ANNUAL REPORT 2009

XenoPort®

To our Stockholders:

Over the past year, we have achieved many important milestones, yet recent events have affected XenoPort in a way that we had not anticipated. In February 2010, the FDA issued a Complete Response letter to our collaborator, GlaxoSmithKline (GSK), indicating that the new drug application (NDA) for our lead product candidate, XP13512, which is known as Horizant™ in the United States, could not be approved in its current form as a treatment for moderate-to-severe primary restless legs syndrome (RLS). We are acutely focused on working with GSK to address the FDA's concerns. We have also examined our business carefully and determined that we must conserve cash and make tough choices about our priorities in the near term.

Recognizing that our clinical development-stage product candidates could provide the most value to our stockholders in the near term, we decided that research efforts to discover new product candidates would need to be suspended. Unfortunately, this decision resulted in a 50% reduction of our workforce. I want to express my sincere appreciation to all affected employees for their many contributions to XenoPort's successes over the last ten years.

We have now prioritized our efforts to achieve the following goals:

- Support GSK's efforts to gain approval of *Horizant* in the United States;
- Support Astellas Pharma Inc., our partner in Japan and five Asian countries, in its efforts to gain approval of XP13512 as a treatment for patients with restless legs syndrome in Japan;
- Advance our Phase 2 clinical development of Arbaclofen Placarbil (AP) as a potential treatment for gastroesophageal reflux disease (GERD) patients who remain symptomatic in spite of proton pump inhibitor (PPI) therapy; and
- Advance our XP21279 clinical development program that has shown promising data as a potential treatment for patients with Parkinson's disease.

Our highest priority is to work with GSK to resolve the outstanding issue cited in the Complete Response letter for the *Horizant* NDA for RLS. In the letter, the FDA stated that a preclinical finding of pancreatic acinar cell tumors in rats was of sufficient concern to preclude approval of the NDA in its current form. While the FDA acknowledged that similar findings were known for gabapentin (the parent drug of *Horizant*) at the time of its approval for refractory epilepsy, the FDA concluded that the seriousness and severity of refractory epilepsy justified the potential risks. We are compiling data and analyses that we believe will show that the rat tumor findings are unlikely to translate into a risk for humans. The formal process for working with the FDA has been initiated, and we are hopeful that we can address the FDA's concerns.

With respect to our unpartnered clinical development programs, we are actively enrolling patients in our AP Phase 2b GERD clinical trial. This is a trial that is expected to enroll about 425 GERD patients who are incomplete responders to PPI therapy. Some studies have reported that up to 40% of GERD patients experience break-through GERD symptoms despite treatment with PPIs. Therapeutic options for these patients are limited, and the medical consequences of untreated reflux can be severe. We expect to report the top-line data for this study near the end of the year.

With respect to XP21279, early this year we reported favorable results from our first clinical trial in patients with Parkinson's disease. This was a non-blinded trial with a primary objective of comparing the pharmacokinetics of XP21279 to Sinemet, the approved standard of care treatment for Parkinson's disease. Secondary endpoints compared efficacy of XP21279 to Sinemet. The results demonstrated that blood levels of L-dopa were more consistent through the day when patients took XP21279, and patients also experienced less

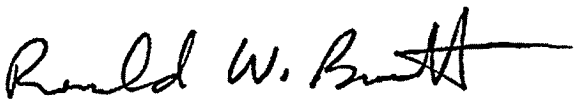
"off-time" when administered XP21279. While we are cautious about putting too much emphasis on the improvement in Parkinson's symptoms seen in this trial since it was a non-blinded design, we were very encouraged by the resulting pharmacokinetic profile of XP21279 in Parkinson's patients. As such, we intend to move forward in 2010 with a blinded clinical trial to further evaluate the efficacy of XP21279 in Parkinson's disease patients with motor fluctuations.

Given our priorities, we have put our AP clinical development program for patients with spasticity on hold for the near term, but are still working in a cost-effective manner to prepare for the initiation of a second Phase 2 clinical trial in this indication. And while we have stopped our discovery research efforts, we have a number of preclinical product candidates that we believe are poised for clinical development. As we learn more about the path forward for the potential approval of *Horizant*, we will be evaluating next steps for each of these product candidates.

And finally, we believe that our financial position remains strong. At year end, we had $144 million in cash, cash equivalents and short term investments. We believe the actions that we took earlier this year to reduce our workforce and eliminate our discovery research efforts will further strengthen our cash position.

In closing, the set-back on the *Horizant* NDA was an unexpected and unfortunate event for patients, physicians and XenoPort stockholders. You have my commitment that XenoPort employees will be working tirelessly to achieve the focused goals listed above. I thank you for your continued support and interest in our progress.

Sincerely,



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

March 26, 2010

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, 2009

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
Preferred share purchase rights	

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. (Check One):

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 30, 2009 (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 $593.7 million based on the closing sale price as reported on The NASDAQ Global Select Market for such date. Excludes an aggregate of 1,767,904 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 February 1, 2010
Common stock, par value $0.001 per share	30,433,601 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 11, 2010 (Proxy Statement) 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

XenoPort and Transported Prodrug are trademarks of XenoPort, Inc.
Horizant, Requip and Requip XL are trademarks of GlaxoSmithKline.

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 that utilize the body's natural nutrient transport mechanisms to improve the therapeutic benefits of existing drugs. Our innovative product candidates, which we refer to as Transported Prodrugs, are 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. We intend to focus our development and commercialization efforts on potential treatments of diseases with significant unmet medical needs, with an emphasis on central nervous system, or CNS, disorders.

Our lead product candidate, XP13512 (gabapentin enacarbil), is licensed to Astellas Pharma Inc. in Japan and five Asian countries and to Glaxo Group Limited, or GSK, in the United States and all other regions of the world. Astellas has filed a new drug application, or NDA, with the Pharmaceuticals and Medical Device Agency, or PMDA, for approval of XP13512 as a treatment for restless legs syndrome in Japan. Restless legs syndrome is a neurological condition that causes an irresistible urge to move the legs. In January 2009, GSK submitted an NDA to the U.S. Food and Drug Administration, or FDA, for U.S. approval to market XP13512, known in the United States by the trade name *Horizant* (gabapentin enacarbil) Extended-Release Tablets, for the treatment of moderate-to-severe primary restless legs syndrome, or RLS.

In February 2010, GSK received a Complete Response letter from the FDA regarding the NDA for *Horizant* for RLS. A Complete Response letter is issued by the FDA's Center of Drug Evaluation and Research when the review of a file is completed and questions remain that preclude the approval of the NDA in its current form. The *Horizant* Complete Response letter states that the FDA concluded that the NDA provides substantial evidence of effectiveness for *Horizant* as a treatment for patients with RLS and that the FDA had not identified a clinical safety concern that would prevent approval of the 600 mg dose of *Horizant*. However, a preclinical signal of pancreatic acinar cell tumors in rats was determined to be of sufficient concern to preclude approval of the *Horizant* NDA for RLS in its current form. In the Complete Response letter, the FDA acknowledged that similar preclinical findings were known for gabapentin, the parent drug of *Horizant*, at the time of the FDA's approval of gabapentin for refractory epilepsy, but concluded that the seriousness and severity of refractory epilepsy and the benefit to patients provided by gabapentin justified the potential risk. In the Complete Response letter, the FDA

also acknowledged that findings in laboratory animals are not necessarily translatable to risk in humans, and the FDA noted that gabapentin products have been available for over 15 years and do not appear to be associated with a clinical signal for pancreatic cancer based on an analysis of spontaneous reports in the FDA's Adverse Event Reporting System. However, the FDA has concluded that the absence of a finding in analyses of post-marketing reports cannot be reliably interpreted as evidence of the absence of risk. Together with GSK, we will be assessing the appropriate next steps and communicating with the FDA.

Horizant has successfully completed several Phase 2 clinical trials for the management of post-herpetic neuralgia, or PHN, in the United States and is currently being evaluated as a potential prophylactic therapy for migraine headaches in a Phase 2b clinical trial. In addition, GSK evaluated *Horizant* for the potential treatment of diabetic peripheral neuropathy, or DPN, but *Horizant* did not show statistically significant separation from placebo in the primary endpoint of the trial. As a consequence of the Complete Response letter related to the NDA for RLS, we believe that further development of *Horizant* in other indications will be delayed.

We are evaluating our second product candidate, Arbaclofen Placarbil, or AP (previously known as XP19986), for the potential treatment of gastroesophageal reflux disease, or GERD, in patients who do not experience complete relief of GERD symptoms while being treated with proton pump inhibitors, or PPIs. We may also evaluate AP as a potential treatment for patients with spasticity. We are evaluating our third product candidate, XP21279, for the potential treatment of patients with Parkinson's disease.

Each of our product candidates is an orally available, patented or patentable new chemical entity that addresses large potential markets.

We have entered into development and commercialization agreements with GSK for *Horizant* and with Astellas for XP13512 and plan to enter into agreements with pharmaceutical companies for our other product candidates: (1) when access to a primary care physician 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.

Transported Prodrugs

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.

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, since 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. 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 is 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.

Since most nutrients contain chemical features that prevent effective passive diffusion through cellular barriers, the human body contains specific membrane proteins, known as transporters, that are responsible for carrying nutrients into cells and across cell barriers. There are hundreds of different transporters in the human

body that vary in the types of molecules they recognize and their localization to certain cells and tissue barriers. Active transport refers to cellular transporter mechanisms that capture nutrients and carry them across membranes.

Our proprietary technology 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 of our product candidate.

Our scientists identify specific, high-capacity nutrient transporter proteins in the intestines and chemically modify the structure of the parent drug to create a Transported Prodrug that utilizes these transporters to gain efficient absorption into the bloodstream through active transport. Our Transported Prodrugs are engineered to split apart, releasing the parent drug and natural substances that generally have well-studied, favorable safety characteristics. In some cases, our product candidates target transporter proteins that are present throughout the entire GI tract, including the colon, so they can be formulated using sustained-release technology and thereby maintain effective blood concentrations for an extended period after dosing. As a result of their improved oral absorption, our product candidates may have improved therapeutic benefits compared to the parent drugs, such as superior clinical efficacy, reduced side effects and less frequent dosing, which result in improved patient convenience and compliance.

Our Product Candidates

Our current portfolio of proprietary product candidates includes the following:

XenoPort Product Candidate	Commercialization Rights	Target Indications	Development Status
XP13512			
Partner Designation: ASP8825	Astellas: six Asian countries	• Restless legs syndrome	• NDA filed in Japan
Horizant (United States)/GSK1838262	GSK: worldwide, excluding the Astellas territory	• RLS	• Receipt of Complete Response letter for NDA filed in the United States
		• Migraine prophylaxis	• Phase 2 clinical trial ongoing
		• Post-herpatic neuralgia, or PHN	• Three Phase 2 clinical trials completed
		• Diabetic peripheral neuropathy, or DPN	• Phase 2 clinical trial completed
AP*	Retained by XenoPort	• GERD	• Phase 2b clinical trial ongoing
			• Two Phase 2 clinical trials completed
		• Spasticity	• Phase 2 clinical trial completed in spinal cord injury patients
XP21279	Retained by XenoPort	• Parkinson's disease	• Phase 1 clinical trial completed
XP21510	Retained by XenoPort	• Menorrhagia	• Preclinical

*** Arbaclofen placarbil, previously known as XP19986**

XP13512 (Known as Horizant in the United States) — A Transported Prodrug of Gabapentin

Our most advanced product candidate, XP13512, is currently being developed in Japan for the potential treatment of restless legs syndrome and in the United States for the potential treatment of RLS, neuropathic pain and prophylactic treatment of migraine headaches. We hold composition-of-matter patents and methods-of-synthesis patents on *Horizant* in the United States and composition-of-matter patents on XP13512 in Japan. 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 XP13512.

Parent Drug Background

XP13512 is metabolized by the body to release gabapentin, a drug that has been sold by Pfizer Inc as Neurontin since 1993 and is currently sold as a generic drug by a number of companies. Gabapentin is approved for marketing in the United States as adjunctive therapy in the treatment of partial seizures in patients with epilepsy and for the management of PHN. In addition, based on a variety of published medical studies, gabapentin is prescribed by physicians to treat a wide range of psychiatric, neurological and pain conditions. Gabapentin has a side effect profile that is considered favorable, with dizziness and somnolence, or drowsiness, as the most commonly reported side effects.

Despite its substantial commercial success, we believe that gabapentin therapy can be significantly improved. Gabapentin absorption is highly variable among patients, and there is a limit on the gabapentin exposure that can be achieved by direct oral administration of the parent drug. Published results from clinical trials of gabapentin in epilepsy patients indicated that, for the same dose level, some patients absorbed as little as 10% of the dose of gabapentin administered while others absorbed more than 70%. We have also conducted a clinical trial of gabapentin in neuropathic pain patients in which the high variability of gabapentin absorption was confirmed. In addition, the short duration of gabapentin in blood after oral dosing requires that it be administered three times a day, which may lead to poor compliance with the dosing regimen and, therefore, reduced efficacy in some patients.

We believe that these suboptimal characteristics of gabapentin result from the mechanism responsible for the absorption of gabapentin. Gabapentin is actively transported across the GI tract after administration. However, the specific transporter mechanism responsible for gabapentin absorption appears to have limited capacity, which seems to vary among individuals, and which is predominantly expressed in the upper GI tract. Due to gabapentin's poor absorption in the lower GI tract, the use of traditional sustained-release formulations to correct the frequent dosing requirement has not been possible.

Our Transported Prodrug

XP13512 is designed to address the limitations of gabapentin by targeting high-capacity nutrient transporter mechanisms expressed throughout the length of the intestinal tract. We believe that this approach can address the variable and suboptimal exposure to gabapentin experienced by patients. By targeting transporters expressed throughout the length of the intestinal tract, we have been able to develop a sustained-release formulation of XP13512 that we believe provides more consistent absorption of gabapentin and has overcome the need for frequent dosing of gabapentin.

XP13512 is designed to rapidly convert to gabapentin once absorbed from the GI tract, resulting in limited systemic exposure to the intact Transported Prodrug. In addition to producing gabapentin, XP13512 is metabolized to release other components with well-studied, favorable safety characteristics. We believe that XP13512 has demonstrated a favorable safety profile in clinical trials conducted in humans to date, which profile is comparable to that of gabapentin.

Phase 1 Clinical Trials

We have completed multiple safety, tolerability and pharmacokinetic Phase 1 clinical trials of XP13512. The results of these Phase 1 clinical trials indicated that all doses of XP13512 were rapidly absorbed and converted to gabapentin, that doses up to 6000 mg produced dose-proportional gabapentin levels in the blood and

that there was no evidence of saturation of drug absorption. Reported adverse events were consistent with those reported previously for gabapentin; somnolence and dizziness were the most frequently reported adverse events. Exposure to the intact Transported Prodrug was low and transient compared to the level of gabapentin produced at all dose levels.

Initial Target 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 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 Markets. In the United States, GSK is seeking FDA approval for *Horizant* as a potential treatment of RLS. Although the exact prevalence rate of RLS is uncertain, a study published in the May 2004 issue of Sleep Medicine indicated that approximately 2-3% of patients visiting primary care physicians in the United States and four European countries (France, Germany, Spain and the United Kingdom) experience restless legs syndrome symptoms severe enough to disrupt their quality of life. According to Datamonitor's 2008 Stakeholder Opinions: Restless Legs Syndrome report, there are approximately 8 million sufferers of RLS in the United States. We estimate that there were approximately 4.4 million prescriptions written for drugs that are approved for the treatment of RLS in the United States.

In Japan, Astellas is seeking PMDA approval of XP13512 as a potential treatment for restless legs syndrome. Although the exact prevalence is uncertain, Astellas estimates that there are approximately 3.9 million patients with restless legs syndrome in Japan.

Current Treatments. 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 ropinirole (marketed as Requip by GSK), pramipexole (marketed as Mirapex by Boehringer Ingelheim GmbH) and generic comparables of these drugs. Physicians also prescribe opioids, benzodiazepines and anticonvulsants, such as gabapentin, to treat patients with restless legs syndrome. In Japan, there are currently no approved treatments for restless legs syndrome.

GSK's U.S. Regulatory Filing. We evaluated *Horizant* in a Phase 3 clinical program for the treatment of RLS, and in January 2009, GSK filed an NDA with the FDA for *Horizant* as a treatment for RLS. In February 2010, GSK received a Complete Response letter from the FDA regarding the NDA for *Horizant* for RLS. In the Complete Response letter, the FDA concluded that the NDA provides substantial evidence of effectiveness for *Horizant* as a treatment for patients with RLS and that the FDA has not identified a clinical safety concern that would prevent approval of the 600 mg dose of *Horizant*. However, a preclinical signal of pancreatic acinar cell tumors in rats was determined to be of sufficient concern to preclude approval of the *Horizant* NDA for RLS in its current form. In the Complete Response letter, the FDA acknowledged that similar preclinical findings were known for gabapentin, the parent drug of *Horizant*, at the time of the FDA's approval of gabapentin for refractory epilepsy, but concluded that the seriousness and severity of refractory epilepsy and the benefit to patients provided by gabapentin justified the potential risk. In the Complete Response letter, the FDA also acknowledged that findings in laboratory animals are not necessarily translatable to risk in humans, and the FDA noted that gabapentin products have been available for over 15 years and they do not appear to be associated with a clinical signal for pancreatic cancer based on an analysis of spontaneous reports in the FDA's Adverse Event Reporting System. However, the FDA has concluded that the absence of a finding in analyses of post-marketing reports cannot be reliably interpreted as evidence of the absence of risk. Together with GSK, we will be assessing the appropriate next steps and communicating with the FDA.

XenoPort's Clinical Program. The Phase 3 clinical program encompassed multiple U.S. trials, including one 12-week, randomized, double-blind, placebo-controlled trial, known as the PIVOT (Patient Improvement in Vital Outcomes following Treatment) RLS I clinical trial (previously known as XP052), designed to evaluate the safety and efficacy of 1200 mg of *Horizant* versus placebo administered once a day at approximately 5:00 p.m., and a second 12-week, randomized, double-blind, placebo-controlled trial, known as the PIVOT RLS II clinical trial (previously known as XP053), designed to evaluate the safety and efficacy of 600 mg or 1200 mg of *Horizant* versus placebo administered once a day at approximately 5:00 p.m. The co-primary outcome measures for these trials were defined to be the change from baseline in the International Restless Legs Syndrome, or IRLS, rating scale score and the Investigator Clinical Global Impression of Improvement, or CGI-I, scale at the end of treatment. Secondary endpoints for both trials included onset of efficacy and subjective sleep, pain, mood and quality of life assessments.

The PIVOT RLS I trial, which commenced in March 2006, enrolled 222 patients at 23 sites who were diagnosed with RLS. In April 2007, we reported top-line results demonstrating that treatment with 1200 mg of *Horizant* was associated with a statistically significant improvement in the co-primary endpoints compared to placebo. Improvements in the IRLS rating scale score were significantly greater for *Horizant* than for placebo (-13.2 vs. -8.8; p=0.0002). At the end of treatment, significantly more patients treated with *Horizant* were reported as "much improved" or "very much improved" on the Investigator CGI-I scale compared to those treated with placebo (76% vs. 39%; $p < 0.0001$). During treatment over the 12-week period, the most commonly reported adverse events for *Horizant* versus placebo were somnolence (27% *Horizant*; 7% placebo) and dizziness (20% *Horizant*; 5% placebo). There were no reported serious adverse events in *Horizant*-treated patients.

The PIVOT RLS II trial, which commenced in August 2006, enrolled 325 patients who were diagnosed with RLS. In February 2008, we reported top-line results demonstrating that treatment with 1200 mg of *Horizant* was associated with a statistically significant improvement in the co-primary endpoints compared to placebo. Improvements in the IRLS rating scale score were significantly greater for 1200 mg of *Horizant* than for placebo (-13.0 vs. -9.8; p=0.0015). At the end of treatment, significantly more patients treated with 1200 mg of *Horizant* were reported as "much improved" or "very much improved" on the Investigator CGI-I scale compared to those treated with placebo (78% vs. 45% for placebo; $p<0.0001$).

This trial also demonstrated that treatment with 600 mg of *Horizant* was associated with a statistically significant improvement in the co-primary endpoints compared to placebo. Improvements in the IRLS rating scale score were significantly greater for 600 mg of *Horizant* than for placebo (-13.8 vs. -9.8. $p<0.0001$). At the end of treatment, significantly more patients treated with 600 mg of *Horizant* were reported as "much improved" or "very much improved" on the Investigator CGI-I scale compared to those treated with placebo (73% vs. 45%, $p<0.0001$).

During the 12-week treatment period, the most commonly reported adverse events for *Horizant* were dizziness (24% 1200 mg *Horizant*; 10% 600 mg *Horizant*; 5% placebo) and somnolence (18% 1200 mg *Horizant*; 22% 600 mg *Horizant*; 2% placebo). These adverse events were generally mild or moderate in intensity. Withdrawals due to adverse events were 7% in the 1200 mg *Horizant* group, 6% in the 600 mg *Horizant* group and 6% in the placebo group. There were three reported serious adverse events in the study (one in the placebo group, two in the 600 mg *Horizant* group), none of which were considered treatment-related.

In addition to these two 12-week trials, the Phase 3 program also included a clinical trial, known as the PIVOT RLS Maintenance clinical trial (previously known as XP060), to assess the long-term efficacy of *Horizant*. The trial, which commenced in May 2006, was designed to evaluate the potential of *Horizant* to maintain efficacy over the course of nine months in patients with RLS. The multi-center, double-blind, randomized, placebo-controlled, parallel-group clinical trial enrolled 327 patients diagnosed with RLS. All patients were administered 1200 mg of *Horizant*, taken at approximately 5:00 p.m., for 24 weeks. Patients were assessed to determine treatment response at the end of this single-blind phase, and responders then entered the 12-week, randomized, double-blind phase of the clinical trial. Patients randomized to the placebo group received 600 mg of *Horizant* for two weeks and then received placebo for an additional ten weeks. Patients randomized to the *Horizant* treatment group continued to receive 1200 mg of *Horizant* for the entire 12-week, double-blind period. In January 2008, we reported top-line results that showed that *Horizant* was generally well-tolerated during the treatment period and that there was a statistically significant difference between the percentage of

patients treated with *Horizant* and placebo who met a pre-specified relapse criteria during the randomized phase of the study. Two hundred twenty one patients completed the 24-week, single-blind portion of the clinical trial, of which 194 (88%) met the responder criteria and were randomized to double-blind treatment. Analysis of the primary endpoint indicated that treatment with *Horizant* resulted in a statistically significant lower proportion of relapses compared to placebo during the double-blind treatment period (23% placebo compared to 9% *Horizant*; p= 0.0158).

The most commonly reported adverse events during the single-blind phase of this clinical trial were somnolence (30%) and dizziness (22%), which were generally mild or moderate in intensity and transient in nature. The incidence of somnolence and dizziness in *Horizant*-treated patients during the double-blind portion of the trial were 3% and 2%, respectively. During the trial, there was one death that was determined to be unrelated to *Horizant* treatment. There were five other serious adverse events, only one of which was judged as possibly related to *Horizant* treatment.

We have also conducted clinical trials and collected information that is typically required for submission of an NDA to the FDA, including an examination of the exposure/response relationship, pharmacokinetics in a special population, drug/drug interactions, cognition, driving performance and cardiovascular safety. In addition, we have completed an open-label safety extension study that included patients from the two 12-week clinical trials to enable assessment of the safety of *Horizant* treatment extending up to 12 months. Data from this trial was also included in the NDA filing. The results of the Phase 3 clinical trials, combined with the results from other *Horizant* clinical trials in RLS patients, are intended to meet the International Committee for Harmonization, or ICH, guidelines for safety assessment.

In addition, GSK conducted a polysomnography, or sleep laboratory measurement, study of Horizant in RLS patients to explore further the potential sleep benefits of Horizant. Results from this trial showed statistically significant benefits of Horizant versus placebo in several objective measurements of sleep.

Astellas' Clinical Program and Regulatory Filing. In March 2009, Astellas reported results from a Phase 2 clinical trial of XP13512 for the treatment of symptoms in restless legs syndrome patients in Japan. The trial was a 12-week, double-blind, placebo-controlled study that enrolled 474 patients who were diagnosed with restless legs syndrome. Patients were treated with 600, 900 or 1200 mg of XP13512 or placebo, given once per day after the evening meal. The primary endpoint for the clinical trial was the change from baseline for the IRLS rating scale score at end of treatment.

Treatment with 1200 mg of XP13512 was associated with a statistically significant improvement in the primary endpoint compared to placebo. Statistically significant improvements over placebo were also observed on some secondary endpoints, including the investigator-rated CGI-I scale, which achieved statistical significance for each of the 600 mg, 900 mg and 1200 mg dosing cohorts.

The most commonly reported adverse events for XP13512 were somnolence and dizziness, which were generally transient and mild to moderate in severity. There were no treatment-emergent serious adverse events during the study period in XP13512-treated subjects.

In November 2009, Astellas filed an NDA with the PMDA for approval of XP13512 as a potential treatment for restless legs syndrome in Japan. The evidence of efficacy for the NDA filing was based on data from Astellas' successful Phase 2 trial in restless legs syndrome patients conducted in Japan and our clinical program conducted in the United States.

Neuropathic Pain

Background on Neuropathic Pain. Neuropathic pain is pain that results from damage to nerves. The damage may result from a variety of causes, including injury or illnesses such as diabetes, HIV and shingles. In addition, the toxic effects of therapy used to treat patients with cancer or HIV may also cause nerve damage leading to neuropathic pain.

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. DPN is another form of neuropathic pain that is associated with a family of nerve disorders caused by diabetes. Over time, people with diabetes can experience damage to nerves leading to numbness and sometimes pain and weakness in the hands, feet and legs.

Potential Market. Decision Resources estimates that the prevalence of PHN during 2008 was 562,000 patients in the United States and six other major pharmaceutical markets, collectively. 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. While Zostavax is not a treatment for shingles or PHN, the availability of this vaccine could impact the future market for therapies for PHN.

Diabetes is the leading cause of neuropathy in the Western world, and neuropathy is the most common complication and greatest source of morbidity and mortality in diabetes patients. Decision Resources estimates that the prevalence of DPN during 2008 was 4.2 million patients in the United States and six other major pharmaceutical markets, collectively.

Current Treatments. Current classes of drugs used to treat patients with neuropathic pain include anticonvulsants, antidepressants and trycyclic drugs, with anticonvulsants representing the largest share of the neuropathic pain market. Of the anticonvulsants, gabapentin is the market leader for the treatment of neuropathic pain, followed by the antidepressant, duloxetine (marketed as Cymbalta by Eli Lilly and Company). The anticonvulsant pregabalin (marketed as Lyrica by Pfizer Inc) is also widely used for the treatment of neuropathic pain. Other treatments used in selected patients include a capsaicin patch (marketed as Qutenza by NeurogesX, Inc.) and local application of lidocaine.

Phase 2 Clinical Trial Results. We have completed a randomized, double-blind, parallel, placebo-controlled Phase 2a clinical trial of *Horizant* for the management of PHN. The trial included 101 patients at 18 clinical sites in the United States. The objective of this trial was to assess the safety, tolerability, pharmacokinetics and efficacy of 1200 mg of *Horizant* administered twice a day for 14 days and to compare the response to *Horizant* against the response to placebo. The trial included a Neurontin treatment phase to enable the evaluation of blood levels of Neurontin.

The trial met the primary endpoint of the study, demonstrating that treatment with *Horizant* was associated with a statistically significant reduction in pain as measured by an 11-point numerical pain scale compared to placebo (p=0.032). Additional analyses were conducted on data from those patients who received both Neurontin and *Horizant*. When administered *Horizant*, patients experienced on average a 17% increase in the steady-state average blood concentration of gabapentin compared to a dose of Neurontin that contained roughly 50% more gabapentin (p=0.005), indicating higher bioavailability of *Horizant*. Thirty-six percent of evaluated patients had an increased steady-state average blood concentration of greater than 30%. For all patients who received *Horizant*, the change in average pain score between the last seven days of the *Horizant* treatment from the final seven days of Neurontin treatment was determined. A statistically significant reduction in pain score at the end of *Horizant* treatment was observed (p=0.045). *Horizant* was well-tolerated.

GSK has evaluated *Horizant* in two Phase 2 clinical trials for the potential treatment of PHN. In September 2009, GSK announced top-line results from a 14-week, double-blind, placebo-controlled Phase 2b clinical trial that enrolled 376 subjects with PHN who had been experiencing pain for at least three months following healing of the herpes zoster skin rash. Subjects were randomized to receive placebo, 1200, 2400 or 3600 mg/day of *Horizant* divided into twice-daily doses. All doses of *Horizant* demonstrated statistically significant improvements over placebo on the primary endpoint, which was the change from baseline to the end of maintenance treatment in the 24-hour average pain intensity score. *Horizant* was generally well tolerated at all doses in this study. The most common adverse events were dizziness and somnolence, and most of these adverse events were mild or moderate in intensity. There was one serious adverse event (gastritis) in the 3600 mg/day dose group that was judged by the investigator to be related to treatment.

In October 2009, GSK announced top-line results from a double-blind, two-period, cross-over Phase 2 clinical trial that enrolled 138 subjects diagnosed with PHN who had been experiencing pain for at least three months following healing of the herpes zoster skin rash. Subjects with a history of inadequate response to gabapentin entered a baseline period during which they received a dose of 1800 mg/day of gabapentin for two weeks. Subjects (N=96) who had a 24-hour average pain intensity score of at least four on the 11-point pain intensity rating scale were then randomized to receive either 1200 mg/day of *Horizant* for the first 28-day treatment period followed by 3600 mg/day for the second 28-day treatment period, or 3600 mg/day followed by 1200 mg/day.

Subjects received 2400 mg/day of *Horizant* for four days in between the two treatment periods. The primary endpoint in this trial was the change from baseline to the end of the treatment period in the 24-hour average pain intensity score. A greater reduction in the 24-hour average pain score was observed for the 3600 mg/day dose than for the 1200 mg/day dose, which reduction was statistically significant. *Horizant* was well tolerated at both doses in this study. The only treatment-emergent adverse event occurring in greater than or equal to 5% of subjects taking *Horizant* was nasopharyngitis.

GSK has also evaluated *Horizant* for the potential treatment of DPN. In April 2009, GSK completed a 14-week, double-blind, placebo-controlled, Phase 2 clinical trial of *Horizant* as a potential treatment for DPN patients. In the trial, 421 patients who were diagnosed with either Type 1 or Type 2 diabetes mellitus with signs and symptoms of DPN were randomized to receive either 1200 mg/day, 2400 mg/day or 3600 mg/day of *Horizant* administered in divided doses taken twice daily, 300 mg/day of pregabalin as an active control, administered in divided doses three times daily, or placebo. Neither *Horizant* nor pregabalin, the active control, demonstrated a statistically significant improvement on the primary endpoint when compared to placebo, based on the change from baseline to end of treatment on the 24-hour average pain intensity score. The highest dose of 3600 mg/day of *Horizant* showed consistent trends towards efficacy across multiple pain endpoints. *Horizant* was generally well tolerated; the two most frequently reported adverse events were dizziness and somnolence.

Clinical Development of Horizant in Neuropathic Pain. We are currently evaluating with GSK the next steps in the development plan for *Horizant* as a potential treatment for neuropathic pain, which we anticipate will be delayed based on the Complete Response letter for RLS.

Migraine Prophylaxis

Background on Migraine. Migraine is a neurological disorder characterized by recurrent headache attacks that are usually accompanied by various combinations of symptoms, including nausea and vomiting, as well as distorted vision and sensitivity to light and sound.

Potential Market. According to the American Migraine Prevalence and Prevention Study from 2005, migraine affects approximately 30 million individuals in the United States and approximately 40% of migraine sufferers could benefit from preventive therapies.

Current Treatments. Current treatments for migraine include abortive therapies for individual migraine episodes and prophylactic therapies that are designed to prevent or reduce the number of migraine attacks. Abortive therapies include non-prescription analgesics, such as aspirin, ibuprofen and acetaminophen, and prescription drugs. According to data from Wolters Kluwer Pharma Solutions, Source Pharmaceutical Audit Suite, Prescription Monthly, Jan. - Dec. 2009, in 2009 triptans were the most widely prescribed drugs for acute migraine treatment, and included sumatriptan succinate (marketed as Imitrex by GSK) and a generic comparable of sumatriptan succinate from Dr. Reddy's Laboratories Limited, eletriptan (marketed as Relpax by Pfizer), rizatriptan (marketed as Maxalt by Eisai Inc./Johnson& Johnson) and zolmitriptan (marketed as Zomig by AstraZeneca Pharmaceuticals PLC). A recently approved product, sumatriptan succinate/naproxen combination (marketed as Treximet by GSK), also competes in this market.

Migraine prophylaxis is designed to reduce the frequency and severity of migraine attacks, to make acute migraine attacks more responsive to abortive therapy and to improve the quality of life for patients. According to a January 2010 Decision Resources report entitled Pain Management Study, Migraine, topiramate (marketed as Topamax by Johnson & Johnson) captured a 70% patient share of prophylactically-treated U.S. migraineurs in 2008.

Clinical Development of Horizant in Migraine Prophylaxis. GSK is conducting a flexible-dose, randomized, placebo-controlled Phase 2b clinical trial of *Horizant* in approximately 525 migraine patients to evaluate *Horizant* versus placebo for the prophylactic treatment of migraine headaches. The trial is being conducted at multiple study centers in the United States. Flexible doses of *Horizant* (1200, 1800 or 2400 mg/day) or placebo are administered to migraine patients during a five-week, flexible-dose titration period followed by a 12-week, fixed-dose treatment period. The primary endpoint of the trial is the change from baseline in the number of migraine headache days during the last four weeks of the 12-week, fixed-dose treatment period in migraine patients treated with 1800 and 2400 mg/day doses of *Horizant* combined versus placebo. GSK completed patient enrollment in this trial in the fourth quarter of 2009. As a consequence of the Complete Response letter related to the NDA for RLS, we believe that further development of *Horizant* for prophylactic treatment of migraine headaches beyond the ongoing Phase 2b clinical trial may be delayed.

Horizant/XP13512 Development and Commercialization Strategy

Due to the large market potential for *Horizant*, the requirement of a primary care physician sales force to address these markets in the United States and our desire to focus our commercialization efforts in the United States, we have entered into agreements with pharmaceutical partners to maximize the potential commercial value of *Horizant*. In December 2005, we entered into a license agreement with Astellas for exclusive rights to develop and commercialize XP13512 in Japan and five Asian countries. Astellas made an up-front payment to us of $25.0 million, has paid additional milestones of $23.0 million and may make additional milestone payments to us of up to $37.0 million. We will receive royalties on any net sales of XP13512 in the Astellas territory. Under the terms of the agreement, Astellas is responsible for all future development costs and Astellas is solely responsible for the manufacturing of XP13512 to support its development and commercialization within the Astellas territory. Astellas may terminate the collaboration at its discretion. In such event, all XP13512 product rights would revert to us and we would be entitled to specified transition assistance from Astellas.

Additionally, in February 2007, we announced an exclusive collaboration with GSK to develop and commercialize *Horizant*/XP13512 worldwide, excluding the Astellas territory. GSK made an up-front payment to us of $75.0 million, has paid additional milestones of $85.0 million and may make additional payments of up to $190.0 million upon the achievement of clinical and regulatory milestones and up to $290.0 million upon the achievement of specified sales levels. Under the terms of the agreement, GSK is responsible for all future development costs and GSK is solely responsible for the manufacturing of *Horizant*/XP13512 to support its development and commercialization within the GSK territory. GSK may terminate our collaboration agreement in its entirety for any reason. In such event, certain *Horizant*/XP13512 product rights would revert to us and we would be entitled to specified transition assistance from GSK.

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 adjunctive treatment of patients with GERD and for the potential treatment of spasticity. We were previously evaluating AP, formerly known as XP19986, as a potential treatment for acute back spasms, but have discontinued development in this indication following an unsuccessful Phase 2a clinical trial in this indication. 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 thought to act selectively on the target known as the GABA(B) receptor. Baclofen is racemic, which means it is a mixture of R and S isomers. Only the R isomer is active at GABA(B) receptors. Baclofen, which is now sold as a generic drug in the United States, has been used since 1977 for the alleviation of the signs and symptoms of spasticity in patients with multiple sclerosis as well as spinal cord injury and other pain and spasm conditions. Published studies indicate that baclofen may also be effective in treating GERD. Although baclofen has acceptable oral absorption, its short duration in blood of three to four hours necessitates oral dosing

at least three times per day. This dosing regimen produces substantial peaks and troughs in drug exposure, which may be the cause of side effects such as significant drowsiness, weakness and dizziness during peak drug levels and diminished efficacy during trough drug levels. However, due to its poor absorption in the colon, a less frequently dosed sustained-release formulation of baclofen that produces a more constant level of baclofen 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 for whom oral baclofen is not 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 believe that AP can be formulated in a sustained-release pill and thereby 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, known as R-baclofen.

AP was designed to rapidly convert to R-baclofen upon absorption, with limited systemic exposure to the intact Transported Prodrug. Once absorbed, AP converts to R-baclofen and natural substances that have well-studied, favorable safety characteristics. We believe that the inherently safe nature of the metabolic breakdown products of AP could provide AP with a safety profile that is comparable to, and potentially better than, that seen with racemic baclofen.

We have sustained-release formulations of AP that may be suitable for once- or twice-daily dosing for the potential treatment of GERD and spasticity.

Phase 1 Clinical Trials

We have completed multiple Phase 1 clinical trials of AP that included a total of over 200 healthy volunteers. 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 the intact Transported Prodrug was low and transient. Comparison of these data with historical pharmacokinetic data for racemic baclofen suggests that AP taken once a day or twice a day should be associated with a decreased peak-to-trough ratio of R-baclofen blood levels over 24 hours compared to racemic baclofen dosed three or four times a day.

Initial Target Indications

Gastroesophageal Reflux Disease

Background on GERD. GERD is a chronic digestive system disorder caused primarily by transient relaxations of the lower esophageal sphincter, or LES, which is a combination of muscles that controls the junction between the esophagus and the stomach. This results in frequent, undesirable passage of stomach contents into the esophagus that can cause heartburn, regurgitation and potential damage to the lining of the esophagus. Current treatments for GERD reduce the acidity of stomach contents but do not treat the underlying transient relaxations of the LES, resulting in inadequate treatment of GERD in many patients.

Potential Market. According to a survey conducted by the American Gastroenterological Association in 2008, GERD affects an estimated 25% to 35% of the U.S. population. While treatment with proton pump inhibitors, or PPIs, improves symptoms in the majority of GERD patients, it is estimated that nearly 40% of patients on daily PPI therapy continue to experience breakthrough symptoms.

Current Treatments. Conventional treatment for GERD encompasses medications that suppress stomach acid, including PPIs, such as Nexium, Prilosec and Prevacid, H_2 receptor antagonists, such as Tagamet, Pepcid and Zantac, as well as over-the-counter antacids. However, these treatments are not effective in all patients, and there is a subset of patients who suffer from reflux of stomach contents that are not acidic, such as bile, who do not respond to these acid-suppression treatments.

Baclofen has been the subject of clinical trials indicating that it may also be effective in treating GERD. Unlike acid-suppressing agents, baclofen exerts its effects on the function of the LES that controls passage of material between the esophagus and the stomach. Baclofen reduces the frequency of transient LES relaxations and, therefore, passage of gastric contents into the esophagus. Such a mechanism potentially may be effective alone or in combination with acid suppressants to increase the effectiveness of existing therapies. One study published in 2003 indicated that baclofen was effective when compared to placebo in reducing the number of reflux episodes and the percentage of time that the esophagus was acidic. Another study published in 2003 indicated that baclofen, when combined with a PPI, was more effective in reducing the number of reflux episodes as compared to the PPI alone. In these studies, baclofen was taken three or four times a day.

While these studies suggest a potential role for baclofen in the treatment of GERD, it is currently not approved for this indication, and we believe that it is unlikely that an approval of baclofen for this indication will be pursued because of the requirement for frequent dosing. We believe that providing a steady exposure of the R isomer of baclofen to patients with a once- or twice-daily dosage of AP may result in reduced side effects compared to racemic baclofen and may demonstrate improved efficacy in the treatment of GERD.

Phase 2a Clinical Trial Results. AP was evaluated in a single-dose, randomized, double-blind, crossover, placebo-controlled, clinical trial that included 50 GERD patients at three sites in the United States. Patients received single doses of AP (10, 20, 40 or 60 mg) or placebo in separate 12-hour testing periods with four to seven days between testing periods. Reflux-provoking meals were consumed at two hours and six hours after dosing, and patients were required to lie on their right side for two hours after each meal to further provoke LES relaxations. Reflux was monitored using a pH/impedance probe placed in the esophagus.

AP showed a statistically significant difference from placebo in the primary endpoint, which was the median change in total reflux episodes after AP treatment compared to placebo (median change=-9.5; p=0.005). Analysis was performed by combining the AP responses and comparing them with the combined placebo responses. Acid and non-acid reflux were analyzed as secondary endpoints. AP treatment compared to placebo was associated with a statistically significant reduction in the median number of acid reflux episodes during the 12-hour monitoring period (median=-9.5; p=0.0027). AP was well tolerated at all dose levels with few reported adverse events. The incidence of adverse events during AP treatment was similar during placebo treatment.

Phase 2 Multi-Dose Clinical Trial Results. AP was evaluated in a randomized, parallel-group, double-blind, placebo-controlled Phase 2 clinical trial that evaluated the efficacy, safety and tolerability of a sustained-release formulation of AP in patients with symptomatic GERD. The trial enrolled 156 subjects at 16 sites in the United States. Enrolled subjects had reflux symptoms occurring at least three days a week and had either no history of taking PPIs, or PPI Naïve, or a history of at least a partial symptom response to PPI therapy, or PPI Experienced. Enrolled subjects discontinued prior therapy for GERD other than rescue antacids. During the second week of a two-week washout period, baseline data regarding frequency and severity of GERD symptoms were recorded in an electronic diary as they occurred. Each subject who met the entry criteria was randomized to one of five treatment arms: placebo; three dose levels of AP (20 mg, 40 mg or 60 mg) administered once a day in the morning; or AP (30 mg) administered twice daily. PPI history was used as a stratification criterion during randomization. The treatment period was four weeks, which included an up-titration period. At the end of four weeks, subjects were tapered off treatment.

The primary efficacy analysis involved the difference in the change in total number of weekly heartburn episodes between the AP dose groups and placebo through four weeks of treatment. The primary efficacy analysis compared pooled AP treatment groups (60 mg dosed once a day and 30 mg dosed twice a day; and 60 mg and 40 mg dosed once a day) with the placebo group and included both PPI Experienced and PPI Naïve subjects. This analysis did not reach statistical significance.

The primary analysis indicated that the status of a subject as either PPI Naïve or PPI Experienced had a significant impact on the outcome of the analysis. The prospective statistical analysis plan specified separate analyses of the PPI Naïve and the PPI Experienced populations. In the PPI Experienced population, which represented 63% of all subjects, AP demonstrated a significantly greater reduction in heartburn episodes compared to placebo for the 30 mg twice-daily dosage group.

A number of pre-defined secondary analyses were conducted on subjects in the PPI Experienced population. All AP dose groups showed a greater adjusted mean percent reduction from baseline at week four in weekly heartburn episodes that was statistically significant compared to placebo.

In addition, a dose-dependent effect on the complete relief of heartburn symptoms during the last seven days of the four-week treatment period was observed for subjects in the PPI Experienced population. The comparison of the 30 mg twice-daily group with the placebo group was statistically significant.

AP was generally well tolerated at all dose levels. There were no treatment emergent serious adverse events. Among all subjects receiving study medication, the most common adverse events for placebo, 20 mg, 40 mg and 60 mg dosed once daily and 30 mg of AP dosed twice daily were somnolence, at rates of 3%, 3%, 12%, 16% and 13%, respectively, and dizziness, at rates of 10%, 10%, 6%, 13% and 20%, respectively. Most reported adverse events were mild or moderate in severity. Withdrawals due to adverse events were 6%, 0%, 3%, 9% and 10%, respectively.

Clinical Development of AP in GERD. We are currently conducting a multi-dose, randomized, placebo-controlled Phase 2b clinical trial to evaluate the efficacy and safety of AP in approximately 425 patients with GERD who are incomplete responders to PPIs. The clinical trial is being conducted in multiple study centers in the United States and Canada. GERD patients with a history of incomplete response to a PPI will undergo a four-week run-in period on PPI therapy followed by a six-week treatment period on PPI therapy plus either 20 mg or 40 mg of AP dosed once daily, 20 mg or 30 mg of AP dosed twice daily or placebo. The primary endpoint of the study will examine heartburn events. Regurgitation will be assessed as a key secondary endpoint.

Spasticity

Background on Spasticity. Spasticity is a debilitating condition that is associated with some common neurological disorders, such as multiple sclerosis, 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. According to "We Move", a non-profit organization providing patient information and continuing medical education to professionals, two out of every 1,000 people in North America suffer from multiple sclerosis and roughly 200,000 people in the United States suffer from spinal cord injury. It is estimated that spasticity affects between 37% and 78% of multiple sclerosis patients and 40% of spinal cord injury patients.

According to data from Wolters Kluwer Health, Pharmaceutical Audit Suite, there were approximately 7.7 million prescriptions written in the United States in 2009 for the two most widely prescribed drugs for the treatment of spasticity, baclofen and tizanidine. Besides baclofen and tizanidine, treatments for spasticity include diazepam and dantrolene sodium. 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. We believe that a Transported Prodrug of R-baclofen that can be taken twice each day to provide a steady exposure of R-baclofen may more adequately address the needs of spasticity patients than current therapies, including racemic baclofen.

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 of the two-segment crossover design. 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 for these cohorts were -0.17 (not significant), -0.60 (p=0.0059) and -0.88 (p=0.0007), 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.

Planned Clinical Development of AP in Spasticity. Resources permitting, we intend to initiate a Phase 2 clinical trial of AP in multiple sclerosis patients with spasticity following discussions with the FDA regarding the trial design.

AP Development, Commercialization and Partnering Strategy

Due to the likely need for a primary care physician sales force to address the GERD market, we may seek a partner for the development and commercialization of AP for the potential treatment of GERD. Since the spasticity market could be served through a smaller, focused sales force, we may seek to retain promotional rights to AP in the United States for spasticity indications.

XP21279 — A Transported Prodrug of L-Dopa

We are developing our product candidate, XP21279, a Transported Prodrug of L-Dopa, for the potential treatment of Parkinson's disease. 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.

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. L-Dopa 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), L-Dopa is protected from rapid degradation by enzymes that are outside of the brain and is able to be converted to dopamine at its desired site of action in the brain. L-Dopa 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, L-Dopa has many undesirable pharmacokinetic characteristics, including its rapid breakdown by gastric and other peripheral enzymes, a short duration in blood after oral dosing that leads to the fluctuation of drug plasma concentrations upon frequent dosing and a narrow absorption window within the GI tract. The poor colonic absorption of L-Dopa has precluded the development of a satisfactory sustained-release formulation of L-Dopa that would prolong absorption beyond the small intestine.

Our Transported Prodrug

We believe that XP21279 has the potential to improve upon the limitations of L-Dopa. XP21279 is designed to engage natural nutrient transport mechanisms located throughout the length of the GI tract and then be rapidly converted to L-Dopa by the body's naturally occurring enzymes. In addition to L-Dopa, 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 L-Dopa levels in the bloodstream. From December 2002 to December 2004, we were engaged in a collaboration with the ALZA division of Johnson & Johnson to jointly develop Transported Prodrugs of L-Dopa. In March 2005, ALZA relinquished all rights to such Transported Prodrugs, subject to a royalty upon net sales of certain product candidates if they are ultimately commercialized.

Phase 1 Clinical Trials

We have conducted three Phase 1 clinical trials 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 L-Dopa/carbidopa. The results of these Phase 1 clinical trials indicated that XP21279/carbidopa was well absorbed and rapidly converted to L-Dopa. Exposure to the intact Transported Prodrug was negligible. Data from the trials indicated that compared to the pharmacokinetic data of L-Dopa/carbidopa, XP21279/carbidopa was associated with a decreased peak-to-trough ratio of L-Dopa blood levels over 24 hours compared to L-Dopa/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. According to Datamonitor, Parkinson's disease is primarily a disease of elderly individuals with a peak age at onset of 55 to 66 years. Approximately 1% of the U.S. population over 65 years old has been diagnosed with Parkinson's disease. The Parkinson's Disease Foundation estimates that there are about 60,000 new cases of Parkinson's disease diagnosed in the United States each year. In 2009, there were approximately 3.7 million prescriptions written for L-Dopa drugs indicated for the treatment of Parkinson's disease in the United States, according to Wolters Kluwer Pharma Solutions, Pharmaceutical Audit.

Current Treatments. At present, there is no cure for Parkinson's disease, but a variety of medications provide relief from the symptoms. L-Dopa acts to replenish dopamine in the brain. It is usually administered with benzerazide or carbidopa, or a combination of carbidopa and entacapone, which delays the premature conversion of L-Dopa to dopamine in peripheral tissues. According to the National Institute of Neurological Disorders and Stroke, treatment with L-Dopa 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 L-Dopa and dopamine agonists remain suboptimal in treating the symptoms of Parkinson's disease. L-Dopa 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 L-Dopa 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 1b Clinical Trial Result. In January 2010, we reported preliminary results from an open-label, crossover, Phase 1b clinical trial of XP21279 administered with carbidopa in ten Parkinson's disease patients who were sequentially administered L-Dopa/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 L-Dopa/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 L-Dopa/carbidopa. XP21279 taken three times a day showed less variation in average L-Dopa concentrations over 16 hours compared to L-Dopa/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 L-Dopa. However, because the trial was not blinded, i.e., subjects knew what treatment was administered, the results of the efficacy analyses must be viewed cautiously. XP21279 was well tolerated.

Planned Clinical Development of XP21279 in Parkinson's Disease

We have developed a new bi-layer tablet formulation of XP21279 with carbidopa and plan to initiate a Phase 2 clinical trial of XP21279 in patients with Parkinson's disease.

XP21279 Development, Commercialization and Partnering Strategy

We plan to continue development of XP21279 and retain rights to this product candidate 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.

XP21510 — A Transported Prodrug of Tranexamic Acid

Our fourth product candidate is XP21510, a Transported Prodrug of tranexamic acid, for the potential treatment of menorrhagia, or heavy menstrual bleeding. We hold a composition-of-matter patent, formulation patent and method-of-use patent directed to XP21510, and hold patents or pending patent applications directed to the methods of synthesis in the United States and directed to the composition of matter, formulations and methods of synthesis and use in other jurisdictions. In October 2007, we entered into a collaboration with Xanodyne Pharmaceuticals, Inc. for the development and commercialization of XP21510 in the United States. Effective July 2009, Xanodyne terminated the collaboration agreement.

Parent Drug Background

Tranexamic acid is a man-made derivative of the naturally occurring amino acid lysine and works to inhibit, on a molecular basis, the break down of blood clots. It is approved in many countries in Europe and Asia for the treatment of women with menorrhagia.

Our Transported Prodrug

We believe that XP21510 has the potential to improve upon the limitations of tranexamic acid. XP21510 is designed to engage natural nutrient transport mechanisms located throughout the length of the GI tract and then be rapidly converted to tranexamic acid by the body's naturally occurring enzymes. In addition to tranexamic acid, the metabolic breakdown products of XP21510 are substances with well-studied, favorable safety characteristics.

Initial Target Indication

Menorrhagia. Menorrhagia is abnormally heavy and prolonged menstrual periods at regular intervals. While a normal menses cycle lasts 21 to 35 days with an average of five days of bleeding and total blood flow between 25 and 80 milliliters, women with menorrhagia can have seven or more days of bleeding and lose more than 80 milliliters of blood per menses. It is estimated that nine percent to 14% of healthy women suffer from

menorrhagia. Because quantitative means of diagnosing menorrhagia are generally impractical, healthcare professionals often diagnose menorrhagia symptomatically by considering frequency of tampon or sanitary napkin change, spotting and staining events, presence of constant pain in the lower abdomen, interference with regular work and social routines and measurements of anemia. We believe that an oral Transported Prodrug of tranexamic acid may provide more optimal delivery of tranexamic acid and thereby improve the efficacy and safety profile of this product.

Future Applications for Our Transported Prodrugs

We believe that there are a number of other generic parent drugs that could be candidates for our Transported Prodrug technology. We intend to apply our proprietary technology to selected parent drugs that have low or regionally restricted absorption in the GI tract that results in suboptimal therapy, have a chemical structure that is amenable to prodrug manipulation and are economical to manufacture.

Additionally, we believe that our proprietary technology has broad applicability beyond improving absorption from the GI tract, such as improving the penetration of drugs into the CNS. We also believe that there is a significant opportunity to use our proprietary technology to improve drug candidates that otherwise would not be successfully developed due to poor oral absorption, distribution and/or metabolism.

Blood Brain Barrier

Resources permitting, we intend to further extend our proprietary technology to transporters found in the blood brain barrier with a goal of improving CNS penetration. The blood brain barrier is an important obstacle to the effectiveness of compounds acting on CNS targets. The highly restrictive endothelium of the brain capillary bed and the protective epithelial layer of a part of the brain known as the choroid plexus comprise a formidable barrier of cells through which drugs must pass from the blood to enter the brain. However, many natural compounds needed to feed the high metabolic activity of the brain are selectively absorbed into the CNS, particularly through the extensive capillary beds in the brain. In some cases, large amounts of these compounds are actively pumped from the blood to the brain by transporter proteins. From November 2003 to November 2005, we were engaged in a collaboration with Pfizer to jointly develop transporter technology to enhance the delivery of drugs to the brain. The program was exclusive during the term of the collaboration and provided Pfizer with non-exclusive rights to resulting technologies.

Third-Party Compounds

We believe that our proprietary technology can be utilized to rehabilitate those product candidates of third parties that initially demonstrated potential therapeutic benefits but whose limitations in absorption, distribution and pharmacokinetics have prevented successful drug development or commercialization. We would select other drug molecules for this approach based on our ability to license from third parties these product candidates, the medical need for an improved version of the third party's drug, the size of the commercial opportunity and the amenability of our chemistry to the drug's particular structure.

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 XP13512 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 $23.0 million in milestone payments. In addition, we are eligible to receive clinical and regulatory milestone payments totaling up to an additional $37.0 million. We will receive royalties on any net sales of XP13512 in the Astellas territory at a royalty rate in the mid-teens on a percentage basis. Astellas is solely responsible for the manufacturing of XP13512 to support its development and commercialization within the Astellas territory. Astellas may terminate the collaboration at its discretion. In such event, all XP13512 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 with GSK to develop and commercialize *Horizant*/XP13512 worldwide, excluding the Astellas territory. GSK made an up-front payment to us of $75.0 million, has paid additional milestones of $85.0 million and may make additional payments of up to $190.0 million upon the achievement of clinical and regulatory milestones and up to $290.0 million upon the achievement of specified sales levels. GSK is responsible for all future development costs and leading the development and registration of *Horizant*/XP13512 for all other indications, including neuropathic pain and migraine prophylaxis. GSK is solely responsible for the manufacture of *Horizant*/XP13512 to support the development and commercialization of *Horizant*/XP13512 within the licensed territories. Outside of the United States, we will receive a royalty from GSK on XP13512 net sales, if any. Coincident with our election of the U.S. co-promotion option in April 2009, all allowable expenses under the agreement and any potential future sales of *Horizant* are accounted for using a joint profit and loss, or P&L, statement, in which we and GSK share in the resulting operating pre-tax profits and losses. Prior to the launch of *Horizant*, cash payments to GSK representing our share of the losses are deferred and will be payable without interest over a period of time following the launch. Pending future FDA approval, we would co-promote *Horizant* with GSK and share profits and losses from the potential future sales of *Horizant* in the United States. Pending FDA approval of *Horizant*, GSK is 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 can be charged to the joint P&L statement are the cost of goods and certain costs directly related to *Horizant* marketing and sales. We may terminate our co-promotion right and participation in the profit share arrangement at any time upon notice to GSK with no penalty to us, in which case the original royalty-based compensation structure under the agreement would apply for net sales of *Horizant* in the United States. In addition, under the terms of the agreement, as amended in February 2009, we have the right to commence the detailing of Requip XL, GSK's product for Parkinson's disease, around the time of the *Horizant* launch, and are entitled to continue these detailing activities until the earlier of the launch of a generic form of Requip XL or July 1, 2011. We would be compensated by GSK for each detail of Requip XL completed by our sales representatives through a fee that is separate from the *Horizant* joint P&L statement. GSK may terminate our collaboration agreement in its entirety for any reason. In such event, certain *Horizant*/XP13512 product rights would revert to us and we would be entitled to specified transition assistance from GSK.

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 patent protection for our technologies and product candidates. 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 currently hold a number of issued patents in the United States, including composition-of-matter patents on *Horizant*/XP13512, AP, XP21279 and XP21510. 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, known as PCT, 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*/XP13512, 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. For XP13512, we also own pending counterpart PCT regional patent applications, issued foreign patents and foreign national applications in a number of jurisdictions, including Asia and Europe. Rights under these patents and applications have been exclusively licensed to GSK and Astellas within their respective licensed territories. The patent rights relating to AP 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 2025, a number of pending U.S. patent applications, and issued foreign patents or foreign national applications. The patent rights relating to XP21279 and its synthesis, formulations and methods of use are owned by us and consist of an issued U.S. patent that expires in 2025, pending U.S. patent applications and counterpart PCT applications and a number of foreign national applications. The patent rights relating to XP21510, its synthesis and methods of use are owned by us and consist of an issued U.S. patent that expires at the earliest in 2026, pending U.S. patent applications and a number of pending foreign patent applications.

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 XP13512 and, in April 2009, we filed a response to the opposition. The European opposition hearing has been scheduled for April 15, 2010. Unlike in the United States, where an issued patent is presumed valid, third parties in Europe have nine months following the grant of a patent in which to file an opposition during which there is no presumption of patent validity. Accordingly, the grant of the European patent will be subject to a full review. While we cannot predict the duration or result of this opposition proceeding, a corresponding U.S. patent was issued, and the prior art we believe is most important that was cited in the European opposition as a basis for challenging the issuance of the European patent covering XP13512 was cited to the European Patent Office during the prosecution of that European patent. The possible revocation of the European patent or amendment of its granted claims would not preclude us from developing and commercializing XP13512 in Europe, but could increase the risk of competition.

The composition-of-matter patent on gabapentin, the parent drug of *Horizant*/XP13512, expired in 2000, but Pfizer sold gabapentin exclusively based on a formulation patent until September 2004. This formulation patent is 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 July 2006, the United States District Court for the District of New Jersey ruled in favor of the generic gabapentin makers, including Teva, and Pfizer appealed that ruling. In September 2007, the Court of Appeals for the Federal Circuit overturned the July 2006 District Court ruling that was in favor of the generic gabapentin makers, including Teva, and the suit has been remanded to the District Court to continue with the trial. We are not a party to this litigation, and we believe that the manufacturing process for XP13512 does not infringe the patent that is the subject of this litigation. However, in case of an adverse event in this litigation, such as a decision to enjoin or limit the sale of generic gabapentin, GSK and/or Astellas may be limited in their choices of potential suppliers. This could increase the cost of supply of generic gabapentin and potentially impair our and our collaborative partners' ability to commercialize this product candidate.

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 currently own or operate manufacturing facilities for the production of clinical or commercial quantities of any of our product candidates. To date, we have relied on, and we expect to continue to rely on, a limited number of third-party compound manufacturers and active pharmaceutical ingredient, or API,

formulators for the production of preclinical, clinical and commercial quantities of our product candidates. 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.

Under the terms of our collaboration with GSK, GSK is solely responsible for the manufacture of *Horizant*/XP13512 to support the development and commercialization of *Horizant* within the GSK territory. GSK is currently relying on a single source supplier for clinical supplies of *Horizant*/XP13512. If GSK fails to qualify alternative manufacturers of *Horizant*/XP13512, the current contract manufacturer terminates its agreement with GSK, and GSK is otherwise unable to manufacture or contract to manufacture sufficient quantities of *Horizant*/XP13512, the development and commercialization of *Horizant*/XP13512 could be impaired or delayed in the GSK territory. Under the terms of our collaboration with Astellas, Astellas is solely responsible for the manufacture of XP13512 to support its development and commercialization within the Astellas territory. As a result, if Astellas fails to manufacture or contract to manufacture sufficient quantities of XP13512, development and commercialization of XP13512 could be impaired or delayed in the Astellas territory.

We currently rely on Excella GmbH and Sumitomo Seika Chemicals Company Ltd as our suppliers of R-baclofen, the active agent used to make AP, under purchase orders issued from time to time. In the event that Excella or Sumitomo 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 currently rely on Lonza Ltd. as the single source supplier of our current worldwide requirements of AP in API form under a manufacturing services and product supply agreement. Our current agreement with Lonza does not provide for the entire supply of the API necessary for additional Phase 2 and Phase 3 clinical trials nor for full-scale commercialization. In the event that the parties cannot agree to the terms and conditions for Lonza to provide some or all of our API clinical and commercial supply needs, we would not be able to manufacture API until a qualified alternative supplier is identified, which could also delay the development of, and impair our ability to commercialize, this product candidate. The API is currently 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 currently rely on DSM Pharmaceuticals, Inc. as our single source supplier for AP formulated in sustained-release tablets for future clinical trials at specific transfer prices under quotations agreed upon by the parties as a part of a master services agreement. In the event that DSM terminates the agreement under specified circumstances, 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 currently rely on Ajinomoto Company as our single source supplier of L-Dopa, the active pharmaceutical ingredient used to make XP21279, under purchase orders issued from time to time. We are aware of several alternative suppliers of L-Dopa, and we believe at least one alternative manufacturer could potentially supply L-Dopa in the event that Ajinomoto determines to not sell L-Dopa to us at a price that is commercially attractive.

We have purchased from Raylo Chemicals, Inc., a subsidiary of Gilead Sciences, Inc., XP21279 in API form for Phase 1 clinical trials under a manufacturing services and product supply agreement. We have also qualified Piramal Healthcare as a supplier for manufacture of XP21279 in API form and have purchased from Piramal XP21279 in API form for our Phase 1 and Phase 1b clinical trials under a manufacturing services and product supply agreement. We intend to use Piramal as our primary supplier of XP21279 in API form in the future. In the event that the parties cannot agree to the terms and conditions for Piramal to provide some or all of our API clinical and commercial supply needs, we would not be able to manufacture API until a qualified alternative supplier is identified, which could also delay the development of, and impair our ability to commercialize, this product candidate. The API is currently 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 from Metrics, Inc., our single source supplier for XP21279 formulated in sustained-release tablets, XP21279 at specified transfer prices under quotations agreed upon by the parties as a part of a

master services agreement. We have recently qualified Patheon Inc. as a 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 Metrics terminates the agreement under specified circumstances for manufacture of XP21279 sustained-release tablets or Patheon terminates the agreement under specified circumstances for the manufacture of XP21279 with carbidopa bi-layer tablets, we would not be able to manufacture XP21279 until an alternative supplier is qualified. This could delay the development of, and impair our ability to commercialize, XP21279.

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, 2009, 2008 and 2007, we recorded $70.7 million, $83.2 million and $74.4 million, respectively, in research and development expenses.

Marketing and Sales

Under the terms of our agreement with GSK, we have co-promotion rights for *Horizant* in the United States. We also have the right to commence the detailing of Requip XL, GSK's product for Parkinson's disease, around the time of the *Horizant* launch, and we are entitled to continue these detailing activities until the earlier of the launch of a generic form of Requip XL or July 1, 2011. Pending FDA approval of *Horizant*, GSK is 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.

If XP13512 is approved for sale in countries outside the United States, Astellas will be responsible for all commercial activities related to the marketing and sale of XP13512 within the Astellas territory. GSK will be responsible for all commercial activities related to the marketing and sale of XP13512 in all other regions of the world.

We plan to 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.

We also plan to license to third parties for development, marketing and sales other potential drug candidates that are discovered by us but do not fall within the CNS therapeutic area, our primary area of interest.

Competition

The pharmaceutical and biotechnology industries are intensely competitive. Any product candidate developed by us would 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 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 that we are targeting. 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 discovery and development to:

- discover and develop products that are superior to other products in the market;
- attract and retain qualified scientific, 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 in the discovery, 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 order to compete successfully, we will need to identify, secure the rights to, develop and exploit these pharmaceutical products commercially before others are able to develop competitive products.

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.

We believe that our product development programs will be subject to significant competition from companies utilizing alternative technologies. In addition, as the principles of active transport become more widely known and appreciated based on patent and scientific publications and regulatory filings, we expect the field to become highly competitive. Pharmaceutical companies, biotechnology companies and academic and research institutions may succeed in developing products based upon the principles underlying our proprietary technologies earlier than us, obtaining approvals for such products from the FDA more rapidly than us or developing products that are safer, more effective and/or more cost effective than those under development or proposed to be developed by us.

Our objective is to discover, develop and commercialize new medicines with superior efficacy, convenience, tolerability and/or safety. To the extent that we are able to 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 our collaborative partners or on our own will compete with existing, market-leading medicines.

Horizant/XP13512. We anticipate that, if approved for RLS, *Horizant* will compete with currently approved treatments for RLS that all belong to a class of drugs called dopamine agonists, including the following: ropinirole (marketed as Requip by GSK); generic ropinirole (marketed by, among others, CorePharma, LLC, Mylan Pharmaceuticals Inc., Wockhardt USA LLC, Roxane Laboratories, Inc. and Teva); pramipexole (marketed as Mirapex by Boehringer Ingelheim); and generic pramipexole from Teva. In addition, we could also experience competition from the rotigotine transdermal system (a dopamine agonist patch marketed as Neupro by UCB). UCB filed its NDA for the treatment of RLS with the FDA in 2007 and has received a Complete Response letter from the FDA.

We anticipate that, if approved for neuropathic pain in the United States, *Horizant* would compete with generic gabapentin (marketed by Alpharma, IVAX Corp., Pfizer and Teva, among others). Other drugs targeting neuropathic pain will represent substantial competition. These include pregabalin (marketed as Lyrica by Pfizer), duloxetine (marketed as Cymbalta by Eli Lilly) and a capsaicin patch (marketed as Qutenza by NeurogesX, Inc.) In addition, transdermal patches containing the anesthetic known as lidocaine are sometimes used for the management of PHN. We anticipate that, if approved for migraine prophylaxis, *Horizant* would compete with existing products on the market, including topiramate (marketed as Topamax by Johnson & Johnson) and generic amitriptyline.

Other products that may achieve FDA approval could pose additional competitive threats to *Horizant*. For example, DM-1796 (known as Gabapentin GR being developed by Depomed, Inc. and its partner for pain indications, Abbott Laboratories), which is an extended-release formulation of gabapentin, has reported positive results from a Phase 3 clinical trial for the treatment of PHN. DM-1796 (also known as Serada) is also being evaluated for hot flashes.

AP. We anticipate that, if approved, AP would experience competition from several generic drugs approved for the treatment of spasticity, including racemic baclofen, diazepam, dantrolene sodium and tizanidine. We know of at least one therapy that is in development for the treatment of spasticity, IPX056 (an extended-release formulation of baclofen being developed by Impax Laboratories, Inc). Products that could

compete with AP in the GERD therapeutic area include: pantoprazole sodium (marketed as Protonix by Pfizer); lansoprazole (marketed as Prevacid by Takeda Pharmaceutical Company Limited); esomeprazole and omeprazole (marketed as Nexium and Prilosec, respectively, by AstraZeneca Pharmaceuticals LP); rabeprazole (marketed as Aciphex by Eisai/Johnson & Johnson); and generic H_2 receptor antagonists such as cimetidine, ranitidine, famotidine and nizatidine. Product candidates in development for the treatment of GERD include a GABA(B) receptor agonist known as AZD3355 from AstraZeneca.

XP21279. We anticipate that, if approved, XP21279 would compete with generic L-Dopa/carbidopa drugs and other drugs for the treatment of Parkinson's disease. These include a combination therapy of L-Dopa/carbidopa/entacapone (marketed as Stalevo in the United States by Novartis Group) and dopamine agonists (marketed as Mirapex, Requip and Neupro by Boehringer-Ingelheim, GSK and UCB, respectively) as well as generic ropinirole (marketed by, among others, Roxane, Teva and Mylan). In addition, other therapies under development in the United States include levodopa-carbidopa formulations. For example, IPX066 from Impax, an extended-release formulation of L-Dopa/carbidopa, and Duodopa (a levodopa-carbidopa gel delivered by a portable pump directly into the duodenum being developed by Solvay) are among the product candidates in development that represent potential competition for XP21279.

There may be other compounds of which we are not aware that are at an earlier stage of development and may compete with our product candidates. If any of those compounds are successfully developed and approved, they could compete directly with our 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 Federal Food, Drug and Cosmetic Act, or FFDCA, 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 application, or IND, 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. Although there are no statutory definitions for Phase 2a and Phase 2b, Phase 2a is commonly used to describe a Phase 2 clinical trial evaluating efficacy, adverse effects and safety risks, and Phase 2b is commonly used to describe a subsequent Phase 2 clinical trial that also evaluates dosage tolerance and optimal dosage.

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 our or our collaborative partners' data is insufficient for approval and require additional preclinical, clinical or other studies. For example, in November 2008, GSK and we agreed to withdraw the NDA for *Horizant* following discussions with the FDA in which the FDA requested that we reformat existing data for a submitted Phase 3 clinical trial. The NDA for this product candidate was then resubmitted in January 2009 with the appropriate data reformatted, and subsequently, in February 2010, GSK received a Complete Response letter from the FDA regarding the NDA for *Horizant*. The letter indicated that a preclinical finding of pancreatic acinar cell tumors in rats was of sufficient concern to preclude approval of the *Horizant* NDA for RLS in its current form.

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 FFDCA. 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 FFDCA. 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 FFDCA.

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 findings by the FDA that the parent

drug is safe and effective in that indication, 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 submissions, 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.

Pursuant to the terms of our collaboration with GSK, GSK is the sponsor of the NDA for *Horizant* for the treatment of RLS, and GSK is responsible for leading the development and registration of *Horizant* for all other indications in the United States, including neuropathic pain and migraine prophylaxis. For our other product candidates that are currently undergoing clinical trials, we intend to follow the development pathway permitted under the FFDCA that will maximize the commercial opportunities for these Transported Prodrugs. We are currently pursuing the traditional NDA route for our Transported Prodrugs under Section 505(b)(1) of the FFDCA. In the event that we decide to utilize Section 505(b)(2) of the FFDCA to pursue an approval of our Transported 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.

Once the NDA submission has been accepted for filing, the FDA typically takes one year to review the application and respond to the applicant. 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 or GSK will be at a time the FDA chooses. For example, the FDA issued a Complete Response letter following its review of the NDA for *Horizant.* GSK and XenoPort are assessing the appropriate next steps and communicating with the FDA. Such next steps could potentially include meeting with the FDA to discuss the Complete Response letter, providing a resubmission of information to the NDA in response to the Complete Response letter or entering into a formal dispute resolution process with the FDA regarding the Complete Response action.

Post-Marketing Regulations

If the FDA grants regulatory approval of a product, such approval may entail limitations on the indicated uses for which such product may be marketed. The FDA may withdraw the product approval if compliance with pre- and post-marketing regulatory standards and requirements is not maintained or if problems occur after the product reaches the marketplace. The FDA may require additional clinical trials, including Phase 4 post-marketing studies, to provide additional data on safety, to monitor the effect of approved products or for other reasons. The failure of such trials can result in a range of regulatory actions, including limiting further marketing of the product or withdrawal of the product from the market.

If we or our collaborative partners obtain regulatory approval for a product, this clearance will be limited to those diseases and conditions for which the FDA agrees that the product is safe and effective, as demonstrated through clinical trials and as described in the FDA-approved product label. Thus, further studies will be required to gain approval for the use of a product as a treatment for clinical indications other than the indication for which the product was initially approved. Even if regulatory approval is obtained, a marketed product, its manufacturer and its manufacturing facilities are subject to continual review and periodic inspections by the FDA. Further, if there are any modifications to the drug, including any change in indication, manufacturing process, labeling or manufacturing facility, it is necessary to submit an application to the FDA seeking approval of such changes. Also, the FDA can place restrictions on approval and marketing utilizing its authority under applicable regulations. Discovery of previously unknown problems with a medicine, manufacturer or facility may result in restrictions on the marketing or manufacturing of an approved product, including costly recalls or withdrawal of the product from the market. The FDA has broad post-market regulatory and enforcement powers, including the ability to suspend or delay issuance of approvals, seize or recall products, withdraw approvals, enjoin violations and institute criminal prosecution. Additionally, the FDA regulates the labeling, storage, record keeping,

advertising and promotion of prescription pharmaceuticals. In the course of practicing medicine, physicians may legally prescribe FDA-approved drugs for an indication that has not been approved by the FDA and which, therefore, is not described in the product's approved labeling. This prescribing practice is known as "off-label use." The FDA does not regulate the behavior of physicians in their choice of treatments. The FDA and other governmental agencies do, however, restrict communications on the subject of off-label use by a manufacturer or those acting on behalf of a manufacturer, and companies may not promote FDA-approved drugs for off-label uses. Marketed products are subject to continued regulatory oversight by the Office of Medical Policy, Division of Drug Marketing, Advertising, and Communications. Certain products approved by the FDA may only be marketed if the promotional materials advertising such products carry certain warnings. Failure to comply with applicable regulations could result in marketing restrictions, financial penalties and/or other sanctions.

The Controlled Substances Act imposes various registration, record-keeping and reporting requirements, procurement and manufacturing quotas, labeling and packaging requirements, security controls and a restriction on prescription refills on certain pharmaceutical products. A principal factor in determining the particular requirements, if any, applicable to a product is its actual or potential abuse profile. The U.S. Drug Enforcement Agency, or DEA, regulates chemical compounds as Schedule I, II, III, IV or V substances, with Schedule I substances considered to present the highest risk of substance abuse and Schedule V substances the lowest risk. Pregabalin is classified as a controlled substance (Schedule V), which could increase the possibility that XP13512 would be classified as a controlled substance since they are believed to act on the same therapeutic target. If any of our product candidates contains a scheduled substance, it would be subject to DEA regulations relating to manufacturing, storage, distribution and physician prescription procedures, and the DEA would regulate the amount of the scheduled substance that would be available for clinical trials and commercial distribution.

We and our collaborative partners also will be subject to a variety of foreign regulations governing clinical trials and the marketing of our products. Outside the United States, our ability to market a product depends upon receiving a marketing authorization from the appropriate regulatory authorities. Pursuant to the terms of our collaboration with Astellas, Astellas has filed in Japan an NDA for XP13512 for restless legs syndrome, and Astellas will lead the development and registration of XP13512 for any other indications in the Astellas territory. The requirements governing the conduct of clinical trials, marketing authorization, pricing and reimbursement vary widely from country to country. In any country, however, we and our collaborative partners will only be permitted to commercialize our products if the appropriate regulatory authority is satisfied that we have presented adequate evidence of safety, quality and efficacy. Whether or not FDA approval has been obtained, approval of a product by the comparable regulatory authorities of foreign countries must be obtained prior to the commencement of marketing of the product in those countries. The time needed to secure approval may be longer or shorter than that required for FDA approval. The regulatory approval and oversight process in other countries includes all of the risks associated with the FDA process described above.

Pharmaceutical Pricing and Reimbursement

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. Legislative debate is expected to continue in the future, and market forces are expected to drive reductions of healthcare costs. The adoption of any federal or state healthcare reform measures or future private sector reforms could further limit reimbursement for medical products.

In both domestic and foreign markets, sales of any products for which we or our collaborative partners receive regulatory approval for commercial sale will depend in part on the availability of 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. We or our collaborative partners may need to conduct expensive pharmacoeconomic studies in order to demonstrate the cost-effectiveness of our products. Our product candidates may not be considered cost-effective.

Pursuant to the Medicare Prescription Drug Improvement and Modernization Act of 2003, or the 2003 Medicare Act, Medicare beneficiaries are eligible to obtain subsidized prescription drug coverage from a choice of private sector plans. Approximately 90 percent of Medicare beneficiaries now have coverage for prescription medicines. The use of pharmaceuticals has increased slightly among some patients as the result of the expanded access to medicines afforded by coverage under Medicare. However, such expanded utilization has been largely offset by increased pricing pressure and competition due to the enhanced purchasing power of the private sector plans that negotiate on behalf of Medicare beneficiaries and by an increase in the use of generic medicines in this population.

Facilities

We lease approximately 162,000 square feet of office and laboratory space in two adjacent buildings in Santa Clara, California, where we conduct our operations. The leases expire concurrently in August 2013, although we have the option to extend both leases for two additional terms of five years each. The 2009 aggregate annual rental amount payable under the leases was approximately $5.3 million, subject to periodic increases. Although our facilities are adequate for our existing needs, we may require additional space as our business expands.

Employees

As of December 31, 2009, we had 219 full-time employees, 155 of whom were engaged in research and product development activities. One hundred and twenty-six employees hold post-graduate degrees, including six with M.D. degrees and 52 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 February 1, 2010:

Name	Age	Position
Ronald W. Barrett, Ph.D.	54	Chief Executive Officer and Director
William J. Rieflin	49	President
Vincent J. Angotti	42	Senior Vice President, Chief Commercialization Officer
Kenneth C. Cundy, Ph.D.	50	Senior Vice President of Preclinical Development
Mark A. Gallop, Ph.D.	47	Senior Vice President of Research
William G. Harris	51	Senior Vice President of Finance and Chief Financial Officer
David R. Savello, Ph.D.	64	Senior Vice President of Development
David A. Stamler, M.D.	49	Senior Vice President, Chief Medical Officer

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.

William J. Rieflin has been our president since September 2004. From 1996 to 2004, he held various positions with Tularik Inc., a biotechnology company focused on the discovery and development of product candidates based on the regulation of gene expression, the most recent of which was executive vice president, administration, chief financial officer, general counsel and secretary. Amgen Inc., a biotechnology company, acquired Tularik in 2004. Mr. Rieflin received a B.S. from Cornell University, an M.B.A. from the University of Chicago Graduate School of Business and a J.D. from Stanford Law School.

Vincent J. Angotti has been our senior vice president and chief commercialization officer since May 2008. 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.

Kenneth C. Cundy has been our senior vice president of preclinical development since January 2004. He was previously our vice president of biopharmaceutics from 2000 to 2004. From 1992 to 2000, he was senior director of biopharmaceutics at Gilead Sciences, Inc., a biopharmaceutical company. Prior to Gilead Sciences, Dr. Cundy was principal research investigator at Sterling Drug, a pharmaceutical division of Eastman Kodak Company, an imaging and photographic equipment company, from 1988 to 1992. He received a B.S. from the University of Manchester and a Ph.D. in pharmaceutical sciences from the University of Kentucky.

Mark A. Gallop is one of our founders and has been our senior vice president of research since January 2004. He was previously our vice president of chemistry since 1999. From 1990 to 1999, Dr. Gallop held several positions at Affymax Research Institute, the most recent of which was senior director of combinatorial chemistry. Dr. Gallop received a B.Sc. from the University of Auckland and a Ph.D. in inorganic chemistry from the University of Cambridge.

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, 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 since February 2007. He was previously 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., a pharmaceutical services company. Prior to joining Cardinal Health, from 1997 to 1999, he was senior vice president for drug development at Guilford Pharmaceuticals Inc., a biotechnology company. 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, a pharmaceutical company, and 3M Company, a pharmaceutical company. Dr. Savello received his B.S. degree from the Massachusetts College of Pharmacy and an M.S. and Ph.D. in pharmaceutics from the University of Maryland School of Pharmacy.

David A. Stamler has been our senior vice president and chief medical officer since July 2008. From 2005 to 2008, Dr. Stamler was chief scientific officer and head of drug development for Prestwick Pharmaceuticals, Inc., a pharmaceutical company, where he led clinical and pre-clinical development activities for a portfolio of CNS

compounds. Prior to Prestwick, from 1997 to 2005, Dr. Stamler held several positions with Fujisawa Pharmaceutical Company, a pharmaceutical company, the most recent of which was senior global project leader for CNS Diseases and vice president of research and development, Medical Sciences for Fujisawa's U.S. subsidiary, Fujisawa Healthcare, Inc. From 1993 to 1997, Dr. Stamler held several positions with Abbott Laboratories, the most recent of which was director of clinical research, Pharmaceutical Products for the International Division. Dr. Stamler received B.A. and M.D. degrees from the University of Chicago.

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. XENOPORT, the XenoPort logo and Transported Prodrug 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 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. 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 currently 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 sustain profitability.

We have a limited operating history and have incurred cumulative losses of $304.9 million since our inception in May 1999, including net income (loss) of $(66.3) million, $(62.5) million and $28.2 million for the years ended December 31, 2009, 2008 and 2007, respectively. Due to the recognition of revenues from up-front and milestone payments from our collaborations with Glaxo Group Limited, or GSK, Astellas Pharma Inc. and Xanodyne Pharmaceuticals, Inc., we were profitable in the three-month periods ended June 30, September 30 and December 31, 2007, and for the year ended December 31, 2007. However, while recognition of these revenues resulted in a profitable year for 2007, we incurred net losses in 2008 and 2009, and we expect to incur net losses in 2010. Subject to regulatory approval of any of our product candidates, we expect to incur significant expenses associated with the establishment of a North American specialty sales force. 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, 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. Currently, we have no products approved for commercial sale and, to date, we have not generated any product revenues. 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 efforts to research and development, including clinical trials. If we or our collaborative partners are unable to develop and commercialize our product candidates, if development is delayed or if sales revenue from a product candidate that receives marketing approval is insufficient, 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 our most advanced product candidates, which are still under development. If we or our collaborative partners are unable to bring any or all 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 harmed.

Our ability to generate product revenue in the future will depend heavily on the successful development and commercialization of our product candidates. In February 2010, we and our partner, GSK, announced that GSK received a Complete Response letter from the U.S. Food and Drug Administration, or FDA, regarding the new drug application, or NDA, submitted by GSK seeking approval of *Horizant* (gabapentin enacarbil) Extended-Release Tablets for the treatment of moderate-to-severe primary restless legs syndrome, or RLS. A Complete Response letter is issued by the FDA's Center of Drug Evaluation and Research when the review of a file is completed and questions remain that preclude the approval of the NDA in its current form. In the Complete Response letter, the FDA indicated that a preclinical finding of pancreatic acinar cell tumors in rats was of sufficient concern to preclude approval of the *Horizant* NDA for RLS in its current form. We and GSK are assessing appropriate next steps and will be communicating with the FDA concerning the letter. The Complete Response letter will delay and could prevent the approval of *Horizant* in RLS and will delay the development of *Horizant* in neuropathic pain. GSK is currently evaluating *Horizant* in a Phase 2b clinical trial for migraine prophylaxis in the United States. Beyond the current trial in migraine prophylaxis, which is continuing, further development or trials in migraine prophylaxis may be delayed due to the Complete Response letter, as well.

In November 2009, our partner, Astellas, submitted an NDA for XP13512 for the treatment of restless legs syndrome in Japan. Our other product candidates are either in Phase 1 or Phase 2 clinical development or in various stages of preclinical 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; or
- is not accepted in the medical community and by third-party payors.

For example, in September 2009, we announced that we would no longer be pursuing further development of arbaclofen placarbil, or AP, previously known as XP19986, for acute back spasms following the completion of a Phase 2 clinical trial of AP in patients with acute moderate to severe muscle spasms in the lumbar region that did not demonstrate AP efficacy over placebo. If we or our collaborative partners 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.

If we or our partners are not able to obtain required regulatory approvals, we or our partners will not be able to commercialize 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 FDA approval or approval from comparable authorities in other countries would prevent us and our collaborative partners from commercializing our product candidates in the United States or other countries. We or our collaborative partners may never receive regulatory approval for the commercial sale of our product candidates. 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 in its current form. We and GSK are currently evaluating strategies and options for further communication with the FDA and further development of *Horizant* in RLS and other indications. However, it is unknown when or if we and GSK will obtain FDA approval for *Horizant* for RLS or any indication. If we are unable to obtain regulatory approval of *Horizant*, we may not achieve profitability and our business will be severely harmed. 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 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 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 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. The NDA for *Horizant* was resubmitted in January 2009, the FDA accepted the NDA for review in March 2009 and in February 2010 GSK received a Complete Response letter from the FDA that concluded the NDA could not be approved in its current form.

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. For example, in 2008, the FDA announced that, due to staffing and resource limitations, it had given its managers discretion to miss certain timing goals for completing reviews of NDAs set forth under the Prescription Drug User Fee Act, or PDUFA. In December 2009, the FDA announced that it has withdrawn such internal permission and that managers must meet the PDUFA goal whenever possible. If the FDA were to miss a 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 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 or our collaborative partners' data is insufficient for approval and require additional preclinical, clinical or other studies. For example, varying interpretations of the data obtained from preclinical and clinical testing could delay, limit or prevent regulatory approval of any of our product candidates. The FDA could also require additional studies or trials to satisfy particular safety concerns noted in our preclinical or clinical testing. In particular, to satisfy a preclinical safety concern expressed in the Complete Response letter with respect to *Horizant*, the FDA may require us to undertake additional studies or trials prior to approving *Horizant*. Even if we were to undertake additional studies or trials, there are no assurances that it would be sufficient to obtain approval of *Horizant* for RLS. 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. 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 and our 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 collaborative partners fail to obtain approvals from foreign jurisdictions, the geographic market for our product candidates would be limited.

We depend on collaborations to complete the development 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 or may otherwise be on terms unfavorable to us.

In December 2005, we entered into a collaboration with Astellas for the development and commercialization of XP13512, also known as ASP8825, in Japan, Korea, the Philippines, Indonesia, Thailand and Taiwan. In February 2007, we entered into an exclusive collaboration with GSK to develop and commercialize XP13512, also known as GSK1838262 and by the trade name *Horizant* in the United States, worldwide, excluding the Astellas territory.

We may enter into additional collaborations with third parties to develop and commercialize some of our product candidates. Our dependence on Astellas and GSK for the development and commercialization of *Horizant*/XP13512 subjects us to, and our dependence on future collaborators for development and commercialization of additional product candidates will subject us to, a number of risks, including:

- we are not able to control the amount and timing of resources that GSK and Astellas devote to the development or commercialization of product candidates or to their marketing and distribution;
- 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 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;
- disputes may arise between us and our collaborators 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;
- collaborators may experience financial difficulties;
- collaborators may not be successful in their efforts to obtain regulatory approvals in a timely manner, or at all;
- 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;
- where we co-promote a product with a collaborator, if we do not receive timely and accurate information from our collaborator 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; and

- the collaborations may be terminated or allowed to expire, which would delay the development and may increase the cost of developing our product candidates.

For example, in October 2007, we entered into a collaboration with Xanodyne for the development and commercialization of XP21510 in the United States. Effective July 2009, Xanodyne terminated the collaboration agreement.

As a further example, we cannot control the process for securing FDA approval of *Horizant* for the potential treatment of RLS. GSK is responsible for all interactions with the FDA. If the FDA requires additional studies or trials evaluating the safety or efficacy of *Horizant*, GSK would be responsible for performing such studies or trials. We cannot control the amount and timing of resources that GSK or Astellas may devote to the development or commercialization of *Horizant*/XP13512 or its marketing and distribution. In February 2010, GSK announced that it is proposing to cease discovery research in certain neuroscience areas, including depression and pain. We are currently evaluating with GSK the next steps in the development plan for *Horizant* as a potential treatment for neuropathic pain, which we anticipate will be delayed based on the Complete Response letter for RLS. However, GSK may not develop *Horizant* in neuropathic pain, or for any further indications. In response to the uncertainty regarding FDA approval raised by the Complete Response letter received by GSK with respect to the *Horizant* NDA for RLS, GSK or Astellas may abandon further development or the pursuit of regulatory approval of *Horizant* or XP13512, and may terminate their respective collaboration agreements with us, which could delay or impair the development and commercialization of *Horizant*/XP13512 and harm our business.

If we do not establish collaborations for our product candidates other than XP13512, we will 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. 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 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 or 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 will need additional funding and may be unable to raise capital when needed, which would force us to delay, reduce or eliminate our product development programs or commercialization efforts.

We will need to raise additional capital to fund our operations and complete the development of our product candidates. If any product candidates receive regulatory approval for commercial sale, we may need to raise additional capital to fund our commercialization efforts. Our future funding requirements will depend on many factors, including:

- the scope, rate of progress, results and cost of our preclinical testing, clinical trials and other research and development activities;
- the receipt of FDA approval for *Horizant* and the timing and success of further studies and trials necessary to secure this approval, if any;

- the cost of manufacturing clinical, and establishing commercial, supplies of our product candidates and any products that we may develop;
- the extent of product development funding under our current collaborative arrangements;
- the timing of any milestone payments under our collaborative arrangements;
- the number and characteristics of product candidates that we pursue;
- the cost, timing and outcomes of regulatory approvals;
- the terms and timing of any collaborative, licensing and other arrangements that we may establish;
- the timing and amount of our share of operating losses from our GSK collaboration;
- the timing, receipt and amount of sales, profit sharing or royalties, if any, from our potential products;
- 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, although we currently 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, as well as through interest income earned on cash balances. If we raise additional funds by issuing equity securities, our stockholders may 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.

We believe that our existing capital resources and expected milestone payments, together with interest thereon, will be sufficient to meet our current operating plan, as in effect prior to receipt of the Complete Response letter regarding the *Horizant* NDA, into the third quarter of 2011. However, as a result of the Complete Response letter, we are evaluating our operating plan and we may delay clinical development programs, decrease the scope of research and development activities and/or implement expense reduction strategies in future periods. 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 currently 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 currently have no credit facility or committed sources of capital other than potential milestones receivable under our current collaborations.

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 available on a timely basis, we may:

- terminate or delay clinical trials for one or more of our product candidates;
- curtail significant drug development programs that are designed to identify new product candidates;
- delay our establishment of sales and marketing capabilities or other activities that may be necessary to commercialize our product candidates; or
- exercise the right to revert to a net sales royalty-based compensation structure and forego the right to co-promote *Horizant* in the United States.

For example, in January 2009, we suspended preclinical development activities for XP20925, our Transported Prodrug of propofol, to focus our resources on development of later-stage 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.

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 April 2009, GSK completed a 14-week, double-blind, placebo-controlled, Phase 2 clinical trial of *Horizant* as a potential treatment for diabetic peripheral neuropathy, or DPN, in which neither *Horizant* nor pregabalin, the active control, demonstrated a statistically significant improvement on the primary endpoint when compared to placebo. Long-term safety concerns may also prevent the approval of any of our product candidates by a regulatory authority. For example, safety concerns related to a preclinical finding of pancreatic acinar cell tumors in rats precluded FDA approval of the *Horizant* NDA for RLS in its current form. In addition, 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. 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 or our collaborative partners' 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.

As an example of an unforeseen event, after having been discharged from a Phase 1 clinical trial in which a single dose of *Horizant* was administered almost two days earlier, a volunteer died of a self-inflicted gunshot wound following a domestic dispute. We do not believe that this incident was related to *Horizant*. However, any unforeseen event could cause us to experience significant delays in, or the termination of, clinical trials. Any such events would increase our costs and could delay or prevent our ability to commercialize our product candidates, which would adversely impact our financial results.

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, which we have experienced in the past, and variability in the number and types of patients available for clinical trials;
- our inability or the inability of our collaborators or licensees 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, policy 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 XP13512 as a potential treatment for DPN due to difficulty in demonstrating a statistically significant advantage of XP13512 over placebo under the current clinical trial design. As a result, Astellas does not intend to continue the development of XP13512 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 for our product candidates, 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, with the exception of *Horizant* and XP13512, 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, or successfully commercialize, our product candidates.

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 and 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 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 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 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.

Even if patents are issued regarding 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 XP13512, and in April 2009, we filed a response to the opposition. The European opposition hearing has been scheduled for April 15, 2010. Unlike in the United States, where an issued patent is presumed valid, third parties in Europe have nine months following the grant of a patent in which to file an opposition during which there is no presumption of patent validity. Accordingly, the grant of the European patent will be subject to a full review. While we cannot predict the duration or result of this opposition proceeding, a corresponding U.S. patent was issued, and the prior art we believe was most important that was cited in the European opposition as a basis for challenging the issuance of the European patent covering XP13512 was cited to the European Patent Office during the prosecution of that European patent. The possible revocation of the European patent or amendment of its granted claims would not preclude us from developing and commercializing XP13512 in Europe, but could increase the risk of competition. Patents also may not protect our product candidates if competitors devise ways of making these or similar product candidates without legally infringing our patents. The Federal Food, Drug and Cosmetic Act 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.

Subject to possible patent term extension, the entitlement for which and the term of which we cannot predict, patent protection in the United States covering *Horizant* will expire no earlier than 2022. We believe that in all countries in which we hold or have licensed rights to patents or patent applications related to *Horizant* and XP13512, 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 XP21510, our product candidate that is a Transported Prodrug of tranexamic acid, a U.S. composition-of-matter patent has issued that will expire no earlier than 2026. Although third parties may challenge our rights to, or the scope or validity of, our patents, to date, other than the European opposition described above, we have not received any communications from third parties challenging our patents or patent applications covering our product candidates.

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. While the FDA has historically granted a five-year new chemical entity exclusivity to prodrugs such as *Horizant* and AP, a lawsuit was recently filed by a generic drug company against the FDA challenging the grant of the five-year exclusivity to another company's prodrug. In October 2009, the FDA, following a review of applicable statutes and regulations and a period for public comment, reaffirmed its decision to grant the five-year exclusivity to the prodrug. However, the generic drug company's law suit against the FDA is proceeding. If the suit against the FDA is successful, it could mean that *Horizant* and AP receive shorter or no exclusivity periods. It is also possible that generic manufacturers are considering attempts to seek FDA approval for a similar or identical drug as our product candidate 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, we are aware of third-party patents relating to the use of baclofen in the treatment of GERD. If the patents are determined to be valid and construed to cover AP, the development and commercialization of AP could be affected. 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. However, it is possible that a judge or jury will disagree with our conclusions regarding non-infringement and/or

invalidity, 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. 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 our product candidates. 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 continue to conduct research to identify 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 35 U.S.C. § 271(e)(1) 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 research and 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 research 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 research and development activities.

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

We do not currently own or operate manufacturing facilities for the production of clinical or commercial quantities of any of our products or product candidates. To date, we have relied on, and we expect to continue to rely on, a limited number of third-party compound manufacturers and active pharmaceutical ingredient, or API, formulators for the production of preclinical, clinical and commercial quantities of our product candidates. 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, 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 formulators or enter into favorable agreements with them. Any inability to acquire sufficient quantities of 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 our product candidates in a cost-effective manner or on a timely basis.

Under the terms of our collaboration with GSK, GSK is solely responsible for the manufacture of *Horizant*/XP13512 to support its development and commercialization within the GSK territory. GSK is currently relying on a single source supplier for clinical supplies of *Horizant*/XP13512. If GSK fails to qualify alternative manufacturers of *Horizant*/XP13512, the current contract manufacturer terminates their agreement with GSK, and GSK is otherwise unable to manufacture or contract to manufacture sufficient quantities of *Horizant*/XP13512, the development and commercialization of *Horizant*/XP13512 could be impaired or delayed in the GSK territory. Under the terms of our collaboration with Astellas, Astellas is solely responsible for the manufacture of XP13512 to support its development and commercialization within the Astellas territory. As a result, if Astellas fails to manufacture or contract to manufacture sufficient quantities of XP13512, development and commercialization of XP13512 could be impaired or delayed in the Astellas territory.

We currently rely on Excella GmbH and Sumitomo Seika Chemicals Company Ltd as our source suppliers of R-baclofen, the active agent used to make AP, under purchase orders issued from time to time. In the event

that Excella or Sumitomo 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 currently rely on Lonza Ltd. as the single source supplier of our current worldwide requirements of AP in API form under a manufacturing services and product supply agreement. Our current agreement with Lonza does not provide for the entire supply of the API necessary for our Phase 2 and Phase 3 clinical trials or for full-scale commercialization. In the event that the parties cannot agree to the terms and conditions for Lonza to provide some or all of our API clinical and commercial supply needs, we would not be able to manufacture API until a qualified alternative supplier is identified, which could also delay the development of, and impair our ability to commercialize, this product candidate.

We currently rely on DSM Pharmaceuticals, Inc. as our single source supplier for AP formulated in sustained-release tablets for future clinical trials at specified transfer prices under quotations agreed upon by the parties as a part of a master services agreement. In the event that DSM terminates the agreement under specified circumstances, 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 currently rely on Ajinomoto Company as our single source supplier of L-Dopa, which is used to make XP21279, under purchase orders issued from time to time. We are aware of several alternative suppliers of L-Dopa, and we believe at least one alternative manufacturer could potentially supply L-Dopa, in the event that Ajinomoto determines to not sell L-Dopa to us at a price that is commercially attractive. If we were unable to qualify an alternative supplier of L-Dopa, this could delay the development of, and impair our ability to commercialize, XP21279.

We have purchased from Raylo Chemicals, Inc., a subsidiary of Gilead Sciences, Inc., XP21279 in API form for Phase 1 clinical trials under a manufacturing services and product supply agreement. We have also qualified Piramal Healthcare as a supplier for manufacture of XP21279 in API form and have purchased from Piramal XP21279 in API form for our Phase 1 and Phase 1b clinical trials under a manufacturing services and product supply agreement. We intend to use Piramal as our primary supplier of XP21279 in API form in the future. In the event that the parties cannot agree to the terms and conditions for Piramal to provide some or all of our API clinical and commercial supply needs, we would not be able to manufacture API until a qualified alternative supplier is identified, which could also delay the development of, and impair our ability to commercialize, this product candidate.

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

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 any other third-party manufacturing arrangements on acceptable terms, if at all. If we are unable to continue relationships with Excella, Sumitomo, Lonza or DSM for AP or Ajinomoto, Piramal, Metrics or Patheon for XP21279 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 these product candidates.

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

Our current reliance, and our and our partners' anticipated future reliance, on third-party manufacturers will expose us and our partners to risks that could delay or prevent the initiation or completion of clinical trials by us or our partners, the submission of applications for regulatory approvals, the approval of our products by the FDA or foreign regulatory authorities or the commercialization of our products or could result in higher costs or lost product revenues. In particular, our or our partner's 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 clinical schedules or to commercialize 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 lead to significant delays in the availability of material for clinical study, delay or prevent marketing approval for our product candidates or require costly recalls of products already having received approval;
- could encounter financial difficulties that would interfere with their obligations to supply our product candidates; and
- could breach, or fail to perform as agreed under, manufacturing agreements.

If we or our partners are not able to obtain adequate supplies of our product candidates, it will be more difficult to develop our product candidates and compete effectively. Our product candidates and any products that we may develop may compete with other product candidates and products for access to manufacturing facilities.

In addition, the manufacturing facilities of Excella, Sumitomo, Lonza, Ajinomoto, Piramal and Patheon are located outside of the United States. This may give rise to difficulties in importing our 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.

Safety issues with the parent drugs or other components of our product candidates, or with approved products of third parties that are similar to our product candidates, could 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. Although gabapentin, baclofen and L-Dopa, the parent drugs of *Horizant*/XP13512, AP and XP21279, respectively, have been used successfully in patients for many years, newly observed toxicities, or worsening of known toxicities, in patients receiving gabapentin, baclofen and L-Dopa could result in increased regulatory scrutiny of *Horizant*/XP13512, AP and XP21279, respectively. For example, 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 current form. Although there were similar findings of pancreatic acinar cell tumors in rats for gabapentin, the FDA has to date not prevented the use of gabapentin.

Our product candidates are engineered to be broken down by the body's natural metabolic processes and to release the parent 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*, XP13512, AP, XP21279 and XP21510 to be poorly tolerated by, or toxic to, humans. Any unexpected toxicity of, or suboptimal tolerance to, our Transported Prodrugs would delay or prevent commercialization of these 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 our product candidates could adversely affect 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 delay or prevent the regulatory approval 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 December 2008, the FDA added warnings to 11 antiepileptic drugs, including gabapentin, regarding an increased risk of suicide or suicidal thoughts. In April 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. *Horizant*, as a compound that is believed to share the same therapeutic target as gabapentin and pregabalin, would, if approved by the FDA, require a similar warning in its label. 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*, 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 delay its clinical trial and approval process. 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 not be successful in our efforts to identify or discover additional Transported Prodrug candidates.

An important element of our strategy is to identify, develop and commercialize Transported Prodrugs that improve upon the absorption, distribution and/or metabolism of drugs that have already received regulatory approval. Research programs to identify new product candidates require substantial technical, financial and human resources. These research programs may initially show promise in identifying potential product candidates, yet fail to yield product candidates for clinical development for a number of reasons, including:

- the research methodology used may not be successful in identifying potential product candidates; or
- potential product candidates may, on further study, be shown to have inadequate efficacy, harmful side effects, suboptimal pharmaceutical profile or other characteristics suggesting that they are unlikely to be effective products.

If we are unable to develop suitable product candidates through internal research programs or otherwise, 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.

Our product candidates, even if they receive marketing approval, 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.

Even if we or our collaborative partners receive regulatory approval to market another product candidate, the approval could be conditioned on conducting additional, costly, post-approval studies, implementing a risk evaluation and mitigation strategy or could limit the indicated uses included in the labeling. Moreover, the product may later cause adverse effects that limit or prevent its widespread use, force us or our collaborative partners to withdraw it from the market or impede or delay our or our collaborative partners' ability to obtain regulatory approvals in additional countries or indications. In addition, the manufacturer of the product and its

facilities will continue to be subject to FDA review and periodic inspections to ensure adherence to applicable regulations. After receiving marketing approval, the manufacturing, labeling, packaging, adverse event reporting, storage, advertising, promotion and record keeping related to the product will remain subject to extensive regulatory requirements.

If we or our collaborative partners fail to comply with the regulatory requirements of the FDA and other applicable U.S. and foreign regulatory authorities or 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.

Because we have a number of product candidates and are considering a variety of target indications, we may expend our limited resources to pursue a particular candidate or indication and fail to capitalize on 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 research programs 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 or profitable market opportunities. In addition, we may spend valuable time and managerial and financial resources on research programs and 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 candidate, we may relinquish valuable rights to that 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.

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

Any 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 any products resulting from our product candidates will depend on a number of factors, including:

- 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 Transported Prodrug candidate is based;
- the timing of market entry relative to competitive treatments;
- the ability to offer product candidates for sale at competitive prices;
- relative convenience and ease of administration;
- the strength of marketing and distribution support;
- sufficient third-party coverage or reimbursement; and
- the product labeling or product insert required by the FDA or regulatory authorities in other countries.

If we are unable to establish sales and marketing capabilities or enter into additional agreements with third parties to market and sell our product candidates, we may be unable to generate product revenues.

We have a limited sales and marketing organization and have limited experience in the sales, marketing and distribution of pharmaceutical products. There are risks involved with establishing our own sales and marketing capabilities, as well as entering into arrangements with third parties to perform these services. Developing an internal sales force is expensive and time-consuming. On the other hand, if we enter into arrangements with third parties to perform sales, marketing and distribution services, as we have for *Horizant*/XP13512, our product revenues will be lower than if we market and sell any products that we develop ourselves.

Under the terms of our collaboration with GSK, we are entitled to a royalty based on a percentage of net sales of XP13512 outside of the United States. In the United States, if we receive approval from the FDA of an NDA for *Horizant*, until such time, if any, as we exercise the right to revert to a net sales royalty, we will share marketing and commercialization costs and share operating profits from net sales of *Horizant*, if any. If *Horizant* is approved, we intend to establish our own specialty sales force to sell and market our products. We would also be eligible to receive payments on details we perform on Requip XL, GSK's product for Parkinson's disease in the United States, as well as payments from details we perform on *Horizant*. We would co-promote *Horizant* and co-detail Requip XL in the United States to the same prescribers.

Factors that may inhibit our efforts to commercialize our products after approval include:

- our 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 information on the advantages and risks of prescribing our products;
- 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.

Because of the numerous risks and uncertainties involved with establishing our own sales and marketing capabilities, we are unable to predict when we will establish our own sales and marketing capabilities. If we are not successful in recruiting sales and marketing personnel or in building a sales and marketing infrastructure, we will have difficulty commercializing our product candidates, which would adversely affect our business and financial condition.

Our ability to generate revenue from any products that we may develop will depend on reimbursement and drug pricing policies and regulations.

Many patients may be unable to pay for any products that we or our collaborative partners may develop. In the United States, many patients will rely on Medicare, Medicaid, private health insurers and other third-party payors to pay for their medical needs. Our and our partners' ability to achieve acceptable levels of reimbursement for drug treatments by governmental authorities, private health insurers and other organizations will have an

effect on our and our partners' ability to successfully commercialize, and attract additional collaborators to invest in the development of, our product candidates. We cannot be sure that reimbursement in the United States, Europe or elsewhere will be available for any products that we or our partners 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 any 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 our product candidate and the 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 our product candidate, pricing of the existing parent drug may limit the amount we will be able to charge for our product candidate. If reimbursement is not available or is available only at limited levels, we or our partners may not be able to successfully commercialize our product candidates, and may not be able to obtain a satisfactory financial return on such products.

The trend toward managed healthcare in the United States and the changes in health insurance programs, as well as legislative proposals to reform healthcare or reduce government insurance programs, may result in lower prices for pharmaceutical products, including any products that may result from our product candidates. In addition, any future regulatory changes regarding the healthcare industry or third-party coverage and reimbursement may affect demand for any products that we may develop and could harm our sales and profitability.

Pursuant to the Medicare Prescription Drug Improvement and Modernization Act of 2003, or the 2003 Medicare Modernization Act, Medicare beneficiaries are eligible to obtain subsidized prescription drug coverage from a choice of private sector plans. Approximately 90 percent of Medicare beneficiaries now have coverage for prescription medicines. The use of pharmaceuticals has increased slightly among some patients as the result of the expanded access to medicines afforded by coverage under Medicare. However, such expanded utilization has been largely offset by increased pricing pressure and competition due to the enhanced purchasing power of the private sector plans that negotiate on behalf of Medicare beneficiaries and by an increase in the use of generic medicines in this population. In addition, legislative changes have been proposed to mandate government rebates in Medicare and to allow the federal government to directly negotiate prices with pharmaceutical manufacturers. If legislation were enacted to mandate rebates or provide for direct government negotiation in Medicare prescription drug benefits, access and reimbursement for our product candidates upon commercialization could be restricted.

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

We face competition from established pharmaceutical and biotechnology companies, 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 any 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 could compete with *Horizant* include the following drugs approved for the treatment of RLS: Mirapex (pramipexole) from Boehringer Ingelheim GmbH; generic pramipexole that is marketed by, among others, Teva Pharmaceuticals Industries, Ltd.; Requip (ropinirole) from GSK; and generic ropinirole that is marketed by, among others, CorePharma, LLC, Roxane Laboratories, Inc., Mylan Pharmaceuticals Inc., Wockhardt USA LLC and Teva. In addition, we could experience competition from Neupro (the rotigotine transdermal system), a dopamine agonist patch from UCB, which filed its NDA for the treatment of RLS with the FDA in 2007 and has received a Complete Response letter from the FDA. Products that we believe could compete with *Horizant* for the treatment of neuropathic pain and migraine prophylaxis include drugs that act on the same target as *Horizant*, such as Lyrica (pregabalin), Neurontin (gabapentin) from Pfizer and generic gabapentin that is marketed by Alpharma Inc., IVAX Corp, Pfizer and Teva, among others.

Competition for *Horizant* could also include drugs such as Cymbalta (duloxetine) from Eli Lilly and Company, which is approved for the management of DPN, or Topamax (topiramate) from Johnson & Johnson, which is approved for the prevention of migraines. In addition, Depomed, Inc. has reported positive results from a Phase 3 clinical trial for DM-1796 (gabapentin GR), an extended-release formulation of gabapentin, for the treatment 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, diazepam, dantrolene sodium and tizanidine. A therapy in development for the treatment of spasticity is IPX056, an extended-release formulation of baclofen, from Impax Laboratories, Inc. Products that could compete with AP in the gastroesophageal reflux disease, or GERD, therapeutic area include: Protonix (pantoprazole sodium) from Pfizer; Prevacid (lansoprazole) from Takeda Pharmaceutical Company Limited; Nexium (esomeprazole) and Prilosec (omeprazole) from AstraZeneca Pharmaceuticals LP; Aciphex (rabeprazole) from Eisai/Johnson & Johnson; and generic H2 receptor antagonists such as cimetidine, ranitidine, famotidine and nizatidine. A product candidate in development for the treatment of GERD is AZD3355, a GABA(B) receptor agonist, from AstraZeneca. Products that could compete with XP21279, our product candidate that is a Transported Prodrug of L-Dopa, include: generic L-Dopa/carbidopa drugs and other drugs approved for the treatment of Parkinson's disease, including Stalevo, a combination therapy of L-Dopa/carbidopa/entacapone that is marketed in the United States by Novartis; dopamine agonists such as Mirapex, Requip and Neupro, which are marketed by Boehringer-Ingelheim, GSK and UCB, respectively; as well as generic ropinirole that is marketed by, among others, Roxane, Teva and Mylan. In addition, IPX066 from Impax, an extended-release formulation of L-Dopa/carbidopa, is in Phase 3 clinical development. There may be other compounds of which we are not aware that are at an earlier stage of development and may compete with our product candidates. If any of those compounds are successfully developed and approved, they could compete directly with our 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 approved products than we do. Established pharmaceutical companies may invest heavily to quickly discover and develop novel compounds that could make our product candidates obsolete. 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 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 decrease sales of Horizant/XP13512 and could lead to pricing pressure if such products become available at competitive prices and in dosages that are appropriate for the indications for which we or our collaborative partners are developing Horizant/XP13512.

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 could significantly reduce our or our partners' ability to market and sell any other products that we or our partners may develop.

We believe that in all countries in which we hold or have licensed rights to patents or patent applications related to *Horizant*/XP13512, the composition-of-matter patents relating to gabapentin have expired. Off-label prescriptions written for gabapentin for indications for which we or our partners are developing *Horizant*/XP13512 could adversely affect our ability to generate revenue from the sale of *Horizant*/XP13512, if approved for commercial sale in such indications. This could result in reduced sales and pricing pressure on *Horizant*/XP13512, if approved in such indications, which in turn would reduce our ability to generate revenue and have a negative impact on our results of operations.

If we fail to attract and keep senior management and key scientific personnel, we may be unable to successfully develop or commercialize 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 Drs. Ronald Barrett, Kenneth Cundy, Mark Gallop, David Savello and David Stamler, we may not be able to successfully develop or commercialize 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.

We will need to hire additional employees in order to commercialize our product candidates. Any inability to manage future growth could harm our ability to commercialize our product candidates, increase our costs and adversely impact our ability to compete effectively.

In order to commercialize our product candidates, we will need to expand the number of our managerial, operational, financial and other employees. Because the projected timeframe of hiring these additional employees depends on the development status of our product candidates and because of the numerous risks and uncertainties associated with drug development, we are unable to project when we will hire these additional employees. 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.

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 our product candidates and compete effectively will depend, in part, on our ability to manage any future growth effectively.

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

We face an inherent risk of product liability exposure related to the testing of our product candidates in human clinical trials and will face an even greater risk if we commercially sell any products that we may develop. If we cannot successfully defend ourselves against claims that our product candidates or products that we may develop caused injuries, we will incur substantial liabilities.

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

- decreased demand for any product candidates or products that we may develop;
- injury to our reputation;
- 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 products that we may develop.

We have product liability insurance that covers our clinical trials up to a $10.0 million annual aggregate limit. We intend to expand our insurance coverage to include the sale of commercial products if marketing approval is obtained for any products that we may develop. 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 we use biological and hazardous materials in a manner that causes contamination or injury or violates laws, we may be liable for damages.

Our research and 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 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. In addition, the unique nature of our research activities and of much of our equipment could make it difficult for us to recover from this type of disaster. We currently 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:

- announcement of FDA approvability, approval or non-approval of our product candidates or delays in the FDA review process;
- 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;
- actions taken by regulatory agencies with respect to 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 our product candidates;
- the commercial success of any of our products approved by the FDA or its foreign counterparts;
- developments in our relationships with GSK or Astellas, including the termination or modification of our respective agreements;
- changes in our collaborators' business strategies;
- 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, class action litigation has often been instituted against companies 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 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:

- announcement of FDA approvability, approval or non-approval of our product candidates or delays in the FDA review process;
- 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;
- actions taken by regulatory agencies with respect to 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 our product candidates;
- the commercial success of any of our products approved by the FDA or its foreign counterparts;
- developments in our relationships with GSK or Astellas, including the termination or modification of our respective agreements;
- changes in our collaborators' business strategies;
- 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 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 to the recognition of revenues from up-front and milestone payments from our collaborations with Astellas, GSK and Xanodyne, we were profitable in the three-month periods ended June 30, September 30 and December 31, 2007, and for the year ended December 31, 2007. However, while recognition of these revenues resulted in a profitable year for 2007, we incurred net losses in 2008 and 2009, and we expect to incur net losses in 2010. 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 February 1, 2010, our executive officers, directors and holders of 5% or more of our outstanding common stock beneficially owned approximately 56.7% 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 February 1, 2010, we had 30,433,601 outstanding shares of common stock. Of these shares, up to 14,692,760 shares of common stock are tradable under Rule 144 under the Securities Act of 1933, as amended, or the Securities Act, subject to the volume limitations and manner of sale requirements under Rule 144, and the remainder of the shares outstanding as of February 1, 2010, have been registered under the Securities Act and are freely tradable.

Item 1B. *Unresolved Staff Comments.*

Not applicable.

Item 2. *Properties.*

We lease approximately 162,000 square feet of office and laboratory space in two adjacent buildings in Santa Clara, California where we conduct our operations. The leases expire concurrently in August 2013, although we have the option to extend both leases for two additional terms of five years each. The 2009 aggregate annual rental amount payable under the leases was approximately $5.3 million, subject to periodic increases. Although our facilities are adequate for our existing needs, we may require additional space as our business expands.

Item 3. *Legal Proceedings.*

We are not a party to any material legal proceedings at this time. From time to time, we may be involved in litigation relating to claims arising out of our ordinary course of business.

Item 4. *Reserved.*

PART II.

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

Our common stock is traded on The NASDAQ Global Select Market under the symbol "XNPT." From June 2, 2005 to December 31, 2008, our common stock was traded on The NASDAQ Global Market. As of February 1, 2010, there were approximately 104 holders of record of our common stock. No cash dividends have been paid on our common stock to date, and we currently intend to utilize any earnings for development of our business and for repurchases of our common stock. The following table sets forth, for the periods indicated, the range of high and low closing sales prices of our common stock as quoted on The NASDAQ Global Market or The NASDAQ Global Select Market for the two most recent fiscal years.

	High	Low
2009		
4th Quarter	$21.42	$15.50
3rd Quarter	24.75	17.76
2nd Quarter	23.17	13.58
1st Quarter	29.06	18.04
2008		
4th Quarter	$48.96	$17.18
3rd Quarter	50.22	36.29
2nd Quarter	44.78	39.03
1st Quarter	65.86	37.93

The closing price for our common stock as reported by The NASDAQ Global Select Market on February 22, 2010 was $9.05 per share.

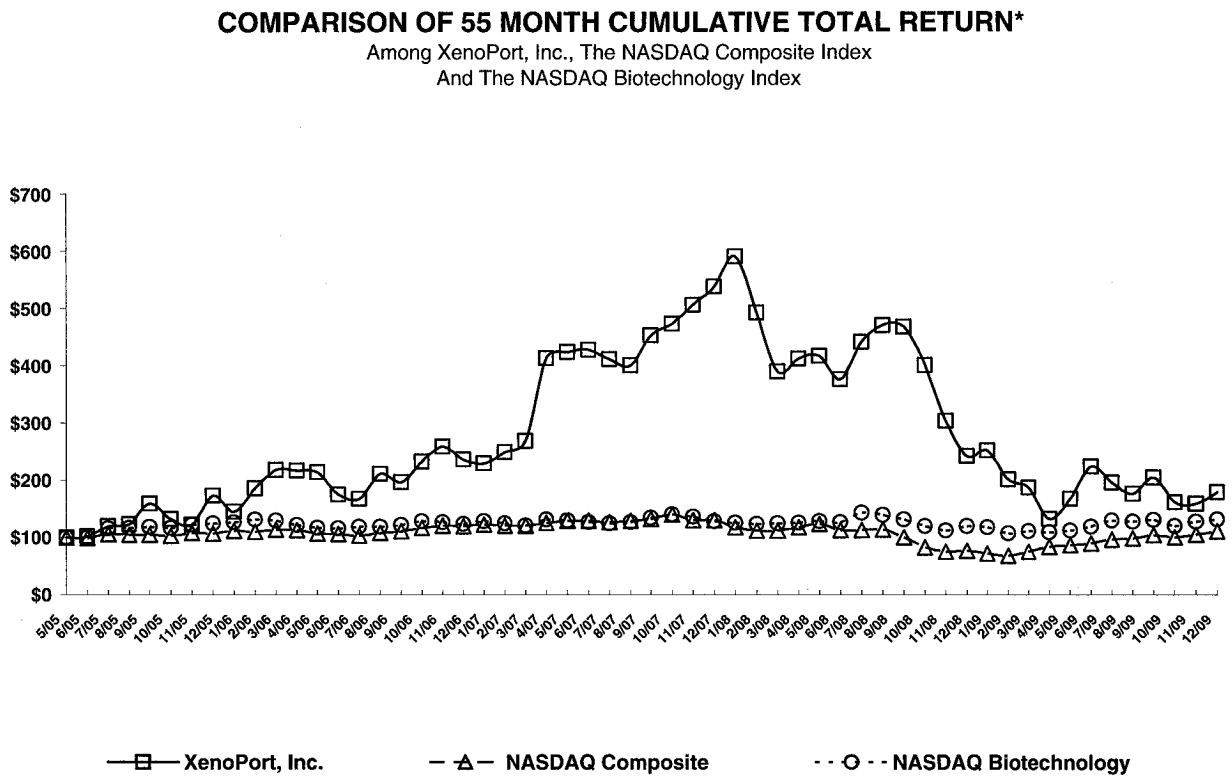
Issuer Purchases of Equity Securities

None.

Performance Measurement Comparison(1)

The following graph shows the total stockholder return of an investment of $100 in cash on June 2, 2005 for: (i) our common stock; (ii) the NASDAQ Composite Index; and (iii) the NASDAQ Biotechnology Index as of December 31, 2009. 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.

Comparison of Cumulative Total Return on Investment



*$100 invested on 6/2/05 in stock & 5/31/05 in index, including reinvestment of dividends.
Fiscal year ending December 31.

---

(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,				
	2009	2008	2007	2006	2005
	(In thousands, except per share amounts)				
Statement of Operations Data:					
Revenues:					
Net revenue from unconsolidated joint operating activities	$ 24,758	$ 28,981	$104,898	$ —	$ —
Collaboration revenue	9,515	13,015	8,924	10,606	4,667
Grant revenue	—	—	—	—	86
Total revenues	34,273	41,996	113,822	10,606	4,753
Operating expenses:					
Research and development	70,747	83,172	74,397	65,434	38,698
Selling, general and administrative	31,807	26,391	18,755	14,921	11,034
Total operating expenses	102,554	109,563	93,152	80,355	49,732
Income (loss) from operations	(68,281)	(67,567)	20,670	(69,749)	(44,979)
Interest income	1,229	4,640	8,198	5,634	2,258
Interest and other expense	(4)	(19)	(53)	(198)	(188)
Income (loss) before income taxes	(67,056)	(62,946)	28,815	(64,313)	(42,909)
Income tax provision (benefit)	(722)	(406)	622	—	—
Net income (loss)	(66,334)	(62,540)	28,193	(64,313)	(42,909)
Convertible preferred stock dividend	—	—	—	—	(969)
Net income (loss) applicable to common stockholders	$(66,334)	$(62,540)	$ 28,193	$(64,313)	$(43,878)
Basic net income (loss) per share applicable to common stockholders	$ (2.31)	$ (2.48)	$ 1.14	$ (2.91)	$ (3.69)
Diluted net income (loss) per share applicable to common stockholders	$ (2.31)	$ (2.48)	$ 1.08	$ (2.91)	$ (3.69)
Shares used to compute basic net income (loss) per share applicable to common stockholders	28,766	25,180	24,773	22,101	11,898
Shares used to compute diluted net income (loss) per share applicable to common stockholders	28,766	25,180	25,992	22,101	11,898
Balance Sheet Data:					
Cash, cash equivalents and short-term investments	$143,668	$152,783	$160,141	$118,854	$ 91,918
Working capital	131,749	128,835	138,685	101,527	84,602
Restricted investments	1,933	1,824	1,771	1,699	3,205
Total assets	160,212	169,097	172,877	128,665	101,908
Current portion of equipment financing obligations	—	—	176	500	714
Noncurrent portion of equipment financing obligations	—	—	5	181	680
Accumulated deficit	304,937	238,603	176,063	204,256	139,943
Total stockholders' equity	127,276	121,974	125,537	83,285	65,642

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 that utilize the body's natural nutrient transport mechanisms to improve the therapeutic benefits of existing drugs. Our innovative product candidates, which we refer to as Transported Prodrugs, are 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. We intend to focus our development and commercialization efforts on potential treatments of diseases with significant unmet medical needs, with an emphasis on central nervous system, or CNS, disorders.

Our lead product candidate, XP13512 (gabapentin enacarbil), is licensed to Astellas Pharma Inc. in Japan and five Asian countries and to Glaxo Group Limited, or GSK, in the United States and all other regions of the world. Astellas has filed a new drug application, or NDA, with the Pharmaceuticals and Medical Device Agency, or PMDA, for approval of XP13512 as a treatment for restless legs syndrome in Japan. Restless legs syndrome is a neurological condition that causes an irresistible urge to move the legs. In January 2009, GSK submitted an NDA to the U.S. Food and Drug Administration, or FDA, for U.S. approval to market XP13512, known in the United States by the trade name *Horizant* (gabapentin enacarbil) Extended-Release Tablets, for the treatment of moderate-to-severe primary restless legs syndrome, or RLS.

In February 2010, GSK received a Complete Response letter from the FDA regarding the NDA for *Horizant* for RLS. A Complete Response letter is issued by the FDA's Center of Drug Evaluation and Research when the review of a file is completed and questions remain that preclude the approval of the NDA in its current form. The *Horizant* Complete Response letter states that the FDA concluded that the NDA provides substantial evidence of effectiveness for *Horizant* as a treatment for patients with RLS and that the FDA had not identified a clinical safety concern that would prevent approval of the 600 mg dose of *Horizant*. However, a preclinical signal of pancreatic acinar cell tumors in rats was determined to be of sufficient concern to preclude approval of the *Horizant* NDA for RLS in its current form. In the Complete Response letter, the FDA acknowledged that similar preclinical findings were known for gabapentin, the parent drug of *Horizant*, at the time of the FDA's approval of gabapentin for refractory epilepsy, but concluded that the seriousness and severity of refractory epilepsy and the benefit to patients provided by gabapentin justified the potential risk. In the Complete Response letter, the FDA also acknowledged that findings in laboratory animals are not necessarily translatable to risk in humans, and the FDA noted that gabapentin products have been available for over 15 years and do not appear to be associated with a clinical signal for pancreatic cancer based on an analysis of spontaneous reports in the FDA's Adverse Event Reporting System. However, the FDA has concluded that the absence of a finding in analyses of post-marketing reports cannot be reliably interpreted as evidence of the absence of risk. Together with GSK, we will be assessing the appropriate next steps and communicating with the FDA.

Horizant has successfully completed several Phase 2 clinical trials for the management of post-herpetic neuralgia, or PHN, in the United States and is currently being evaluated as a potential prophylactic therapy for migraine headaches in a Phase 2b clinical trial. In addition, GSK evaluated *Horizant* for the potential treatment of diabetic peripheral neuropathy, or DPN, but *Horizant* did not show statistically significant separation from placebo in the primary endpoint of the trial. As a consequence of the Complete Response letter related to the NDA for RLS, we believe that further development of *Horizant* in these other indications will be delayed.

We are evaluating our second product candidate, Arbaclofen Placarbil, or AP (previously known as XP19986), for the potential treatment of gastroesophageal reflux disease, or GERD, in patients who do not experience complete relief of GERD symptoms while being treated with proton pump inhibitors, or PPIs. We may also evaluate AP as a potential treatment for patients with spasticity. We are evaluating our third product candidate, XP21279, for the potential treatment of patients with Parkinson's disease.

Each of our product candidates is an orally available, patented or patentable new chemical entity that addresses large potential markets.

We have entered into development and commercialization agreements with GSK for *Horizant* and with Astellas for XP13512 and plan to enter into agreements with pharmaceutical companies for our other product candidates: (1) when access to a primary care physician 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.

We believe that our existing capital resources and expected milestone payments, together with interest thereon, will be sufficient to meet our current operating plan, as in effect prior to receipt of the Complete Response letter regarding the *Horizant* NDA, into the third quarter of 2011. However, as a result of the Complete Response letter, we are evaluating our operating plan and we may delay clinical development programs, decrease the scope of research and development activities and/or implement expense reduction strategies in future periods.

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

We have current collaboration agreements with Astellas and GSK and a terminated collaboration agreement with Xanodyne Pharmaceuticals, Inc., each of which contains multiple elements. We account for these agreements in accordance with the provisions of the *Revenue Recognition — Multiple-Element Arrangements* and *Collaborative Arrangements* topics of the Financial Accounting Standards Board, or FASB, Accounting Standards Codification, or the Codification. We considered a variety of factors in determining the appropriate method of revenue recognition under these arrangements, such as whether the various elements can be considered separate units of accounting, whether there is objective and reliable evidence of fair value for these elements and whether there is a separate earnings process associated with a particular element of an agreement.

Where there are multiple deliverables combined as a single unit of accounting, revenues are deferred and recognized over the period that we remain obligated to perform services or deliver product. 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.

We account for our current revenue activities as follows:

Up-front, licensing-type fees. To date, these types of fees have been classified within the collaboration agreements as license fees, access fees, rights fees and initial licensing fees, and each of them was non-refundable and payable in connection with the execution of the contract. 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 we defer the revenue with revenue recognition for the license fee being assessed in conjunction with the other deliverables that constitute the combined unit of accounting.

Milestones. We assess milestones on an individual basis and recognize revenue from these milestones when earned, as evidenced by acknowledgment from our collaborator, provided that (i) the milestone event is substantive and its achievability was not reasonably assured at the inception of the agreement, (ii) the milestone represents the culmination, or progress towards the culmination, of an earnings process and (iii) the milestone payment is non-refundable. Where separate milestones do not meet these criteria, we use a performance-based model, with revenue recognition following delivery of effort as compared to an estimate of total expected effort. Milestones that are received after all substantive deliverables have occurred are considered to be bonus payments and are recognized when earned, assuming all of the other revenue recognition criteria are met.

Profit and loss sharing. This represents our share of the profits and losses from the co-promotion of *Horizant* with GSK. Amounts are recognized in the period in which the related activities occur, and their financial statement classification is based on our assessment that these activities constitute part of our ongoing central operations.

Our current collaboration agreements also include potential payments for product royalties and detail reimbursements. To date, we have not received revenues from these activities.

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

Effective January 1, 2008, we adopted the provisions of the *Fair Value Measurements and Disclosures* topic of the Codification, which defines fair value and provides guidance for using fair value to measure certain assets and liabilities. This topic applies whenever other standards require or permit assets or liabilities to be measured at fair value but does not expand the use of fair value in any new circumstances. Accordingly, the carrying amounts of certain of our financial instruments, including cash equivalents and short-term investments, continue to be valued at fair value on a recurring basis. This topic also requires expanded disclosure of the effect on earnings for items measured using unobservable data, establishes a fair value hierarchy that prioritizes the inputs used to measure fair value and requires separate disclosure by level within the fair value hierarchy.

As defined in the *Fair Value Measurements and Disclosures* 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 utilize market data or assumptions that we believe market participants would use in pricing assets or liabilities, including assumptions about risk and the risks inherent in the inputs to the valuation technique. These inputs can be readily observable, market corroborated or generally unobservable. We apply the market approach valuation technique for fair value measurements and

maximize the use of observable inputs and minimize the use of unobservable inputs. All of our cash equivalents and short-term investments are valued using quoted prices in active markets and are valued at Level 1 or Level 2 within the fair value hierarchy.

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, or the ESPP, 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. Both the expected stock price volatility and the weighted-average expected life assumptions were determined using data obtained from similar entities, taking into consideration factors such as industry, stage of life cycle, size and financial leverage.

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.

Income Taxes

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

As of December 31, 2009, our total net deferred tax assets were $139.2 million. These net deferred tax assets were primarily comprised of federal and state tax net operating loss, or NOL, carryforwards. Due to uncertainties surrounding our ability to continue to generate future taxable income to realize these tax assets, a full valuation allowance has been established to offset our net deferred tax assets. Additionally, the future utilization of our NOL carryforwards to offset future taxable income may be subject to an annual limitation as a result of ownership changes that could occur in the future. If necessary, the net deferred tax assets will be reduced by any carryforwards that expire prior to utilization as a result of such limitations, with a corresponding reduction of the valuation allowance. As of December 31, 2009, based on the analyses performed on annual limitation as a result of ownership changes that may have occurred from inception through September 2009, we expect to be able to use all of the NOL and tax credit carryforwards before their respective expiration periods.

Research and Development Expenses

Research and development expenses consist 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 third-party contract research organizations and investigative sites, where a substantial portion of our

preclinical studies and all of our clinical trials are conducted, with third-party manufacturing organizations, where a substantial portion of our preclinical supplies and all of our clinical supplies are produced, and with 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 includes the product candidates summarized in the table below. The table summarizes those product candidates' development initiatives, including the related stages of development for each product candidate in development and the direct, third-party research and development expenses recognized in connection with each product candidate. The information in the column labeled "Estimated Completion of Current Phase" is our current estimate of the timing of completion. 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 depends substantially on our most advanced product candidates, which are still under development. If we or our collaborative partners are unable to bring any or all 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 harmed;" "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;" and "If third parties do not manufacture our product candidates in sufficient quantities or at an acceptable cost, clinical development and commercialization of our product candidates would be delayed" sections of "Risk Factors."

Product Candidate	Description	Phase of Development	Estimated Completion of Current Phase	Related R&D Expenses Year Ended December 31, 2009	2008	2007
				(In thousands)		
Preclinical and clinical development						
Horizant/XP13512	RLS/Restless legs syndrome	Receipt of Complete Response letter to NDA filed in the United States /NDA filed in Japan	To be determined	$ 1,029	$ 8,856	$29,009
AP*	GERD	Phase 2	2010			
	Spasticity	Phase 2	2011	15,602	19,847	9,714
XP21279	Parkinson's disease	Phase 2	2011	4,024	2,361	1,194
Other(1)				29,645	33,377	16,324
Total preclinical and clinical development				50,300	64,441	56,241
Research(2)				20,447	18,731	18,156
Total research and development				$70,747	$83,172	$74,397

* Arbaclofen placarbil, previously known as XP19986

(1) "Other" constitutes preclinical and clinical development costs for our product candidates that are not

directly allocated to *Horizant*/XP13512, AP or XP21279. For the year ended December 31, 2009, "other" expenses consisted primarily of personnel costs of $21.6 million and office and facilities overhead costs of $3.9 million.

(2) For the year ended December 31, 2009, "research" expenses consisted primarily of personnel costs of $13.4 million and office and facilities overhead costs of $4.6 million.

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, including the uncertain effect of the Compete Response letter related to the *Horizant* NDA for RLS, 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, 2009, 2008 and 2007

Our collaboration revenue consisted of the recognition of revenues from up-front and milestone payments from our collaborations with Astellas and Xanodyne. Our agreement with Xanodyne terminated in July 2009. Our net revenue from unconsolidated joint operating activities consisted of the recognition of revenue from up-front and milestone payments and the recognition of our share of pre-launch operating losses resulting from our election to co-promote *Horizant* in the United States with GSK.

As a result of our election in April 2009 of the co-promotion option under our development and commercialization agreement with GSK, starting in the second quarter of 2009, this agreement falls within the scope of the *Collaborative Agreements* topic of the Codification. As such, our revenue from the GSK collaboration agreement has been reclassified within the statements of operations for 2008 and 2007. The statements of operations now include the line item "Net revenue from unconsolidated joint operating activities," which includes all revenue resulting from our GSK collaboration agreement. Revenues that resulted from our collaboration agreements with Astellas and Xanodyne continue to be presented within the "Collaboration revenue" line item. This new presentation has no impact on net income (loss) or net income (loss) per share for any period presented. See *Basis of Preparation* in Note 1 of the Notes to Financial Statements for the table that illustrates the effect of our adoption of the *Collaborative Agreements* topic and our election of the co-promotion option on our previously reported revenues in the statements of operations for the years ended December 31, 2008 and 2007.

	Year Ended December 31,			2008 to 2009 Change		2007 to 2008 Change	
	2009	2008	2007	$	%	$	%
	(In thousands, except percentages)						
Net revenue from unconsolidated joint operating activities	$24,758	$28,981	$104,898	$(4,223)	(15)%	$(75,917)	(72)%
Collaboration revenue	9,515	13,015	8,924	(3,500)	(27)%	4,091	46%
Total revenues	$34,273	$41,996	$113,822	$(7,723)	(18)%	$(71,826)	(63)%

Revenues in 2009 resulted from our collaborations with Astellas and GSK. Revenues in 2008 and 2007 resulted from our collaborations with Astellas, GSK and Xanodyne.

The decrease in net revenue from unconsolidated joint operating activities in 2009 compared to 2008 was the result of a $3.1 million decrease in revenues recognized from up-front license and milestone payments under our GSK agreement and the recognition of $1.1 million representing our share of pre-launch operating losses of *Horizant* as a result of our election of the co-promotion option.

The decrease in net revenue from unconsolidated joint operating activities in 2008 compared to 2007 was the result of decreased activities in our Phase 3 RLS program in 2008 compared to 2007.

The decrease in collaboration revenue in 2009 compared to 2008 was the result of a $11.5 million decrease in revenues recognized under our Xanodyne agreement, partially offset by an $8.0 million increase in revenues recognized under our Astellas agreement from milestone payments related to the FDA's acceptance for review of the NDA for *Horizant* in the United States and the acceptance of filing of the NDA for XP13512 with the PMDA in Japan.

The increase in collaboration revenue in 2008 compared to 2007 was the result of a $10.0 million increase in revenues recognized under our Xanodyne agreement that was executed in October 2007, partially offset by a $5.9 million decrease in revenues recognized under our Astellas agreement.

We expect revenues to fluctuate in the future primarily depending upon the potential further development and commercialization of *Horizant*/XP13512, the timing of milestone-related activities under our Astellas and GSK collaborations and the extent to which we enter into new, or modify existing, collaborative agreements.

Research and Development Expenses

Of the total research and development expenses for the years ended December 31, 2009, 2008 and 2007, the costs associated with research and preclinical and clinical development activities approximated the following:

	Year Ended December 31,			2008 to 2009 Change		2007 to 2008 Change	
	2009	2008	2007	$	%	$	%
	(In thousands, except percentages)						
Research	$20,447	$18,731	$18,156	$ 1,716	9%	$ 575	3%
Preclinical and clinical development	50,300	64,441	56,241	(14,141)	(22)%	8,200	15%
Total research and development	$70,747	$83,172	$74,397	$(12,425)	(15)%	$8,775	12%

The decrease in research and development expenses for 2009 compared to 2008 was principally due to the following:

- decreased net costs for *Horizant*/XP13512 of $7.8 million primarily due to decreased clinical costs;
- decreased net costs for AP of $4.2 million primarily due to decreased clinical costs;
- decreased net costs for our other development programs of $5.6 million primarily due to decreased toxicology and manufacturing costs; partially offset by
- increased net costs for XP21279 of $1.7 million primarily due to increased toxicology costs; and
- increased personnel costs of $3.3 million primarily due to increased non-cash stock-based compensation of $1.9 million.

The increase in research and development expenses for 2008 compared to 2007 was principally due to the following:

- increased net costs for AP of $10.1 million primarily due to increased clinical and manufacturing costs, partially offset by decreased toxicology costs;
- increased net costs for our other development programs of $6.0 million primarily due to increased toxicology, manufacturing and absorption, distribution, metabolism and excretion, or ADME, costs;

- increased net costs for XP21279 of $1.2 million primarily due to increased clinical and manufacturing costs;
- increased personnel costs of $9.0 million primarily due to increased headcount and increased non-cash stock-based compensation of $3.1 million, and increased office and facilities costs of $1.2 million, partially offset by;
- decreased net costs for *Horizant*/XP13512 of $20.2 million primarily due to decreased clinical costs.

As a result of the uncertain effect of the Compete Response letter related to the *Horizant* NDA, we are evaluating our research and development expenses for 2010. The timing and amount of expenses incurred will primarily depend upon the extent of current or future clinical trials for AP and XP21279, as well as the related expenses associated with our development organization, regulatory requirements, advancement of our preclinical programs 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,			2008 to 2009 Change		2007 to 2008 Change	
	2009	2008	2007	$	%	$	%
	(In thousands, except percentages)						
Selling, general and administrative	$31,807	$26,391	$18,755	$5,416	21%	$7,636	41%

The increase in selling, general and administrative expenses in 2009 compared to 2008 was principally due to increased personnel costs of $4.8 million primarily due to increased headcount and increased non-cash stock-based compensation of $1.8 million.

The increase in selling, general and administrative expenses in 2008 compared to 2007 was principally due to increased personnel costs of $5.6 million primarily due to increased headcount and increased non-cash stock-based compensation of $2.8 million, and increased professional costs of $0.9 million.

As a result of the uncertain effect of the Compete Response letter related to the *Horizant* NDA, we are evaluating our selling, general and administrative expenses in 2010. The timing and amount of selling, general and administrative expenses incurred will primarily depend upon the extent to which we implement expense reduction strategies in future periods, the NDA approval process for the *Horizant* NDA and, assuming such approval, the costs associated with potential commercialization of *Horizant* for RLS.

Interest Income and Interest and Other Expense

	Year Ended December 31,			2008 to 2009 Change		2007 to 2008 Change	
	2009	2008	2007	$	%	$	%
	(In thousands, except percentages)						
Interest income	$1,229	$4,640	$8,198	$(3,411)	(74)%	$(3,558)	(43)%
Interest and other expense	4	19	53	(15)	(79)%	(34)	(64)%

Interest income for 2009, 2008 and 2007 resulted primarily from earnings on cash equivalents and short-term investments. The decrease in interest income in 2009 compared to 2008 was primarily due to lower interest rates. The decrease in interest income in 2008 compared to 2007 was primarily due to lower average cash and cash equivalents and short-term investment balances and lower interest rates.

In 2009, certain amounts in interest and other expense for the prior years have been reclassified to the selling, general and administrative operating expense to conform to the statements of operations presentation for the current year. The amounts above reflect this reclassification.

Income Taxes

We recorded $(0.7) million, $(0.4) million and $0.6 million of current income tax expense (benefit) for the years ended December 31, 2009, 2008 and 2007, respectively. In the year ended December 31, 2009, $(0.4) million of current income tax benefit recognized was due to the adoption of a provision in the *Worker, Homeownership, and Business Assistance Act of 2009* that allows businesses with NOLs in 2008 or 2009 to carry back those losses for up to five-years and $(0.3) million of current income tax benefit recognized was due to the adoption of a provision in the *American Recovery and Reinvestment Tax Act of 2009* that allows corporations to convert carry-forward research and development and Alternative Minimum Tax, or AMT, credits into a refundable credit amount, which we plan to claim as a refund for cash in 2010. The income tax benefit recognized for the year ended December 31, 2008 was primarily due to the adoption of a provision in the *Housing and Economic Recovery Act of 2008* that allowed corporations to convert carry-forward research and development and AMT credits into a refundable credit amount, which we claimed and received as a refund in cash in 2009. The income tax expense recognized for the year ended December 31, 2007 resulted from our full year effective tax rate of 2.2% related to federal and state AMT and other temporary differences. While recognition of revenues from up-front and milestone payments from our collaborations resulted in a profitable year for 2007, we incurred net losses in 2008 and 2009, and we continue to expect to incur losses in 2010 as we continue our research and development activities and seek to advance our product candidates into later stages of development. As a result, we do not expect to incur income taxes in 2010.

Liquidity and Capital Resources

	Year Ended December 31,		
	2009	2008	2007
Cash provided by (used in):			
Operating activities	$(57,680)	$(45,242)	$ 36,374
Investing activities	(25,631)	49,604	(37,093)
Financing activities	53,516	43,727	3,823
Capital expenditures (included in investing activities above)	(2,891)	(7,441)	(5,260)

Due to our significant research and development expenditures and the lack of regulatory agency approvals to sell products, 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, 2009, we had available cash and cash equivalents and short-term investments of $143.7 million. Our cash and investment balances are held in a variety of interest-bearing instruments, including investments backed by U.S. government-sponsored agencies, U.S. treasury securities 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 provided by (used in) operating activities was $(57.7) million, $(45.2) million and $36.4 million in the years ended December 31, 2009, 2008 and 2007, respectively. The net cash used in operating activities in 2009 primarily reflected our net loss and, to a lesser extent, changes in operating assets and liabilities, partially offset by non-cash stock-based compensation. The net cash used in operating activities in 2008 primarily reflected our net loss, partially offset by non-cash stock-based compensation. The net cash provided by operating activities in 2007 primarily reflected our net income and, to a lesser extent, non-cash stock-based compensation.

Net cash provided by (used in) investing activities was $(25.6) million, $49.6 million and $(37.1) million in the years ended December 31, 2009, 2008 and 2007, respectively. The net cash used in investing activities in 2009 and 2007 was primarily related to the purchases of investments, partially offset by proceeds from maturities

of investments. The net cash provided by investing activities in 2008 was primarily related to the proceeds from sales and maturities of investments, partially offset by purchases of investments and, to a lesser extent, capital expenditures.

Net cash provided by financing activities was $53.5 million, $43.7 million and $3.8 million in the years ended December 31, 2009, 2008 and 2007, respectively. The net cash provided by financing activities in 2009, 2008 and 2007 primarily reflected the net proceeds from the issuance of common stock and warrants and exercise of stock options.

We believe that our existing capital resources and expected milestone payments, together with interest thereon, will be sufficient to meet our current operating plan, as in effect prior to receipt of the Complete Response letter regarding the *Horizant* NDA, into the third quarter of 2011. However, as a result of the Complete Response letter, we are evaluating our operating plan and we may delay clinical development programs, decrease the scope of research and development activities and/or implement expense reduction strategies in future periods. 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 currently 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 currently have no credit facility or committed sources of capital other than potential milestones receivable under our collaborations. 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 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 scope, rate of progress, results and cost of our preclinical testing, clinical trials and other research and development activities;
- the receipt of FDA approval for *Horizant* and the timing and success of further studies and trials necessary to secure this approval, if any;
- the cost of manufacturing clinical, and establishing commercial, supplies of our product candidates and any products that we may develop;
- the extent of product development funding under our current collaborative arrangements;
- the timing of any milestone payments under our collaborative arrangements;
- the number and characteristics of product candidates that we pursue;
- the cost, timing and outcomes of regulatory approvals;
- the terms and timing of any collaborative, licensing and other arrangements that we may establish;
- the timing and amount of our share of operating losses from our GSK collaboration;
- the timing, receipt and amount of sales, profit sharing or royalties, if any, from our potential products;
- 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, although we currently have no commitments or agreements relating to any of these types of transactions.

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, we may delay our establishment of sales and marketing capabilities or other activities that may be necessary to commercialize our product candidates or we may curtail significant drug development programs that are designed to identify new

product candidates. In addition, at any time upon advance notice to GSK, we may exercise the right to revert to a net sales royalty-based compensation structure and forego the right to co-promote *Horizant* in the United States. We may seek to raise any necessary additional funds through equity or debt financings, collaborative arrangements with corporate partners or other sources. 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. To the extent that we raise additional capital through equity financings, dilution to our stockholders would result. Any debt financing or additional equity that we raise may contain terms that are not favorable to our stockholders or us.

Off-Balance Sheet Arrangements

We currently 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, 2009 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	$17,407	$5,498	$9,270	$2,639	$ —

Recent Accounting Pronouncements

In September 2009, the FASB Emerging Issues Task Force, or EITF, reached a consensus on ASC Update 2009-13 (Topic 605), *Multiple-Deliverable Revenue Arrangements,* or ASC Update 2009-13. ASC Update 2009-13 applies to multiple-deliverable revenue arrangements that are currently within the scope of the *Revenue Arrangements — Multiple-Element Arrangements* topic of the Codification. ASC Update 2009-13 provides principles and application guidance on whether multiple deliverables exist, how the arrangement should be separated and the consideration allocated. ASC Update 2009-13 requires an entity to allocate revenue in an arrangement using estimated selling prices of deliverables if a vendor does not have vendor-specific objective evidence or third-party evidence of selling price. ASC Update 2009-13 eliminates the use of the residual method and requires an entity to allocate revenue using the relative selling price method and also significantly expands the disclosure requirements for multiple-deliverable revenue arrangements. ASC Update 2009-13 should be applied on a prospective basis for revenue arrangements entered into or materially modified in fiscal years beginning on or after June 15, 2010, with earlier application permitted. As a result, ASC Update 2009-13 will be effective for us no later than the first quarter of fiscal 2011. We are currently evaluating the potential impact of the adoption of ASC Update 2009-13 on our financial position or results of operations for future collaboration arrangements.

In January 2010, the EITF reached a consensus on ASC Update 2010-06 (Topic 820), *Fair Value Measurements and Disclosures: Improving Disclosures and Fair Value Measurements,* or ASC Update 2010-06. ASC Update 2010-06 requires new disclosures for significant transfers in and out of Level 1 and Level 2 fair value measurements as well as the reasons for the transfers. ASC Update 2010-06 also requires new disclosures for activity in Level 3 fair value measurements, such as separate information about purchases, sales, issuances and settlements (that is, on a gross basis rather than as one net number) in the reconciliation for fair value measurements using significant unobservable inputs. ASC Update 2010-06 is effective for interim and annual reporting periods beginning after December 15, 2009, except for the disclosures about purchases, sales, issuances and settlements in the roll forward of activity in Level 3 fair value measurements. Those disclosures are effective for fiscal years beginning after December 15, 2010, and for interim periods within those fiscal years. As a result, ASC Update 2010-06 will be effective for us no later than the first quarter of fiscal 2010. We do not expect the adoption of ASC Update 2010-06 to have a material impact on either our financial position or results of operations.

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, 2009, we had cash and cash equivalents and short-term investments of $143.7 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.

We contract for the conduct of certain manufacturing activities with a contract manufacturer in Europe. We made payments in the aggregate amount of $3.5 million, $2.8 million and $4.5 million during the years ended December 31, 2009, 2008 and 2007, respectively, to this European contract manufacturer. We are subject to exposure to fluctuations in foreign exchange rates in connection with agreements with this European contract manufacturer. 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, as of December 31, 2009, our chief executive officer and chief financial officer have concluded that our disclosure controls and procedures (as defined in Securities Exchange Act Rules 13a-15(e) and 15d-15(e)) were effective.

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 Securities 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, we concluded that our internal control over financial reporting was effective as of December 31, 2009.

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, 2009, 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, 2009, 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, 2009 and 2008, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2009 of XenoPort, Inc., and our report dated February 26, 2010 expressed an unqualified opinion thereon.

/s/ Ernst & Young LLP

Palo Alto, California
February 26, 2010

Changes in Internal Controls Over Financial Reporting

There were no significant changes in our internal controls over financial reporting during the fourth quarter of 2009 that have materially affected, or are reasonably likely to materially affect, our internal control over financial reporting.

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

None.

PART III.

Certain information required by Part III is omitted from this Annual Report on Form 10-K since we intend to file our definitive proxy statement for our 2010 annual meeting of stockholders, or the Proxy Statement, pursuant to Regulation 14A of the Securities 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 Securities 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.

In 2005, we adopted a code of ethics that applies to our employees, officers and directors and incorporates guidelines designed to deter wrongdoing and to promote the 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 "Investors/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, 2009:

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 Option Plan(1)	484,118	$ 4.24	—
2005 Equity Incentive Plan(2)	3,062,019	$29.76	1,098,762
2005 Non-Employee Directors' Stock Option Plan(3)	364,583	$30.30	62,917
2005 Employee Stock Purchase Plan(4)	—	—	581,311
Equity compensation plans not approved by security holders:			
New Hire Option Agreement with Vincent J. Angotti(5)	140,612	$42.59	—
New Hire Stock Unit Award Agreement with Vincent J. Angotti(6)	7,500	—	—
New Hire Option Agreement with David A. Stamler, M.D.(7)	139,888	$39.55	—
New Hire Stock Unit Award Agreement with David A. Stamler, M.D.(8)	7,500	—	—
Total	4,206,220	$25.64	1,742,990

(1) In December 1999, we adopted the 1999 Stock Option 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 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, 2009, the annual increase to the 2005 Incentive Plan reserve was 681,177 shares.

(3) In January 2005, we adopted the 2005 Non-Employees 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. During the year ended December 31, 2009, the annual increase to the Directors' Plan reserve was 93,334 shares.

(4) In January 2005, we adopted the 2005 Employee Stock Purchase Plan, or the 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, 2009, 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) On May 1, 2008, Mr. Angotti was granted a new employee inducement stock award outside of our stockholder approved equity plans consisting of restricted stock units for 10,000 shares of our common stock. The restricted stock units shall vest in four equal annual installments on each anniversary of the May 1, 2008 grant date.

(7) On July 14, 2008, Dr. Stamler was granted a new employee inducement stock award outside of our stockholder approved equity plans consisting of nonqualified stock options to purchase 139,888 shares of the Company's common stock. The stock options have a per share exercise price of $39.55, the closing trading price of our common stock on the NASDAQ Global Market on July 14, 2008. The stock options have a ten-year term and vest over four years, with 25% cliff vesting on the first anniversary of the July 14, 2008 grant date, and 1/48th of the shares subject to the options vesting monthly thereafter.

(8) On August 1, 2008, Dr. Stamler was granted a new employee inducement stock award outside of our stockholder approved equity plans consisting of restricted stock units for 10,000 shares of our common stock. The restricted stock units shall vest in four equal annual installments on each anniversary of the August 1, 2008 grant date.

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 other 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:*

Exhibit Number	Description of Document
3.1	Amended and Restated Certificate of Incorporation(1)
3.2	Amended and Restated Bylaws(1)
3.3	Certificate of Designation of Series A Junior Participating Preferred Stock(2)
4.1	Specimen Common Stock Certificate(3)
4.2	Form of Right Certificate(4)
4.3	Form of Registered Direct Common Warrant(5)
10.1*	Form of Indemnification Agreement between the Company and its officers and directors(6)
10.2*	Form of Employee Proprietary Information Agreement between the Company and its executive officers(7)
10.3	Lease Agreement, dated September 24, 2001, by and between the Company and Sobrato Interests(7)
10.3.1	First Amendment to Lease Agreement, dated February 29, 2008, by and between the Company and Sobrato Interests(8)
10.4	Lease, dated February 29, 2008, by and between the Company and Sobrato Interests(9)
10.5*	1999 Stock Plan(7)
10.6*	Form of Stock Option Agreement under the 1999 Stock Plan(7)
10.7*	2005 Equity Incentive Plan(6)
10.8*	Form of Option Agreement under the 2005 Equity Incentive Plan(6)
10.9*	Form of Stock Unit Award Agreement under the 2005 Equity Incentive Plan(10)
10.10*	2005 Non-Employee Directors' Stock Option Plan(11)
10.11*	Form of Stock Option Agreement under the 2005 Non-Employee Directors' Stock Option Plan(12)
10.12*	2005 Employee Stock Purchase Plan(13)
10.13*	Form of 2005 Employee Stock Purchase Plan Offering Document(14)

Exhibit Number	Description of Document
10.14*	New Hire Option Agreement between Vincent J. Angotti and the Company(15)
10.15*	New Hire Stock Unit Award Agreement between Vincent J. Angotti and the Company(16)
10.16*	New Hire Option Agreement between David A. Stamler, M.D., and the Company(17)
10.17*	New Hire Stock Unit Award Agreement between David A. Stamler, M.D., and the Company(18)
10.18*	Form of Change of Control Agreement between the Company and certain of its officers(19)
10.19*	Change of Control Agreement between the Company and Ronald W. Barrett, dated November 7, 2007(20)
10.20*	Change of Control Agreement between the Company and William J. Rieflin, dated November 7, 2007(21)
10.21*	Change of Control Agreement between the Company and William G. Harris, dated November 7, 2007(22)
10.22*	Change of Control Agreement between the Company and Kenneth C. Cundy, dated November 7, 2007(23)
10.23*	Change of Control Agreement between the Company and Mark A. Gallop, dated November 7, 2007(24)
10.24*	Change of Control Agreement between the Company and David R. Savello, dated November 7, 2007(25)
10.25*	Change of Control Agreement between the Company and Vincent J. Angotti, dated May 1, 2008(26)
10.26*	Change of Control Agreement between the Company and David A. Stamler, M.D., dated July 14, 2008(27)
10.27*	Amended and Restated Employment Agreement between the Company and William J. Rieflin, dated November 7, 2007(28)
10.28*	Offer letter between the Company and Vincent J. Angotti, effective May 1, 2008(29)
10.29*	Offer letter between the Company and David A. Stamler, M.D., effective July 14, 2008(30)
10.30*	Promissory note issued by William G. Harris to the Company, dated May 17, 2002(31)
10.31*	XenoPort, Inc. Corporate Bonus Plan(32)
10.32*	Termsheet for Director Cash Compensation(33)
10.33*	2010 Executive Compensation(34)
10.34†	Amended and Restated Distribution and License Agreement, dated as of October 31, 2009 between the Company and Astellas Pharma Inc.(35)
10.35†	Development and Commercialization Agreement, dated February 7, 2007, by and between the Company and Glaxo Group Limited(36)
10.35.1	First Amendment to Development and Commercialization Agreement, dated May 4, 2007, by and between the Company and Glaxo Group Limited(37)
10.35.2†	Second Amendment to Development and Commercialization Agreement, dated February 13, 2009, by and between the Company and Glaxo Group Limited(38)
10.36	Rights Agreement, dated as of December 15, 2005, by and between the Company and Mellon Investor Services LLC(39)
10.37	Form of Purchase Agreement between the Company and certain stockholders of the Company(40)
23.1	Consent of Independent Registered Public Accounting Firm
24.1	Power of Attorney (included in the signature page hereto)

Exhibit Number	Description of Document
31.1	Certification of the Chief Executive Officer pursuant to Securities Exchange Act Rules 13a-14(a) and 15d-14(a) as adopted pursuant to Section 302 of the Sarbanes-Oxley Act of 2002
31.2	Certification of the Chief Financial Officer pursuant to Securities Exchange Act Rules 13a-14(a) and 15d-14(a) as adopted pursuant to Section 302 of the Sarbanes-Oxley Act of 2002
32.1	Certification required by Rule 13a-14(b) or Rule 15d-14(b) and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. §1350)(41)

* Represents a management contract or compensation plan or arrangement.

† Confidential treatment has been granted for portions of this exhibit. Omitted portions have been filed separately with the Securities and Exchange Commission pursuant to Rule 24b-2 of the Securities Exchange Act of 1934, as amended.

(1) Incorporated herein by reference to the same numbered exhibit of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2005, as filed with the SEC on August 11, 2005.

(2) Incorporated herein by reference to Exhibit 3.1 of our current report on Form 8-K, filed with the SEC on December 16, 2005.

(3) Incorporated herein by reference to the same numbered exhibit of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on April 13, 2005.

(4) Incorporated herein by reference to Exhibit 4.1 of our current report on Form 8-K, filed with the SEC on December 16, 2005.

(5) Incorporated herein by reference to Exhibit 4.1 of our current report on Form 8-K, filed with the SEC on December 30, 2008.

(6) Incorporated herein by reference to the same numbered exhibit of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on March 2, 2005.

(7) Incorporated herein by reference to the same numbered exhibit of our registration statement on Form S-1 (File No. 333-122156), as filed with the SEC on January 19, 2005.

(8) Incorporated herein by reference to the same numbered exhibit of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2008, as filed with the SEC on May 8, 2008.

(9) Incorporated herein by reference to Exhibit 10.32 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2008, as filed with the SEC on May 8, 2008.

(10) Incorporated herein by reference to Exhibit 10.9 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2008, as filed with the SEC on August 7, 2008.

(11) Incorporated herein by reference to Exhibit 10.9 of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on April 13, 2005.

(12) Incorporated herein by reference to Exhibit 10.10 of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on April 13, 2005.

(13) Incorporated herein by reference to Exhibit 10.11 of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on March 2, 2005.

(14) Incorporated herein by reference to Exhibit 10.12 of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on March 2, 2005.

(15) Incorporated herein by reference to Exhibit 99.4 of our registration statement on Form S-8 (File No. 333-150730), as filed with the SEC on May 8, 2008.

(16) Incorporated herein by reference to Exhibit 99.5 of our registration statement on Form S-8 (File No. 333-150730), as filed with the SEC on May 8, 2008.

(17) Incorporated herein by reference to Exhibit 10.39 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2008, as filed with the SEC on August 7, 2008.

(18) Incorporated herein by reference to Exhibit 10.40 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2008, as filed with the SEC on August 7, 2008.

(19) Incorporated herein by reference to Exhibit 10.13 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(20) Incorporated herein by reference to Exhibit 10.14 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(21) Incorporated herein by reference to Exhibit 10.15 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(22) Incorporated herein by reference to Exhibit 10.17 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(23) Incorporated herein by reference to Exhibit 10.31 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(24) Incorporated herein by reference to Exhibit 10.32 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(25) Incorporated herein by reference to Exhibit 10.33 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(26) Incorporated herein by reference to Exhibit 10.34 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2008, as filed with the SEC on May 8, 2008.

(27) Incorporated herein by reference to Exhibit 10.38 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2008, as filed with the SEC on August 7, 2008.

(28) Incorporated herein by reference to Exhibit 10.18 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(29) Incorporated herein by reference to Exhibit 10.33 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2008, as filed with the SEC on May 8, 2008.

(30) Incorporated herein by reference to Exhibit 10.37 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2008, as filed with the SEC on August 7, 2008.

(31) Incorporated herein by reference to Exhibit 10.24 of our registration statement on Form S-1 (File No. 333-122156), as filed with the SEC on January 19, 2005.

(32) Incorporated herein by reference to Exhibit 10.24 of our current report on Form 8-K, filed with the SEC on February 2, 2007.

(33) Incorporated herein by reference to Exhibit 10.25 of our current report on Form 8-K, filed with the SEC on August 4, 2006.

(34) Incorporated herein by reference to Exhibit 10.34 of our current report on Form 8-K, filed with the SEC on January 19, 2010.

(35) Incorporated herein by reference to Exhibit 10.35 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2009, as filed with the SEC on November 4, 2009.

(36) Incorporated herein by reference to Exhibit 10.29 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2007, as filed with the SEC on May 9, 2007.

(37) Incorporated herein by reference to Exhibit 10.29.1 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2007, as filed with the SEC on May 9, 2007.

(38) Incorporated herein by reference to Exhibit 10.36.2 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2009, as filed with the SEC on May 7, 2009.

(39) Incorporated herein by reference to Exhibit 4.2 of our current report on Form 8-K, filed with the SEC on December 16, 2005.

(40) Incorporated herein by reference to Exhibit 10.1 of our current report on Form 8-K, filed with the SEC on December 30, 2008.

(41) 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)

February 26, 2010

/s/ Ronald W. Barrett

Ronald W. Barrett
Chief Executive Officer and Director

February 26, 2010

/s/ William G. Harris

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

February 26, 2010

/s/ Martyn J. Webster

Martyn J. Webster
Vice President of Finance

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)*	February 26, 2010
/s/ William G. Harris William G. Harris	Senior Vice President of Finance and Chief Financial Officer *(Principal Financial and Accounting Officer)*	February 26, 2010
/s/ Paul L. Berns Paul L. Berns	Director	February 26, 2010
/s/ Dennis M. Fenton Dennis M. Fenton	Director	February 26, 2010
/s/ John G. Freund John G. Freund	Director	February 26, 2010
/s/ Catherine J. Friedman Catherine J. Friedman	Director	February 26, 2010
/s/ Jeryl L. Hilleman Jeryl L. Hilleman	Director	February 26, 2010
Per G.H. Lofberg	Director	
/s/ Kenneth J. Nussbacher Kenneth J. Nussbacher	Director	February 26, 2010
/s/ Wendell Wierenga Wendell Wierenga	Director	February 26, 2010

EXHIBIT INDEX

Exhibit Number	Description of Document
3.1	Amended and Restated Certificate of Incorporation(1)
3.2	Amended and Restated Bylaws(1)
3.3	Certificate of Designation of Series A Junior Participating Preferred Stock(2)
4.1	Specimen Common Stock Certificate(3)
4.2	Form of Right Certificate(4)
4.3	Form of Registered Direct Common Warrant(5)
10.1*	Form of Indemnification Agreement between the Company and its officers and directors(6)
10.2*	Form of Employee Proprietary Information Agreement between the Company and its executive officers(7)
10.3	Lease Agreement, dated September 24, 2001, by and between the Company and Sobrato Interests(7)
10.3.1	First Amendment to Lease Agreement, dated February 29, 2008, by and between the Company and Sobrato Interests(8)
10.4	Lease, dated February 29, 2008, by and between the Company and Sobrato Interests(9)
10.5*	1999 Stock Plan(7)
10.6*	Form of Stock Option Agreement under the 1999 Stock Plan(7)
10.7*	2005 Equity Incentive Plan(6)
10.8*	Form of Option Agreement under the 2005 Equity Incentive Plan(6)
10.9*	Form of Stock Unit Award Agreement under the 2005 Equity Incentive Plan(10)
10.10*	2005 Non-Employee Directors' Stock Option Plan(11)
10.11*	Form of Stock Option Agreement under the 2005 Non-Employee Directors' Stock Option Plan(12)
10.12*	2005 Employee Stock Purchase Plan(13)
10.13*	Form of 2005 Employee Stock Purchase Plan Offering Document(14)
10.14*	New Hire Option Agreement between Vincent J. Angotti and the Company(15)
10.15*	New Hire Stock Unit Award Agreement between Vincent J. Angotti and the Company(16)
10.16*	New Hire Option Agreement between David A. Stamler, M.D., and the Company(17)
10.17*	New Hire Stock Unit Award Agreement between David A. Stamler, M.D., and the Company(18)
10.18*	Form of Change of Control Agreement between the Company and certain of its officers(19)
10.19*	Change of Control Agreement between the Company and Ronald W. Barrett, dated November 7, 2007(20)
10.20*	Change of Control Agreement between the Company and William J. Rieflin, dated November 7, 2007(21)
10.21*	Change of Control Agreement between the Company and William G. Harris, dated November 7, 2007(22)
10.22*	Change of Control Agreement between the Company and Kenneth C. Cundy, dated November 7, 2007(23)
10.23*	Change of Control Agreement between the Company and Mark A. Gallop, dated November 7, 2007(24)
10.24*	Change of Control Agreement between the Company and David R. Savello, dated November 7, 2007(25)
10.25*	Change of Control Agreement between the Company and Vincent J. Angotti, dated May 1, 2008(26)

Exhibit Number	Description of Document
10.26*	Change of Control Agreement between the Company and David A. Stamler, M.D., dated July 14, 2008(27)
10.27*	Amended and Restated Employment Agreement between the Company and William J. Rieflin, dated November 7, 2007(28)
10.28*	Offer letter between the Company and Vincent J. Angotti, effective May 1, 2008(29)
10.29*	Offer letter between the Company and David A. Stamler, M.D., effective July 14, 2008(30)
10.30*	Promissory note issued by William G. Harris to the Company, dated May 17, 2002(31)
10.31*	XenoPort, Inc. Corporate Bonus Plan(32)
10.32*	Termsheet for Director Cash Compensation(33)
10.33*	2010 Executive Compensation(34)
10.34†	Amended and Restated Distribution and License Agreement, dated as of October 31, 2009 between the Company and Astellas Pharma Inc.(35)
10.35†	Development and Commercialization Agreement, dated February 7, 2007, by and between the Company and Glaxo Group Limited(36)
10.35.1	First Amendment to Development and Commercialization Agreement, dated May 4, 2007, by and between the Company and Glaxo Group Limited(37)
10.35.2†	Second Amendment to Development and Commercialization Agreement, dated February 13, 2009, by and between the Company and Glaxo Group Limited(38)
10.36	Rights Agreement, dated as of December 15, 2005, by and between the Company and Mellon Investor Services LLC(39)
10.37	Form of Purchase Agreement between the Company and certain stockholders of the Company(40)
23.1	Consent of Independent Registered Public Accounting Firm
24.1	Power of Attorney (included in the signature page hereto)
31.1	Certification of the Chief Executive Officer pursuant to Securities Exchange Act Rules 13a-14(a) and 15d-14(a) as adopted pursuant to Section 302 of the Sarbanes-Oxley Act of 2002
31.2	Certification of the Chief Financial Officer pursuant to Securities Exchange Act Rules 13a-14(a) and 15d-14(a) as adopted pursuant to Section 302 of the Sarbanes-Oxley Act of 2002
32.1	Certification required by Rule 13a-14(b) or Rule 15d-14(b) and Section 1350 of Chapter 63 of Title 18 of the United States Code (18 U.S.C. §1350)(41)

* Represents a management contract or compensation plan or arrangement.

† Confidential treatment has been granted for portions of this exhibit. Omitted portions have been filed separately with the Securities and Exchange Commission pursuant to Rule 24b-2 of the Securities Exchange Act of 1934, as amended.

(1) Incorporated herein by reference to the same numbered exhibit of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2005, as filed with the SEC on August 11, 2005.

(2) Incorporated herein by reference to Exhibit 3.1 of our current report on Form 8-K, filed with the SEC on December 16, 2005.

(3) Incorporated herein by reference to the same numbered exhibit of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on April 13, 2005.

(4) Incorporated herein by reference to Exhibit 4.1 of our current report on Form 8-K, filed with the SEC on December 16, 2005.

(5) Incorporated herein by reference to Exhibit 4.1 of our current report on Form 8-K, filed with the SEC on December 30, 2008.

(6) Incorporated herein by reference to the same numbered exhibit of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on March 2, 2005.

(7) Incorporated herein by reference to the same numbered exhibit of our registration statement on Form S-1 (File No. 333-122156), as filed with the SEC on January 19, 2005.

(8) Incorporated herein by reference to the same numbered exhibit of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2008, as filed with the SEC on May 8, 2008.

(9) Incorporated herein by reference to Exhibit 10.32 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2008, as filed with the SEC on May 8, 2008.

(10) Incorporated herein by reference to Exhibit 10.9 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2008, as filed with the SEC on August 7, 2008.

(11) Incorporated herein by reference to Exhibit 10.9 of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on April 13, 2005.

(12) Incorporated herein by reference to Exhibit 10.10 of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on April 13, 2005.

(13) Incorporated herein by reference to Exhibit 10.11 of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on March 2, 2005.

(14) Incorporated herein by reference to Exhibit 10.12 of our registration statement on Form S-1, as amended (File No. 333-122156), as filed with the SEC on March 2, 2005.

(15) Incorporated herein by reference to Exhibit 99.4 of our registration statement on Form S-8 (File No. 333-150730), as filed with the SEC on May 8, 2008.

(16) Incorporated herein by reference to Exhibit 99.5 of our registration statement on Form S-8 (File No. 333-150730), as filed with the SEC on May 8, 2008.

(17) Incorporated herein by reference to Exhibit 10.39 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2008, as filed with the SEC on August 7, 2008.

(18) Incorporated herein by reference to Exhibit 10.40 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2008, as filed with the SEC on August 7, 2008.

(19) Incorporated herein by reference to Exhibit 10.13 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(20) Incorporated herein by reference to Exhibit 10.14 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(21) Incorporated herein by reference to Exhibit 10.15 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(22) Incorporated herein by reference to Exhibit 10.17 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(23) Incorporated herein by reference to Exhibit 10.31 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(24) Incorporated herein by reference to Exhibit 10.32 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(25) Incorporated herein by reference to Exhibit 10.33 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(26) Incorporated herein by reference to Exhibit 10.34 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2008, as filed with the SEC on May 8, 2008.

(27) Incorporated herein by reference to Exhibit 10.38 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2008, as filed with the SEC on August 7, 2008.

(28) Incorporated herein by reference to Exhibit 10.18 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2007, as filed with the SEC on November 9, 2007.

(29) Incorporated herein by reference to Exhibit 10.33 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2008, as filed with the SEC on May 8, 2008.

(30) Incorporated herein by reference to Exhibit 10.37 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended June 30, 2008, as filed with the SEC on August 7, 2008.

(31) Incorporated herein by reference to Exhibit 10.24 of our registration statement on Form S-1 (File No. 333-122156), as filed with the SEC on January 19, 2005.

(32) Incorporated herein by reference to Exhibit 10.24 of our current report on Form 8-K, filed with the SEC on February 2, 2007.

(33) Incorporated herein by reference to Exhibit 10.25 of our current report on Form 8-K, filed with the SEC on August 4, 2006.

(34) Incorporated herein by reference to Exhibit 10.34 of our current report on Form 8-K, filed with the SEC on January 19, 2010.

(35) Incorporated herein by reference to Exhibit 10.35 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended September 30, 2009, as filed with the SEC on November 4, 2009.

(36) Incorporated herein by reference to Exhibit 10.29 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2007, as filed with the SEC on May 9, 2007.

(37) Incorporated herein by reference to Exhibit 10.29.1 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2007, as filed with the SEC on May 9, 2007.

(38) Incorporated herein by reference to Exhibit 10.36.2 of our quarterly report on Form 10-Q (File No. 000-51329) for the period ended March 31, 2009, as filed with the SEC on May 7, 2009.

(39) Incorporated herein by reference to Exhibit 4.2 of our current report on Form 8-K, filed with the SEC on December 16, 2005.

(40) Incorporated herein by reference to Exhibit 10.1 of our current report on Form 8-K, filed with the SEC on December 30, 2008.

(41) 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.

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, 2009 and 2008, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2009. 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, 2009 and 2008, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2009, 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, 2009, based on criteria established in Internal Control — Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission and our report dated February 26, 2010, expressed an unqualified opinion thereon.

/s/ Ernst & Young LLP

Palo Alto, California
February 26, 2010

XENOPORT, INC.

BALANCE SHEETS

	December 31,	
	2009	2008
	(In thousands)	
Current assets:		
Cash and cash equivalents	$ 36,255	$ 66,050
Short-term investments	107,413	86,733
Prepaids and other current assets	3,719	2,920
Total current assets	147,387	155,703
Property and equipment, net	10,726	11,470
Restricted investments and other assets	2,099	1,924
Total assets	$ 160,212	$ 169,097
Current liabilities:		
Accounts payable	$ 2,031	$ 2,261
Accrued compensation	5,653	4,832
Accrued preclinical and clinical costs	3,109	9,707
Accrued unconsolidated joint operating activities	1,095	—
Other accrued liabilities	911	2,001
Deferred rent	1,055	789
Deferred revenue	1,784	7,278
Total current liabilities	15,638	26,868
Deferred revenue	17,298	19,172
Deferred rent	—	1,083
Commitments and contingencies		
Stockholders' equity:		
Common stock, $0.001 par value; 60,000 shares authorized; 30,403 and 27,247 shares issued and outstanding at December 31, 2009 and 2008, respectively	30	27
Additional paid-in capital	432,157	360,011
Accumulated other comprehensive income	26	539
Accumulated deficit	(304,937)	(238,603)
Total stockholders' equity	127,276	121,974
Total liabilities and stockholders' equity	$ 160,212	$ 169,097

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

XENOPORT, INC.

STATEMENTS OF OPERATIONS

	Year Ended December 31,		
	2009	2008	2007
	(In thousands, except per share amounts)		
Revenues:			
Net revenue from unconsolidated joint operating activities	$ 24,758	$ 28,981	$104,898
Collaboration revenue	9,515	13,015	8,924
Total revenues	34,273	41,996	113,822
Operating expenses:			
Research and development	70,747	83,172	74,397
Selling, general and administrative	31,807	26,391	18,755
Total operating expenses	102,554	109,563	93,152
Income (loss) from operations	(68,281)	(67,567)	20,670
Interest income	1,229	4,640	8,198
Interest and other expense	(4)	(19)	(53)
Income (loss) before income taxes	(67,056)	(62,946)	28,815
Income tax provision (benefit)	(722)	(406)	622
Net income (loss)	$ (66,334)	$ (62,540)	$ 28,193
Basic net income (loss) per share	$ (2.31)	$ (2.48)	$ 1.14
Diluted net income (loss) per share	$ (2.31)	$ (2.48)	$ 1.08
Shares used to compute basic net income (loss) per share	28,766	25,180	24,773
Shares used to compute diluted net income (loss) per share	28,766	25,180	25,992

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

XENOPORT, INC.

STATEMENTS OF STOCKHOLDERS' EQUITY

	Common Stock		Additional Paid-In Capital	Notes Receivable from Stockholders	Accumulated Other Comprehensive Income (Loss)	Accumulated Deficit	Total Stockholders' Equity
	Shares	Amount					
	(In thousands, except share amounts)						
Balance at December 31, 2006	24,516,517	$24	$287,513	$(33)	$ 37	$(204,256)	$ 83,285
Issuance of common stock upon exercise of warrants	12,346	—	—	—	—	—	—
Issuance of common stock upon exercise of options and vesting of early exercised options	428,653	1	3,293	—	—	—	3,294
Issuance of common stock in connection with Employee Stock Purchase Plan	59,218	—	1,429	—	—	—	1,429
Repurchase of common stock	(26,676)	—	(82)	—	—	—	(82)
Compensation expense relating to consultant options	—	—	17	—	—	—	17
Repayment of promissory notes from stockholders	—	—	—	33	—	—	33
Reclassification of unvested common stock	(1,375)	—	(8)	—	—	—	(8)
Employees stock-based compensation expense	—	—	8,922	—	—	—	8,922
Comprehensive income:							
Change in unrealized gains (losses) on investments	—	—	—	—	454	—	454
Net income	—	—	—	—	—	28,193	28,193
Comprehensive income:	—	—	—	—	—	—	28,647
Balance at December 31, 2007	24,988,683	25	301,084	—	491	(176,063)	125,537
Issuance of common stock upon exercise of options, vesting of restricted stock units and vesting of early exercised options	319,586	—	2,731	—	—	—	2,731
Issuance of common stock in connection with Employee Stock Purchase Plan	50,339	—	1,636	—	—	—	1,636
Repurchase of common stock	(987)	—	(6)	—	—	—	(6)
Employees stock-based compensation expense	—	—	14,867	—	—	—	14,867
Proceeds from common stock and warrants issued upon registered direct offering, net of offering costs	1,889,467	2	39,699	—	—	—	39,701
Comprehensive loss:							
Change in unrealized gains (losses) on investments	—	—	—	—	48	—	48
Net loss	—	—	—	—	—	(62,540)	(62,540)
Comprehensive loss:	—	—	—	—	—	—	(62,492)
Balance at December 31, 2008	27,247,088	27	360,011	—	539	(238,603)	121,974
Issuance of common stock upon exercise of options and vesting of restricted stock units	160,930	—	587	—	—	—	587
Issuance of common stock in connection with Employee Stock Purchase Plan	120,039	—	1,714	—	—	—	1,714
Employees stock-based compensation expense	—	—	18,633	—	—	—	18,633
Proceeds from common stock issued upon public offering, net of offering costs	2,875,000	3	51,212	—	—	—	51,215
Comprehensive loss:							
Change in unrealized gains (losses) on investments	—	—	—	—	(513)	—	(513)
Net loss	—	—	—	—	—	(66,334)	(66,334)
Comprehensive loss:	—	—	—	—	—	—	(66,847)
Balance at December 31, 2009	30,403,057	$30	$432,157	$ —	$ 26	$(304,937)	$127,276

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

XENOPORT, INC.

STATEMENTS OF CASH FLOWS

	Year Ended December 31,		
	2009	2008	2007
		(In thousands)	
Operating activities			
Net income (loss)	$ (66,334)	$ (62,540)	$ 28,193
Adjustments to reconcile net income (loss) to net cash provided by (used in) operating activities:			
Depreciation and amortization	3,635	2,762	2,007
Accretion of investment discounts and amortization of investment premiums, net	1,438	(1,603)	(5,974)
Stock-based compensation expense	18,633	14,867	8,939
Change in assets and liabilities:			
Accounts receivable	—	1,392	1,404
Prepaids and other current and noncurrent assets	(799)	(238)	(998)
Accounts payable	(230)	614	1,503
Accrued compensation	821	909	995
Accrued preclinical and clinical costs	(6,598)	981	(4,704)
Accrued unconsolidated joint operating activities	1,095	—	—
Other accrued liabilities	(1,090)	(568)	948
Deferred revenue	(7,368)	(1,995)	4,178
Deferred rent	(883)	177	(117)
Net cash provided by (used in) operating activities	(57,680)	(45,242)	36,374
Investing activities			
Purchases of investments	(231,650)	(205,569)	(297,389)
Proceeds from sales of investments	—	79,719	—
Proceeds from maturities of investments	209,019	182,948	265,628
Change in restricted investments	(109)	(53)	(72)
Purchases of property and equipment	(2,891)	(7,441)	(5,260)
Net cash provided by (used in) investing activities	(25,631)	49,604	(37,093)
Financing activities			
Net proceeds from issuance of common stock and warrants and exercise of stock options	53,516	43,908	4,323
Payments on capital leases and equipment financing obligations	—	(181)	(500)
Net cash provided by financing activities	53,516	43,727	3,823
Net increase (decrease) in cash and cash equivalents	(29,795)	48,089	3,104
Cash and cash equivalents at beginning of period	66,050	17,961	14,857
Cash and cash equivalents at end of period	$ 36,255	$ 66,050	$ 17,961
Supplemental schedule of noncash investing and financing activities			
Vesting of common stock from early exercises of stock options	$ —	$ 154	$ 351
Supplemental disclosure of cash flow information			
Income taxes refunded (paid)	$ 388	$ 191	$ (794)

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 that utilize the body's natural nutrient transport mechanisms to improve the therapeutic benefits of existing drugs. The Company intends to focus its development and commercialization efforts on potential treatments of diseases with significant unmet medical needs, with an emphasis on central nervous system disorders. Its facilities are located in Santa Clara, California.

Basis of Preparation

In June 2009, the Financial Accounting Standards Board, or FASB, issued the FASB Accounting Standards Codification, or the Codification. Effective September 2009, the Codification became the single source for all authoritative U.S. generally accepted accounting principles, or GAAP, recognized by the FASB and was required to be applied to financial statements issued for interim and annual periods ending after September 15, 2009. The Codification does not change GAAP and did not impact the Company's financial position or results of operations. The adoption of the Codification only affects the specific references to GAAP literature noted in the Company's financial statements.

In 2009, certain amounts in interest and other expense for the prior years have been reclassified to the selling, general and administrative operating expense to conform to the statements of operations presentation for the current year. This reclassification has no impact on net income (loss) or net income (loss) per share for any period presented.

As a result of the Company's election in April 2009 of the co-promotion option under its development and commercialization agreement with Glaxo Group Limited, or GSK, starting in the second quarter of 2009, this agreement falls within the scope of the *Collaborative Arrangements* topic of the Codification. As such, the Company's revenue from the GSK collaboration agreement has been reclassified within the statements of operations for 2008 and 2009. The statements of operations include the line item "Net revenue from unconsolidated joint operating activities," which includes all revenue resulting from the Company's GSK collaboration agreement. Revenues that resulted from the Company's collaboration agreements with Astellas Pharma Inc. and Xanodyne Pharmaceuticals, Inc. continue to be presented within the "Collaboration revenue" line item. The Company's agreement with Xanodyne terminated in July 2009. This new presentation has no impact on net income (loss) or net income (loss) per share for any period presented.

The following table illustrates the effect of the Company's application of *Collaborative Arrangements* topic of the Codification as a result of the Company's election of the co-promotion option on the Company's previously reported revenues in the statements of operations for the years ended December 31, 2008 and 2007:

	Year Ended December 31,			
	2008	2008	2007	2007
	As Previously Reported	As Revised	As Previously Reported	As Revised
	(In thousands)			
Revenues:				
Net revenue from unconsolidated joint operating activities	$ —	$28,981	$ —	$104,898
Collaboration revenue	41,996	13,015	113,822	8,924
Total revenues	$41,996	$41,996	$113,822	$113,822

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, approximate fair value due to their short maturities.

Effective January 1, 2008, the Company adopted the provisions of the *Fair Value Measurements and Disclosures* topic of the Codification. This topic defines fair value and provides guidance for using fair value to measure certain assets and liabilities. This topic applies whenever other standards require or permit assets or liabilities to be measured at fair value but does not expand the use of fair value in any new circumstances. This topic also requires expanded disclosure of the effect on earnings for items measured using unobservable data, establishes a fair value hierarchy that prioritizes the inputs used to measure fair value and requires separate disclosure by level within the fair value hierarchy. There was no material impact on the Company's financial position or results of operations upon adoption of the *Fair Value Measurements and Disclosures* 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 utilizes market data or assumptions that the Company believes market participants would use in pricing assets or liabilities, including assumptions about risk and the risks inherent in the inputs to the valuation technique. These inputs can be readily observable, market corroborated or generally unobservable. The Company applies the market approach valuation technique for fair value measurements on a recurring basis and maximizes the use of observable inputs and minimizes the use of unobservable inputs. All of the Company's cash equivalents and short-term investments are valued using quoted prices in active markets and are valued at Level 1 or Level 2 within the fair value hierarchy.

Subsequent Events

The Company's policy is to evaluate its subsequent events through the date that the financial statements are issued, which is February 26, 2010 for the year ended December 31, 2009, in accordance with the provisions of the *Subsequent Events* topic of the Codification. This topic sets forth the period after the balance sheet date during which management of a reporting entity shall evaluate events or transactions that may occur for potential recognition or disclosure in the financial statements, the circumstances under which an entity shall recognize events or transactions occurring after the balance sheet date in its financial statements and the disclosures that an entity shall make about events or transactions that occurred after the balance sheet date.

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 consist of money market funds, corporate debt securities and certificates of deposit.

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 accumulated other comprehensive income (loss) in stockholders' equity.

The amortized 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, 2009 and 2008, the Company recorded $1,685,000 and $1,654,000, respectively, of restricted investments related to the letter of credit (see Note 6).

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 $248,000 and $170,000 was classified as restricted investments on the accompanying balance sheets at December 31, 2009 and 2008, respectively.

Concentrations of Risk

The Company invests cash that is not currently 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 U.S. government-sponsored agencies and very 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 maintains 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 and government-sponsored enterprises. The carrying amounts of cash equivalents and available-for-sale investment securities approximate fair value due to their short-term nature.

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 are accounted for in accordance with the provisions of the *Revenue Recognition-Multiple-Element Arrangement* and *Collaborative Arrangements* topics of the Codification. A variety of factors are considered in determining the appropriate method of revenue recognition under these arrangements, such as whether the various elements can be considered separate units of accounting, whether there is objective and reliable evidence of fair value for these elements and whether there is a separate earnings process associated with a particular element of an agreement.

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 or deliver product. 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 revenues from the Company's current collaboration agreement with Astellas and a terminated collaboration agreement with Xanodyne. Net revenue from unconsolidated joint operating activities includes all revenue that results solely from the Company's current collaboration agreement with GSK. The Company accounts for the revenue activities of these collaboration agreements as follows:

- *Up-front, licensing-type fees.* 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.* Milestones are assessed on an individual basis, and revenue is recognized from these milestones when earned, as evidenced by acknowledgment from collaborators, provided that (i) the milestone event is substantive and its achievability was not reasonably assured at the inception of the agreement, (ii) the milestone represents the culmination, or progress towards the culmination, of an earnings process and (iii) the milestone payment is non-refundable. Where separate milestones do not meet these criteria, the Company uses a performance-based model, with revenue recognition following delivery of effort as compared to an estimate of total expected effort. Milestones that are received after all substantive deliverables have occurred are considered to be bonus payments and are recognized when earned, assuming all of the other revenue recognition criteria are met.
- *Profit and loss sharing.* This represents the Company's share of the profits and losses from the co-promotion of *Horizant* with GSK. Amounts are recognized in the period in which the related activities occur, and their financial statement classification is based on the Company's assessment that these activities constitute part of the Company's ongoing central operations.

The Company's current collaboration agreements also include potential payments for product royalties and detail reimbursements. To date, the Company has not received any revenue from these activities.

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 enrollment are accrued as patients are entered into the trial and reduced by any initial payment made to the clinical trial site when the first patient is enrolled. Nonrefundable 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.

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 the 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,		
	2009	2008	2007
	(In thousands, except per share amounts)		
Stock-based compensation by type of award:			
Employee stock options	$17,835	$14,315	$8,470
ESPP	798	552	452
Non-employee stock options	—	—	17
Total stock-based compensation	$18,633	$14,867	$8,939
Effect on basic income (loss) per share	$ (0.65)	$ (0.59)	$ 0.36
Effect on diluted income (loss) per share	$ (0.65)	$ (0.59)	$ 0.34

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

	Year Ended December 31,		
	2009	2008	2007
	(In thousands)		
Research and development	$10,101	$ 8,167	$5,044
Selling, general and administrative	8,532	6,700	3,878
	$18,633	$14,867	$8,922

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,		
	2009	2008	2007
Dividend yield	0%	0%	0%
Volatility for options	0.72	0.61	0.63
Volatility for ESPP	0.80	0.43	0.55
Weighted-average expected life of options (years)	5.36	4.96	4.69
Weighted-average expected life of ESPP rights (years)	0.5	0.5	0.5
Risk-free interest rate for options	1.60-2.71%	1.52-3.49%	3.49-5.03%
Risk-free interest rate for ESPP rights	0.24-1.74%	1.74-4.55%	4.55-5.15%

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. The Company derives the expected stock price volatility and the weighted-average expected life assumptions using data obtained from similar entities, taking into consideration factors such as industry, stage of life cycle, size and financial leverage. The risk-free interest rate input is based on the U.S. Treasury yield curve in effect at the time of grant.

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, 2009, 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 Income (Loss)

The Company displays comprehensive income (loss) and its components as part of the statements of stockholders' equity. Comprehensive income (loss) is comprised of net income (loss) and unrealized gains (losses) on available-for-sale securities.

Net Income (Loss) Per Share

Basic net income (loss) per share is calculated by dividing the net income (loss) by the weighted-average number of common shares outstanding for the period, less the weighted-average number of unvested common shares subject to repurchase, without consideration for potential common shares. Diluted net income (loss) per share is computed by dividing the net income (loss) by the weighted-average number of common shares outstanding for the period, less the weighted-average number of unvested common shares subject to repurchase, 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 income (loss) per share when their effect is dilutive.

	Year Ended December 31,		
	2009	2008	2007
	(In thousands, except per share amounts)		
Numerator:			
Net income (loss)	$(66,334)	$(62,540)	$28,193
Denominator:			
Weighted-average common shares outstanding	28,766	25,200	24,893
Less: Weighted-average unvested common shares subject to repurchase	—	(20)	(120)
Denominator for basic net income (loss) per share	28,766	25,180	24,773
Dilutive effect of:			
Restricted stock units and options to purchase common stock	—	—	1,202
Warrants outstanding	—	—	17
Denominator for diluted net income (loss) per share	28,766	25,180	25,992
Basic net income (loss) per share	$ (2.31)	$ (2.48)	$ 1.14
Diluted net income (loss) per share	$ (2.31)	$ (2.48)	$ 1.08
Outstanding securities not included in the computation of diluted net income (loss) per share as they had an antidilutive effect:			
Restricted stock units and options to purchase common stock	4,206	3,496	447
Warrants outstanding	305	305	—
	4,511	3,801	447

Recent Accounting Pronouncements

In September 2009, the FASB Emerging Issues Task Force, or EITF reached a consensus on ASC Update 2009-13 (Topic 605), *Multiple-Deliverable Revenue Arrangements,* or ASC Update 2009-13. ASC Update 2009-13 applies to multiple-deliverable revenue arrangements that are currently within the scope of the *Revenue Recognition — Multiple-Element Arrangements* topic of the Codification. ASC Update 2009-13 provides principles and application guidance on whether multiple deliverables exist, how the arrangement should be separated and the consideration allocated. ASC Update 2009-13 requires an entity to allocate revenue in an arrangement using estimated selling prices of deliverables if a vendor does not have vendor-specific objective evidence or third-party evidence of selling price.

ASC Update 2009-13 eliminates the use of the residual method and requires an entity to allocate revenue using the relative selling price method and also significantly expands the disclosure requirements for multiple-deliverable revenue arrangements. ASC Update 2009-13 should be applied on a prospective basis for revenue arrangements entered into or materially modified in fiscal years beginning on or after June 15, 2010, with earlier application permitted. As a result, ASC Update 2009-13 will be effective for the Company no later than the first quarter of fiscal 2011. The Company is currently evaluating the potential impact of the adoption of ASC Update 2009-13 on the Company's financial position or results of operations for future collaborations arrangements.

In January 2010, the EITF reached a consensus on ASC Update 2010-06 (Topic 820), *Fair Value Measurements and Disclosures: Improving Disclosures and Fair Value Measurements,* or ASC Update 2010-06. ASC Update 2010-06 requires new disclosures for significant transfers in and out of Level 1 and Level 2 fair value measurements as well as the reasons for the transfers. ASC Update 2010-06 also requires new disclosures for activity in Level 3 fair value measurements, such as separate information about purchases, sales, issuances and settlements (that is, on a gross basis rather than as one net number) in the reconciliation for fair value measurements using significant unobservable inputs. ASC Update 2010-06 is effective for interim and annual reporting periods beginning after December 15, 2009, except for the disclosures about purchases, sales, issuances and settlements in the roll forward of activity in Level 3 fair value measurements. Those disclosures are effective for fiscal years beginning after December 15, 2010, and for interim periods within those fiscal years. As a result, ASC Update 2010-06 will be effective for the Company no later than the first quarter of fiscal 2010. The Company does not expect the adoption of ASC Update 2010-06 to have a material impact on either its financial position or results of operations.

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 the Company's most advanced product candidate, XP13512, 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 a period that the Company expects to remain obligated to provide services. In addition, the Company is eligible to receive potential total payments of $60,000,000 upon the achievement of additional clinical and regulatory milestones, of which $23,000,000 has been received to date, including payments received in 2009 of $5,000,000 received in December 2009 in connection with the filing of the new drug application, or NDA, with the Pharmaceuticals and Medical Device Agency, or PMDA, for XP13512 as a treatment for restless legs syndrome in Japan and $3,000,000 received in April 2009 in connection with the U.S. Food and Drug Administration, or FDA's, acceptance for review of the new drug application, or NDA, filed by GSK for *Horizant*/XP13512 in the United States. The Company is also entitled to receive percentage-based royalties on any net sales of XP13512 in the Astellas territory. In each of the years ended December 31, 2009, 2008 and 2007, the Company recognized revenue of $1,515,000 representing amortization of the up-front license payment under this agreement. In the years ended December 31, 2009, 2008 and 2007, the Company recognized revenue of $8,000,000, $0 and $5,909,000, respectively, representing the recognition of milestone payments under this agreement. As of December 31, 2009, the Company had recognized an aggregate of $29,187,000 of revenue pursuant to this agreement. At December 31, 2009, $18,813,000 of revenue was deferred under this agreement, of which $1,515,000 was classified within current liabilities and the remaining $17,298,000 was recorded as a noncurrent liability. In addition, the agreement allowed Astellas to request that the Company conduct development activities and required Astellas to source all drug product and both clinical and commercial supplies of the active pharmaceutical ingredient, or API, form of XP13512 from the Company under a specified supply agreement. In October 2009, all of the Company's remaining manufacturing or supply obligations to Astellas for XP13512 API or finished drug product ceased. The Company remains obligated to provide certain services as originally specified in the December 2005 arrangement. Under the supply arrangement and requested development activities, the Company recorded a net offset to research and development expenses of $528,000, $2,737,000 and $3,642,000 in the years ended December 31, 2009, 2008 and 2007, respectively. Included in the net offset to research and development expenses in the year ended December 31, 2008 is a non-recurring reimbursement of $2,145,000 related to the transfer of XP13512 drug substance to Astellas.

Glaxo Group Limited

In February 2007, the Company entered into an exclusive collaboration with GSK, to develop and commercialize XP13512, known in the United States by the trade name *Horizant* (gabapentin enacarbil) Extended-Release Tablets, for the treatment of moderate-to-severe primary restless legs syndrome, or RLS, in all countries of the world excluding the Astellas territory. In March 2007, GSK made an up-front, non-refundable license payment of $75,000,000. In addition, GSK has agreed to make additional payments of: (i) up to $275,000,000 upon the achievement of additional clinical and regulatory milestones, of which $85,000,000 has been received to date, including payment received in 2009 of $20,000,000 received in March 2009; and (ii) up to $290,000,000 upon the achievement of specified *Horizant* sales levels. Under the terms of the agreement, GSK is responsible for all future development costs and leading the development and registration of *Horizant*/XP13512 for all other indications. GSK is solely responsible for the manufacture of *Horizant*/XP13512 to support the development and commercialization of *Horizant*/XP13512 within the GSK territory. In 2007, the Company transferred *Horizant*/XP13512 manufacturing responsibilities for the GSK territory to GSK. In addition, the Company received a non-recurring reimbursement of $3,636,000 related to the transfer of XP13512 drug substance to GSK, which the Company recorded as an offset to research and development expenses. The Company has concluded that the up-front license payment does not have value to GSK on a stand-alone basis without the benefit of the specified development activities that the Company is performing in connection with *Horizant*/XP13512 and that $85,000,000 of milestones payable 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 is being 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 is expected to occur. As of December 31, 2009, the Company had recognized an aggregate of $159,731,000 of up-front license and milestone revenue pursuant to this agreement. At December 31, 2009, $269,000 of revenue was deferred under this agreement, all of which was classified within current liabilities.

Coincident with the Company's election of the U.S. co-promotion option in April 2009, all allowable expenses under the agreement and any potential future sales of *Horizant* are accounted for using a joint profit and loss, or P&L, statement, in which the Company and GSK share in the resulting operating pre-tax profits and losses. Prior to the launch of *Horizant*, cash payments to GSK representing the Company's share of the losses are deferred and will be payable without interest over a period of time following the launch. Pending future FDA approval, the Company would co-promote *Horizant* with GSK and share profits and losses from the potential future sales of *Horizant* in the United States. Pending FDA approval of *Horizant*, GSK is 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 can be charged to the joint P&L statement are the cost of goods and certain costs directly related to *Horizant* marketing and sales. Sales and marketing expenses of *Horizant* that the Company incurs that are not charged to the joint P&L statement are classified as selling, general and administrative operating expenses within the Company's statements of operations. The Company may terminate its co-promotion right and participation in the profit share arrangement at any time upon notice to GSK with no penalty to the Company, in which case the original royalty-based compensation structure under the agreement would apply for net sales of *Horizant* in the United States. Under the terms of the agreement, as amended in February 2009, the Company has the right to commence the detailing of Requip XL, GSK's product for Parkinson's disease, around the time of the *Horizant* launch, and the Company would be entitled to continue these detailing activities until the earlier of the launch of a generic form of Requip XL or July 1, 2011. The Company would be compensated by GSK for each detail of Requip XL completed by the Company's sales representatives through a fee that is separate from the *Horizant* joint P&L statement. The Company has concluded that the potential details of *Horizant* and Requip XL and the amount from the joint P&L statement together constitute one unit of accounting separate from the previously established milestone and up-front payment unit of accounting. The Company also has 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 Company's GSK collaboration agreement is presented in the net revenue from unconsolidated

joint operating activities line item in the revenues section of the statements of operations 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. No detailing activities occurred and no detail reimbursements were recognized in the year ended December 31, 2009. The collaboration between GSK and the Company was created through a contractual arrangement, not through a joint venture or other legal entity.

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

	Year Ended December 31,		
	2009	2008	2007
		(In thousands)	
Up-front license and development milestone revenue	$25,853	$28,981	$104,898
XenoPort's share of pre-launch operating losses	(1,095)	—	—
Net revenue from unconsolidated joint operating activities	$24,758	$28,981	$104,898

Xanodyne Pharmaceuticals, Inc.

In October 2007, the Company licensed to Xanodyne exclusive rights to develop and commercialize XP21510 in the United States, including for the potential treatment of women diagnosed with menorrhagia. In exchange for these rights, the Company received and recognized non-refundable cash payments totaling $13,000,000, of which $6,000,000 was paid to the Company upon execution of the agreement, $6,000,000 was paid in October 2008 and $1,000,000 was paid in April 2008 as a milestone payment. In July 2009, the collaboration agreement with Xanodyne terminated and all XP21510 product rights reverted to the Company. In the years ended December 31, 2009, 2008 and 2007, the Company recognized revenue of $0, $11,500,000 and $1,500,000, respectively, under this agreement. At December 31, 2009, no revenue was deferred under this agreement.

The following table presents the Company's total revenues that have been recognized pursuant to all of its collaborations (in thousands):

	Year Ended December 31,		
	2009	2008	2007
Astellas	$ 9,515	$ 1,515	$ 7,424
GSK	24,758	28,981	104,898
Xanodyne	—	11,500	1,500
	$34,273	$41,996	$113,822

3. 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, 2009:				
Cash	$ 4,115	$ —	$ —	$ 4,115
Money market funds	18,260	—	—	18,260
U.S. treasury securities	22,024	—	(7)	22,017
U.S. government-sponsored agencies	99,243	40	(7)	99,276
Certificates of deposit	1,933	—	—	1,933
	$145,575	$ 40	$(14)	$145,601
Reported as:				
Cash and cash equivalents				$ 36,255
Short-term investments				107,413
Restricted investments				1,933
				$145,601

	Cost	Gross Unrealized Gains	Gross Unrealized Losses	Estimated Fair Value
As of December 31, 2008:				
Cash	$ 45,265	$ —	$ —	$ 45,265
Money market funds	20,785	—	—	20,785
U.S. government-sponsored agencies	60,266	408	—	60,674
Corporate debt securities	25,928	131	—	26,059
Certificates of deposit	1,824	—	—	1,824
	$154,068	$539	$ —	$154,607
Reported as:				
Cash and cash equivalents				$ 66,050
Short-term investments				86,733
Restricted investments				1,824
				$154,607

At December 31, 2009 and 2008, the contractual maturities of all investments held were less than one year.

The Company recognized $445,000 in the year ended December 31, 2008 of gross realized gains on sales of short-term investments based on the specific identification method. No gross realized gains or losses were recognized in 2009 and 2007.

The Company's available-for-sale investments, which include cash equivalents and short-term investments, are measured at fair value using the following inputs (in thousands):

Description	Total As of December 31, 2009	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	$ 18,260	$18,260	$ —	$ —
U.S. treasury securities	22,017	—	22,017	—
U.S. government-sponsored agencies	99,276	—	99,276	—
Total	$139,553	$18,260	$121,293	$ —

Description	Total As of December 31, 2008	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	$ 20,785	$20,785	$ —	$ —
U.S. government-sponsored agencies	60,674	—	60,674	—
Corporate debt securities	26,059	—	26,059	—
Total	$107,518	$20,785	$ 86,733	$ —

4. Property and Equipment

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

	December 31, 2009	December 31, 2008
Laboratory equipment	$ 11,916	$11,543
Furniture and fixtures	1,233	1,290
Computer equipment and software	4,940	3,378
Leasehold improvements	4,571	4,105
Construction in-progress	205	536
	22,865	20,852
Less: Accumulated depreciation and amortization	(12,139)	(9,382)
Property and equipment, net	$ 10,726	$11,470

5. Employee Note Receivable

At December 31, 2008, the Company had outstanding a full recourse note receivable of $100,000 from an officer of the Company to finance the purchase of personal assets. The note was secured by the deed of trust on the residence of such officer and required interest at a rate of 4.99% per annum. Accrued interest was forgiven annually on the note's anniversary date, and the principal was repaid in May 2009.

6. Commitments and Contingencies

Operating Leases

In February 2008, the Company entered into a lease for approximately 59,000 square feet of office space in a building at 3400 Central Expressway, Santa Clara, California, or the 3400 Lease. The term of the 3400 Lease runs for 60 months.

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 extends the term of the 3410 Lease for approximately two years from the original expiration date of December 10, 2011, so that the 3410 Lease will expire in 2013, on the same date as the 3400 Lease.

The Company has the option to extend both the 3410 Lease and 3400 Lease for two additional terms of five years each.

In connection with the original 3410 Lease, the Company entered into a letter of credit agreement in the amount of $3,000,000 with a financial institution that required the Company, at its option, to secure the letter of credit with either $3,000,000 of cash or certificate of deposit, or securities with a fair market value of at least $3,750,000. Under the terms of the operating lease agreement, the amount of the letter of credit was reduced to $1,500,000 in December 2006. The fair value of the certificate of deposit is presented as restricted investments on the balance sheet at $1,685,000 and $1,654,000 at December 31, 2009 and 2008, respectively. This letter of credit is required until the termination of the lease.

The Company is recognizing rent expense on a straight-line basis over the applicable lease terms. The Company began recognizing rent expense on the 3400 Lease in May 2008. Rent expense was $4,443,000, $4,140,000 and $3,630,000 for the years ended December 31, 2009, 2008 and 2007, respectively. Deferred rent of $1,055,000 and $1,873,000 at December 31, 2009 and 2008, respectively, represents the difference between rent expense recognized and actual cash payments related to the Company's operating leases.

At December 31, 2009, future minimum payments under all non-cancelable operating leases were as follows (in thousands):

Year ending December 31:	
2010	$ 5,498
2011	5,547
2012	3,723
2013	2,639
Total minimum lease payments	$17,407

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, 2009.

Contingencies

From time to time, the Company may become involved in claims and other legal matters arising in the ordinary course of business. Management is not currently aware of any matters that will have a material adverse affect on the financial position, results of operations or cash flows of the Company.

7. Stockholders' Equity

Common Stock

At December 31, 2009 and 2008, the Company was authorized to issue 60,000,000 shares 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 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 in annual tranches over a four-year period at the rate of 25% at the end of each year.

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, 2009, the annual increase to the 2005 Plan reserve was 681,177 shares. At December 31, 2009 and 2008, there were 1,098,762 and 1,203,379 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 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. 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 shall vest in four equal annual installments on each anniversary of the May 1, 2008 grant date.

In July 2008, the Company's Senior Vice President and Chief Medical 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 139,888 shares of the Company's common stock. The stock options have a per share exercise price of $39.55, the closing trading price of the Company's common stock on the NASDAQ Global Market on July 14, 2008. The stock options have a ten-year term and vest over four years, with 25% cliff vesting on the first anniversary of the July 14, 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 Medical 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 shall vest in four equal annual installments on each anniversary of the August 1, 2008 grant date.

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. Any individual who first becomes a non-employee director automatically receives an option to purchase 25,000 shares subject to vesting in four equal successive annual installments. Non-employee directors serving on the date of each annual meeting of stockholders receive an option to purchase 10,000 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, 2009, the annual increase to the 2005 Directors' Plan reserve was 93,334 shares. At December 31, 2009 and 2008, there were 62,917 and 56,666 shares, respectively, remaining and available for future grant under the 2005 Directors' Plan.

A summary of option and award activity as of December 31, 2009 is presented below:

	Shares	Weighted-Average Exercise Price	Weighted-Average Remaining Contractual Term	Aggregate Intrinsic Value
				(In thousands)
Outstanding at January 1, 2009	3,496,075	$26.16		
Options and awards granted	1,055,012	$19.71		
Options and awards cancelled	(151,068)	$25.69		
Options and awards exercised	(193,799)	$ 2.68		
Outstanding at December 31, 2009	4,206,220	$25.64	7.11	$(29,834)
Exercisable at December 31, 2009	2,372,575	$23.63	6.36	$(12,059)

The aggregate intrinsic value of all options and awards outstanding and exercisable at December 31, 2009 was based on a closing stock price of $18.55.

The weighted-average grant date fair values of options and awards granted in the years ended December 31, 2009, 2008 and 2007 were $19.71, $42.04 and $26.96 per share, respectively.

The total intrinsic value of options exercised in the years ended December 31, 2009, 2008 and 2007 was $1,969,000, $10,701,000 and $10,893,000, respectively.

As of December 31, 2009, the total compensation cost related to unvested options and awards not yet recognized was $33,904,000. This amount will be recognized over an estimated weighted-average amortization period of 3.38 years.

A summary of the Company's unvested shares as of December 31, 2009 and changes during the year ended December 31, 2009 is as follows:

	Shares	Weighted-Average Grant Date Fair Value
Unvested at January 1, 2009	1,870,137	$29.24
Options and awards granted	1,055,012	$19.71
Options and awards cancelled	(151,068)	$25.69
Options and awards vested	(940,436)	$24.75
Unvested at December 31, 2009	1,833,645	$26.36

Employee Stock Purchase Plan

As of December 31, 2009, the Company had reserved a total of 945,555 shares of common stock for issuance under the 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. 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, 2009 and 2008, 120,039 shares and 50,339 shares, respectively, were purchased under the ESPP. At December 31, 2009 and 2008, there were 581,311 and 701,350 shares, respectively, remaining and available for future grant under the ESPP.

Warrants

At December 31, 2009, 304,752 warrants were outstanding, of which 21,332 were exercisable for shares of common stock at $15.00 per share and 283,420 were exercisable for shares of common stock at $25.40 per share. The warrants expire at various dates from June 2012 to December 2013.

8. Preferred Stock

At December 31, 2009 and 2008, the Company was authorized to issue 5,000,000 shares of preferred stock.

9. Income Taxes

The Company recorded $(722,000), $(406,000) and $622,000 of current income tax expense (benefit) for the years ended December 31, 2009, 2008 and 2007, respectively. In the year ended December 31, 2009, $444,000 of current income tax benefit recognized was due to the adoption of a provision in the *Worker, Homeownership, and Business Assistance Act of 2009* that allows businesses with net operating loss, or NOLs, in 2008 or 2009 to carry back those losses for up to five years, and $278,000 of current income tax benefit recognized was due to the adoption of a provision in the *American Recovery and Reinvestment Tax Act of 2009* that allows corporations to convert carry-forward research and development and Alternative Minimum Tax, or AMT, credits into a separate refundable amount, which the Company plans to claim as a refund for cash in 2010. The income tax benefit recognized for the year ended December 31, 2008 was primarily due to the adoption of a provision in the *Housing and Economic Recovery Act of 2008* that allowed corporations to convert carry-forward research and development and AMT credits into a separate refundable amount, which the Company claimed and received as a refund in cash in 2009. The income tax expense recognized for the year ended December 31, 2007 resulted from the Company's full year effective tax rate of 2.2% related to federal and state AMT and other temporary differences.

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,	
	2009	2008
Net operating loss carryforwards	$ 78,163	$ 55,851
Research credit carryforwards	23,933	20,610
Capitalized research and development	16,916	19,571
Deferred revenue	7,665	7,913
Stock options	10,543	6,184
Other	2,016	1,421
Total net deferred tax assets	139,236	111,550
Valuation allowance	(139,236)	(111,550)
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 (decreased) by $27,686,000, $28,648,000 and $(8,450,000) during 2009, 2008 and 2007, respectively.

As of December 31, 2009, the Company had NOL carryforwards for federal income tax purposes of $196,596,000, which expire in the years 2022 through 2029, and federal research and development tax credits of $17,561,000, which expire in the years 2021 through 2029.

As of December 31, 2009, the Company had NOL carryforwards for state income tax purposes of $192,856,000, which expire in the years 2015 through 2029, and state research and development tax credits of $9,964,000, 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.

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, 2009, based on the analyses performed on annual limitation as a result of ownership changes that may have occurred from inception through September 2009, the Company expects to be able to use all of the NOL and tax credit carryforwards before their respective expiration periods.

10. Subsequent Event

In February 2010, the Company and GSK received a Complete Response letter from the FDA regarding the NDA for *Horizant*. A Complete Response letter is issued by the FDA's Center of Drug Evaluation and Research when the review of a file is completed and questions remain that preclude the approval of the NDA in its current form. The Company and GSK are currently evaluating the Complete Response letter. The companies are assessing the appropriate next steps and will be communicating with the FDA. As a result, the Company is currently evaluating its operating plan, and the Company may delay clinical development programs, decrease the scope of research and development activities and/or implement expense reduction strategies in future periods.

11. 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, 2009	Sept. 30, 2009	June 30, 2009	March 31, 2009	Dec. 31, 2008	Sept. 30, 2008	June 30, 2008	March 31, 2008
Selected Quarterly Data:								
Total revenues	$ 5,762	$ 403	$ 1,831	$26,277	$ 10,615	$ 4,863	$ 11,537	$14,981
Net income (loss)	(18,348)	(24,374)	(20,914)	(2,698)	(18,726)	(24,113)	(12,399)	(7,302)
Basic net income (loss) per share	(0.60)	(0.81)	(0.76)	(0.10)	(0.74)	(0.96)	(0.49)	(0.29)
Diluted net income (loss) per share	(0.60)	(0.81)	(0.76)	(0.10)	(0.74)	(0.96)	(0.49)	(0.29)

Corporate Directory

BOARD OF DIRECTORS

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

Paul L. Berns
President and Chief Executive Officer
Allos Therapeutics, Inc.

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
Chief Financial Officer
Amyris Biotechnologies, Inc.

Per G. H. Lofberg
Executive Vice President
CVS Caremark Corporation and President
Caremark Pharmacy Services

Kenneth J. Nussbacher
Former Fellow
Affymetrix, Inc.

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

EXECUTIVE OFFICERS

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

William J. Rieflin
President

Vincent J. Angotti
Senior Vice President and Chief Commercialization Officer

Kenneth C. Cundy, Ph.D.
Senior Vice President of Preclinical Development

Mark A. Gallop, Ph.D.
Senior Vice President of Research

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

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

David A. Stamler, M.D.
Senior Vice President and Chief Medical Officer

CORPORATE HEADQUARTERS

3410 Central Expressway
Santa Clara, CA 95051
Phone: 1 (408) 616-7200
Web: www.XenoPort.com

TRANSFER AGENT AND REGISTRAR

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

BNY Mellon Shareowner Services
480 Washington Boulevard
Jersey City, NJ 07310-1900
Phone: 1 (866) 637-5419
Web: www.bnymellon.com/shareowner/isd

INDEPENDENT AUDITORS

Ernst & Young LLP
Palo Alto, CA

LEGAL COUNSEL

Cooley Godward Kronish LLP
Palo Alto, CA

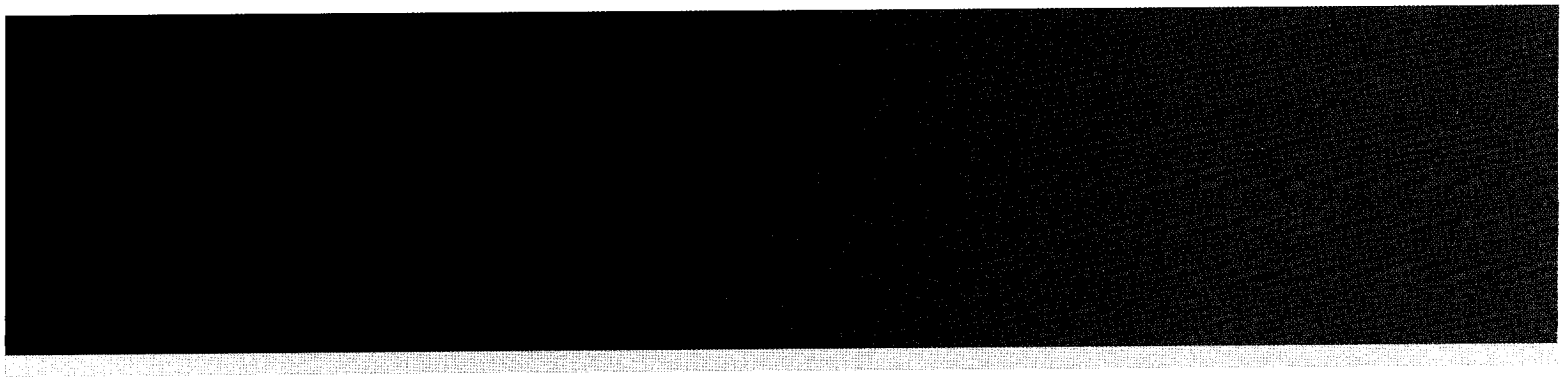
ANNUAL MEETING

The Company's Annual Meeting of Stockholders will be held at 9:00 a.m. Pacific Time on May 11, 2010 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.



XENOPORT, INC.
3410 CENTRAL EXPRESSWAY
SANTA CLARA, CA 95051
T 408.616.7200
F 408.616.7210

WWW.XENOPORT.COM
NASDAQ: XNPT