glaxo Group Limited, or GSK, to terminate the Amended and Restated Development and Commercialization Agreement dated November 7, 2010, between the Company and GSK (see Note 2 for more information on the collaboration agreement).

Under the terms of the November 2012 termination and transition agreement, during a transition period that will end on April 30, 2013, GSK will continue to exclusively commercialize, promote, manufacture and distribute Horizant (gabapentin enacarbil) Extended-Release Tablets in the United States. The Company will not be responsible for any losses associated with the terminated collaboration agreement, is no longer eligible to receive any further milestone payments from GSK and will not receive any revenue or incur any losses from GSK's sales of *Horizant* during the transition period. GSK will also continue to fully fund the costs associated with the management and conduct of clinical studies initiated by GSK prior to the date of the termination and transition agreement. In addition, prior to the end of the transition period, GSK will provide to the Company inventory of gabapentin enacarbil in GSK's possession that is not required for use by GSK in the manufacture of *Horizant*. In exchange for such inventory, the Company will make annual payments to GSK of $1,000,000 for six years beginning in 2016. Following the transition period, the Company will assume all responsibilities for further development, manufacturing and commercialization of *Horizant* in the United States. GSK is responsible for the commercial manufacture and supply of *Horizant* for the transition period and the Company has elected to have GSK continue to supply *Horizant* tablets to the Company for up to six months following the transition period on pricing terms established under the termination and transition agreement.

Pursuant to a separate stock purchase agreement entered into between the parties on November 8, 2012, GSK purchased $20,000,000 of common stock of the Company, or an aggregate of 1,841,112 shares at $10.863 per share, which per share price represented a 12.5 percent premium to the average of the closing prices of the Company's common stock for the ten trading days prior to October 31, 2012. In addition, the Company was granted the option, or Put Option, exercisable during the period for six months from November 9, 2012, to require GSK to purchase up to an additional $20,000,000 of common stock of the Company at a 12.5 percent premium to the average of the closing prices of the Company's common stock for the ten trading days prior to the day the Company notifies GSK of the Company's decision to exercise this option. On November 9, 2012, the Company exercised the Put Option in full and notified GSK of the same. Pursuant to the terms of the stock purchase agreement, GSK purchased an additional 2,190,100 shares at $9.132 per share, which per share price represented a 12.5 percent premium to the average of the closing prices of the Company's common stock for the ten trading days prior to November 9, 2012.

This termination and transition agreement between the Company and GSK also provided for a mutual release of claims and resolved all ongoing litigation between the parties (see Note 7 for more information).

Basis of Preparation

The Company's financial statements are prepared in accordance with the Financial Accounting Standards Board Accounting Standards Codification, or the Codification, which is the single source for all authoritative U.S. generally accepted accounting principles, or GAAP.

Use of Estimates

The preparation of financial statements in conformity with GAAP requires management to make estimates and assumptions that affect the amounts reported in the financial statements and accompanying notes. Actual results could differ from these estimates.

Fair Value of Financial Instruments

The carrying amounts of certain of the Company's financial instruments, including cash and cash equivalents and short-term investments, are stated at fair value. The Company accounts for the fair value of its financial instruments in accordance with the provisions of the *Fair Value Measurement* topic of the Codification.

Fair value is the price that would be received to sell an asset or paid to transfer a liability (an exit price) in an orderly transaction between market participants at the measurement date. The Company applies the market approach valuation technique for fair value measurements on a recurring basis and attempts to maximize the use of observable inputs and minimize the use of unobservable inputs. The fair value hierarchy prioritizes the inputs to valuation techniques used to measure fair value into three broad levels. All of the Company's cash equivalents and short-term investments are measured using inputs classified at Level 1 or Level 2 within the fair value hierarchy. Level 1 inputs are quoted prices in active markets for identical assets. Level 2 inputs are based upon quoted prices for similar instruments in active markets, quoted prices for identical or similar instruments in markets that are not active and model-based valuation techniques for which all significant inputs are observable in the market or can be corroborated by observable market data for substantially the full term of the assets. Level 3 inputs are unobservable inputs that are supported by little or no market activity and are significant to the fair value of the assets or liabilities. Where applicable, these models project future cash flows and discount the future amounts to a present value using market-based observable inputs obtained from various third-party data providers, including but not limited to, benchmark yields, interest rate curves, reported trades, broker/dealer quotes and market reference data.

Cash Equivalents and Short-Term Investments

The Company considers all highly liquid investments with original maturities of 90 days or less at the time of purchase to be cash equivalents, which primarily consist of money market funds, U.S. government-sponsored agencies and corporate debt securities.

Management determines the appropriate classification of securities at the time of purchase. All investments have been designated as available-for-sale. The Company views its available-for-sale portfolio as available for use in current operations. Accordingly, the Company has classified all investments as short-term, even though the stated maturity may be one year or more beyond the current balance sheet date. Available-for-sale securities are carried at estimated fair value with unrealized gains and losses reported as a component of other comprehensive loss in the statements of comprehensive loss.

The cost of securities is adjusted for amortization of premiums and accretion of discounts to maturity. Such amortization and accretion is included in interest income. Realized gains and losses and declines in value judged to be other-than-temporary on available-for-sale securities, if any, are recorded in interest income and expense. The cost of securities sold is based on the specific-identification method. Interest and dividends are included in interest income.

Restricted Investments

Under a facilities operating lease agreement, the Company is required to secure a letter of credit with cash or securities. At December 31, 2012 and 2011, the Company recorded $1,705,000 and $1,704,000, respectively, of restricted investments related to the letter of credit (see Note 7).

In connection with the Company's license to use radioactive materials in its research facilities, it must maintain a $225,000 letter of credit with the Radiological Health Branch of the State of California. This

requirement has been fulfilled through certificates of deposit with a financial institution. The fair value of the secured amount of $250,000 was classified as restricted investments in the accompanying balance sheets at both December 31, 2012 and 2011.

Concentrations of Risk

The Company invests cash that is not being used for operational purposes. This exposes the Company to credit risk in the event of default by the institutions holding the cash and cash equivalents and available-for-sale securities. The credit risk is mitigated by the Company's investment policy, which allows for the purchase of low risk debt securities issued by the U.S. government, U.S. government-sponsored agencies and highly rated banks and corporations, subject to certain concentration limits. The maturities of these securities are maintained at no longer than 18 months. The Company believes its established guidelines for investment of its excess cash enhances safety and liquidity through its policies on diversification and investment maturity.

Financial instruments that potentially subject the Company to concentrations of credit risk consist principally of cash and cash equivalents and available-for-sale investment securities in high-credit quality debt securities issued by the U.S. government, U.S. government-sponsored enterprises and highly rated banks and corporations. The carrying amounts of cash equivalents and available-for-sale investment securities are stated at fair value.

Property and Equipment

Property and equipment are stated at cost, less accumulated depreciation and amortization. Depreciation and amortization is computed using the straight-line method over the estimated useful lives of the respective assets, which is generally five years for the Company's laboratory equipment and furniture and fixtures and generally three years for the Company's computer equipment and software. Leasehold improvements are amortized over their estimated useful lives or the remaining lease term, whichever is shorter.

Revenue Recognition

Revenue arrangements entered into, or materially modified, through December 31, 2010 are accounted for in accordance with the provisions of the *Revenue Recognition-Multiple-Element Arrangements* topic of the Codification. A variety of factors were considered in determining the appropriate method of revenue recognition under these arrangements, such as whether the various elements could be considered separate units of accounting, whether there was objective and reliable evidence of fair value for these elements and whether there was a separate earnings process associated with a particular element of an agreement.

The provisions of Accounting Standards Update, or ASU, 2009-13, *Multiple-Deliverable Revenue Arrangements,* or ASU 2009-13, which is included within the Codification as *Revenue Recognition-Multiple-Element Arrangements,*will be applied by the Company to revenue arrangements entered into, or materially modified, beginning January 1, 2011. Under the provisions of ASU 2009-13, the Company will use a selling price hierarchy for determining the selling price of a deliverable, which will be used to determine the allocation of consideration to each unit of accounting under an arrangement. The selling price used for each deliverable will be based on vendor-specific objective evidence if available, third-party evidence if vendor-specific objective evidence is not available or estimated selling price if neither vendor-specific objective evidence nor third-party evidence is available. As of December 31, 2012, the Company had not applied the provisions of ASU 2009-13 to any of its revenue arrangements as the Company had not entered into any new, or materially modified any current revenue arrangements in 2011 or 2012.

The provisions of ASU 2010-17, *Milestone Method of Revenue Recognition,* or ASU 2010-17, which is included within the Codification as *Revenue Recognition-Milestone Method*, are being applied by the Company on a prospective basis for milestones achieved starting in 2011.

Where there are multiple deliverables combined as a single unit of accounting, revenues are deferred and recognized over the period during which the Company remains obligated to perform services. The specific

methodology for the recognition of the revenue (e.g., straight-line or according to specific performance criteria) is determined on a case-by-case basis according to the facts and circumstances applicable to a given agreement. For contracts with specific performance criteria, the Company utilizes the performance-based expected revenue method of revenue recognition, which requires that the Company estimate the total amount of costs to be expended for a given unit of accounting and then recognize revenue equal to the portion of costs expended to date. The estimated total costs to be expended are subject to revision from time-to-time as the underlying facts and circumstances change.

Payments received in excess of revenues recognized are recorded as deferred revenue until such time as the revenue recognition criteria have been met.

Collaboration revenue includes revenue from the Company's current collaboration agreement with Astellas Pharma Inc. Net revenue from unconsolidated joint operating activities included all revenue that resulted solely from the Company's terminated collaboration agreement with GSK. The Company accounts for the revenue-related activities of these collaboration agreements as follows:

- *Up-front, licensing-type payments.* Up-front, licensing-type payments are assessed to determine whether or not the licensee is able to obtain any stand-alone value from the license. Where this is not the case, the Company does not consider the license deliverable to be a separate unit of accounting, and the revenue is deferred with revenue recognition for the license fee being assessed in conjunction with the other deliverables that constitute the combined unit of accounting.
- *Milestones.* Under the provisions of ASU 2010-17, consideration that is contingent upon achievement of a milestone can be recognized in its entirety as revenue in the period in which the milestone is achieved. Recognition will occur only if the consideration earned from the achievement of a milestone meets all the criteria for the milestone to be considered substantive at the inception of the arrangement, such that it: (i) is commensurate with either the Company's performance to achieve the milestone or the enhancement of the value of the item delivered as a result of a specific outcome resulting from the Company's performance to achieve the milestone; (ii) relates solely to past performance; and (iii) is reasonable relative to all deliverables and payment terms in the arrangement.

  The provisions of ASU 2010-17 do not apply to contingent payments for which payment is either contingent solely upon the passage of time or the result of a collaborative partner's performance. The Company will assess the nature of, and appropriate accounting for, these payments on a case-by-case basis in accordance with the provisions of the *Revenue Recognition* topic of the Codification.
- *Profit and loss sharing.* This represented the Company's share of the profits and losses from the co-promotion of *Horizant* with GSK. Amounts were recognized in the period in which the related activities occurred, and their financial statement classification was based on the Company's assessment that these activities constituted part of the Company's ongoing central operations.
- *Product royalties.* The Company is entitled to receive royalties on net sales of gabapentin enacarbil (known as *Regnite* in Japan) in the Astellas territory. Astellas initiated sales of *Regnite* in Japan in July 2012, and the Company recognizes the associated product royalties when they can be reliably measured and collectability is reasonably assured (generally upon receipt of the royalty payment).

Research and Development

All research and development costs, including those funded by third parties, are expensed as incurred. Research and development costs consist of salaries, employee benefits, laboratory supplies, costs associated with clinical trials, including amounts paid to clinical research organizations, other professional services and facility costs.

Clinical Trials

The Company accrues and expenses the costs for clinical trial activities performed by third parties based upon estimates of the percentage of work completed over the life of the individual study in accordance with

agreements established with contract research organizations and clinical trial sites. The Company determines the estimates through discussions with internal clinical personnel and external service providers as to progress or stage of completion of trials or services and the agreed upon fee to be paid for such services. Costs of setting up clinical trial sites for participation in the trials are expensed immediately as research and development expenses. Clinical trial site costs related to patient visits are accrued as patients' progress through the trial and are reduced by any payments made to the clinical trial site. Non-refundable advance payments for research and development goods or services are recognized as expense as the related goods are delivered or the related services are provided in accordance with the provisions of the *Research and Development Arrangements* topic of the Codification.

Nonretirement Postemployment Benefits

On May 31, 2012, the Company adopted the XenoPort Amended and Restated 2012 Severance Plan, or the 2012 Severance Plan, for the benefit of the Company's non-executive employees. Under the terms of the 2012 Severance Plan, a non-executive employee terminated by the Company because of elimination of his or her position is eligible to receive continuation of medical insurance under COBRA and specified severance payments based on the employee's level and years of service with the Company. The Company accounts for employee termination benefits in accordance with the provisions of the *Compensation-Nonretirement Postemployment Benefits* topic of the Codification and records employee termination liabilities once they are both probable and estimable for severance provided under the Company's existing severance program.

On June 4, 2012, the Company implemented a reduction in force due to the Company completing certain work projects on its development programs. The Company subsequently retained some of the employees from the June 2012 reduction in force to further develop the Company's XP23829 development program. As a result, the Company recorded net severance benefits charges of $584,000 in the year ended December 31, 2012, which are primarily included in the "Research and development" line of the "Operating expenses" section of the Company's statements of comprehensive loss. As of December 31, 2012, the associated liability balance, included within "Accrued compensation" on the Company's balance sheets, was $126,000.

Stock-Based Compensation

The *Compensation — Stock Compensation* topic of the Codification establishes accounting for stock-based awards exchanged for employee services. In accordance with this topic, for stock options, awards and stock purchase rights granted under the 2005 Employee Stock Purchase Plan, or ESPP, stock-based compensation cost is measured at grant date, based on the fair value of the award, and is recognized as expense over the requisite employee service period.

The effect of recording stock-based compensation under the *Compensation — Stock Compensation* topic was as follows:

	Year Ended December 31,		
	2012	2011	2010
	(In thousands, except per share amounts)		
Stock-based compensation by type of award:			
Employee stock options and awards	$11,956	$14,044	$17,347
ESPP	325	344	646
Total stock-based compensation	$12,281	$14,388	$17,993
Effect on basic and diluted net loss per share	$ (0.31)	$ (0.41)	$ (0.58)

The Company's employee non-cash stock-based compensation was reported as follows:

	Year Ended December 31,		
	2012	2011	2010
		(In thousands)	
Research and development	$ 4,364	$ 5,208	$ 7,930
Selling, general and administrative	7,917	9,180	9,210
	$12,281	$14,388	$17,140

Valuation Assumptions

The Company estimates the fair value of all of its stock options and stock purchase rights on the date of grant using a Black-Scholes valuation model, and the Company expenses the resulting charge using the straight-line attribution method over the vesting period. Restricted stock units are measured at the fair value of the Company's common stock on the date of grant and expensed over the period of vesting using the straight-line attribution approach. The calculation of the Black-Scholes valuations used the following weighted-average assumptions:

	Year Ended December 31,		
	2012	2011	2010
Dividend yield	0%	0%	0%
Volatility for options	0.81	0.77	0.74
Volatility for ESPP	0.65	0.73	1.18
Weighted-average expected life of options (years)	5.09	5.34	5.26
Weighted-average expected life of ESPP rights (years)	0.5	0.5	0.5
Risk-free interest rate for options	0.62-1.02%	0.90-2.26%	1.18-2.58%
Risk-free interest rate for ESPP rights	0.07-0.27%	0.07-0.19%	0.19-0.24%

The Company uses the Black-Scholes option-pricing model in accordance with the provisions of the *Compensation — Stock Compensation* topic of the Codification. The Black-Scholes option-pricing model was developed for use in estimating the fair value of short-lived, exchange-traded options that have no vesting restrictions and are fully transferable. In addition, option-pricing models require the input of highly subjective assumptions, including the option's expected life and the price volatility of the underlying stock. Historically, the Company derived both the expected life and the expected stock price volatility assumptions using data obtained from similar entities, taking into consideration factors such as industry, stage of life cycle, size and financial leverage. On a prospective basis, beginning in the first quarter of 2011, the Company has determined that its historical volatility can be used as a reasonable basis to derive the expected stock price volatility assumption and has applied its historical volatility when valuing employee stock options granted beginning in the first quarter of 2011.

Income Taxes

Income taxes are accounted for in accordance with the *Income Taxes* topic of the Codification using the liability method. Deferred tax assets and liabilities are determined based on the difference between the financial statement and tax bases of assets and liabilities as measured by the enacted tax rates that will be in effect when these differences reverse. The Company provides a valuation allowance against net deferred tax assets if, based upon the available evidence, it is more-likely-than-not that the deferred tax assets will not be realized.

The recognition, derecognition and measurement of a tax position is based on management's best judgment given the facts, circumstances and information available at the reporting date.

As of December 31, 2012, the Company continued to have no unrecognized tax benefits and expected no significant changes in unrecognized tax benefits in the next 12 months.

The Company's policy is to recognize interest and penalties related to the underpayment of income taxes as a component of income tax expense. To date, there have been no interest or penalties charged to the Company in relation to the underpayment of income taxes.

Comprehensive Loss

Beginning in the third quarter of 2011, the Company early adopted the provisions of ASU 2011-05, an amendment of the Codification Topic 220, *Comprehensive Income*, or ASU 2011-05. ASU 2011-05 eliminates the option to present components of other comprehensive income (loss) as part of the statement of changes in stockholders' equity. Under the provisions of ASU 2011-05, the Company presented all non-owner changes in stockholders' equity in a single continuous statement of comprehensive loss. The Company presented: (i) each component of net loss along with total net loss; (ii) each component of other comprehensive loss along with a total for other comprehensive loss; and (iii) a total amount for comprehensive loss. The Company's other comprehensive loss is comprised of unrealized gains (losses) on available-for-sale securities.

Net Loss Per Share

Basic net loss per share is calculated by dividing the net loss by the weighted-average number of common shares outstanding for the period without consideration for potential common shares. Diluted net loss per share is computed by dividing the net loss by the weighted-average number of common shares outstanding for the period plus any dilutive potential common shares for the period determined using the treasury-stock method. For purposes of this calculation, restricted stock units, options to purchase stock and warrants are considered to be potential common shares and are only included in the calculation of diluted net loss per share when their effect is dilutive.

	Year Ended December 31,		
	2012	2011	2010
	(In thousands, except per share amounts)		
Numerator:			
Net loss	$(30,814)	$(33,380)	$(82,469)
Denominator:			
Weighted-average common shares outstanding	39,434	35,400	30,813
Basic and diluted net loss per share	$ (0.78)	$ (0.94)	$ (2.68)
Outstanding securities at period end not included in the computation of diluted net loss per share as they had an anti-dilutive effect:			
Restricted stock units and options to purchase common stock	6,339	5,903	5,168
Warrants outstanding	283	305	305
	6,622	6,208	5,473

2. Collaboration Agreements

Astellas Pharma Inc.

In December 2005, the Company entered into an agreement in which it licensed to Astellas exclusive rights to develop and commercialize gabapentin enacarbil in Japan, Korea, the Philippines, Indonesia, Thailand and Taiwan. The Company received an initial license payment of $25,000,000 in December 2005, which has been deferred and is being recognized on a straight-line basis over the period that the Company expects to remain obligated to provide services. In addition, as of December 31, 2012, the Company was eligible to receive

potential total payments of $60,000,000 upon the occurrence of additional clinical and regulatory events, of which $40,000,000 had been received and recognized through December 31, 2012. The remaining $20,000,000 of potential payments payable under this agreement entail no performance obligation on the part of the Company and are tied solely to the regulatory success of additional indications, and, accordingly, these payments will not be accounted for under the provisions of ASU 2010-17. The Company is also entitled to receive high-teen royalties on net sales of gabapentin enacarbil (known as *Regnite* in Japan) in the Astellas territory. In January 2012, the Japanese Ministry of Health, Labor and Welfare, or MHLW, approved Astellas' new drug application, or NDA, for the use of *Regnite* in Japan as a treatment for patients with moderate-to-severe primary restless legs syndrome, or RLS, and Astellas initiated sales in Japan in July 2012. In each of the years ended December 31, 2012, 2011 and 2010, the Company recognized revenue of $1,515,000 representing amortization of the up-front license payment under this agreement. In the year ended December 31, 2012, the Company also recognized a $10,000,000 milestone payment in connection with the approval of *Regnite* in Japan and, for the year ended December 31, 2011, recognized a $7,000,000 milestone payment in connection with the U.S. Food and Drug Administration, or FDA, approval of gabapentin enacarbil for the treatment of RLS in adults. In the three months ended December 31, 2012, the Company recognized $109,000 in royalty revenue based on the third quarter 2012 net sales. As of December 31, 2012, the Company had recognized an aggregate of $50,841,000 of revenue pursuant to this agreement. At December 31, 2012, $14,268,000 of revenue was deferred under this agreement, of which $1,515,000 was classified within current liabilities and the remaining $12,753,000 was recorded as a noncurrent liability. In addition, the agreement allows Astellas to request that the Company conduct development activities, and the Company remains obligated to provide certain services as originally specified in the December 2005 agreement.

Glaxo Group Limited

Prior to the November 2012 termination and transition agreement (see Note 1 for more information), in January 2012, the Company provided notice to GSK of the Company's belief that, among other matters, GSK had materially breached its contractual obligation. In February 2012, the Company and GSK commenced litigation. The November 2012 termination and transition agreement provided for a mutual release of claims and resolved all ongoing litigation between the parties (see Note 7 for more information).

In February 2007, the Company entered into an exclusive collaboration agreement with GSK to develop and commercialize gabapentin enacarbil in all countries of the world excluding the Astellas territory. In November 2010, the Company amended and restated its collaboration agreement with GSK, pursuant to which the Company reacquired all rights to gabapentin enacarbil outside of the United States previously granted to GSK (which excludes the Astellas territory) and obtained the right, but not the obligation, to pursue development of *Horizant* for: (i) the potential treatment of diabetic peripheral neuropathy; (ii) the potential treatment of postherpetic neuralgia, or PHN, to the extent that a product label would reflect a superiority claim over a currently approved drug; and (iii) any additional indications in the United States. In April 2011, the FDA approved *Horizant* for the treatment of RLS in adults. Shipments of *Horizant* to wholesalers commenced in June 2011, and *Horizant* was commercially launched in July 2011. In June 2012, the FDA approved *Horizant* for the management of PHN in adults. Under the collaboration agreement, GSK remained responsible for further development and regulatory matters with respect to *Horizant* and manufacturing and commercialization of *Horizant* in the United States for all indications.

In March 2007, GSK made an up-front, non-refundable license payment of $75,000,000. Under the terms of the amended and restated collaboration agreement, the Company received $130,000,000 in aggregate clinical and regulatory event-based payments that have been fully recognized through December 31, 2012, including $10,000,000 received and fully recognized in June 2012 in connection with the first commercial sale of *Horizant* for the management of PHN in adults. The Company concluded that the up-front license payment did not have value to GSK on a stand-alone basis without the benefit of the specified development activities that the Company performed in connection with *Horizant* and that the $85,000,000 of milestones paid for clinical trial and pre-clinical activities were either not sufficiently substantive or not sufficiently at risk to be accounted for using the "when-earned" model. Accordingly, these milestones and the up-front payment were combined into one unit of accounting that was recognized over the best estimate of the development period to commercialization of the

product, during which time delivery of substantially all of the efforts required for the completion of the Company's contractual responsibilities under the GSK agreement occurred, and the Company determined that no additional performance obligations resulted from the amended agreement. As of December 31, 2012, the Company had recognized an aggregate of $205,000,000 of up-front license, milestone and contingent event-based payments pursuant to this agreement and no revenue was deferred under this agreement.

The Company exercised its right to a co-promotion arrangement in April 2009, under which all allowable expenses and sales of *Horizant* were accounted for using a joint profit and loss, or P&L, statement, in which the Company and GSK shared in the resulting operating pre-tax profits and losses. Under the amended and restated collaboration agreement, the Company's participation in the co-promotion and joint P&L arrangements remained unchanged, except that the Company could delay the deployment of its sales force for up to three years following the April 2011 approval of *Horizant* in the United States and the Company's share of losses from the joint P&L were forgiven up to a maximum of $10,000,000, and as a result, the Company did not share in any losses under the collaboration agreement. GSK was responsible for: establishing pricing and reimbursement; creating promotional and advertising materials; managed care contracting; receiving, accepting and filling orders; distributing; controlling invoicing, order processing and collecting accounts receivable; and recording sales of *Horizant* in the United States. Expenses that could be charged to the joint P&L statement were the cost of goods and certain costs directly related to *Horizant* marketing and sales. Sales and marketing expenses of *Horizant* that the Company incurred that were not charged to the joint P&L statement were classified as selling, general and administrative operating expenses within the Company's statements of comprehensive loss. The Company concluded that under the original and amended agreements, the potential detail of *Horizant* and the amount from the joint P&L statement together constituted one unit of accounting separate from the previously established milestone and up-front payment unit of accounting. The Company also determined the commercialization of its portfolio of product candidates to be part of its core operations, and accordingly concluded that all revenue resulting from the GSK collaboration agreement is presented in the net revenue from unconsolidated joint operating activities line item in the revenues section of the statements of comprehensive loss in the period the related activities occur. The Company began recording its share of pre-launch operating losses from the joint P&L statement of *Horizant* in the second quarter of 2009, and the total pre-launch operating losses of $1,095,000 recorded as of December 31, 2009 were forgiven and therefore reversed in the fourth quarter of 2010 as a result of the amended and restated development and commercialization agreement in November 2010. No detailing activities were performed by the Company, and, therefore, no detail reimbursements were recognized in the years ended December 31, 2012, 2011 and 2010.

Under the co-promotion arrangement, the Company shared any profits or losses on sales of *Horizant* in the United States at tiered rates that escalated as a function of annual net sales levels, from a low of 20% to a maximum of 50%. The Company could have terminated its co-promotion right and participation in the profit share arrangement at any time upon notice to GSK with no penalty to the Company, resulting in a royalty-based compensation structure, whereby the Company would have received royalties on annual net sales in the United States at tiered rates that escalated as a function of net sales levels from a low of 15% to a maximum of 30%.

The Company's net revenue from unconsolidated joint operating activities from the GSK collaboration agreement was comprised of the following:

	Year Ended December 31,		
	2012	2011	2010
		(In thousands)	
Up-front license and development milestone revenue	$ —	$ —	$ 269
XenoPort's share of pre-launch operating losses	—	—	1,095
Milestone and contingent payments	10,000	35,000	—
Net revenue from unconsolidated joint operating activities	$10,000	$35,000	$1,364

The following table presents the Company's total revenues that have been recognized pursuant to its current collaboration agreement with Astellas and its terminated collaboration agreement with GSK (in thousands):

	Year Ended December 31,		
	2012	2011	2010
Astellas	$11,624	$ 8,515	$1,515
GSK	10,000	35,000	1,364
	$21,624	$43,515	$2,879

3. Acquisitions and Acquisition-Related Items

On November 8, 2012, the Company reached an agreement with GSK to terminate the collaboration agreement dated November 7, 2010 between the Company and GSK (see Note 1 for more information on the termination and transition agreement and see Note 2 for more information on the collaboration agreement).

Pursuant to a separate stock purchase agreement entered into between the parties on November 8, 2012, GSK purchased $20,000,000 of common stock of the Company, or an aggregate of 1,841,112 shares at $10.863 per share, which per share price represented a 12.5 percent premium to the average of the closing prices of the Company's common stock for the ten trading days prior to October 31, 2012. In addition, the Company was granted a Put Option that was exercisable for six months from November 9, 2012 to require GSK to purchase up to an additional $20,000,000 of common stock of the Company at a 12.5 percent premium to the average of the closing prices of the Company's common stock for the ten trading days prior to the day the Company exercises this option. On November 9, 2012, the Company exercised the Put Option in full. The value of the Put Option was the difference between the price paid by GSK for the shares put, $20,000,000, and the value of the shares based on the Company's closing stock price on the day of exercise. On November 9, 2012, pursuant to the exercise of the Put Option, GSK purchased an additional 2,190,100 shares at $9.132 per share.

The Company accounted for the November 2012 termination and transition agreement and the stock purchase agreement with GSK as an equity purchase and an acquisition of assets in accordance with the provisions of the *Business Combinations* topic of the Codification. Under the provisions of the *Business Combinations* topic, the acquisition date for a business is the date on which the Company obtains control of the acquiree. The Company will obtain control of the *Horizant* business at the end of the transition period, April 30, 2013. Accordingly on November 8, 2012, the transaction did not meet the definition of a business combination and the Company accounted for the transaction as an acquisition of assets.

The following table summarizes the fair value of consideration transferred as part of the termination and transition agreement (in thousands):

Cash payable to GSK (recorded as "Other noncurrent liability" on the Company's Balance Sheet for the year ended December 31, 2012)	$ 2,314
Issuance of common shares to GSK	30,268
Settlement of litigation with GSK	20,499
Transaction costs	476
	$53,557

The components of the consideration transferred are described below:

- The cash payable to GSK represents the net present value of the annual payments to GSK of $1,000,000 for six years beginning in 2016.
- The Company recorded the issuance of common shares to GSK based on the Company's closing stock prices on the respective stock issuance dates. The Company issued 1,841,112 and 2,190,100 common shares to GSK on November 8, 2012 and November 9, 2012, respectively.

- The termination and transition agreement provided for a mutual release of claims and resolved all ongoing litigation between the Company and GSK and effectively settled a preexisting relationship (see Note 7 for more information). As a result, the Company recorded a gain on the settlement of litigation, which represented foregone potential future monetary damages. This amount was valued based on a probability weighted scenario analysis that took into consideration the probability of each potential future alternative outcomes of the litigation between the parties.
- The transaction costs represent direct external costs incurred by the Company in connection with the November 2012 transaction.

As a result of the termination and transition agreement, the Company acquired the right to the *Horizant* business. The value of the *Horizant* business, based on a discounted cash flow analysis, is the present value of the Company's estimated future cash flows attributable to the *Horizant* business. The Company recorded $13,557,000 for the right to the *Horizant* business.

4. Cash and Cash Equivalents, Short-Term Investments and Restricted Investments

The following are summaries of cash and cash equivalents, short-term investments and restricted investments (in thousands):

	Cost	Gross Unrealized Gains	Gross Unrealized Losses	Estimated Fair Value
As of December 31, 2012:				
Cash	$ 915	$—	$ —	$ 915
Money market funds	20,897	—	—	20,897
U.S. government-sponsored agencies	11,329	1	—	11,330
Corporate debt securities	105,839	32	(11)	105,860
Certificates of deposit	1,955	—	—	1,955
	$140,935	$33	$(11)	$140,957
Reported as:				
Cash and cash equivalents				$ 36,134
Short-term investments				102,868
Restricted investments				1,955
				$140,957
As of December 31, 2011:				
Cash	$ 2,941	$—	$ —	$ 2,941
Money market funds	18,027	—	—	18,027
U.S. government-sponsored agencies	28,909	3	(1)	28,911
Corporate debt securities	44,581	10	(28)	44,563
Certificates of deposit	1,954	—	—	1,954
	$ 96,412	$13	$(29)	$ 96,396
Reported as:				
Cash and cash equivalents				$ 25,386
Short-term investments				69,056
Restricted investments				1,954
				$ 96,396

At December 31, 2012 and 2011, the contractual maturities of all investments held were less than one year.

No gross realized gains or losses were recognized in 2012, 2011 or 2010.

The Company's available-for-sale investments, which include cash equivalents and short-term investments, are measured at fair value on a recurring basis and are classified at the following fair value hierarchy (see Note 1 for the Company's accounting policy on measuring fair value of financial instruments) (in thousands):

Description	Total As of December 31, 2012	Fair Value Measurements at Reporting Date Using: Quoted Prices in Active Markets for Identical Assets (Level 1)	Significant Other Observable Inputs (Level 2)	Significant Unobservable Inputs (Level 3)
Money market funds	$ 20,897	$20,897	$ —	$ —
U.S. government-sponsored agencies	11,330	—	11,330	—
Corporate debt securities	105,860	—	105,860	—
Total	$138,087	$20,897	$117,190	$ —

Description	Total As of December 31, 2011	Fair Value Measurements at Reporting Date Using: Quoted Prices in Active Markets for Identical Assets (Level 1)	Significant Other Observable Inputs (Level 2)	Significant Unobservable Inputs (Level 3)
Money market funds	$ 18,027	$18,027	$ —	$ —
U.S. government-sponsored agencies	28,911	—	28,911	—
Corporate debt securities	44,563	—	44,563	—
Total	$ 91,501	$18,027	$ 73,474	$ —

5. Property and Equipment

Property and equipment consisted of the following (in thousands):

	December 31, 2012	December 31, 2011
Laboratory equipment	$ 11,478	$ 11,687
Furniture and fixtures	1,283	1,287
Computer equipment and software	5,679	5,676
Leasehold improvements	4,596	4,596
Construction in-progress	104	78
	23,140	23,324
Less: Accumulated depreciation and amortization	(21,612)	(19,403)
Property and equipment, net	$ 1,528	$ 3,921

6. Restructuring

On March 5, 2010, due to a significant delay in the regulatory review of *Horizant*, the Company implemented a restructuring plan to reduce expenses, focus the Company's resources on advancement of its later-stage product candidates and eliminate the Company's discovery research efforts. The restructuring plan resulted in a reduction in force of 107 employees, or approximately 50% of the Company's workforce at the time. The Company provided affected employees with up to 60 days of leave of absence pay in accordance with the *Worker Adjustment and Retraining Notification Act*, and provided 60 days of employee benefits and continued vesting of stock options and awards. Qualified affected employees were also eligible to receive severance payments, transition pay, continuation of medical insurance under COBRA, a two-year extension of exercisability of stock options vested as of May 4, 2010 and outplacement services.

As a result of this restructuring, the Company recorded restructuring charges of $5,275,000 in the three months ended March 31, 2010, which were included on a separate line in the Company's statements of

comprehensive loss, in accordance with the *Exit or Disposal Cost Obligations* topic of the Codification. All restructuring charges recorded in the first quarter of 2010 were paid out by September 30, 2010.

In December 2011, as part of the Company's ongoing evaluation of its facilities requirements in light of future plans and in connection with the permanent cease use of the leased office space in a building at 3400 Central Expressway, Santa Clara, California, the Company recorded restructuring charges of $2,923,000 in accordance with the *Exit or Disposal Cost Obligations* topic of the Codification, which were included on a separate line in the Company's statements of comprehensive loss for the year ended December 31, 2011. The restructuring charges consisted of $2,476,000 of facility-related charges and $447,000 of property and equipment write-offs. As of December 31, 2012, the Company expected to make all cash payments associated with this action by August 2013, which coincides with the end of the lease term for the office space. In the year ended December 31, 2012, the Company made cash payments of $1,737,000. At December 31, 2012 and December 31, 2011, the liability balance, included as "Accrued restructuring charges" on the balance sheets, was $993,000 and $2,730,000, respectively, of which $993,000 and $1,627,000, respectively, was classified within current liabilities, and the remaining $1,103,000 was recorded as a noncurrent liability as of December 31, 2011.

7. Commitments and Contingencies

Operating Leases

In February 2008, the Company entered into a lease for approximately 59,000 square feet of office space at 3400 Central Expressway, Santa Clara, California, the 3400 Lease. The term of the 3400 Lease runs until August 2013, which is 60 months from the date the premises were considered ready for occupation by the Company.

Also in February 2008, the Company amended its lease with respect to the Company's current office space at 3410 Central Expressway, Santa Clara, California, or, as amended, the 3410 Lease, that commenced in December 2001. This amendment extended the term of the 3410 Lease for approximately two years from the original expiration date of December 10, 2011, so that the 3410 Lease would expire in August 2013, on the same date as the 3400 Lease.

In October 2012, the Company amended the 3410 Lease to extend the term for an additional two years, so that the 3410 Lease will expire on August 27, 2015.

In connection with the 3410 Lease, the Company entered into a letter of credit agreement of $1,500,000 in December 2006. The fair value of the certificate of deposit is presented as restricted investments on the balance sheet at $1,705,000 and $1,704,000 at December 31, 2012 and 2011, respectively. This letter of credit is required until the termination of the lease.

The Company recognized rent expense on a straight-line basis over the applicable lease terms. Rent expense, excluding rent expense recognized as part of the restructuring charges recorded in 2011, was $3,185,000, $4,347,000 and $4,443,000 for the years ended December 31, 2012, 2011, and 2010 respectively. Net deferred rent asset of $720,000 and $1,540,000 at December 31, 2012 and 2011, respectively, represented the difference between rent expense recognized and actual cash payments related to the Company's operating leases. At December 31, 2012, net deferred rent was comprised of a current deferred rent asset of $243,000 and a noncurrent deferred rent asset of $477,000. At December 31, 2011, net deferred rent was comprised of a current deferred rent asset of $931,000 and a noncurrent deferred rent asset of $609,000.

At December 31, 2012, future minimum payments under all non-cancelable operating leases were as follows (in thousands):

Year ending December 31:	
2013	$2,975
2014	2,318
2015	1,553
Total minimum lease payments	$6,846

At December 31, 2012, the portion of the total future minimum lease payments that was related to the 3400 Lease was recorded as part of "Accrued restructuring charges" on the balance sheets (see Note 6 for more information).

Guarantees and Indemnifications

The Company, as permitted under Delaware law and in accordance with its bylaws, indemnifies its officers and directors for certain events or occurrences, subject to certain limits, while the officer or director is or was serving at the Company's request in such capacity. The term of the indemnification period is for the officer's or director's lifetime. The Company may terminate the indemnification agreements with its officers and directors upon 90 days' written notice, but termination will not affect claims for indemnification relating to events occurring prior to the effective date of termination. The maximum amount of potential future indemnification is unlimited; however, the Company has a director and officer insurance policy that limits its exposure and may enable it to recover a portion of any future amounts paid. The Company believes the fair value of these indemnification agreements is minimal. Accordingly, the Company had not recorded any liabilities for these agreements as of December 31, 2012.

Contingencies

In January 2012, the Company provided a notice of dispute and notice of breach and termination to GSK, that provided notice of the Company's belief that, among other matters, GSK materially breached its contractual obligation to use commercially reasonable efforts to (i) maximize the sales of *Horizant* in an expeditious manner and (ii) achieve the sales milestones set forth in the collaboration agreement the Company had entered into with GSK.

On February 23, 2012, GSK filed a complaint, or the GSK Complaint, in the United States District Court for the District of Delaware naming the Company and other unspecified individuals as defendants. Pursuant to the GSK Complaint, GSK sought declaratory judgment that GSK was not in breach of the collaboration agreement and that the Company did not have the right to terminate the collaboration agreement as a result of GSK's performance under the collaboration agreement to date. On February 24, 2012, the Company filed a complaint, or the XenoPort Complaint, in the Superior Court of the State of California in the County of Santa Clara against GSK and its affiliates, GlaxoSmithKline LLC and GlaxoSmithKline Holdings (Americas) Inc., for breach of contract, fraud, breach of fiduciary duty, breach of the covenant of good faith and fair dealing and unfair competition. Pursuant to the XenoPort Complaint, in addition to injunctive and equitable relief, the Company sought damages for lost profits, damage to the value of *Horizant* and unattained royalties and milestone payments in an amount to be proven at trial, as well as punitive damages and restitution. In March 2012, GSK filed a Notice of Removal and removed the California state case to the United States District Court for the Northern District of California. A settlement conference was scheduled for October 31, 2012.

On November 8, 2012, the parties entered into a termination and transition agreement that provided for a mutual release of claims and resolved all ongoing litigation between the parties (see Note 1 for more information). The termination and transition agreement also provided for the termination of the collaboration agreement and the return of rights to *Horizant* to the Company with certain specified transition assistance, among other matters.

8. Stockholders' Equity

Common Stock

At December 31, 2012 and 2011, the Company was authorized to issue 100,000,000 and 60,000,000 shares, respectively, of common stock.

Stockholders' Rights Plan

On December 16, 2005, the Company adopted a preferred stock rights plan pursuant to which each share of common stock outstanding on January 13, 2006, and each subsequently issued share, will receive a non-taxable

dividend. The dividend will confer the purchase right, or a right, that confers the right to purchase one one-hundredth of a share of a new class of preferred stock and will be exercisable only if a person or group acquires 15% or more of the Company's common stock or announces a tender offer for 15% or more of the Company's common stock. If such a person acquires 15% or more of the Company's common stock, all rights holders, except the 15% acquiror, will be entitled to acquire the Company's common stock at a discount through the exercise of the preferred stock. The rights plan has been designed to discourage acquisitions of more than 15% of the Company's common stock without negotiations with the board of directors. The rights expire on January 13, 2016. The rights will trade with the Company's common stock, unless and until they are separated upon the occurrence of certain future events. The board of directors may terminate the rights plan at any time or redeem the rights prior to the time the rights are triggered.

Equity Incentive Plans

1999 Stock Plan

Under the terms of the 1999 Stock Plan, or the 1999 Plan, options or stock purchase rights were granted by the board of directors to employees, directors and consultants. Options granted were either incentive stock options or non-statutory stock options. Incentive stock options were granted to employees with exercise prices of no less than the fair value, and non-statutory options were granted to employees, directors or consultants at exercise prices of no less than 85% of the fair value, of the common stock on the grant date as determined by the board of directors. Options vest as determined by the board of directors, generally at the rate of 25% at the end of the first year, with the remaining balance vesting ratably over the next three years for initial employee grants and ratably over four years for subsequent grants. Options granted under the 1999 Plan expire no more than ten years after the date of grant. All options granted under the 1999 Plan have vested.

2005 Equity Incentive Plan

In January 2005, the Company's board of directors adopted the 2005 Equity Incentive Plan, or the 2005 Plan. Under the terms of the 2005 Plan, options, stock purchase rights, stock bonus rights, stock appreciation rights and other stock awards and rights may be granted by the board of directors to employees, directors and consultants. Options granted may be either incentive stock options or non-statutory stock options. Incentive stock options may be granted to employees with exercise prices of no less than the fair value, and non-statutory options may be granted to employees, directors or consultants at exercise prices of no less than 85% of the fair value, of the common stock on the grant date. Options vest as determined by the board of directors, generally at the rate of 25% at the end of the first year, with the remaining balance vesting ratably over the next three years for initial employee grants and ratably over four years for subsequent grants. Options granted under the 2005 Plan expire no more than ten years after the date of grant.

In January 2007, the Company's board of directors approved the use of grants of restricted stock units to employees, directors or consultants under the 2005 Plan as part of the Company's long-term incentive compensation program. Restricted stock units have no exercise price, are valued using the closing market price on the date of grant and vest as determined by the board of directors, typically either: (i) in annual tranches over a four-year period at the rate of 25% at the end of each year; or (ii) in annual tranches over a three-year period at the rate of 25, 25 and 50%, respectively, at the end of each year; or (iii) in one tranche on the one-year anniversary of the grant date. Employees can elect to have the Company withhold a portion of shares to pay for their payroll taxes in connection with the vesting of restricted stock units, where the Company would then make a cash payment for the associated payroll taxes on behalf of the employees, or employees can elect to make the cash payment for the associated payroll taxes.

In May 2010, the Company granted performance stock unit awards to two executive employees. Each performance stock unit award is scheduled to vest three years from the grant date, with the actual number of shares of common stock of the Company subject to issuance to be between 0% and 200% of the target amount, based on the performance of the Company's total shareholder return as compared to the total shareholder returns of a group of pre-selected pharmaceutical companies over a performance period ending on the third anniversary of the grant date. The target amount of shares of common stock of the Company that were subject to issuance

under the performance stock unit awards was 140,000, and the grant date fair value using a lattice valuation model of these performance stock unit awards was $2,675,000. In 2010, a performance stock unit award representing a target amount of 40,000 shares was cancelled due to the departure of one of the two executive employees. At December 31, 2012 and 2011, a performance stock unit award representing a target amount of 100,000 shares was outstanding, and the associated expense recognized in the year ended December 31, 2012, 2011 and 2010 was $638,000, $636,000 and $405,000, respectively.

Stock purchase rights, stock bonus rights, stock appreciation rights and other stock awards and rights may be granted by the board of directors to employees, directors and consultants and may be subject to such terms and conditions as the board of directors deems appropriate, although such awards may not be granted with a purchase price below the par value of the stock. Under the terms of the 2005 Plan, the maximum number of shares that may be issued shall not exceed the total of 2,000,000, plus any shares issuable from options previously granted from the 1999 Plan at the date of the Company's initial public offering, plus an annual increase equal to the lesser of (i) 2.5% of the total number of common shares outstanding at the end of the preceding calendar year and (ii) 2,000,000 common shares. During the year ended December 31, 2012, the annual increase to the 2005 Plan reserve was 887,866 shares. At December 31, 2012 and 2011, there were 1,208,954 and 979,674 shares, respectively, remaining and available for future grant under the 2005 Plan.

New Employee Inducement Stock Awards

In May 2008, the Company's Senior Vice President and Chief Commercialization Officer was granted a new employee inducement stock award outside of the Company's stockholder-approved equity plans consisting of nonqualified stock options to purchase 140,612 shares of the Company's common stock. The stock options have a per share exercise price of $42.59, the closing trading price of the Company's common stock on the NASDAQ Global Market on the May 1, 2008 grant date. The stock options have a ten-year term and vest over four years, with 25% cliff vesting on the first anniversary of the May 1, 2008 grant date, and 1/48th of the shares subject to the options vesting monthly thereafter. The Company also granted to the Company's Senior Vice President and Chief Commercialization Officer a new employee inducement stock award outside of the Company's stockholder-approved equity plans consisting of restricted stock units for 10,000 shares of the Company's common stock. The restricted stock units vested in four equal annual installments on each anniversary of the May 1, 2008 grant date.

2010 Inducement Award Plan

In May 2010, the Company's board of directors adopted the 2010 Inducement Award Plan, or the 2010 Inducement Plan. Under the terms of the 2010 Inducement Plan, options, stock purchase awards, stock bonus awards, stock appreciation rights, stock unit awards and other stock awards may be granted by the board of directors or the independent compensation committee of the board of directors to persons entering into employment with the Company and not previously employees or directors of the Company (or following *bona fide* periods of non-employment with the Company) as an inducement material to the new employees entering into employment with the Company in accordance with NASDAQ Market Place Rule 5635(c)(4). Options granted may be non-statutory stock options with exercise prices of no less than 100% of the fair value of the Company's common stock on the grant date. Options vest as determined by the board of directors or the compensation committee of the board of directors, generally at the rate of 25% at the end of the first year, with the remaining balance vesting ratably over the next three years. Options granted under the 2010 Inducement Plan expire no more than ten years after the date of grant. Restricted stock units have no exercise price, are valued using the closing market price on the date of grant and vest as determined by the board of directors or the compensation committee of the board of directors, typically in annual tranches over a four-year period at the rate of 25% at the end of each year.

A total of 350,000 shares of common stock were initially authorized for issuance under the 2010 Inducement Plan and an additional 625,000 shares were authorized for issuance in 2011. Under the terms of the 2010 Inducement Plan, the maximum number of shares that may be issued shall not exceed the total of 975,000. At December 31, 2012 and 2011, there were 752,901 and 655,516 shares, respectively, remaining and available for future grant under the 2010 Inducement Plan.

2005 Non-Employee Directors' Stock Option Plan

In January 2005, the Company's board of directors adopted the 2005 Non-Employee Directors' Stock Option Plan, or the 2005 Directors' Plan, under which non-statutory options are automatically granted to non-employee directors. Before May 1, 2012, any individual who first became a non-employee director automatically received an option to purchase 25,000 shares subject to vesting in four equal successive annual installments. Effective May 1, 2012, any individual who first becomes a non-employee director automatically receives an option to purchase 30,000 shares subject to vesting in 24 successive equal monthly installments. Prior to May 1, 2012, non-employee directors serving on the date of each annual meeting of stockholders received an option to purchase 10,000 shares subject to vesting in 12 successive equal monthly installments measured from the grant date. Effective May 1, 2012, non-employee directors serving on the date of each annual meeting of stockholders receive an option to purchase 15,000 shares subject to vesting in 12 successive equal monthly installments measured from the grant date. Stock options may be granted at exercise prices no less than the fair value on the grant date and may expire no more than ten years after the date of grant. Under the terms of the 2005 Directors' Plan, the maximum number of shares that may be issued shall not exceed the total of 150,000, plus an annual increase equal to the excess of (i) the number of shares subject to options granted in the preceding calendar year, over (ii) the number of shares added back to the share reserve from cancellations, provided that such increase shall not exceed 150,000 shares. During the year ended December 31, 2012, the annual increase to the 2005 Directors' Plan reserve was 5,834 shares. At December 31, 2012 and 2011, there were 15,000 and 144,166 shares, respectively, remaining and available for future grant under the 2005 Directors' Plan.

A summary of option activity as of and for the year ended December 31, 2012 is presented below:

	Shares	Weighted-Average Exercise Price	Weighted-Average Remaining Contractual Term	Aggregate Intrinsic Value
				(In thousands)
Outstanding at January 1, 2012	4,658,322	$22.04		
Options granted	566,500	$ 4.82		
Options cancelled	(730,771)	$26.96		
Options exercised	(33,703)	$ 2.91		
Outstanding at December 31, 2012	4,460,348	$19.19	5.58	$(50,932)
Exercisable at December 31, 2012	3,516,113	$22.06	4.83	$(50,245)

A summary of restricted stock and performance stock unit activity for the year ended December 31, 2012 is presented below:

	Shares	Weighted-Average Grant Date Fair Value
Outstanding at January 1, 2012	1,244,649	$10.82
Awards granted	1,255,050	$ 5.22
Awards cancelled	(264,067)	$ 7.74
Awards vested	(357,208)	$12.24
Outstanding at December 31, 2012	1,878,424	$ 7.25

The Company expected that the number of options, restricted stock units and performance stock units that will ultimately vest will be materially similar to the number of options, restricted stock units and performance stock units outstanding at December 31, 2012.

The aggregate intrinsic value of all options outstanding and exercisable at December 31, 2012 was based on a closing stock price of $7.77.

The weighted-average grant date fair values of options granted in the years ended December 31, 2012, 2011 and 2010 were $3.12, $5.26 and $10.45 per share, respectively. The weighted-average grant date fair values of restricted stock units and performance stock units granted in the years ended December 31, 2012, 2011 and 2010 were $5.22, $9.04, and $11.81 per share respectively.

The total intrinsic value of options exercised in the years ended December 31, 2012, 2011 and 2010 was $137,000, $20,000 and $271,000, respectively. The total fair value of restricted stock units that vested in the year ended December 31, 2012, 2011 and 2010 was $4,372,000, $3,406,000 and $3,826,000, respectively.

As of December 31, 2012, the total compensation cost related to 944,235 unvested options and unvested awards' covering 1,878,424 shares not yet recognized was $12,077,000. This amount will be recognized over an estimated weighted-average amortization period of 1.71 years.

Employee Stock Purchase Plan

As of December 31, 2012, the Company had reserved a total of 945,555 shares of common stock for issuance under the Employee Stock Purchase Plan, or ESPP. In addition, the board of directors may increase the share reserve as of each January 1 through January 1, 2015, by an amount not to exceed the lesser of (i) 1% of the total number of shares of common stock outstanding on December 31 of the preceding calendar year or (ii) 250,000 shares. There was no increase to the ESPP share reserve during the year ended December 31, 2012. The ESPP permits eligible employees to purchase common stock at a discount through payroll deductions during defined offering periods. The price at which the stock is purchased is equal to the lower of 85% of the fair market value of the common stock at the beginning of an offering period or after a purchase period ends. During the years ended December 31, 2012 and 2011, 185,249 shares and 143,905 shares, respectively, were purchased under the ESPP. At December 31, 2012 and 2011, there were 148,057 and 333,306 shares, respectively, remaining and available for future grant under the ESPP.

Warrants

At December 31, 2012, 283,420 warrants were outstanding and exercisable for shares of common stock at $25.40 per share. The warrants expire in December 2013.

9. Preferred Stock

At December 31, 2012 and 2011, the Company was authorized to issue 5,000,000 shares of preferred stock.

10. Income Taxes

Deferred income taxes reflect the net tax effects of NOL and tax credit carryovers and temporary differences between the carrying amounts of assets and liabilities for financial reporting purposes and the amounts used for income tax purposes. Significant components of the Company's net deferred tax assets were as follows (in thousands):

	December 31,	
	2012	2011
Net operating loss carryforwards	$ 130,104	$ 118,735
Research credit carryforwards	29,847	29,113
Capitalized research and development	7,638	11,605
Deferred revenue	4,994	6,431
Stock options	15,881	15,981
Other	2,656	2,996
Total net deferred tax assets	191,120	184,861
Valuation allowance	(191,120)	(184,861)
Net deferred tax assets	$ —	$ —

Realization of net deferred tax assets is dependent upon the Company generating future taxable income, the timing and amount of which are uncertain. Accordingly, the net deferred tax assets have been fully offset by a valuation allowance. The valuation allowance increased by $6,259,000, $12,301,000 and $33,324,000 during 2012, 2011 and 2010, respectively.

As of December 31, 2012, the Company had NOL carryforwards for federal income tax purposes of $323,538,000, which expire in the years 2022 through 2032, and federal research and development tax credits of $21,086,000, which expire in the years 2021 through 2031.

As of December 31, 2012, the Company had NOL carryforwards for state income tax purposes of $323,588,000, which expire in the years 2013 through 2032, and state research and development tax credits of $13,479,000, which do not expire.

Approximately $529,000 of the valuation allowance for net deferred tax assets relates to benefits of stock option deductions that, when recognized, will be allocated directly to additional paid-in capital.

The Company files income tax returns in the U.S. federal jurisdiction and the California state jurisdiction. To date, the Company has not been audited by the Internal Revenue Service or any state income tax jurisdiction. Tax years 2002 to 2012 remain subject to examination by the U.S. federal jurisdiction and the California state jurisdiction.

Utilization of the Company's NOL and credit carryforwards may be subject to substantial annual limitation due to the ownership change limitations provided by the Internal Revenue Code and similar state provisions. Such annual limitation could result in the expiration of the net operating loss and credit carryforwards before utilization. As of December 31, 2012, based on the analyses performed on annual limitation as a result of ownership changes that may have occurred from inception through December 2012, the Company expects to be able to use all of the NOL and tax credit carryforwards before their respective expiration periods.

11. Related-Party Transaction

In May 2011, the Company engaged McKinsey & Company, Inc. to provide consulting services to the Company. Jon R. Duane, a director of McKinsey, is the spouse of Catherine J. Friedman, a member of the Company's Board of Directors. The Company expensed $1,011,000 through December 31, 2011 in connection with this engagement and none in 2012.

12. Quarterly Financial Data (Unaudited)

The following table summarizes the unaudited quarterly financial data for the last two fiscal years (in thousands, except per share data):

	Quarter Ended							
	Dec. 31, 2012	Sept. 30, 2012	June 30, 2012	March 31, 2012	Dec. 31, 2011	Sept. 30, 2011	June 30, 2011	March 31, 2011
Selected Quarterly Data:								
Total revenues	$ 487	$ 379	$10,379	$10,379	$ 5,378	$ 379	$37,379	$ 379
Net income (loss)	$3,042	$(16,753)	$(7,959)	$(9,144)	$(16,886)	$(18,793)	$19,455	$(17,156)
Basic and diluted net income (loss) per share	$ 0.07	$ (0.41)	$ (0.22)	$ (0.26)	$ (0.48)	$ (0.53)	$ 0.55	$ (0.49)

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Corporate Directory

BOARD OF DIRECTORS

Ronald W. Barrett, Ph.D.
Chief Executive Officer
XenoPort, Inc.

Paul L. Berns
Consultant

Dennis M. Fenton, Ph.D.
Former Executive
Amgen, Inc.

John G. Freund, M.D.
Managing Director
Skyline Ventures

Catherine J. Friedman
Financial Consultant

Jeryl L. Hilleman
Consultant

William J. Rieflin
Chief Executive Officer
NGM Biopharmaceuticals, Inc.

Wendell Wierenga, Ph.D.
Executive Vice President of
Research and Development
Santarus, Inc.

EXECUTIVE OFFICERS

Ronald W. Barrett, Ph.D.
Chief Executive Officer

Vincent J. Angotti
Executive Vice President and
Chief Operating Officer

Gregory T. Bates, D.V.M.
Senior Vice President of
Regulatory Affairs and Quality

Gianna M. Bosko
Senior Vice President, Chief
Administrative Officer, General
Counsel and Secretary

William G. Harris
Senior Vice President of Finance
and Chief Financial Officer

David R. Savello, Ph.D.
Senior Vice President of
Development Operations

CORPORATE HEADQUARTERS

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Website: www.XenoPort.com

TRANSFER AGENT AND REGISTRAR

For change of address, lost stock certificates and other stock certificate related inquiries, please contact:

Computershare Trust Company, N.A.
250 Royall St.
Canton, MA 02021
Phone: 1 (866) 637-5419
Website:
www.Computershare.com/investor

INDEPENDENT AUDITORS

Ernst & Young LLP
Redwood City, CA

LEGAL COUNSEL

Cooley LLP
Palo Alto, CA

ANNUAL MEETING

The Company's Annual Meeting of Stockholders will be held at 9:00 a.m. Pacific Time on May 14, 2013 at XenoPort's corporate headquarters.

STOCK LISTING

Our Common Stock is traded on the NASDAQ Global Select Market under the symbol XNPT.

Our Annual Report to Stockholders contains forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended, and Section 21E of the Securities Exchange Act of 1934, as amended, which are subject to the "safe harbor" created by those sections. Forward-looking statements are based on our management's beliefs and assumptions and on information currently available to our management. In some cases, you can identify forward-looking statements by terms such as "anticipate," "believe," "could," "estimate," "expect," "may," "plan," "potential," "predict," "project," "should," "will," "would" and similar expressions intended to identify forward-looking statements. These statements involve known and unknown risks, uncertainties and other factors, which may cause our actual results, performance, time frames or achievements to be materially different from any future results, performance, time frames or achievements expressed or implied by the forward-looking statements. We discuss many of these risks, uncertainties and other factors in the Annual Report to Stockholders in greater detail under the heading "Risk Factors." Given these risks, uncertainties and other factors, you should not place undue reliance on these forward-looking statements. Also, these forward-looking statements represent our estimates and assumptions only as of the date of this Annual Report to Stockholders. You should read this Annual Report to Stockholders completely and with the understanding that our actual future results may be materially different from what we expect. We hereby qualify our forward-looking statements by these cautionary statements. Except as required by law, we assume no obligation to update these forward-looking statements publicly, or to update the reasons actual results could differ materially from those anticipated in these forward-looking statements, even if new information becomes available in the future.

Corporate Offices

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NASDAQ: XNPT