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Discovering Tomorrow Today. Delivering Now.

ASTEX PHARMACEUTICALS :: 2011 ANNUAL REPORT



Astex Pharmaceuticals Officers: Martin Buckland, DPhil, MBA; James S.J. Manuso, PhD, MBA;
Harren Jhoti, PhD; Mohammad Azab, MD, M Sc, MBA; Michael Molkentin, CPA

“Our potential has been amplified as a direct result of the 2011 merger ...”

To Our Stockholders,

With a broad Phase II pipeline, substantial financial resources, multiple global partnerships, and an industry-leading, fragment-based drug discovery platform, we believe Astex is positioned to deliver value to our stockholders. Our potential has been amplified as a direct result of the 2011 merger of SuperGen and Astex Therapeutics. The merger was a strategic initiative that united two highly complementary companies, creating an international enterprise that has cash reserves and potential royalty and milestone revenues to support our near-term objectives. We believe we are in a unique position to take advantage of the panoply of opportunities on the road ahead. Astex has a deep pipeline of targeted small molecule drugs that have been evaluated at every step of the development process. The pipeline includes five internal programs in the clinical trial stage and five partnered programs at varying stages of development.

Dacogen continues to deliver

In addition to our broad pipeline, we continue to realize value from Dacogen[®]. This drug is now approved in more than 30 countries for the treatment of myelodysplastic syndromes (MDS). In 2011, Dacogen royalty revenue rose to \$60.5 million, a 15 percent increase over the prior year. In the second half of 2012, the European Medicines Agency (EMA) will rule on the Marketing Authorization Application (MAA) for Dacogen in the treatment of elderly patients with acute myeloid leukemia (AML). Although the U.S. Food and Drug Administration (FDA) did not approve a supplemental New Drug Application (sNDA) for Dacogen in this indication, Janssen Pharmaceuticals, the Dacogen sublicensee outside of North America, is actively pursuing the European opportunity in AML. If the Dacogen MAA is approved, it could provide substantial upside potential to the Dacogen franchise.

Compelling pipeline opportunities

In anticipation of the maturation of the Dacogen franchise in MDS, we believe that Astex has put in place the elements for continued growth. In addition to a diverse pipeline, we have partnerships with four global pharmaceutical companies. We believe these partnerships validate our technology platform, provide multiple opportunities for milestone and royalty revenue, and are funding the advancement of five pipeline products. We expect that our industry-leading technology will continue to deliver drug candidates that will feed our internal pipeline and support additional partnerships.

Managing our resources for success

At Astex, we are committed to managing our discovery, development, clinical, technological, and financial resources responsibly, with the singular aim of making medicines that work. Our company has been profitable in four of the last five years, including 2011. We can fund the development of our pipeline without raising money in the public markets during 2012. Later in 2012, we expect to report data from four Phase II programs, advance our partnered programs, establish additional collaborations, move new candidates into the clinic, and initiate new discovery projects aimed at inhibiting a variety of disease targets. I look forward to sharing our progress with you as we discover tomorrow's medicines today and work to deliver value. Thank you for your continued support.

Sincerely,



James S.J. Manuso, PhD
Chairman and Chief Executive Officer

Four compounds in Phase II.

Astex expects to report data from four Phase II clinical trials in 2012. A broad clinical pipeline enables Astex to increase opportunities for success and reduce the portfolio risk arising from the failure of any single program.

AT13387 :: HSP 90 inhibitor :: Gastrointestinal stromal tumors (GIST)

AT13387 is an inhibitor of Hsp90, a protein that has been implicated in the progression of a wide variety of tumor types. The development of the first generation of Hsp90 inhibitors revealed significant safety concerns with the drugs. By contrast, AT13387 is a second-generation Hsp90 inhibitor with an improved safety profile. This drug could have broad potential across many different types of cancer. The compound has been designed using Astex's industry-leading Pyramid™ platform. A Phase II trial of AT13387 in patients with GIST is ongoing, and data from this trial are expected in the fourth quarter of 2012. Astex intends to initiate an additional Phase II trial in non-GIST solid tumors in the third quarter of 2012. Astex is also working with the National Cancer Institute (NCI) to help develop AT13387. AT13387 is wholly owned by Astex.

SGI110 :: DNMT inhibitor :: Myelodysplastic syndromes (MDS) and Acute myeloid leukemia (AML)

SGI110 is a second-generation hypomethylating agent. It employs the same therapeutic mechanism that underpins the company's valuable Dacogen franchise. Like Dacogen, SGI110 works through epigenetic mechanisms to restore the expression of genes that have become silenced in tumors. SGI110 is designed to have an improved pharmacokinetic (PK) profile compared with Dacogen, and to be administered in a convenient low volume, high concentration formulation. As a result of its attributes, SGI110 use could expand beyond leukemias to include solid tumor indications. A Phase I/II trial of SGI110 in MDS and AML is ongoing, and Astex expects to initiate a Phase II program in solid tumors in the third quarter of 2012. Data from the Phase II MDS/AML trial are anticipated in the fourth quarter of 2012. Reflecting a high level of interest in epigenetic approaches to cancer therapy, Astex is developing SGI110 at several cancer centers and we are working with clinical investigators of the Stand Up to Cancer Foundation's Epigenetics Dream Team. SGI110 is wholly owned by Astex.

Amuvatinib (MP470) :: Small cell lung cancer (SCLC)

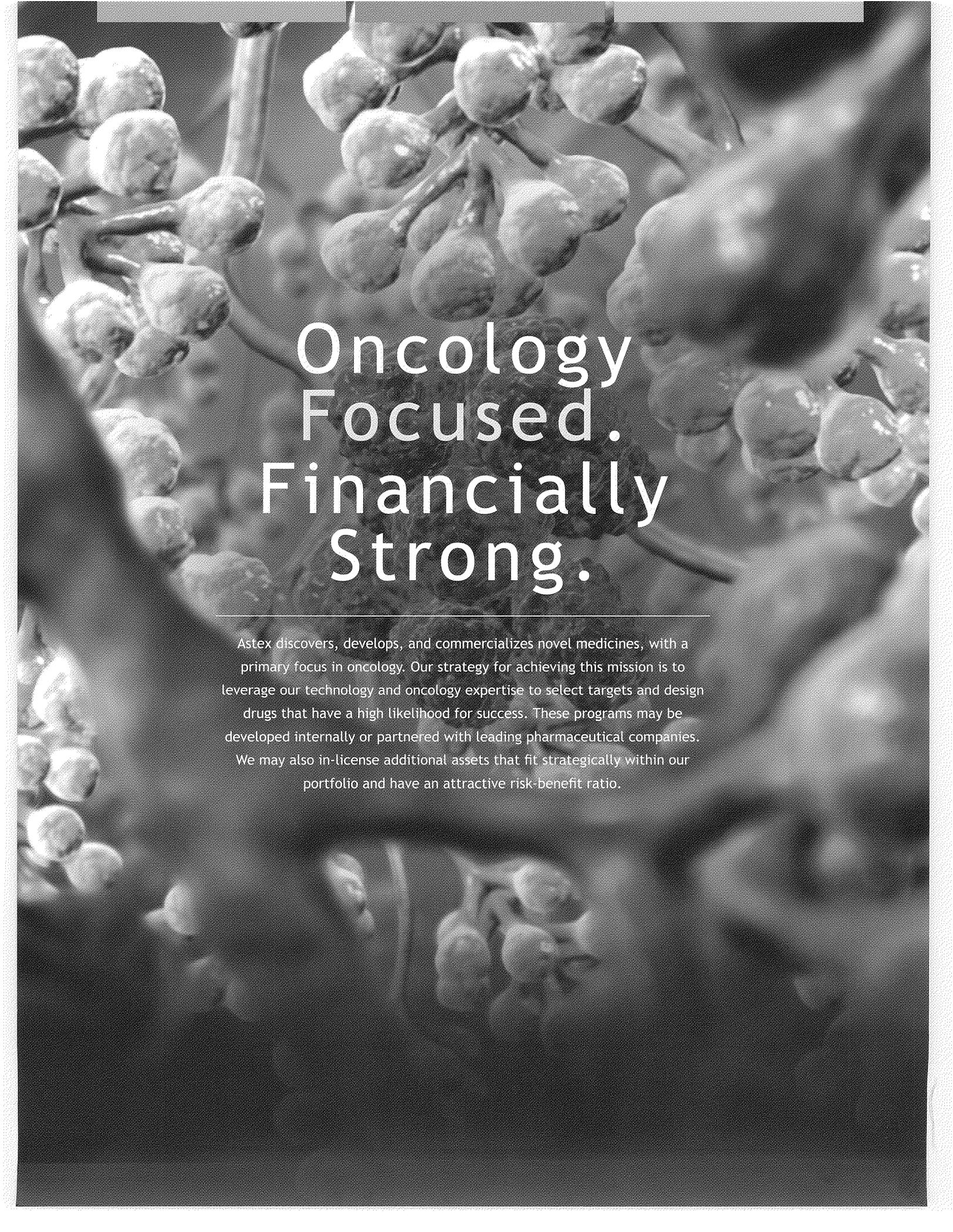
Amuvatinib (MP470) is an inhibitor of several tyrosine kinases, including c-Kit and PDGFR α . Preclinical data show that amuvatinib disrupts DNA damage repair mechanisms that play a critical role in cancer cell survival. Inhibition of DNA damage repair works synergistically with platinum-based chemotherapy drugs, leading to enhanced anti-tumor effects when these drugs are combined with amuvatinib. In Phase I studies, a combination of amuvatinib and platinum/etoposide has shown promising efficacy signals in patients with SCLC and neuroendocrine tumors. An international Phase II clinical proof-of-concept study, known as ESCAPE, is ongoing in patients with SCLC. Preliminary data from this trial are expected in the fourth quarter of 2012. Amuvatinib is wholly owned by Astex.

AT7519 :: CDK inhibitor :: Multiple myeloma (MM), Lymphocytic leukemia (CLL) and Mantle cell lymphoma (MCL)

AT7519 inhibits multiple cyclin-dependent kinases (CDKs), proteins that regulate the cell cycle, gene expression, and levels of several proteins that promote cancer cell survival. The disruption of normal cell cycle mechanisms is a hallmark of all cancers. AT7519 induces tumor shrinkage in a variety of cancer models, rapid cell death in leukemia cell lines, and tumor shrinkage in animal models of hematologic malignancies. Phase II trials of AT7519 in combination with bortezomib are ongoing in patients with MM. We expect to initiate Phase II trials in patients with CLL and MCL in the first half of 2012. Astex expects to report data from the Phase II multiple myeloma trial in the fourth quarter of 2012. AT7519 is wholly owned by Astex, with an option for Novartis to develop and commercialize it.

AT9283 :: JAK/Aurora inhibitor :: Multiple myeloma (MM), Acute lymphocytic leukemia (ALL) and Acute myeloid leukemia (AML)

AT9283 inhibits the activity of several kinases, including aurora A and B and JAK2. These kinases regulate key components of the cell replication and division cycle and are implicated in a variety of hematologic malignancies and solid tumors. An initial Phase I/II trial of AT9283 showed early signs of efficacy in patients with hematologic malignancies, and a Phase II trial in patients with chemotherapy refractory MM is ongoing. Cancer Research UK is conducting clinical trials of AT9283 in pediatric patients with solid tumors and in patients aged six months to 18 years with ALL or AML. AT9283 is wholly owned by Astex.



Oncology Focused. Financially Strong.

Astex discovers, develops, and commercializes novel medicines, with a primary focus in oncology. Our strategy for achieving this mission is to leverage our technology and oncology expertise to select targets and design drugs that have a high likelihood for success. These programs may be developed internally or partnered with leading pharmaceutical companies. We may also in-license additional assets that fit strategically within our portfolio and have an attractive risk-benefit ratio.

More than 40 years after the war on cancer was declared, the disease is still the second leading cause of death in the United States. The American Cancer Society estimates that about 1.6 million people in the United States alone will be diagnosed with cancer in 2012, and nearly 580,000 Americans will die of the disease. Although cancer survival rates have improved, the five-year relative survival rate for all cancers is still only 67 percent. Astex is committed to developing new medicines that help improve outcomes for cancer patients.

Advancing the treatment of cancer

We believe that Astex is at the forefront of oncology drug discovery and development. Our expertise gives us a deep understanding of the molecular processes that contribute to the development, progression, and spread of cancer, as well as disease response to drug therapy. These insights help enable us to select novel targets for our drug discovery efforts. We also apply this knowledge to the design of compounds that have high specificity and binding potency against a

target of interest. The compounds we generate are intended to have improved safety and efficacy profiles compared with many of today's cancer medicines.

All aspects of the Astex drug discovery and development process are driven by science and data. As a leading-edge oncology research company, we consider both internal and external data in our target and compound selection processes. We rigorously conduct internal analyses to determine which combination of target, compound, and indication will create medicines that work. Our qualification and selection process also considers unmet medical need and the competitive landscape. Continued development of each program is also data driven. Resources are pulled from programs that don't meet our high standards and redeployed to discovery and development programs with a higher probability of success.

De-risking clinical development

Astex is creating de-risked products for partnership with leading pharmaceutical companies. We seek clinical proof of concept in certain

indications for our drug candidates, and we do not advance products that do not meet our high hurdles. Establishing proof of concept involves elucidating mechanism of action or establishing clinical benefit in indications where there is unmet medical need. In 2012, Astex expects to report data from four Phase II oncology trials. These outcomes would provide multiple inflection points for potential value creation and may open the door to additional partnership opportunities.

A pipeline of opportunity

Our deeply analytical approach, combined with clear leadership in fragment-based drug design, permits Astex to create many compounds aiming for clinical and commercial success at the earliest stages of the discovery and development process. Accordingly, Astex has a broad and deep pipeline that we believe is unrivaled by companies of similar size and market capitalization.

"ASTEX HAS A BROAD AND DEEP PIPELINE THAT WE BELIEVE IS UNRIVALED BY COMPANIES OF SIMILAR SIZE AND MARKET CAPITALIZATION."

DR. MOHAMMAD AZAB, MD, M SC MBA, CHIEF MEDICAL OFFICER



Discovering Possibilities. Delivering Clinical Candidates.

Astex's fragment-based drug discovery platform is an answer to many of the pharmaceutical industry's drug discovery challenges. Despite substantial investment in and advancement of traditional high-throughput screening (HTS) technologies, the pace of new drug approvals has remained relatively constant and side effects and toxicity are still all too common. Astex enables new possibilities in drug discovery by starting with small fragments that can be developed, using structure-based design approaches, into clinical candidates with optimal drug-like properties.

"WE BELIEVE THAT ASTEX IS THE RECOGNIZED INDUSTRY LEADER IN
FRAGMENT-BASED DRUG DISCOVERY."

DR. HARREN JHOTI, PHD, PRESIDENT

Astex's world-class drug discovery capability is an engine that drives pipeline growth and opportunities for high-value partnerships. We believe that Astex is the recognized industry leader in fragment-based drug discovery, a fundamentally different approach to discovering smaller, more soluble compounds with superior safety and efficacy profiles.

Meeting our industry's challenges

Until very recently, drug discovery has been limited by the assays used in traditional HTS. These assays tend only to detect relatively large compounds that bind to their target proteins with high potency. Given the size and complexity of these HTS hits it is often a challenge to optimize these molecules into drug candidates with good drug-like features. A growing body of evidence has shown that the physical and chemical properties of small molecule drug candidates significantly influence efficacy and toxicity. However, a recent publication by Paul Leeson and Stephen St-Galley in *Nature Reviews Drug Discovery* found that

a substantial portion of the pharmaceutical industry has not adapted its drug design strategies to incorporate this knowledge. This failure is a key reason that HTS has not improved the quality or number of new drugs entering clinical trials.

Astex's Pyramid platform integrates a variety of biophysical techniques with advanced fragment library design to enable the evaluation and selection of very small, low molecular weight drug fragments. These fragments can be used to produce drug candidates that have lower complexity than traditional starting compounds and are less likely to have unwanted effects.

Astex utilizes proprietary computational chemistry software to guide our structure-based drug design and optimization processes. Using X-ray crystallography to obtain a detailed understanding of how the fragment binds to its target at the atomic level, we add specific chemical groups that increase binding potency. Additional modifications can be incorporated to increase target selectivity, reduce metabolic effects, and facilitate the manufacturing process.

Leading the way to better medicines

In their comparison of discovery processes throughout the pharmaceutical industry, Leeson and St-Galley found that, "...molecular properties are more drug like in the compounds patented by Astex." We believe this reflects our expertise and assets in fragment-based discovery and rational drug design. Astex's proprietary fragment library, and its development and utilization, are key strategic assets. Our scientists have received several awards and authored multiple highly cited publications that have been seminal in advancing the field of fragment-based drug discovery.

We believe that we have a powerful technology platform that sets Astex apart from competitors. By starting with optimized drug candidates, our expectation is that we can reduce the risk of failure and increase our — and our stockholders' — opportunities for success.



Global Vision. Unlimited Potential.

Partnerships have been – and will continue to be – a key component of our corporate strategy. We believe that Astex's fragment-based drug discovery platform has the potential to transform the pharmaceutical industry. Partnerships support our efforts to realize the full potential of our platform and bring important new medicines to patients around the globe. With financial resources in place, we believe we are positioned to establish partnerships based on strategic benefit to Astex.

"TODAY, PARTNERS ARE INDEPENDENTLY ADVANCING FIVE OF ASTEX'S PIPELINE PROGRAMS."

MARTIN BUCKLAND, D PHIL, MBA, CHIEF BUSINESS OFFICER

Astex is committed to leveraging the potential of our platform to develop effective medicines that improve patients' lives. Our fragment-based discovery platform is applicable to a wide variety of target classes and disease indications. We believe that partnering with leading pharmaceutical companies unleashes the power of the Pyramid platform, with the expectation that we can create additional opportunities to generate value for patients and our stockholders.

Validating our technology

We believe that partnerships validate the Pyramid platform and Astex portfolio, as exemplified by our collaboration agreement with GlaxoSmithKline (GSK) to discover, develop, and commercialize novel compounds directed against multiple therapeutic targets. When we entered into this collaboration in 2009, GSK had already established its own fragment-based technology. Despite their in-house resources,

GSK invested £20 million in upfront payments and equity investments to access the Pyramid platform. We believe this underscores the strength of our platform and expertise, and sends a clear signal to the broader industry that Astex provides added value to leading pharmaceutical companies.

Minimizing cost, maximizing output

Astex also utilizes partnerships to advance promising programs without incurring additional development costs. Today, partners are independently advancing five of Astex's pipeline programs. AZD5363 and AZDXXX are being developed under separate agreements with AstraZeneca in cancer and Alzheimer's disease, respectively. AT7519 and LEE011 are being developed under our strategic licensing and drug discovery alliance with Novartis. Novartis is conducting human clinical trials of LEE011, a selective inhibitor of

a key cell cycle control enzyme, CDK4. One of our FGFR inhibitor compounds is being developed under a 2008 agreement with Janssen Pharmaceuticals and is currently in preclinical development. FGFR is a growth factor receptor that has been implicated in a variety of solid tumors.

Supporting continued growth

Going forward, we expect to establish additional partnerships consistent with our strategy for advancing Astex's corporate development. While we consider each collaboration on its own merits, it is likely we will partner non-oncology assets earlier in development while retaining oncology programs through Phase II clinical trials. This strategy could allow us to balance our near-term pipeline investments against our long-term opportunities for value creation.

Financial Highlights

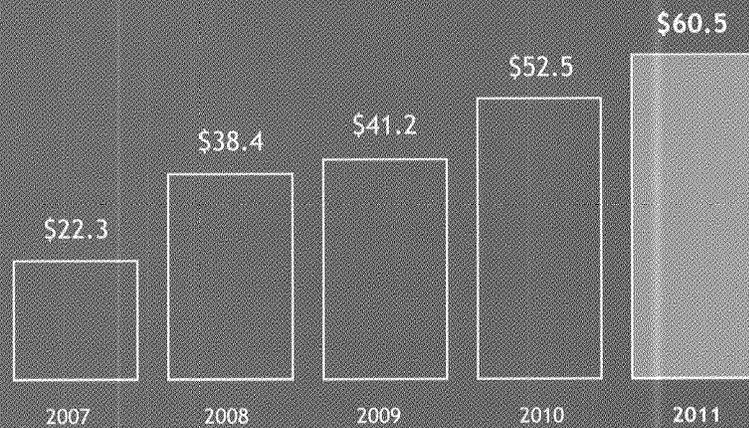
| Consolidated Balance Sheet Data (In thousands) | As of December 31, | | | | |
|---|--------------------|------------------|-------------------|-------------------|-------------------|
| | 2007 | 2008 | 2009 | 2010 | 2011 |
| Cash, cash equivalents, marketable securities, and restricted cash | \$ 93,385 | \$ 90,679 | \$ 103,022 | \$ 122,511 | \$ 128,051 |
| Other current assets | 857 | 1,307 | 2,054 | 1,370 | 10,338 |
| Property, plant and equipment, net | 4,435 | 4,437 | 4,205 | 3,932 | 7,013 |
| Goodwill and other intangible assets | 731 | 731 | 731 | 731 | 130,992 |
| Other assets | 1,040 | 611 | 505 | 554 | 554 |
| Total assets | \$ 100,448 | \$ 97,765 | \$ 110,517 | \$ 129,098 | \$ 276,948 |
| Current liabilities | \$ 6,961 | \$ 6,629 | \$ 6,573 | \$ 6,048 | \$ 34,670 |
| Non-current liabilities | 832 | 645 | 1,958 | 1,438 | 22,277 |
| Total stockholders' equity | 92,655 | 90,491 | 101,986 | 121,612 | 220,001 |
| Total liabilities and stockholders' equity | \$ 100,448 | \$ 97,765 | \$ 110,517 | \$ 129,098 | \$ 276,948 |

| Consolidated Statement of Operations Data (In thousands, except per share data) | Year ended December 31, | | | | |
|---|-------------------------|-------------------|-----------------|------------------|-----------------|
| | 2007 | 2008 | 2009 | 2010 | 2011 |
| Total revenues | \$ 22,954 | \$ 38,422 | \$ 41,253 | \$ 52,972 | \$ 66,914 |
| Cost of product revenue | 221 | — | — | — | — |
| Research and development expenses | 23,423 | 32,685 | 29,689 | 28,394 | 43,895 |
| Selling, general and administrative expenses | 13,520 | 11,119 | 8,994 | 9,442 | 16,842 |
| Amortization of intangibles and impairment charge | — | — | — | — | 4,465 |
| Acquired in-process research and development | 9,967 | 5,185 | — | — | — |
| Gain on sale of products | (33,677) | (2,236) | (595) | (750) | (700) |
| Income (loss) from operations | 9,500 | (8,331) | 3,165 | 15,886 | 2,412 |
| Other income (expense) and income tax benefit (provision) | 3,581 | (780) | 1,572 | 387 | 3,130 |
| Net income (loss) | \$ 13,081 | \$ (9,111) | \$ 4,737 | \$ 16,273 | \$ 5,542 |
| Basic net income (loss) per common share | \$ 0.23 | \$ (0.16) | \$ 0.08 | \$ 0.27 | \$ 0.07 |
| Diluted net income (loss) per common share | \$ 0.23 | \$ (0.16) | \$ 0.08 | \$ 0.27 | \$ 0.07 |
| Shares used to compute basic net income (loss) per common share | 56,868 | 57,721 | 59,316 | 60,287 | 75,072 |
| Shares used to compute diluted net income (loss) per common share | 57,301 | 57,721 | 59,340 | 60,635 | 75,751 |

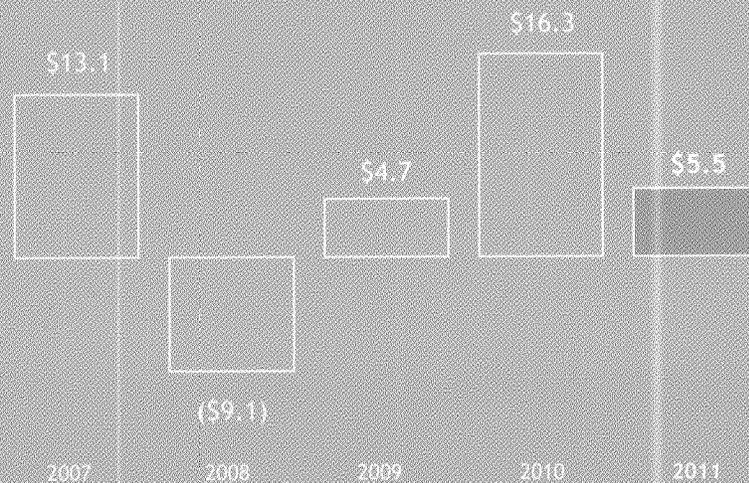
**CASH, CASH EQUIVALENTS,
MARKETABLE SECURITIES,
AND RESTRICTED CASH**
(IN MILLIONS)

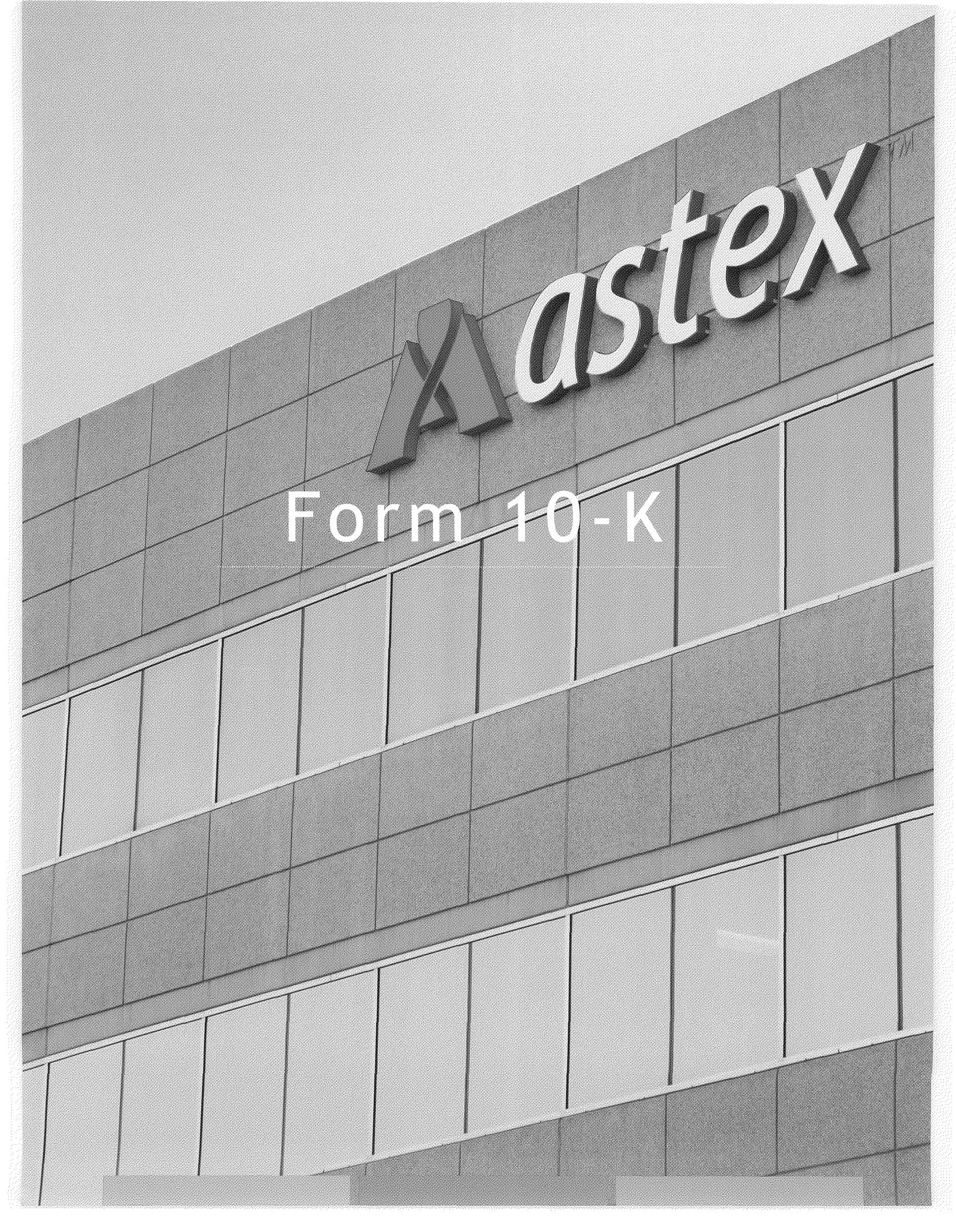


ROYALTY REVENUE
(IN MILLIONS)



NET INCOME (LOSS)
(IN MILLIONS)





A astexTM

Form 10-K

**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, 2011

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 0-27628

ASTEX PHARMACEUTICALS, INC.

(Exact name of registrant as specified in its charter)

Delaware
(State or other jurisdiction
of incorporation or organization)

4140 Dublin Blvd., Suite 200, Dublin, CA
(Address of principal executive offices)

91-1841574
(IRS Employer
Identification Number)

94568
(Zip Code)

Registrant's telephone number, including area code: **(925) 560-0100**

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

Title of each class:

Name of each exchange on which registered:

Common Stock, \$0.001 par value per share

The NASDAQ Stock Market LLC

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

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

Indicate by check mark if the registrant is not required to file reports pursuant to Section 13 or Section 15(d) of the 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 Website, if any, every Interactive Data File required to be submitted and posted pursuant to Rule 405 of Regulation S-T (§232.405 of this chapter) 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 the 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 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 .

The aggregate market value of the voting stock held by non-affiliates of the Registrant (based on the closing sale price of the Common Stock as reported on the Nasdaq Stock Market on June 30, 2011, the last business day of the Registrant's most recently completed second fiscal quarter) was approximately \$178,168,419. This determination of affiliate status is not necessarily a conclusive determination for other purposes. The number of outstanding shares of the Registrant's Common Stock as of the close of business on March 5, 2012 was 93,065,967.

DOCUMENTS INCORPORATED BY REFERENCE

Items 10, 11, 12, 13 and 14 of Part III incorporate by reference information from the definitive proxy statement for the Registrant's 2011 Annual Meeting of Stockholders. The proxy statement will be filed within 120 days of the Registrant's fiscal year ended December 31, 2011.

ASTEX PHARMACEUTICALS, INC.
2011 ANNUAL REPORT ON FORM 10-K
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Special Note Regarding Forward-Looking Statements

Our disclosure and analysis in this report contain forward-looking statements within the meaning of Section 27A of the Securities Act and Section 21E of the Exchange Act, and within the meaning of the Private Securities Litigation Reform Act of 1995. Forward-looking statements provide our current expectations or forecasts of future events. When we use the words “anticipate,” “estimate,” “project,” “intend,” “expect,” “plan,” “believe,” “should,” “likely” and similar expressions, we are making forward-looking statements. In particular, these statements include statements such as: our estimates about profitability; our forecasts regarding our revenues and research and development expenses; and our statements regarding the sufficiency of our cash to meet our operating needs. Our actual results could differ materially from those predicted in the forward-looking statements as a result of risks and uncertainties including, but not limited to, delays and risks associated with conducting and managing our clinical trials; the commercial success of Dacogen; developing products and obtaining regulatory approval; our ability to establish and maintain collaboration relationships; competition; our ability to protect our intellectual property; our expectations about the joint development program with GSK; our dependence on third party suppliers; risks associated with the hiring and loss of key personnel; adverse changes in the specific markets for our products; and our ability to launch and commercialize our products. Certain unknown or immaterial risks and uncertainties can also affect our forward-looking statements. Consequently, no forward-looking statement can be guaranteed and you should not rely on these forward-looking statements.

The forward-looking statements reflect our position as of the date of this report, and we undertake no obligation to update any forward-looking statements, whether as a result of new information, future events or otherwise. You are advised, however, to consult any further disclosures we make on related subjects in our Quarterly Reports on Form 10-Q, Current Reports on Form 8-K, or other filings. Also note that we provide a cautionary discussion of risks and uncertainties relevant to our business under Item 1A—Risk Factors in this report. These are currently known and material risks that we believe could cause our actual results to differ materially from expected and historical results. Other unknown and immaterial risks besides those listed in this report could also adversely affect us.

PART I

ITEM 1. BUSINESS.

We incorporated in March 1991 as a California corporation and changed our state of incorporation to Delaware in May 1997. We changed our name from SuperGen, Inc. to Astex Pharmaceuticals, Inc. in September 2011. Our executive offices are located at 4140 Dublin Blvd., Suite 200, Dublin, CA, 94568 and our telephone number at that address is (925) 560-0100. We maintain a website on the internet at www.astx.com. This is a textual reference only. We do not incorporate the information on our website into this annual report on Form 10-K, and you should not consider any information on, or that can be accessed through, our website as part of this annual report on Form 10-K.

Overview

We are dedicated to the discovery and development of novel small molecule therapeutics with a focus on oncology and hematology. We believe we are developing a proprietary pipeline of novel medicines for partnership with leading pharmaceutical companies. We believe we are a leader in the application of fragment-based drug discovery and development of small-molecule therapeutics. Fragment-based drug discovery is considered by many in our sector to be one of the most important advances in discovery chemistry in the last 20 years.

We currently receive revenue from partnered programs and royalty revenues relating to sales of Dacogen® (decitabine) for Injection, a product approved by the FDA for the treatment of patients with myelodysplastic syndromes (“MDS”), which we licensed to MGI PHARMA Inc. (“MGI”) in 2004.

On July 20, 2011, we completed the acquisition of all of the outstanding shares of Astex Therapeutics Limited (“ATL”), a privately held UK-based biotechnology company with particular expertise in fragment-based drug discovery. Pursuant to the acquisition, we paid approximately \$24.9 million in cash and issued 32.4 million shares of our common stock (representing approximately 35% of our issued and outstanding stock as of the closing of the acquisition after giving effect to the issuance of such shares) to the securityholders of ATL. In addition, we will pay deferred consideration of \$30 million in stock, cash, or a combination of stock and cash, to be determined at the discretion of the Company, no later than 30 months after the closing of the acquisition (January 2014).

ATL discovers and develops novel small molecule therapeutics. Using its fragment-based drug discovery platform, Pyramid™, ATL has built a pipeline of molecularly-targeted drugs for large pharmaceutical partners and internal development that are at various stages of clinical, pre-clinical and early discovery development.

Our primary developmental efforts revolve around the products progressing out of our small-molecule drug discovery programs. Our two lead internal programs are AT13387, a novel HSP 90 inhibitor, coming out of our ATL acquisition, and SGI-110, a novel second generation hypomethylating agent.

- AT13387 is currently completing a Phase I study designed to assess the safety and tolerability in patients with advanced refractory tumors. This study, which is investigating two different dosing schedules, is being conducted at multiple clinical sites in the US. The study is also intended to provide early evidence of clinical efficacy. Based upon the preliminary results of this initial Phase I study, we have initiated a Phase II study in patients with refractory gastrointestinal stromal tumors (“GIST”).
- SGI-110 is the subject of a Phase I/II trial in both MDS and acute myeloid leukemia (“AML”). This study is escalating doses in both a weekly and daily subcutaneous administration schedule. Following the Phase I dose escalation phase of the study, a fixed dose will be set for accrual of treatment naive patients in both MDS and AML.

Preliminary data should be forthcoming in the fourth quarter of 2012 for both the SGI-110 and AT13387 trials.

The third product in our clinical pipeline is amuvatinib (MP-470), our multi-targeted kinase inhibitor and DNA repair suppressor. We are currently conducting a Phase II trial in small cell lung cancer called ESCAPE. We also have partnered clinical trials ongoing for AT7519, a CDK inhibitor, and AT9283, an aurora/JAK2 inhibitor.

In addition to our own clinical pipeline, we maintain several partnerships with pharmaceutical companies and may receive development and license revenue in the future based on program advancement.

Strategy

Our founding strategy was to in-license late-stage clinical products and commercialize these products by executing selective developmental and commercialization strategies that might allow these products to come into the market and be utilized by the widest possible patient populations. However, the competition for late-stage compounds that can be obtained through licensure or acquisition, that have shown initial efficacy in humans, has increased significantly with most major pharmaceutical companies and emerging biotechnology companies taking positions in this market. Our current strategy attempts to mitigate the competitive risk of in-licensure and positions us to out-license selective products to our licensing competitors or other pharmaceutical companies. Our primary objective is to

become a leading developer and seller or licensor of medicines for patients suffering from cancer. Key elements of our strategy include the following:

Focus on oncology molecular targets that academia has generated and are not readily tractable by traditional drug discovery methods. Most established pharmaceutical companies use some version of high throughput screening for potential drug candidates. This methodology does not work well for many complex molecular targets. Pyramid enables us to create an advantage by designing inhibitors of difficult oncology targets that are not tractable by standard drug discovery methods and to identify molecules with superior drug-like properties.

Focused discovery research. We will build on our world leading position in fragment-based drug discovery. We believe our Pyramid discovery platform provides a clear advantage in tackling newly emerging classes of targets. We will deploy this in several ways focused in the oncology field for internal development and in other therapeutic areas in collaboration with pharmaceutical company partners. We have a particular strength in the field of epigenetics which led to Dacogen and SGI-110. We will continue to explore new ways to exploit our leading position in targeting DNA methyltransferase enzymes (“DNMTs”) and to investigate other epigenetic mechanisms that are linked to disease. Secondly we will continue to use the platform to investigate targets that are less amenable to traditional drug discovery technologies e.g. protein/protein interactions, building on experience gained in our many pharma collaborations and on internal targets such as hepatitis C virus (“HCV”) non-structural protein 3 (“NS3”) and the inhibitors of apoptosis proteins (“IAP”). We will also continue to seek strategic alliances with world class academic institutes in order to access target identification and validation technologies and skill sets.

Capitalize on our existing drug development expertise to maximize the commercial value of our products. Models are only modestly predictive of how effective a product may be in humans. We have developed significant expertise in planning and managing clinical trials as well as regulatory filings in both the United States and Europe. Proving the concept that a specific drug will translate into an approvable, commercially viable product in humans is a difficult task. Some drug candidates demonstrate this “proof of concept” very early in non-clinical development, while other drug candidates will need to be compared clinically to existing therapies to achieve such a proof of concept. Typically, this proof of concept comes in Phase II trials where it is demonstrated that drug treatment leads to a desired pharmacologic effect and a safe dose. As product candidates move from non-clinical into Phase I and Phase II clinical studies, their potential value increases once proof of concept is established. We believe our clinical and regulatory expertise facilitates efficient use of our resources to achieve appropriate proof of concept.

Cultivate and maintain strong pharmaceutical partnerships. We have aggressively pursued and maintained relationships with large multinational pharmaceutical companies to de-risk the development of selected compounds and projects. This practice allows us to focus our efforts on the discovery and early development of select compounds with larger companies performing the largest most expensive trials, preparing the market for commercialization and distributing the product to the global market.

Product Pipeline

| Program / Mechanism / Indication | Phase 1 | Phase 2 | Phase 3 | Marketed | Partnered |
|---|---------|---------|---------|----------|---|
| DACOGEN [®] – Hypomethylator (MDS) | | | | |  |
| DACOGEN [®] – Hypomethylator (AML) | | | | |  |
| AT13387 – HSP90 inhibitor (GIST) | | | | | |
| SGI110 – DNMT inhibitor (MDS + AML) | | | | | |
| Amuvatinib/MP470 (SCLC) | | | | | |
| AT7519 – CDK inhibitor (MM) | | | | |  * |
| AT9283 – JAK/Aurora inhibitor (MM) | | | | | |
| AT13148 – AGC kinase inhibitor | | | | |  |

* Optioned

Dacogen—Hypomethylator (MDS)

Dacogen is a DNA hypomethylating agent currently approved in selected markets for treatment of patients with MDS, including previously treated and untreated, de novo and secondary MDS of all French-American-British (FAB) subtypes (refractory anemia, refractory anemia with ringed sideroblasts, refractory anemia with excess blasts, refractory anemia with excess blasts in transformation, chronic myelomonocytic leukemia), and Intermediate-1, Intermediate-2 and High-Risk International Prognostic Scoring System (IPSS) groups. Dacogen is approved for the treatment of MDS in more than 30 countries worldwide including key markets such as the United States, Brazil, China, India, Russia and Turkey.

Eisai Inc. manages the product rights in the United States, Canada and Mexico and Janssen-Cilag International NV and other affiliates of Cilag GmbH International manage the marketing and development rights for Dacogen in all other markets. Janssen-Cilag International NV is one of the Janssen Pharmaceutical Companies of Johnson & Johnson.

Dacogen—Hypomethylator (AML)

A 485-patient Phase III trial in elderly patients with AML has been completed. Our partners Eisai and Janssen-Cilag have previously filed for regulatory approval for this indication in the United States and the European Union. On March 6, 2012, Eisai was notified that the FDA declined approval of the supplemental New Drug Application (“sNDA”) because the pre-specified analysis of the primary endpoint in the study did not demonstrate statistically significant superiority of Dacogen over the control arm. It is expected that Janssen-Cilag will hear results of its Marketing Authorization Application (“MAA”) submission to the European Medicines Agency (“EMA”) later in 2012.

AT13387—Hsp90 inhibitor (GIST)

AT13387 is a small molecule inhibitor of Hsp90, a so called “Heat Shock” protein, believed to be responsible for supporting many tumor cells becoming cancerous. Hsp90 acts as a “molecular chaperone” stabilizing and preventing the breakdown of key cancer-forming (oncogenic) proteins. These client proteins and their association with different tumor types include HER2 (the target for Herceptin® in breast cancer), the androgen receptor (the target for hormone therapy in prostate cancer), mutant B-raf (melanoma), c-kit (the target for Gleevec® in gastrointestinal tumors) and mutant EGFR (the target for Tarceva® and Iressa® in the treatment of non small cell lung cancers). Although AT13387 is a targeted inhibitor of Hsp90, the functional role of Hsp90 means the product has the potential to control the proliferation of multiple solid tumors and hematological malignancies where uncontrolled cell growth is dependent on the interaction between Hsp90 and its client proteins. These include tumor types that have become resistant to initial therapy.

We are completing a Phase I dose escalation safety and tolerability study with AT13387 in advanced cancer patients and have initiated a Phase II study in combination with imatinib (Gleevec®) for treatment of refractory GIST patients.

In November 2009, we entered into a CRADA with the US National Cancer Institute (NCI) to support the further clinical development of AT13387 over the next five years with a number of single agent and combination Phase I/IIa and Phase II studies planned outside of our primary commercial areas of interest.

SGI-110—DNMT Inhibitor (MDS and AML)

In normal cells, silencing of unnecessary genes is commonly carried out by DNA methylation through the action of DNMTs. However, this machinery can be usurped during the process of tumorigenesis, resulting in the inactivation of tumor suppressor genes and ultimately, cancer or the progression of cancers. Inhibition of DNMT activity in cancer cells can cause the silenced genes to become unmethylated and re-expressed. These re-expressed tumor suppressor genes can interfere with the cancer cells proliferative pathways and lead to cell death. We have developed a compound called SGI-110 which targets and blocks the mechanism by which methylation is copied to newly forming cells, thus allowing re-expression of silenced genes in tumors. We received clearance from the FDA in 2010 to commence Phase I clinical trials for SGI-110, and the first patients were dosed in early January 2011. The initial Phase I/II study will evaluate multiple schedules in patients with intermediate-2 or high-risk MDS and AML. We are developing SGI-110 in collaboration with the Epigenetics Dream Team from Stand Up to Cancer, the charitable program established by the Entertainment Industry Foundation to raise funds for cancer research.

Amuvatinib—Multi-targeted Kinase Inhibitor and DNA Repair Suppressor (SCLC)

Amuvatinib is an oral multi-targeted Tyrosine Kinase Inhibitor that was designed to hit mutant forms of protein kinase targets called c-kit and PDGFR α . These protein kinase targets are involved in the growth and proliferation of cancer cells. Amuvatinib is also a suppressor of Rad51, a DNA repair protein which is involved in resistance to a variety of chemotherapy agents and radiation. We submitted an Investigational New Drug Application (“IND”) to the FDA in March 2007, and initiated a first-in-human Phase I single agent amuvatinib trial in June 2007, and Phase Ib trials in late 2007. Non-clinical experimentation has shown that amuvatinib in combination with etoposide has a synergistic effect on human small cell lung cancer cell lines in vitro. In addition, better tumor growth inhibition has been observed in animal studies in the group treated with a combination of etoposide and amuvatinib when compared to etoposide as a single agent in tumor-bearing nude mice.

Amuvatinib has a wide therapeutic window and shows minimal toxicity in the expected therapeutic dose range, despite suppressing several signaling pathways within cells. We have evaluated amuvatinib

as a dry powder mix and as a lipid suspension formulation in multiple Phase I studies as a single agent in healthy volunteers and in cancer patients, as well as in combination with five standard of care chemotherapy regimens in different tumor types. Across these studies, over 180 patients and healthy volunteers received at least one dose of amuvatinib. As a single agent in cancer patients, gastrointestinal toxicity was the major adverse event noted at doses up to 1500 mg/day with the dry powder formulation. In the combination Phase Ib trial, preliminary data indicated twelve partial responses and numerous durable stable disease per response evaluation criteria in solid tumors, or RECIST, including responses with the paclitaxel/carboplatin and carboplatin/etoposide standard of care chemotherapy regimens in combination with oral amuvatinib. Tumor types demonstrating clinical benefit include small cell lung cancer, neuroendocrine, non-small cell lung, breast, and endometrial carcinoma. The safety profile of amuvatinib in combination with standard of care was consistent with historical published data for each chemotherapeutic with no apparent increase in severity or prolongation of reported events.

We conducted additional clinical safety and oral pharmacokinetic studies with both the dry powder and the lipid suspension formulations of amuvatinib. The studies confirmed that the lipid suspension capsule formulation provided better overall exposure and that 300 mg three times a day was safe and achieved blood levels of the drug within the therapeutic range expected from non-clinical studies.

We are currently conducting a Phase II, multi-center, open-label, single-arm study of amuvatinib in combination with platinum-etoposide in up to 50 subjects with small cell lung cancer who are not responding to standard treatment or relapsed shortly after standard treatment. Eligible subjects receive amuvatinib lipid suspension capsules at the dose of 300 mg orally three times a day on a continuous basis in 21 day cycles together with their platinum-etoposide treatment. The primary endpoint will be tumor objective response.

AT7519—CDK inhibitor (Multiple Myeloma)

AT7519 is a small molecule targeted inhibitor of several cyclin-dependent kinases (“CDK’s) that regulate two important disease processes—the cell replication cycle and gene expression. The normal regulation of the cell cycle is disrupted in all cancers allowing the uncontrolled tissue growth characteristic of the disease. CDK’s 1 and 2 act as key controls of the cell cycle, and the inhibition of these enzymes both prevents cell proliferation and initiates cell death. AT7519 is an inhibitor of both CDK1 and 2 and in preclinical testing induces tumor shrinkage in multiple animal models of cancer.

In addition to its direct effects on the cell cycle, AT7519 is also a potent inhibitor of RNA polymerase II dependent transcription. This activity results from inhibition by AT7519 of another cyclin-dependent kinase, CDK9. The survival of several tumor types is very dependent on the cellular levels of certain anti-apoptotic proteins, which require RNA polymerase II activity for their generation. This is true for hematological malignancies in particular and AT7519 has been found to induce rapid cell death in leukemia cell lines and tumor shrinkage in relevant animal models.

We have investigated AT7519 in two Phase I clinical trials, evaluating different dosing regimens as monotherapy in patients with advanced solid tumors. These studies were conducted at multiple sites in the UK, USA and Canada. Evidence of clinical activity was observed in these trials. A Phase II study of AT7519 in combination with bortezomib in patients with multiple myeloma has commenced at multiple centers in the US with funding support from the Multiple Myeloma Research Foundation. In addition, two Phase II trials of AT7519 to treat patients with chronic lymphocytic leukemia and mantle cell lymphoma are starting, sponsored by the NCIC Clinical Trials Group in Canada. Novartis has an option to develop and commercialize AT7519. The option is exercisable by Novartis following Phase II clinical trial results (end of Phase II meeting with the FDA), and would be a worldwide license, if exercised. Otherwise, the option will expire.

AT9283—Aurora/Jak2 inhibitor (MM)

AT9283 is a small molecule inhibitor of kinases including aurora A and B, and JAK2. Initial clinical trials have demonstrated early signals of efficacy in patients with hematological malignancies.

Solid tumors—AT9283 has been investigated as monotherapy in patients with advanced solid tumors in two Phase I, open-label, dose-escalation trials at centers in the UK, US and Canada. The two trials confirmed AT9283 is safe and well tolerated in patients with advanced solid malignancies. Oral bioavailability of AT9283 in humans has also been demonstrated. In conjunction with Cancer Research UK (“CRUK”), we are also investigating the activity of single agent AT9283 in pediatric patients with solid tumors in a trial being conducted at multiple sites in the UK.

Hematological malignancies—AT9283 has been investigated in a US Phase I/II open-label, dose-escalation trial to assess the initial safety, tolerability and preliminary efficacy of AT9283 as monotherapy in patients with acute leukemia. AT9283 is also being investigated in a Phase II study in a chemotherapy refractory, multiple myeloma patient population sponsored by the NCIC Clinical Trials Group in Canada.

AT13148—ACG Kinase Inhibitor

AT13148 is an orally active small molecule inhibitor of PKB/Akt and p70S6 kinase, key enzymes in the PI3K/PKB/mTOR tumor cell survival pathway. More than 50 percent of all tumors have an abnormality in this pathway leading to increased Akt activity and enhanced potential for tumor cell survival. In addition, clinical trials have highlighted that activation of this survival pathway is a common resistance mechanism for some cytotoxics (for example, platinum agents) and targeted therapies (for example, BRAF and EGFR inhibitors). By targeting the pathway at two key steps, AT13148 may have the potential to be a very effective inhibitor of AKT dependent tumors. PKB inhibitors such as AT13148 have potential for use as both single agents and in combination with cytotoxics and other molecularly targeted agents in the treatment of a range of solid tumors.

Our PKB inhibitor program began in 2003 through a collaboration with The Institute of Cancer Research (“ICR”) and Cancer Research Technology Limited (“CRT”) and the program was later partnered with AstraZeneca in 2005. AstraZeneca started clinical trials of a clinical candidate, AZD5363, in April 2011. We retained rights to a second chemical series based on research carried out under the original agreement between Astex, ICR and CRT, and AT13148 was selected from this series. Astex retains all commercial rights for AT13148. At the same time, Astex, the ICR and CRT are eligible to receive further milestones and royalties during clinical development and commercialization of AZD5363.

In September 2008 we announced a partnership with CRUK and CRT to take AT13148 into development under the charity’s Clinical Development Partnerships program. Under the terms of this agreement, CRUK’s Drug Development Office has carried out further development work on the agent, some of which is done in collaboration with the ICR. CRUK plans to commence Phase I clinical trials of AT13148 in the UK during 2012.

Partnered Products and Programs

| Compound Name / Mechanism | Discovery | Preclinical | Phase 1 | Phase 2 | Partner |
|---|-----------|-------------|----------------|---------|---|
| LEE011 – CDK4 inhibitor (Oncology) | | | | |  NOVARTIS |
| AZD5363 – PKB/Akt inhibitor (Oncology) | | | | |  AstraZeneca |
| FGFr inhibitor (Oncology) | | | | |  janssen |
| AZD3839 – BACE inhibitor (Alzheimer's) | | | | |  AstraZeneca |
| Multiple Targets (Multiple therapeutic areas) | | | Phase achieved | |  gsk |
| Partner Targets (Oncology) | | | Phase achieved | |  janssen |

LEE011—CDK4 Inhibitor(Oncology)

LEE011, a selective inhibitor of the key cell cycle enzyme CDK4, derived from our collaboration with Novartis announced in December 2005 aimed at developing novel cancer therapies targeting the cell cycle. LEE011 entered Phase I human clinical trials in January 2011.

AZD5363—PKB/Akt Inhibitor (Oncology)

AZD5363 is an orally active, selective protein kinase B (PKB, also known as Akt) inhibitor derived from a collaborative drug discovery program with AstraZeneca, ICR, and CRT. The program began in 2003 through our collaboration with ICR and CRT, and AstraZeneca's collaboration on a drug discovery program targeting PKB/Akt began in 2005. Inhibition of the PKB/Akt pathway has potential in the treatment of a broad range of tumor types. In April 2011 AstraZeneca announced that it had commenced a Phase I study of AZD5363 in patients with advanced solid tumors.

FGFr Inhibitor (Oncology)

We have entered into a research alliance with Janssen Pharmaceutica NV, a Johnson and Johnson company (“Janssen”), focused on the research, development, and commercialization of novel drugs for the treatment of cancer. The agreement grants Janssen a worldwide exclusive license to compounds arising from our novel Fibroblast Growth Factor Receptor (“FGFr”) inhibitor program, and calls for the application of Pyramid to other targets of interest to Janssen. Janssen selected an FGFr development candidate, which triggered a milestone payment earlier in 2011, prior to the acquisition of ATL. We are also eligible to receive additional future milestones during clinical development and royalties on commercialization of approved products derived from the collaboration. The FGFr inhibitor program originated from a collaboration initiated in 2005 with the Cancer Research UK Drug Discovery Group at the Newcastle Cancer Centre (NCC), Northern Institute for Cancer Research, Newcastle University, UK.

AZD3839—Beta-Secretase Inhibitor (Alzheimer's)

AstraZeneca has commenced a phase I study of AZD3839, a clinical candidate selected in October 2010 and derived from the collaborative program on beta-secretase—a key enzyme implicated in the progression of Alzheimer's disease. The commencement of the Phase I trial triggered a milestone payment earlier in 2011, prior to the acquisition of ATL. We are eligible to receive additional future milestones during the clinical development of AZD3839 as well as royalties on commercialization of approved products, if any.

Beta-secretase, also called BACE1 (beta-site of amyloid precursor protein cleaving enzyme) or memapsin-2, is an aspartic-acid protease important in the formation of myelin sheaths in peripheral nerve cells and in the pathogenesis of Alzheimer's disease. Inhibitors to block the action of this enzyme could prevent the buildup of beta-amyloid, which may help slow the progression of, or stop, the disease.

Multiple Targets (Multiple Therapeutic Areas)

We have entered into a collaboration agreement with GlaxoSmithKline ("GSK") to discover, develop and commercialize novel compounds directed against multiple therapeutic targets of interest to GSK. Under the collaboration, we will apply our world-leading fragment chemistry platform, Pyramid™, to multiple targets identified by GSK, with the objective of identifying and developing new candidate drugs. The targets have been selected from multiple therapeutic areas within GSK.

Partner Targets (Oncology)

As previously indicated, we have entered into a research alliance with Janssen focused on the research, development and commercialization of novel drugs for the treatment of cancer. In addition to the FGFR inhibitor previously described, the agreement also calls for the application of Pyramid to other targets of interest to Janssen. Currently, work continues on one target under the agreement.

Pyramid Platform—Fragment-Based Drug Discovery

Traditional high-throughput screening generally has not delivered on its promise of increasing the numbers and quality of new drugs that result in successful clinical trials and ultimate regulatory approvals. This lack of success is due in part to the complexity and the relatively large size of the compounds routinely being screened. We believe this problem can be addressed by an alternative approach—fragment-based drug discovery.

- Starts with very small, low molecular weight drug fragments.
- These fragments have the potential to keep the overall complexity and molecular weight of each drug candidate low.
- These are key factors in successful drug development.

Traditional bioassays used in high-throughput screening are generally unable to detect such small drug fragments because of their low potency binding to the protein target. The Pyramid platform integrates biophysical techniques, such as X-ray crystallography, nuclear magnetic resonance spectroscopy and calorimetry, with fragment library design and a range of computational methodologies. This integration creates a proprietary approach for fragment-based drug discovery.

Due to the high sensitivity of Pyramid, fragment binding, not generally detectable using conventional screening techniques, can be routinely identified.

- Pyramid affords a detailed understanding of the fragment's binding environment at an atomic level.

- These structural insights support a very efficient chemistry optimization process in which each additional functional group is designed to contribute to protein binding in a defined and productive manner.
- Drug candidates are designed to have lower molecular weight, reduced metabolic liability, improved target selectivity and ease of chemical synthesis.

Dacogen License and Sublicense

In September 2004, we executed a license agreement granting MGI exclusive worldwide rights to the development, manufacture, commercialization and distribution of Dacogen. Under the terms of the agreement, MGI made a \$40 million equity investment in us and agreed to pay up to \$45 million in connection with the achievement of specific regulatory and commercialization milestones. To date, we have received \$32.5 million in payments related to the achievement of these milestones.

In July 2006, MGI entered into an agreement to sublicense Dacogen to Cilag GmbH International (“Cilag”), a Johnson & Johnson company, granting exclusive development and commercialization rights in all territories outside North America. In accordance with our license agreement with MGI, we are entitled to receive 50% of certain payments MGI receives as a result of any sublicenses. We received \$5 million, or 50% of the \$10 million upfront payment MGI received, and, as a result of both the original agreement with MGI and this sublicense with Cilag, we may receive up to \$17.5 million in future payments if they are achieved for Dacogen globally. Cilag is responsible for conducting regulatory and commercial activities related to Dacogen in all territories outside North America, while MGI retains all commercialization rights and responsibility for all activities in the United States, Canada and Mexico. MGI was acquired by Eisai Corporation of North America (“Eisai”) in January 2008.

Dacogen was approved by the FDA in May 2006 for the treatment of patients with MDS. The Dacogen license to Eisai has created for us a royalty income stream on worldwide net sales starting at 20% and escalating to a maximum of 30%. Outside of the United States, Dacogen is approved for sale in 30 countries. These international territories are managed by Cilag.

Research and Development

Because of the nature of our business, we expend significant resources on research and development activities. We incurred \$43.9 million in 2011, \$28.4 million in 2010, and \$29.7 million in 2009 in research and development expenses. A primary reason for the increase in research and development expenses during 2011 when compared to year prior periods is the inclusion of incremental operating expenses related to the acquisition of ATL effective July 20, 2011. We conduct research internally and also through collaborations with third parties, and we intend to maintain a strong commitment to research and development efforts in the future. Our major research and development projects have been focused on drug discovery, non-clinical activities, and Phase I and Phase Ib clinical trials for amuvatinib, SGI-110, AT13387, AT7519, and AT9283.

Sales and Marketing

We currently have no employees focused on commercial sales, marketing, and sales support. Our marketing efforts are handled by our Corporate Communications and Business Development groups.

Manufacturing

We currently outsource manufacturing of all our drug compounds to qualified United States and foreign suppliers. We expect to continue to outsource manufacturing in the near term. We believe our current suppliers will be able to efficiently manufacture our proprietary compounds in sufficient quantities and on a timely basis, maintaining product quality and compliance with applicable FDA and foreign regulations. We maintain oversight of the quality of our third-party manufacturers through ongoing audits, rigorous review, control over documented operating procedures, and thorough analytical testing by qualified, contracted laboratories. We believe that our current strategy of outsourcing manufacturing is cost-effective because we avoid the high costs for plant, equipment, and large manufacturing staffs.

The FDA and the Competent Authorities outside the United States have authority to regulate the third-party suppliers of our compounds used in clinical studies, and these regulators must approve our drug manufacturing sites and deem a manufacturer acceptable under current good manufacturing practices (“GMPs”) before release of active pharmaceutical ingredients (“API”) and finished dosage forms for clinical testing.

Government Regulation: New Drug Development and Approval Process

Regulation by governmental authorities in the United States and other countries is a significant factor in the manufacture and marketing of pharmaceuticals and in our ongoing research and development activities. All of our drug products will require regulatory approval by governmental agencies in the United States and other countries where our drugs will be sold prior to commercialization. In particular, human therapeutic products are subject to rigorous non-clinical testing, clinical trials, and other pre-marketing approval requirements by the FDA and regulatory authorities in other countries. In the United States, various federal, and in some cases state statutes and regulations, also govern or have an impact upon the manufacturing, safety, labeling, storage, distribution, record-keeping and marketing of such products. The lengthy process of seeking required approvals and the continuing need for compliance with applicable statutes and regulations require the expenditure of substantial resources. Regulatory approval, when and if obtained, may be limited in scope which may significantly limit the indicated uses for which a product may be marketed. Further, approved drugs, as well as their manufacturers, are subject to ongoing review and inspections which could reveal previously unknown problems with such products, which may result in restrictions on their manufacture, sale or use or in their withdrawal from the market.

The process for new drug development and approval has three major stages: discovery, non-clinical testing and clinical testing.

Drug discovery. In the initial stages of small molecule drug discovery, potential biological targets are identified, these targets are characterized, and then large numbers of potential compounds are screened for activity. This drug discovery process can take several years. Once a company defines a lead compound, the next steps are to conduct further preliminary studies on the mechanism of action, *in vitro* (test tube) screening against particular disease targets and some *in vivo* (animal) screening. If results are satisfactory, the compound progresses from discovery to non-clinical development.

Non-clinical development and testing. During the non-clinical testing stage, laboratory and animal studies are conducted to determine biological activity of the compound against the disease target and the compound is evaluated for safety. These tests can take several years to complete and must be conducted in compliance with Good Laboratory Practice (“GLP”) regulations. If the compound passes these hurdles, animal toxicology studies are initiated. If the results demonstrate acceptable types and levels of toxicity, the compound emerges from non-clinical testing and moves into the clinical phase.

Clinical testing—The Investigational New Drug Application. To expand the development programs to a clinical setting in the United States, an IND is submitted to the FDA. IND applications include the known chemistry of the compound, how the compound is manufactured, the results of animal studies and other previous experiments, the method by which the drug is expected to work in the human body, a proposed clinical development plan and how, where and by whom the proposed new clinical studies will be conducted. Health authorities in Europe and the rest of the world require a similar clinical trial application. If the regulatory controlling authority does not object, a company may initiate human testing. All clinical trials must be conducted in accordance with globally-accepted standards of good clinical practices (“GCPs”). This means there are specific obligations to protect trial subjects and patients, monitor the study, collect the data and prepare a report of the study. Clinical trial applications and IND’s must be updated with new information obtained during the course of the trials.

Clinical protocols must be approved by independent reviewers, referred to as Institutional Review Boards (“IRB”) in the United States and Ethics Committees (“EC”) in Europe. The IRB/EC is charged with providing an independent assessment of the appropriateness of the trial, particularly focusing on the safety of the subjects that might enroll in the study. The IRB’s/EC’s responsibilities continue while the study is ongoing, focusing on protecting the rights and safety of those enrolled in the study.

Companies have an obligation to provide progress reports on clinical trials at least annually to the FDA and foreign authorities. The FDA or other controlling regulatory authority may, at any time during a clinical trial, impose a “clinical hold” if it has serious safety concerns about a trial. If this occurs, the clinical trial cannot continue until the FDA or other authority is satisfied that it is appropriate to proceed.

Clinical Development Plan. Clinical trials are typically conducted in three sequential phases, but the phases may overlap.

- *Phase I clinical trials.* After an IND becomes effective, Phase I human clinical trials can begin. When developing drugs to treat cancer, these trials generally involve 20 to 40 heavily pre-treated cancer patients who may have a wide variety of cancers and typically take approximately one year to complete. These trials are designed to evaluate a drug’s safety profile and may include studies to assess the optimal safe dosage range. Phase I clinical trials may evaluate how a drug is absorbed, distributed, metabolized and excreted from the body. Phase I trials may be expanded to Phase Ib trials that test the research compound in combination with other agents to define the safety and dosing parameters of the combination.
- *Phase II clinical trials.* Phase II clinical trials are conducted in patients who have the specific targeted disease. The primary purpose of these trials is to demonstrate preliminary efficacy of the drug in the target patient population and to identify an appropriate dose. These trials typically take a few years to complete. Once trial data is obtained that a specific dose and dosing schedule is creating clinical efficacy, the program will advance to Phase III.
- *Phase III clinical trials.* These trials are typically large, involving several hundred or even thousands of patients and can take several years to complete. Phase III trials typically compare an investigational agent against a control product or the standard of care, which could be a product or treatment already approved for use in that disease. The data generated in all trials are monitored regularly by clinical monitors as well as the participating physician. There are specific requirements for the reporting of any adverse reactions that may result from the use of the drug. Clinical monitors visit the sites regularly and transmit the data back to the company for analysis and ultimately for presentation to the FDA and other authorities.

Marketing application. Companies have the opportunity to interact with health authorities during the course of a drug development program. Most companies take advantage of this access to gain further insights about the kind of data that will be expected in their marketing application. After completion of the clinical trial phase, a company must compile all of the chemistry, manufacturing, non-clinical and clinical data into a marketing application. In the United States, this is called a New Drug Application (“NDA”); in the EU it is called an MAA. These applications involve a significant amount of information, often in excess of 100,000 pages, and are independently reviewed by the health authorities to which they are submitted.

The FDA, EMA, and other regulatory authorities review these submissions for overall content and completeness before accepting them for substantive review and may request additional information. Once an application is accepted for filing, each agency independently begins its in-depth review. In both the United States and Europe, there are specified timeframes for the completion of review. The review period may be extended if new data or analyses are submitted during the review.

In the United States, the FDA often refers the application to an appropriate advisory committee to consider specific aspects of the application. The FDA is not bound by the advice that may be derived from this meeting, but generally follows the advice of the advisory committee. The review process concludes with the issuance of a “complete response” letter from the FDA. If FDA evaluations of the NDA, the manufacturing facilities, and non-clinical and clinical sites are favorable, the FDA will approve the application. If the FDA’s evaluation of the application or manufacturing facilities is not favorable, the FDA will reject the application in the complete response. This complete response will describe specific deficiencies that the applicant must address before the application can be approved. The applicant may submit a supplemental application or a new application that addresses stated deficiencies. The FDA will commence a new review cycle and may issue an approval letter authorizing commercialization of the drug for a specific indication. The review and approval process in Europe has substantial similarities to that outlined for the United States.

Marketing approval. Once a health authority grants marketing approval for a drug, it can then be made available in that country or region. Periodic safety reports must be submitted to health authorities as a way to monitor the safety of use of new drugs introduced to the market. Regulatory agencies around the world place great emphasis on pharmacovigilance, the process of monitoring the safety of a drug when it is released for general use, as the real world setting can be, and often is, different from the controlled environment of clinical trials.

Phase IV clinical trials and post marketing studies. In addition to studies that might have been requested by health authorities as a condition of approval, clinical trials may be conducted to generate more information about the drug after initial approval of the product, including use for additional indications, the use of new dosage forms, or new dosing regimens. These studies may generate approved label changes and publications that provide further information to patients and the medical community. More recently, targeted clinical safety studies and analyses are being required to address specific issues that are identified during the application review.

Fast Track. The FDA Modernization Act specifies that the FDA can assign a fast track designation to a new drug or biologic product that is intended for the treatment of a serious or life-threatening condition and has the potential to address unmet medical needs for such a condition. Under this program, the sponsoring company may request this designation at any time during the development of the product. The FDA must determine whether the product qualifies within 60 days of receipt of the sponsoring company’s request. For a product designated as fast track, the FDA has the ability to define a potentially faster review, which includes allowing the sponsor to provide the NDA in discrete sections. This process is called a “rolling” NDA and is intended to accelerate the review and approval process.

Priority Review. Priority review is given where preliminary estimates indicate that a product, if approved, has the potential to provide a safe and effective therapy where no satisfactory alternative therapy exists, or a significant improvement compared to marketed products is possible. An application designated for priority review will be targeted by the FDA for review within six months. If criteria for priority review are not met, the standard FDA review period is ten months. Priority review designation does not change the scientific/medical standard for approval or the quality of evidence necessary to support approval.

Accelerated Approval. Under the FDA's accelerated approval regulations, the FDA is authorized to approve products that have been studied for their safety and effectiveness in treating serious or life-threatening illnesses and that provide meaningful therapeutic benefit to patients over existing treatments based upon either a surrogate endpoint that is reasonably likely to predict clinical benefit or on the basis of an effect on a clinical endpoint other than patient survival. In clinical trials, surrogate endpoints are alternative measurements of the symptoms of a disease or condition that are substituted for measurements of observable clinical symptoms. Accelerated approval of an application will be subject to Phase 4 or post-approval studies to validate the surrogate endpoint or confirm the effect on the clinical endpoint. Failure to validate a surrogate endpoint or confirm a clinical benefit during post-marketing studies will allow the product to be withdrawn from the market by the FDA on an expedited basis.

Approvals in the European Union. In 1993, the EU established a system for the registration of medicinal products in the EU whereby marketing authorization may be submitted at either a centralized or decentralized level. The centralized procedure is administered by the EMA and is mandatory for the approval of biotechnology products and is available, at the applicant's option, for other innovative products. The centralized procedure provides for the granting of a single marketing authorization that is valid in all EU member states. A mutual recognition procedure is available at the request of the applicant for all medicinal products that are not subject to the mandatory centralized procedure, but fall under a decentralized procedure.

Approvals outside of the United States and European Union. Applications to market a new drug product must be approved in all countries prior to marketing. The approval procedure and the time required for approval vary and may involve additional testing and cost. There can be no assurance that approvals will be granted on a timely basis or at all. In addition, reimbursement and pricing approval is required in many countries and there can be no assurance that the reimbursement decisions or resulting prices would be sufficient to generate an acceptable return on investment.

Off-Label Use. Drugs are approved for a specific use ("labeled use") that is then set forth in the drug labeling that accompanies the dispensed drug. Physicians may prescribe drugs for uses that are not approved in the product's label. Such "off-label" prescribing may be used by physicians across medical specialties. The FDA does not regulate the behavior of physicians in their choice of treatments but it does limit a manufacturer's communications on the subject of off-label use. Companies cannot market, advertise, or promote FDA approved drugs for off-label uses, nor can companies promote a drug before it is approved.

Other Government Regulations

As a United States-based company, in addition to laws and regulations enforced by the FDA, we are also subject to regulation by other agencies under the Occupational Safety and Health Act, the Environmental Protection Act, the Toxic Substances Control Act, the Resource Conservation and Recovery Act and other present and potential future federal, state or local laws and regulations. These agencies have specialized responsibilities to monitor the controlled use of hazardous materials such as chemicals, viruses and various radioactive compounds.

Market Exclusivity

The commercial success of a product, once it is approved for marketing, will depend primarily on a company's ability to create and sustain market share and exclusivity. Market exclusivity can be gained and maintained by a number of methods, including, but not limited to: patents, trade secrets, know-how, trademarks, branding and special market exclusivity provided by regulations.

Data Exclusivity and Generic Copies

There is an abbreviated regulatory review and approval process for a generic copy of an approved innovator drug product. The generic copy can be approved on the basis of an application that is usually limited to manufacturing and biologic equivalence data. The copy can be approved after expiration of relevant patents and any regulatory data exclusivity afforded the innovator by special circumstances. A new chemical entity has five years of regulatory exclusivity in the United States which precludes approval of a generic copy and in the EU, eight years of data exclusivity and two years of marketing exclusivity provides ten years before a generic copy can be placed on the market. Additional exclusivity can be afforded in the United States by approval of a product or use that has orphan drug status (seven years), that requires review of new clinical data (three years), or that is an expansion of use to a pediatric population (six months), and similar provisions have been enacted in other jurisdictions including the EU. These exclusivities are independent, and could run sequentially, effectively extending the period of regulatory exclusivity. There is no assurance that such special regulatory exclusivities are applicable for our compounds. A company seeking to market a generic might, after the lapse of regulatory data exclusivity, successfully challenge the patent protection of the marketed drug, thereby shortening its exclusive marketing period.

Orphan Drug Designation

The United States, European Union, Japan and Australia have all enacted regulations to encourage the development of drugs intended to treat rare diseases or conditions. In the United States, an orphan disease or condition is generally a disease or condition that affects fewer than 200,000 individuals. Orphan drug designation must be requested before submitting an application for marketing approval. After the granting of an orphan drug designation, the chemical identity of the therapeutic agent and its potential treatment use are disclosed publicly. Orphan drug designation does not convey any advantage in, or shorten the duration of, the regulatory review and approval process. If and when a product with orphan drug status receives marketing approval for the orphan indication, the product is entitled to marketing exclusivity, which means the regulatory authority may not approve any other applications to market the same drug for the same indication for seven years in the United States, ten years in Europe and Japan, and four years in Australia.

Patent Term Restoration

Separate from regulatory data exclusivity is the exclusivity conferred by the 1984 Drug Price Competition and Patent Restoration Act, also known as the Hatch-Waxman Act. Depending upon the timing, duration and specifics of FDA approval of the use of our drugs, some of our US patents may be eligible for limited patent term extensions. The Hatch-Waxman Act permits a patent restoration term of up to five years as compensation for patent term lost during product development and the FDA regulatory review process. The restoration period cannot exceed five years, and the total patent term including the restoration period cannot exceed fourteen years following agency approval. Similar patent term restoration periods are available in other jurisdictions including the EU, Australia and Japan. We have not requested a patent term restoration extension but may do so in the future to add patent life to patents for our drug products.

Patents and Proprietary Rights

Patents and other proprietary rights are very important to our business in establishing rights to the products we develop or license. The patent positions of pharmaceutical and biotechnology companies, including ours, can be uncertain and involve complex legal, scientific, and factual questions. See “*Risk Factors—Our ability to protect our intellectual property rights will be critically important to the success of our business, and we may not be able to protect these rights in the United States or abroad.*”

We actively pursue patent protection when applicable for our proprietary products and technologies, whether they are developed in-house or acquired from third parties. We attempt to protect our intellectual property position by filing United States and foreign patent applications related to our proprietary technology, inventions and improvements that are important to the development of our business. Importantly, we are prosecuting a number of patent applications directed to various compounds in our pipeline, including those from our discovery group. Additionally, we have been granted patents and have received patent licenses relating to our proprietary formulation technology, non-oncology and non-core technologies.

There can be no assurance that the patents granted or licensed to us will afford adequate legal protection against competitors or provide significant proprietary protection or competitive advantage. The patents granted or licensed to us could be held invalid or unenforceable by a court, or infringed or circumvented by others. In addition, third parties could also obtain patents that we would need to license or circumvent. Competitors or potential competitors may have granted patents or pending applications, and may obtain additional patents and proprietary rights relating to proteins, small molecules, compounds, or processes that are competitive with the products we are developing.

In general, we obtain licenses from various parties we deem necessary or desirable for the development, manufacture, use, or sale of our products or product candidates. Some of our proprietary products are dependent upon compliance with other licenses and agreements. These licenses and agreements may require us to make royalty and other payments, to reasonably exploit the underlying technology of applicable patents, and to comply with regulatory filings. If we fail to comply with these and other terms in these licenses and agreements, we could lose the underlying rights to one or more of these potential products, which would adversely affect our product development and harm our business.

We have patents, granted and pending, licenses to patents and pending patent applications in the United States, and other countries such as Europe, Australia, Japan, Canada, China, Israel and India. Limitations on patent protection in countries outside the United States, and the differences in what constitutes patentable subject matter in these countries, may limit the protection we have on patents granted or licensed to us outside the United States. In addition, laws of foreign countries may not protect our intellectual property to the same extent as those laws in the United States. In determining whether or not to seek a patent or to license any patent in other specific foreign countries, we weigh the relevant costs and benefits, and consider, among other things, the market potential and profitability, the scope of patent protection afforded by the law of the jurisdiction and its enforceability, and the nature of terms with any potential licensees. Failure to obtain adequate patent protection for our proprietary drugs and technology would impair our ability to be commercially competitive in these markets.

Our patent portfolio has over 300 issued patents and 560 pending patent applications. Of the total patents and pending patent applications, those that relate to Dacogen, amuvatinib, SGI-110, AT13387, AT9283, AT7519, and AT13148 are described in further detail below:

- Dacogen portfolio (exclusively licensed to Eisai)—40 issued patents and 37 pending patent applications, having projected expiration dates ranging from February 21, 2021 to December 8,

2025, granted or pending in the jurisdictions of the U.S., Australia, Canada, China, Europe, and Japan. Royalty revenues relating to the sales of Dacogen are independent of this portfolio.

- Amuvatinib—30 issued patents and three pending patent applications, having projected expiration date of October 14, 2024(1), granted or pending in the jurisdictions of the U.S., Australia, Canada, Europe, and Japan. We have a patent filing directed to amuvatinib related inventions including new uses, combinations and formulations which if allowed has the potential to provide additional patent protection until March 2028.
- SGI-110 - 4 issued patents and 19 pending applications, having projected expiration dates ranging from September 29, 2025 to September 25, 2026(1) granted or pending in the jurisdictions of the U.S., Australia, Canada, China, Europe, India, Israel, Japan and other countries designated from the Patent Cooperation Treaty (“PCT”) .
- AT13387 - 7 issued patents and 18 pending patent applications, having compound protection until at least April 13, 2026(1), granted or pending in the jurisdictions of the U.S., Australia, Canada, China, Europe, India, Israel, Japan and other countries designated from the PCT, and Taiwan. We have a number of patent filings directed to AT13387 related inventions including new uses, combinations, salts, and processes which if allowed have the potential to provide additional patent protection until October 2027.
- AT9283 - 7 issued patents and 9 pending patent applications which generically claim AT9283, having projected expiration dates ranging from July 2, 2024 to July 5, 2024(1), granted or pending in the jurisdictions of the U.S., Australia, Canada, China, Europe, India, Israel, Japan and other countries designated from the PCT, and Taiwan, and five issued patents and fourteen pending patent applications which specifically claim AT9283, having compound protection until at least December 30, 2025(1), granted or pending in the jurisdictions of the U.S., Australia, Canada, China, Europe, India, Israel, Japan and other countries designated from the PCT, and Taiwan. We have a number of patent filings directed to AT9283 related inventions including new uses and combinations which if allowed have the potential to provide additional patent protection ranging from December 2026 to October 2027.
- AT7519 - 14 issued patents and 18 pending patent applications, having compound protection until at least July 22, 2024(1), granted or pending in the jurisdictions of the U.S., Australia, Canada, China, Europe, India, Israel, Japan and other countries designated from the PCT, and Taiwan. We have a number of patent filings directed to AT7519 related inventions including new uses, combinations, salts and processes which if allowed have the potential to provide additional patent protection ranging from January 2026 until October 2027.
- AT13148 - 13 issued patents and 21 pending patent applications which generically claim AT13148, having compound protection ranging from December 23, 2024(1) to June 22, 2005(2), granted or pending in the jurisdictions of the U.S., Australia, Canada, China, Europe, Israel, India, Japan, other designated PCT and non-PCT countries, and sixteen pending applications which specifically claim AT13148, which have the potential to provide compound protection until March 14, 2028(1), in the jurisdictions of the U.S., Australia, Canada, China, Europe, India, Israel, Japan and other designated PCT countries. We have a number of patent filings directed to AT13148 related inventions including new uses and combinations which if allowed have the potential to provide additional patent protection until June 2026.

(1) The dates listed above do not reflect extensions or adjustments which are available in a number of countries; for example, extensions under 35 U.S.C 156 or adjustments under 35 U.S.C 154 in the U.S. and supplementary protection certificates which are available in the European Union.

(2) Non-PCT filings were made prior to publication of the PCT on 7 July 2005.

We also rely on know how and trade secret protection of our Pyramid platform and other proprietary technology and information. To protect know how, trade secrets and other confidential information, we pursue a policy of having our employees, consultants, collaborative partners and other advisors execute confidentiality agreements. These parties may breach these agreements, and we may not have adequate remedies for any breach. Our trade secrets may otherwise become known or be independently discovered by competitors.

Competition

The pharmaceutical industry in general and the oncology sector in particular is highly competitive and subject to significant and rapid technological change. There are many companies, both public and private, including well-known pharmaceutical companies that are engaged in the discovery and development of products for some of the applications that we are pursuing. Some of our competitors and probable competitors include ArQule, Inc., Array BioPharma, Crystal Genomics, Exelixis, Infinity Pharmaceuticals, Daiichi Sankyo Group, Vertex Pharmaceuticals, Sanofi, Bristol-Myers Squibb Company, Celgene Corporation, Eli Lilly & Co., GSK, Novartis AG, Pfizer, Synta Pharmaceuticals, and others.

Many of our competitors have substantially greater financial, research and development, and manufacturing resources than we do and may represent substantial long-term competition for us. Some of our competitors have received regulatory approval for products or are developing or testing product candidates that compete directly with our product candidates. For example, amuvatinib faces competition from a multitude of other investigational drugs which are multi-targeted tyrosine kinase inhibitors and inhibitors of the DNA repair pathway, and Dacogen faces competition from 5-aza-cytidine and other drugs in development to treat MDS. We also expect that there will be other compounds that will emerge as competition to investigational drugs progressing through our discovery pipeline.

Many of these competitors, either alone or together with their customers and partners, have significantly greater experience than we do in discovering products, undertaking non-clinical testing and clinical trials, obtaining FDA and other regulatory approvals, and manufacturing and marketing products. Accordingly, our competitors may succeed in obtaining patent protection, receiving FDA or other foreign marketing approval or commercializing products before we do. If we elect to commence commercial product sales of our product candidates, we could be at a disadvantage relative to many companies with greater marketing and manufacturing capabilities, areas in which we have limited or no experience.

Factors affecting competition in the pharmaceutical industry vary depending on the extent to which competitors are able to achieve an advantage based on superior differentiation of their products' greater institutional knowledge or depth of resources. If we are able to establish and maintain a competitive advantage based on the ability of Pyramid to discover new drug candidates more quickly and against targets not accessible by many competitors, our advantage will likely depend primarily on the ability of our Pyramid technology to make accurate predictions about the effectiveness and safety of our drug candidates as well as our ability to effectively and rapidly develop investigational drugs.

Extensive research and development efforts and rapid technological progress characterize the industry in which we compete. Although we believe that our proprietary drug discovery capabilities afford us certain advantages relative to other discovery and development companies competing in oncology, we expect competitive intensity in this pharmaceutical segment to continue and increase over time. Discoveries by others may render Pyramid and our current and potential products noncompetitive. Our competitive position also depends on our ability to attract and retain qualified

scientific and other personnel at all our geographic locations, develop effective proprietary products, implement development plans, obtain patent protection and secure adequate capital resources.

Employees

As of December 31, 2011, we had 149 full-time employees, consisting of 113 employees in research and development and 36 employees in general and administrative functions. We use consultants and temporary employees to complement our staffing. Our employees are not subject to any collective bargaining agreements, and we consider our relations with employees to be good.

Executive Officers

| <u>Name</u> | <u>Age</u> | <u>Position</u> |
|---|------------|---|
| James S. J. Manuso, Ph.D., MBA. | 63 | Chief Executive Officer and Chairman of the Board |
| Harren Jhoti, Ph.D | 49 | President and Director |
| Mohammad Azab, M.D., M.Sc., MBA | 56 | Chief Medical Officer |
| Martin Buckland, D.Phil., MBA | 57 | Chief Business Officer |
| Michael Molquentin | 57 | Chief Financial Officer |

James S.J. Manuso, Ph.D., MBA, currently serves as chairman and chief executive officer. He served as president, chairman, and chief executive officer from January 2004 to July 2011, as chief executive officer-elect from September 2003 to December 2003 and as a director since February 2001. He is co-founder and immediate past president and chief executive officer of Galenica Pharmaceuticals, Inc. Dr. Manuso co-founded and was general partner of PrimeTech Partners, a biotechnology venture management partnership, from 1998 to 2002, and co-founder and managing general partner of The Channel Group LLC, an international life sciences corporate advisory firm. He was also president of Manuso, Alexander & Associates, Inc., management consultants and financial advisors to pharmaceutical and biotechnology companies. Dr. Manuso was a vice president and director of Health Care Planning and Development for The Equitable Companies (now Group Axia), where he also served as an acting medical director. He currently serves on the boards of Novelos Therapeutics, Inc. (NVL:OB) and privately held KineMed, Inc. Previously, he served on the boards of Merrion Pharmaceuticals Ltd. (MERR:IEX; Dublin, Ireland), Inflazyme Pharmaceuticals, Inc., Symbionics, Inc. (subsequently sold to BioMarin as ZyStor Therapeutics, Inc.), Quark Pharmaceuticals, Inc., Galenica Pharmaceuticals, Inc., and Supratek Pharma, Inc. Dr. Manuso earned a BA with Honors in Economics and Chemistry from New York University, a Ph.D. in Experimental Psychophysiology from the Graduate Faculty of The New School University, a Certificate in Health Systems Management from Harvard Business School and an Executive MBA from Columbia Business School. Dr. Manuso is the author of over 30 chapters, articles and books on topics including health care cost containment and biotechnology company management. He has taught and lectured at Columbia, New York University, Georgetown, Polytechnic University, Waseda University (Japan) and elsewhere. He has delivered invited addresses at meetings of the American Management Association, the American Medical Association, the Securities Industry Association, the Biotechnology Industry Organization and many other professional associations. Dr. Manuso serves on the Board of Directors of the Biotechnology Industry Organization (BIO) and its Health Section Governing Board. He previously served as vice president and a member of the Board of Trustees of the Greater San Francisco Bay Area Leukemia & Lymphoma Society.

Harren Jhoti, Ph.D., has served as president and member of the board of directors since July 2011. He co-founded Astex Therapeutics Limited in 1999 and was chief scientific officer until November 2007 when he was appointed chief executive. Dr. Jhoti was named by the Royal Society of Chemistry as “Chemistry World Entrepreneur of the Year” for 2007. He has published widely including in leading

journals such as Nature and Science and has also been featured in TIME magazine after being named by the World Economic Forum a Technology Pioneer in 2005. Dr. Jhoti served as a non-executive director of Iconix Inc. Before starting up Astex Therapeutics Limited in 1999, he was head of Structural Biology and Bioinformatics at GlaxoWellcome in the United Kingdom (1991-1999). Prior to Glaxo, Dr. Jhoti was a post-doctoral scientist at Oxford University. He received a BSc (Hons) in Biochemistry in 1985 and a Ph.D. in Protein Crystallography from the University of London in 1989.

Mohammad Azab, M.D., M.Sc., MBA, joined the Company as chief medical officer in July 2009. He possesses more than 20 years of experience in worldwide drug development, clinical research, and medical affairs, resulting in eight approved drugs, including six in oncology. Most recently, he was president and chief executive officer of Intradigm Corporation, a privately held Palo Alto, CA company developing siRNA cancer therapeutics. Previously, Dr. Azab served as executive vice president of research and development, and chief medical officer of Vancouver, British Columbia-based QLT Inc., where he led clinical development for now-approved drugs in oncology, gastro-intestinal, and ophthalmologic indications. He also served as oncology drug team leader at UK-based Zeneca Pharmaceuticals, now Astra Zeneca, where he held responsibilities in global clinical development and regulatory submissions. In this capacity, he managed the development of drugs for prostate, breast, colorectal, and lung cancer indications. Before Zeneca, Dr. Azab was an international medical manager in oncology at Sanofi Pharmaceuticals, now Sanofi-Aventis, in Gentilly, France. Dr. Azab received his medical degree in 1979 from Cairo University. He practiced as a medical oncologist and received post-graduate training and degrees in oncology research and statistics from the University of Paris-Sud and the University of Pierre and Marie Curie in France. He has published more than 100 medical papers and abstracts. He is an active member of the American Society of Clinical Oncology, the American Association of Cancer Research, the European Society of Medical Oncology, and the American Society of Hematology. Dr. Azab received an MBA, with Distinction, from the Richard Ivey School of Business, University of Western Ontario.

Martin Buckland, D.Phil., MBA, has served as chief business officer since in July 2011. Previously, Dr. Buckland served as chief business officer of Astex Therapeutics Limited since September 2004 and was appointed to its board of directors in July 2008. He has more than 20 years of commercial and business development experience in the pharmaceutical industry and joined Astex Therapeutics Limited from Elan Pharmaceuticals, where he previously held the position of vice president of Global Business Development. His prior experience includes a variety of business development and commercial management roles with Quintiles, Xenova and Celltech. He has a BA in Chemistry and a D.Phil. from the University of Oxford, and an MBA from the Open Business School.

Michael Molkentin has served as chief financial officer and corporate secretary since October 2003. Prior to joining us, Mr. Molkentin served as interim chief financial officer at Aradigm Corporation from May 2000 to September 2002. From January 1995 to April 2000, Mr. Molkentin served as division controller for Thermo Finnigan Corporation, a subsidiary of Thermo Electron (now Thermo Fisher Scientific). Mr. Molkentin served in a variety of financial management positions with technology companies, including field controller of Vanstar Corporation, controller of Republic Telcom Systems, Inc. and corporate controller of Computer Automation, Inc. Mr. Molkentin is a CPA and received a BBA in accounting from Bernard M. Baruch College in New York City, New York.

Segment and Geographic Area Financial Information

We operate in one business segment—human therapeutics. We had no product revenue in 2011, 2010, or 2009.

As a result of our acquisition of ATL in July 2011, we have assets in both the US and UK as well as revenues recognized in both locations. At December 31, 2011, the geographical breakdown was as follows (in thousands):

| | <u>US</u> | <u>UK</u> |
|-----------------------------|-----------|--------------|
| Revenues | \$ 61,028 | \$ 5,886 |
| Long-lived assets | 6,236 | \$134,142(1) |
| Total assets | \$120,723 | \$156,225 |

(1) Includes goodwill and intangible assets from acquisition of ATL.

Available Information

We are subject to the information requirements of the Securities Exchange Act of 1934 (the “Exchange Act”). Therefore, we file periodic reports, proxy statements, and other information with the Securities and Exchange Commission (“SEC”). Such reports, proxy statements, and other information may be obtained by visiting the Public Reference Room of the SEC at 100 F Street, NE, Washington, DC 20549 or by calling the SEC at 1-800-SEC-0330. In addition, the SEC maintains a website (www.sec.gov) that contains reports, proxy and information statements, and other information regarding issuers that file electronically.

Financial and other information about us is available on our website at www.astx.com. We make available on our website, free of charge, copies of our annual report 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 Exchange Act as soon as reasonably practicable after filing such material electronically or otherwise furnishing it to the SEC. Information on our website does not constitute a part of this annual report on Form 10-K.

ITEM 1A. RISK FACTORS.

The following section lists some, but not all, of the risks and uncertainties that may have a material adverse effect on our business, financial condition and results of operations. You should carefully consider these risks in evaluating our company and business. Our business operations may be impaired if any of the following risks actually occur, and by additional risks and uncertainties that we do not know of or that we currently consider immaterial. In such case, the trading price of our common stock could decline.

This report also contains forward-looking statements that involve risks and uncertainties. Our actual results could differ materially from those anticipated in the forward-looking statements as a result of certain factors, including the risks described below and elsewhere in this report.

Risks Related to Our Financial Condition and Common Stock

Our revenues substantially come from royalties from the sale of Dacogen. If Dacogen does not continue to be commercially successful, our future revenues would be limited and our business would be harmed.

Dacogen is approved in the United States and has been granted Orphan Drug exclusivity by the FDA through May 2013, with potential extension to November 2013 with additional regulatory filings by Eisai, but there is no guarantee that physicians will continue to use it for the treatment of patients. Once the Orphan Drug exclusivity period ends, Dacogen may be susceptible to generic entry by other pharmaceutical companies. This type of generic market entry typically causes sales of the trade name drug to decline. If Eisai's sales of Dacogen decrease, our royalty revenue will decrease commensurately, and we cannot be assured that Eisai will commit the resources to expand sales of Dacogen. Currently, the royalty revenue we receive from Eisai is our primary source of revenue, and we are dependent on Dacogen royalty revenue to fund our operations. In the event of a meaningful generic market entry or a reduction of resources for sales of Dacogen, our revenues could decrease significantly, which would have a debilitating impact on our ability to operate our business on an ongoing basis.

Dacogen is approved for the treatment of MDS in the United States and 30 smaller countries globally, but is not yet approved in Europe or Japan. In July 2006, Eisai sublicensed Dacogen to Cilag, giving Cilag responsibility for conducting regulatory activities related to Dacogen and granting it exclusive development and commercialization rights in Europe and all territories outside North America. We received 50% of the \$10 million upfront payment and, as a result of both the original agreement with Eisai and the sublicense with Cilag, may receive up to \$17.5 million in future contingent payments upon achievement of global regulatory and sales targets.

During 2010, Eisai completed a randomized Phase III clinical trial of Dacogen in elderly patients with AML and although the primary endpoint of the study was not met, Eisai filed a supplemental marketing application with the FDA. On March 6, 2012, the FDA declined to approve the application because the pre-specified analysis of the primary endpoint in the study did not demonstrate statistically significant superiority of Dacogen over the control arm. A separate Marketing Authorization Application was submitted to the European Medicines Agency in May 2011 by Janssen for Dacogen in the treatment of patients with AML. It is expected that the EMA will issue a decision on this application later in 2012. If Dacogen is not approved in Europe or Japan, we will receive decreasing, and ultimately no, royalty payments from commercial sales by Cilag or Eisai for these territories and our future revenues and business will be significantly harmed.

Our license agreement with Eisai may not produce the full financial benefits that we are anticipating, which could cause our business to suffer.

We expect to record development and license revenue from contingent payments to be made to us by Eisai upon the achievement of regulatory and commercialization events. However, we may never receive such payments because the events may never occur, either because of failure to secure

regulatory approval of Dacogen in Europe or Japan, or due to Eisai's or Cilag's inability to expend the resources to grow or commence sales of Dacogen as prescribed by the license agreement. In addition, the license agreement provides that Eisai will pay us (i) a certain portion of revenues payable to Eisai as a result of Eisai sublicensing the rights to market, sell and/or distribute Dacogen, to the extent such revenues are in excess of the contingent payments already due to us under our agreement with Eisai, and (ii) a 20% royalty increasing to a maximum of 30% on annual worldwide net sales of Dacogen. We cannot guarantee that we will receive these payments, and we cannot be assured that Eisai will commit the resources to expand sales of Dacogen in North America, or that Cilag will commit the resources to sell it in Europe, Japan, and elsewhere, or that either company will be successful in doing so. Because we are heavily reliant on royalties and contingent payments relating to Dacogen to fund our operations, the failure to receive the contingent payments and/or royalty revenue from sales of Dacogen would cause our business to suffer.

Our collaborative relationships may not produce the financial benefits that we are anticipating, which would cause our business to suffer.

Part of our strategy is to partner with, or out-license selective products to, other pharmaceutical companies in order to mitigate the cost of developing a drug through clinical trials to commercialization. There can be no guarantee that we will be able to establish such partnerships, nor can there be any guarantee that any of the products developed under such partnerships will be successful in the clinic or the market. If our partners do not successfully develop our products then we will not be able to earn future revenue from these collaborative relationships.

We have a history of operating losses and we may incur losses for the foreseeable future.

Since inception, we have funded our research and development activities primarily from private placements and public offerings of our securities, milestone and other payments from collaborators, sales of our products, and royalty revenue on sales of Dacogen. As a result of our substantial research and development expenditures and minimal product revenues, we have incurred cumulative losses of \$334.8 million through December 31, 2011, and have not consistently generated enough funds through our operations to support our business. Although we were profitable in the years ended December 31, 2011 and 2010, as a result of our acquisition of ATL we expect to have operating losses over the next few years and we may never achieve sustained profitability.

Whether we achieve sustained profitability depends primarily on the following factors:

- successful sales of Dacogen in North America by Eisai;
- obtaining regulatory approval in Europe and Asia and the successful commercialization of Dacogen outside of North America by Cilag;
- limiting or preventing delays in production of Dacogen;
- the success of our joint development programs with GSK and our other collaborative partners and whether our partners exercise their options to further develop and commercialize any of the compounds resulting from the respective joint development efforts;
- our ability to discover and develop additional novel therapeutics that might advance through our internal clinical development infrastructure;
- our ability to leverage the Pyramid drug development platform for commercially viable drugs;
- our research and development efforts, including the timing and costs of clinical trials;
- our ability to successfully integrate the ATL research and development and management teams;
- our competition's ability to develop and bring to market competing products;

- our ability to control costs and expenses associated with the discovery, development, and manufacturing of our novel compounds, as well as general and administrative costs related to conducting our business; and
- costs and expenses associated with entering into and performing under licensing, joint development, and other collaborative agreements.

Our products and product candidates, even if successfully developed and approved, may not generate sufficient or sustainable revenues to enable us to achieve or sustain profitability.

There are inherent challenges and significant upfront costs that result from our increased reliance on the Pyramid drug discovery platform, and if we fail to overcome these challenges or incur development costs that do not result in meaningful commercial drug sales, our business will be negatively impacted.

As a result of the business combination with ATL in July 2011, we are still integrating ATL's drug discovery platform, Pyramid, into our business. Pyramid is a fragment-chemistry based drug discovery platform used to identify and develop new medicines, primarily for the treatment of cancer and infectious diseases.

Pyramid defines a process by which a range of high throughput biophysical and computational techniques are used to experimentally characterize the interactions of very low molecular weight compounds (fragments) with their target proteins. Although we believe there are many advantages of a fragment-based approach to drug discovery, there are significant technical challenges to overcome for the approach to be used effectively. The fundamental challenge is one of detection. Because fragments are so small, they have fewer interactions with target proteins than larger, more complex compounds. This means they will bind to their targets with very low affinity. Conventional screening systems based on bioassays are designed to detect binding that occurs at higher affinities than is typically observed with fragments. As such, fragments cannot be detected using conventional screening methods. As a result, a fundamental challenge in fragment-based drug discovery is the development of efficient screening systems that can detect the binding of fragments. The Pyramid drug discovery platform addresses limitations in conventional high throughput screening and other forms of fragment-based screening through technologically sophisticated equipment that requires significant capital investment and upkeep and highly-trained chemists and scientists to analyze resulting data. While we believe this approach will provide us with more meaningful leads in developing commercially viable drugs, it is a scientifically rigorous method that involves a significant investment of resources. If commercially viable drugs are not developed through this process, we will have invested significant resources without revenues to at least offset our costs, and our business will suffer.

We will require additional funding to expand our product pipeline, either developed internally or through acquisitions, and commercialize new drugs, and if we are unable to raise the necessary capital or to do so on acceptable terms, our planned expansion and continued chances of survival could be harmed.

We will continue to spend substantial resources on expanding our product pipeline, developing future products, and conducting research and development, including clinical trials for our product candidates. We also monitor the possibility of expanding our product pipeline and development capabilities through strategic acquisitions. Based on our currently forecasted product development activities, we anticipate that our capital resources will be adequate to fund operations and capital expenditures through at least the next twelve months. However, if we experience unanticipated cash requirements during this period, we could require additional funds much sooner. We may raise money by the sale of our equity securities or debt through a shelf registration statement, or the exercise of outstanding stock options by the holders of such options. However, given uncertain market conditions and the volatility of our stock price, we may not be able to sell our securities in public offerings or

private placements at prices and/or on terms that are favorable to us, if at all. Also, the dilutive effect of additional financings could adversely affect our per share results.

We may also choose to obtain funding through licensing and other contractual agreements. For example, we licensed the worldwide rights to the development, commercialization and distribution of Dacogen to Eisai. Such arrangements may require us to relinquish our rights to our technologies, products or marketing territories, or to grant licenses on terms that are not favorable to us. If we fail to obtain adequate funding in a timely manner, or at all, we will be forced to scale back our product development activities, or be forced to cease our operations.

We have announced an intention to contribute certain assets to our newly created Montigen subsidiary as part of a plan to spin off that business and allow it to operate independently. In the event the spin off does not occur, we may incur significant costs related to winding up operations and, even if we successfully spin off the assets, we may never recoup the value of the assets as an investor in the new venture.

As part of our increasing emphasis on implementing the Pyramid technology acquired from the business combination with ATL, we have pursued a spin-off of certain of our assets into a newly created subsidiary, Montigen Pharmaceuticals, Inc. (“Montigen”). Following the creation of Montigen and our contribution of certain assets, including our CLIMB drug discovery platform, we would allow Montigen to operate independently, obtain additional assets and raise funds (including from us), after which we expect to be only a passive investor in Montigen. If we are unable to spin-off the Montigen business in a timely fashion, we may have to incur significant accounting charges or incur other costs in the event we elect to wind down operations. Even if we are successful in spinning-off the Montigen assets, the time and effort of doing so will be a distraction for our management and employees and we may never recoup the value of what we have invested in the underlying assets or what we have contributed to the new entity.

We may fail to realize some or all of the anticipated benefits of the business combination with ATL, which may adversely affect the value of our common stock.

The success of the integration of ATL will depend, in part, on our ability to realize the anticipated benefits and cost savings from combining ATL into our operations. To realize these anticipated benefits and cost savings, we must successfully combine the acquired business with our legacy operations and integrate our respective operations, technologies and personnel, which is particularly challenging given the geographic and cultural differences between the personnel and facilities based in the UK and on the US west coast. If we are not able to achieve these objectives within the anticipated time frame or at all, the anticipated benefits and cost savings of the acquisition may not be realized fully or at all or may take longer to realize than expected, and the value of our common stock may be adversely affected. In addition, the overall integration of the businesses is a complex, time-consuming and expensive process that, without proper planning and effective and timely implementation, could significantly disrupt our operations.

It is possible that the integration process could adversely affect our ability to maintain our research and development operations, result in the loss of key employees and other senior management, or to otherwise achieve the anticipated benefits of the acquisition.

Specifically, risks in integrating ATL into our operations in order to realize the anticipated benefits of the acquisition include, among other factors:

- failure to effectively coordinate research and drug candidate development efforts to communicate our product capabilities and expected product roadmap;

- failure to compete effectively against companies already serving the broader market opportunities expected to be available to us and our potential expanded drug offerings;
- coordinating research and development activities to enhance the introduction of new drug development methodologies and drug discovery platforms acquired in the acquisition;
- failure to successfully integrate and harmonize financial reporting and information technology systems of the two companies;
- retaining ATL's relationships with pharmaceutical company partners;
- integrating a senior management team as well as integrating members from both companies on the board of directors of Astex Pharmaceuticals;
- coordinating operations across time zones and continents;
- retaining and integrating key employees from ATL;
- managing effectively the diversion of management's attention from business matters to integration issues;
- combining research and development capabilities effectively and quickly;
- integrating partnership efforts so that new partners acquired can easily do business with us;
- transitioning all facilities to a common information technology environment; and
- combining our business culture in the US with the business culture of the UK operation.

In addition, the actual integration may result in additional and unforeseen expenses, and the anticipated benefits of the integration plan may not be realized. Actual cost synergies, if achieved at all, may be lower than we expect and may take longer to achieve than anticipated. If we are not able to adequately address these challenges, we may be unable to successfully integrate the operations of the business acquired from ATL into our own, or to realize the anticipated benefits of the integration. The anticipated benefits and synergies assume a successful integration and are based on projections, which are inherently uncertain, and other assumptions. Even if integration is successful, anticipated benefits and synergies may not be achieved.

An inability to realize the full extent of, or any of, the anticipated benefits of the acquisition, as well as any delays encountered in the integration process, could have an adverse effect on our business and results of operations, which may affect the value of the shares of our common stock. Some examples of how we may not realize anticipated benefits include the risk of the following:

- cost of development programs may be higher than forecasted;
- forecasted contingent payments from collaborations may not be received as anticipated; and
- exchange rate risk associated with any existing or anticipated cash denominated in another currency may reduce the expected value actually received from the UK when translated from British Pounds Sterling.

We have incurred significant costs related to the acquisition of ATL and expect to incur more as integration plans continue. If we are unable to offset the costs of the acquisition through realization of efficiencies, our bottom line will suffer.

We have incurred a number of non-recurring costs associated with combining the operations of ATL with our own business. The substantial majority of non-recurring expenses have been comprised of costs related to the execution of the acquisition, facilities and systems consolidation costs and employment-related costs. We have also incurred fees and costs related to formulating integration

plans. Additional unanticipated costs may be incurred in the integration of the businesses. Although we expect that the elimination of duplicative costs, as well as the realization of other efficiencies related to the integration of the businesses, should allow us to offset incremental acquisition and acquisition-related costs over time, this net benefit may not be achieved in the near term, or at all.

Sales by former ATL securityholders of shares of our common stock acquired in the acquisition could cause our stock price to decrease.

The sale of shares of common stock that certain former ATL securityholders received in the acquisition were restricted by the terms of a lock-up agreement with us, but these shareholders were able to sell all shares eight months after the closing date, which date is March 20, 2012. The sale of a substantial number of shares of common stock by former ATL securityholders or by our other stockholders within a short period of time could cause our stock price to decrease, and make it more difficult for us to raise funds through future offerings of common stock.

Our stockholder base experienced dilution of their percentage ownership of our common stock and could be further diluted within the next 12 months.

As a result of the acquisition of ATL, we issued new shares of common stock to certain former ATL securityholders, representing approximately 35% of the total outstanding voting power of all our stockholders following the closing. The issuance of these shares caused our stockholders at the time of the acquisition to experience immediate and significant dilution in their percentage ownership of our outstanding common stock. Although we elected to pay the first \$10 million of deferred consideration in cash in February 2012, our stockholders may experience additional dilution in the event that our Audit Committee determines to pay some or all of the remaining \$20 million in deferred consideration in the form of shares of our common stock. We also assumed certain outstanding options and warrants of ATL in the acquisition; if these options or warrants are exercised, our stockholders will suffer additional dilution.

As a result of the acquisition of ATL, certain former ATL securityholders hold over a third of our outstanding common stock, which could limit the influence of our other stockholders over the election of directors and other significant corporate actions or discourage third parties from proposing a change in our control.

As of the closing of the acquisition, certain former ATL securityholders, as a group comprised of approximately 13 entities (counting any affiliated shareholders as one entity) who previously held preferred shares in ATL, own approximately 35% of the total outstanding shares of our common stock, and have designated four of the members serving on our nine-member board of directors. Accordingly, as a group, if the former ATL stockholders do not sell their shares received in the acquisition, they will be able to exert significant influence over the outcome of a range of corporate matters, including significant corporate transactions requiring a stockholder vote, such as a merger or a sale of the combined company or its assets. This potential concentration of ownership and influence in management and board decision-making could also harm the price of our common stock by, among other things, discouraging a potential acquirer from seeking to acquire shares of our common stock (whether by making a tender offer or otherwise) or otherwise attempting to obtain control of the company. If any of the deferred consideration is paid in shares of our common stock, although the size of the group of former ATL securityholders holding our shares would increase (due to the distribution of deferred consideration shares to ordinary shareholders as well as preferred shareholders), the expanded group would hold an even greater percentage of the outstanding post-closing stock of Astex Pharmaceuticals, thereby exacerbating the risk of concentrated ownership.

Furthermore, the ownership position of the former ATL securityholders could discourage a third party from proposing a change of control or other strategic transaction. As a result, our common stock

could trade at prices that do not reflect a “control premium” to the same extent as do the stocks of similarly situated companies that do not have a group of stockholders with an ownership interest as large as the former ATL stockholders’ collective ownership interest.

Our equity investment in AVI BioPharma Inc. (“AVI”) exposes us to equity price risk and any impairment charge would affect our results of operations.

Our investments in marketable securities are carried at fair value with unrealized gains and losses included in accumulated other comprehensive gain or loss in stockholders’ equity. However, we are exposed to equity price risk on our equity investment in AVI. The public trading prices of the AVI shares have fluctuated significantly since we purchased them and could continue to do so. If the public trading prices of these shares trade below their adjusted cost basis in future periods, we may incur additional impairment charges relating to this investment, which in turn will affect our results of operations.

Currently we own 2.4 million shares of AVI and recorded an other-than-temporary decline in value of \$3.1 million related to this investment during the year ended December 31, 2008. We evaluate investments with unrealized losses to determine if the losses are other than temporary. In making these determinations, we consider the financial condition and near-term prospects of the issuers, the magnitude of the losses compared to the investments’ cost, the length of time the investments have been in an unrealized loss position, and our ability and intent to hold the investments for a reasonable period of time sufficient for a recovery of fair value. At December 31, 2011, our investment in AVI was trading at below its carrying value of \$1.12 per share, but the investment has been in an unrealized loss position for less than six months and is deemed to be temporary. It is possible that we may record another other than temporary decline in value related to AVI in the future.

We have significant goodwill and other intangible assets. Consequently, potential impairment of goodwill and other intangibles may significantly impact our financial position and results of operations.

Goodwill and other intangibles represent a significant portion of our assets. Goodwill and other intangible assets with indefinite lives are subject to impairment analysis at least on an annual basis. Additionally, goodwill and other intangible assets are subject to impairment analysis if events or changes in circumstances indicate that impairment may have occurred. Events giving rise to impairment of goodwill or other intangible assets are an inherent risk in the biotechnology industry and cannot be predicted. Impairment could also arise as a result of general economic or industry-wide conditions which could reduce the market price of our common stock and the fair value of the Company as a whole. As a result of the significance of goodwill and other intangible assets, our financial position and results of operations in future periods could be negatively impacted should impairment of our goodwill or other intangible assets occur.

Product Development and Regulatory Risks

Our product candidates will require significant additional development.

Many of our product candidates are in the development, rather than the clinical trial stage. However, we must significantly develop all of our product candidates before we can market them, or before they will become desirable for partnering or licensing. Although we believe that our preclinical and pilot clinical studies support further development of these product candidates, the results we have obtained to date do not necessarily indicate what the results of further testing would be, including controlled human clinical testing. All of the product candidates that we are currently developing will require extensive clinical testing before we can submit any regulatory application for their commercial use.

Our product development efforts may ultimately fail.

Our product candidates are subject to the risks of failure inherent in the development of pharmaceutical products. These risks include the following:

- some of our product candidates may be found to be unsafe or ineffective, or may fail to receive the necessary regulatory clearances in a timely manner, if at all;
- even if safe and effective, our product candidates may be difficult to manufacture on a large scale or may be uneconomical to market;
- the proprietary rights of third parties may preclude us from marketing such products; and
- third parties may market more effective or less costly products for treatment of the same diseases.

As a result, we cannot be certain that any of our products will be successfully developed, receive required governmental approvals on a timely basis, become commercially viable or achieve market acceptance.

Before we or our licensing partners can seek regulatory approval of any of our product candidates, we must complete clinical trials, which are expensive and have uncertain outcomes. If we do not develop drugs that are ultimately approved by regulators and then become commercially successful, we will not recoup our investments and our business will suffer.

All of our product candidates will require the commitment of substantial resources and regulatory approval. Before obtaining regulatory approvals for the commercial sale of any of our product candidates, we or our licensing partners must demonstrate through substantial evidence, through clinical trials, that our product candidates are safe and effective for use in humans.

We have a portfolio of cancer drugs in various stages of early development. We are currently conducting clinical trials on our products AT13387, amuvatinib, SGI-110, AT7519, and AT9283. We also expect to commence other new clinical trials from time to time in the course of our business as our product development work continues. Conducting clinical trials is a lengthy, time consuming and expensive process and the results are inherently uncertain. We have incurred and will continue to incur substantial expense for, and we have devoted and expect to continue to devote a significant amount of time to, non-clinical testing and clinical trials. However, regulatory authorities may not permit us to complete existing or undertake any additional clinical trials for our product candidates. If we are unable to complete our existing clinical trials or undertake additional clinical trials, our business will be severely harmed and the price of our stock will likely decline.

We also have ongoing research and non-clinical projects that may lead to product candidates, but we have not submitted to regulatory authorities a request to begin clinical testing, nor have we begun clinical trials for these projects. If we do not successfully complete our non-clinical trials, we might not be able to commence clinical trials as planned.

Our clinical trials may be delayed or terminated, which would prevent us from seeking necessary regulatory approvals, making our products unmarketable.

Completion of clinical trials may take several years or more. The length of a clinical trial varies substantially according to the type, complexity, novelty and intended use of the product candidate. The length of time and complexity of these studies make regulatory approval unpredictable. The commencement and rate of completion of our clinical trials may be delayed by many factors, including:

- ineffectiveness of the study compound, or perceptions by physicians that the compound is not effective for a particular indication;

- inability to manufacture sufficient quantities of compounds for use in clinical trials;
- inability to obtain FDA approval of our clinical trial protocols;
- inability to successfully identify, contract, and qualify new clinical sites;
- slower than expected rate of patient recruitment;
- inability to adequately follow patients after treatment;
- difficulty in managing multiple clinical sites;
- unforeseen safety issues;
- lack of efficacy demonstrated during the clinical trials; or
- governmental or regulatory delays.

If we are unable to achieve a satisfactory rate of completion of our clinical trials, our business will be significantly harmed.

We may be required to suspend, repeat or terminate our clinical trials if they are not conducted in compliance with regulatory requirements, and then would have no opportunity to recoup or investment.

Our clinical trials must be conducted in accordance with strictly enforced laws and regulations of the FDA and other regulatory authorities, and are subject to continuous oversight by these authorities, and institutional review boards and ethical committees. We outsource certain aspects of our research and development activities to contract research organizations (“CROs”). We have agreements with these CROs for certain of our clinical programs. We and our CROs are required to comply with good clinical practice (“GCP”) regulations and guidelines for all of our products in clinical development. GCPs are enforced by regulatory authorities through periodic, unannounced inspections of study sponsors, principal investigators, and study sites. If our CROs or we fail to comply with applicable GCPs, the clinical data generated in our studies may be deemed unreliable and regulatory authorities may require us to perform additional studies before approving our applications. Our non-clinical safety studies must be conducted according to the principles of good laboratory practice regulations. In addition, our clinical trials must be conducted with product candidates produced under current good manufacturing practice regulations, and may require a large number of test subjects. Our failure to comply with these regulations may require us to repeat clinical studies, which would delay the regulatory approval process and add significant costs to our operations.

We may be required to suspend, repeat or terminate our clinical trials if later trial results fail to demonstrate safety and efficacy, or if the results are negative or inconclusive.

Our clinical trials may be suspended at any time if we, ethics committees, or the FDA believe the patients participating in our studies are exposed to unacceptable health risks or if we, ethics committees, or the FDA find deficiencies in the conduct of these trials. Adverse drug events during a clinical trial could cause us to terminate or repeat a clinical trial. In 2010, we terminated clinical trials for SGI-1776 due to safety concerns.

We may encounter other problems and failures in our studies that would cause us, ethics committees, or the FDA to delay or suspend the studies. Even if we achieve positive interim results in clinical trials, these results do not necessarily predict final results, and acceptable results in early trials may not be repeated in later trials. A number of companies in the pharmaceutical industry have suffered significant setbacks in advanced clinical trials, even after achieving promising results in earlier trials.

Negative or inconclusive results during a clinical trial could cause us to terminate or repeat a clinical trial. The potential failures would delay development of our product candidates, hinder our ability to conduct related non-clinical testing and clinical trials and further delay the commencement of the regulatory approval process. Further, the failures or perceived failures in our clinical trials would delay our product development and the regulatory approval process, damage our business prospects, make it difficult for us to establish collaboration and partnership relationships and negatively affect our reputation and competitive position in the pharmaceutical industry. Finally, if we are required to conduct other clinical trials for the product candidates, the additional trials would require substantial funding and time, and we may be unable to obtain funding to conduct such clinical trials. As a result, we may expend significant time and expense in drug development for drugs that never become commercially viable.

Our or our licensing partners' failure to obtain regulatory approvals to market our product candidates in foreign countries and delays caused by government regulation would adversely affect our anticipated revenues.

Sales of our products in foreign jurisdictions will be subject to separate regulatory requirements and marketing approvals. Approval in the United States, or in any one foreign jurisdiction, does not ensure approval in any other jurisdiction. The process of obtaining foreign approvals may result in significant delays, difficulties and expenses for us, and may require additional clinical trials. Although many of the regulations applicable to our products in these foreign countries are similar to those promulgated by the FDA, many of these requirements also vary widely from country to country, which could delay the introduction of our products in those countries. Failure to comply with these regulatory requirements or to obtain required approvals would impair our ability to commercialize or receive royalty revenues for our products in foreign markets.

Even if regulatory approval of our products is obtained, later discovery of previously unknown problems may result in restrictions of a product, including withdrawal of that product from the market. Further, governmental approval may subject us to ongoing requirements for post-marketing studies. For example, despite receipt of governmental approval, the facilities of our third-party manufacturers are still subject to unannounced inspections by the FDA and must continue to comply with good manufacturing practices and other regulations. These regulations govern all areas of production, record keeping, personnel and quality control. If we or our third-party manufacturers fail to comply with any of the manufacturing regulations, we may be subject to, among other things, product seizures, recalls, fines, injunctions, suspensions or revocations of marketing licenses, operating restrictions and criminal prosecution.

If we are unable to comply with environmental laws and regulations, our business may be harmed.

We are subject to federal, state and local laws and regulations governing the use, manufacture, storage, handling and disposal of hazardous materials and waste products. We currently maintain a supply of biohazardous materials at some of our facilities. We believe our safety procedures for these materials comply with all applicable environmental laws and regulations, and we carry insurance coverage we believe is adequate for the size of our business. However, we cannot entirely eliminate the risk of accidental contamination or injury from these materials. If an accident or environmental discharge occurs, we could be held liable for any resulting damages, which could exceed our insurance coverage and financial resources.

We currently outsource certain of our research and development programs involving the controlled use of biohazardous materials. We believe our collaborators have in place safety procedures for these materials that comply with governmental standards. Nevertheless, if an accident does occur, our research and product development will be negatively affected.

Additional Risks Associated with Our Business

If the third-party manufacturers upon whom we rely fail to produce our clinical trial products in the volumes that we require on a timely basis, or fail to comply with stringent regulations applicable to pharmaceutical drug manufacturers, we may face delays in the delivery of our products to clinical trial sites.

Because we have no manufacturing facilities, we rely on third parties for manufacturing activities related to all of our product candidates. As we develop new products, we must establish and maintain relationships with manufacturers to produce and package sufficient supplies of our finished pharmaceutical products for use in clinical trials. Reliance on third party manufacturing presents the following risks:

- delays in scale-up to quantities needed for multiple clinical trials, or failure to (a) manufacture such quantities to our specifications or (b) deliver such quantities on the dates we require, which could cause delay or suspension of clinical trials, regulatory submissions and commercialization of our products;
- potential relinquishment or sharing of intellectual property rights to any improvements in the manufacturing processes or new manufacturing processes for our products; and
- unannounced ongoing inspections by the FDA and corresponding state agencies for compliance with GMPs, regulations and foreign standards, and failure to comply with any of these regulations and standards may subject us to, among other things, product seizures, recalls, fines, injunctions, suspensions or revocations of marketing licenses, operating restrictions and criminal prosecution.

Any of these factors could delay clinical trials or commercialization of our product candidates under development, and entail higher costs, all of which would have a negative impact on our revenues and business.

Our business may be harmed if the manufacture of our products is interrupted or discontinued.

We may be unable to maintain our relationships with our third-party manufacturers. If we need to replace or seek new manufacturing arrangements, we may have difficulty locating and entering into arrangements with qualified contract manufacturers on acceptable terms, if at all. We are aware of only a limited number of companies on a worldwide basis who operate manufacturing facilities in which our products can be manufactured to our specifications and in compliance with GMPs. It could take several months, or significantly longer, for a new contract manufacturing facility to obtain FDA approval and to develop substantially equivalent processes for the production of our product candidates. We may not be able to contract with any of these companies on acceptable terms, if at all.

If our suppliers cannot provide the components we require, our future product sales and revenue could be harmed.

We rely on third-party suppliers to provide us with numerous components used in our products under development. Relying on third-party suppliers makes us vulnerable to component failures and interruptions in supply, either of which could impair our ability to conduct clinical trials on a timely basis. Using third-party suppliers makes it difficult and sometimes impossible for us to maintain quality control, manage inventory and production schedules and control production costs. Vendor lead times to supply us with ordered components vary significantly and can exceed six months or more. Both now and as we expand our need for manufacturing capacity, we cannot be sure that our suppliers will furnish us with required components when we need them. These factors could make it difficult for us to effectively and efficiently manufacture our products, and could adversely impact our clinical trials, product development and future sales of our products.

Some suppliers may be our only source for a particular component, which would make us vulnerable to cost increases and supply interruptions. We generally rely on one manufacturer for each product.

Vendors may decide to limit or eliminate sales of certain products to the medical industry due to product liability or other concerns. In the event one of our sole source suppliers decides not to manufacture the component, goes out of business, or decides to cut off our supply, we may be unable to locate replacement supply sources, or the sources that we may locate may not provide us with similar reliability or pricing and our business could suffer. If we cannot obtain a necessary component, we may need to find, test and obtain regulatory approval for a replacement component, produce the component or redesign the related product, which would cause significant delay and could increase our manufacturing costs. Any of these events could adversely impact our future sales and results of operations.

If we are not able to maintain and successfully establish new collaborative and licensing arrangements with third parties, our product development and business will be harmed.

Our business model is based on establishing collaborative relationships with other parties both to license compounds upon which our products and technologies are based and to manufacture our products or our collaborators' products. It is critical that we gain access to compounds and technologies to license for further development. Due to the expense of the drug approval process we must have relationships with established pharmaceutical companies to offset some of our development costs in exchange for a combination of development, marketing and distribution rights.

From time to time we enter into discussions with various companies regarding the establishment of new collaborations. If we are not successful in establishing new partners for our product candidates, we may not be able to pursue further development of such product candidates and/or may have to reduce or cease our current development programs, which would materially harm our business. Even if we are successful in establishing new collaborations, they are subject to numerous risks and uncertainties including:

- our ability to negotiate acceptable collaborative arrangements;
- the collaboration making us less attractive to potential acquirers;
- freedom of our collaborative partners to pursue alternative technologies either on their own or with others, including our competitors, for the diseases targeted by our programs and products;
- the potential failure of our partners to fulfill their contractual obligations or their decision to terminate our relationships, in which event we may be required to seek other partners, or expend substantial resources to pursue these activities independently; and
- our ability to manage, interact and coordinate our timelines and objectives with our collaborative partners may not be successful.

In addition, our collaborators may undergo business combinations, which could have the effect of making the collaboration with us less attractive to them for a number of reasons. For example, if an existing collaborator purchases a company that is one of our competitors, that company may be less willing to continue its collaboration with us. A company that has a strategy of purchasing companies with attractive technologies might have less incentive to enter into a collaboration agreement with us. Moreover, disputes may arise with respect to the ownership of rights to any technology or products developed with any current or future collaborator. Lengthy negotiations with potential collaborators or disagreements between us and our collaborators may lead to delays in or termination of the research, development or commercialization of product candidates or result in time consuming and expensive

litigation or arbitration. Any such delays or termination would impede our ability to develop and sell our products and have a negative impact on our business.

Our collaborative relationships with third parties could cause us to expend significant funds on development costs with no assurance of financial return.

From time to time we enter into collaborative relationships with third parties to discover, develop and market products, such as our relationship with Novartis. These relationships require substantial financial commitments from us, and at the same time the product developments are subject to the same regulatory requirements, risks and uncertainties associated with the development of our other product candidates. The compounds that are the subject of these collaborative agreements may prove to be ineffective, may fail to receive regulatory approvals, may be unprotectable by patents or other intellectual property rights, or may not be otherwise commercially viable. If these collaborative relationships are not successful, our product developments will be adversely affected, and our investments and efforts devoted to the product developments will be wasted.

Our ability to protect our intellectual property rights will be critically important to the success of our business, and we may not be able to protect these rights in the United States or abroad.

The success of our operations depends in part on our ability to obtain patents, protect trade secrets, operate without infringing the proprietary rights of others and enforce our proprietary rights against accused infringers.

We actively pursue a policy of seeking patent protection when applicable for our proprietary products and technologies, whether they are developed in-house or acquired from third parties. We attempt to protect our intellectual property position by filing United States and foreign patent applications related to our proprietary technology, inventions and improvements that are important to the development of our business. To date, we have ownership of, or acquired licenses to, numerous patents covering various aspects of our proprietary drugs and technologies. In addition, we are prosecuting a number of patent applications for new drug candidates that we are actively developing at this time.

We also have patents, licenses to patents, and pending patent applications in Europe, Australia, Japan, Canada, China and Israel among other countries. Limitations on patent protection, and the differences in what constitutes patentable subject matter, may limit the protection we have on patents issued or licensed to us in these countries. In addition, laws of foreign countries may not protect our intellectual property to the same extent as would laws in the United States. In determining whether or not to seek patent protection or to license any patent in a foreign country, we weigh the relevant costs and benefits, and consider, among other things, the market potential and profitability, the scope of patent protection afforded by the law of the jurisdiction and its enforceability, and the nature of terms with any potential licensees. Failure to obtain adequate patent protection for our proprietary drugs and technology would impair our ability to be commercially competitive in these markets.

The pharmaceutical industry is characterized by a large number of patent filings involving complex legal and factual questions, and therefore we cannot predict with certainty whether our patents will be enforced effectively. Competitors may have filed applications for, or been issued patents on, products or processes that compete with or are similar to ours. We may not be aware of all of the patents potentially adverse to our interests which may have been issued to others. In addition, third parties may challenge, invalidate or circumvent any of our patents. Thus, any patents that we own or license from third parties may not provide adequate protection against competitors, if at all. Our pending patent applications and those we may file in the future, or those we may license from third parties, may not result in patents being issued with adequate claim scope, if at all.

In addition to pursuing patent protection in appropriate instances, we also rely on trade secret protection or regulatory marketing exclusivity for unpatented proprietary technology. However, trade secrets are difficult to protect. Our trade secrets or those of our collaborators may become known or may be independently discovered by others. Furthermore, regulatory marketing exclusivity is for a limited time period, which may not be an adequate period for our business interests.

In the pharmaceutical industry there has been, and we believe that there will continue to be, significant litigation regarding patent and other intellectual property rights. Claims may be brought against us in the future based on patents held by others. These persons could bring legal actions against us claiming damages and seeking to enjoin clinical testing, manufacturing and marketing of the affected product. If we become involved in litigation, it could consume a substantial portion of our resources, regardless of the outcome of the litigation. If a lawsuit against us is successful, in addition to any potential liability for damages, we could be required to obtain a license to continue to manufacture or market the affected product. We cannot assure you that we would prevail in a lawsuit filed against us or that we could obtain any licenses required under any patents on acceptable terms, if at all.

Our proprietary products are dependent upon compliance with other licenses and agreements. These licenses and agreements require us to make royalty and other payments, reasonably exploit the underlying technology of the applicable patents, and comply with regulatory filings. If we fail to comply with these licenses and agreements, we could lose the underlying rights to one or more of these potential products, which would adversely affect our product development and harm our business.

If we fail to compete effectively against other pharmaceutical companies, our business will suffer.

The pharmaceutical industry in general and the oncology sector in particular is highly competitive and subject to significant and rapid technological change. There are many companies, both public and private, including well-known pharmaceutical companies that are engaged in the discovery and development of products for some of the applications that we are pursuing. Some of our competitors and probable competitors include ArQule, Inc., Array BioPharma, Crystal Genomics, Exelixis, Infinity Pharmaceuticals, Daiichi Sankyo Group, Vertex Pharmaceuticals, Sanofi, Bristol-Myers Squibb Company, Celgene Corporation, Eli Lilly & Co., GSK, Novartis AG, Pfizer, Synta Pharmaceuticals, and others.

Many of our competitors have substantially greater financial, research and development, and manufacturing resources than we do and may represent substantial long-term competition for us. Some of our competitors have received regulatory approval for products or are developing or testing product candidates that compete directly with our product candidates. For example, amuvatinib faces competition from a multitude of other investigational drugs which are multi-targeted tyrosine kinase inhibitors and inhibitors of the DNA repair pathway, and Dacogen faces competition from 5-aza-cytidine and other drugs in development to treat MDS. We also expect that there will be other compounds that will emerge as competition to investigational drugs progressing through our discovery pipeline.

Many of these competitors, either alone or together with their customers and partners, have significantly greater experience than we do in discovering products, undertaking non-clinical testing and clinical trials, obtaining FDA and other regulatory approvals, and manufacturing and marketing products. Accordingly, our competitors may succeed in obtaining patent protection, receiving FDA or foreign marketing approval or commercializing products before we do. If we elect to commence commercial product sales of our product candidates, we could be at a disadvantage relative to many companies with greater marketing and manufacturing capabilities, in areas that we may have limited or no experience.

Factors affecting competition in the pharmaceutical industry vary depending on the extent to which competitors are able to achieve an advantage based on superior differentiation of their products,

greater institutional knowledge, or depth of resources. If we are able to establish and maintain a competitive advantage based on the ability of Pyramid to discover new drug candidates more effectively and against targets not accessible by many competitors, our advantage will likely depend primarily on the ability of our Pyramid technology to make accurate predictions about the effectiveness and safety of our drug candidates as well as our ability to effectively and rapidly develop investigational drugs.

Extensive research and development efforts and rapid technological progress characterize the industry in which we compete. Although we believe that our proprietary drug discovery capabilities afford us a competitive advantage relative to other discovery and development companies competing in oncology, we expect competitive intensity in this pharmaceutical segment to continue and increase over time. Discoveries by others may render our drug discovery platforms and our current and potential products noncompetitive. Our competitive position also depends on our ability to attract and retain qualified scientific and other personnel at all our geographic locations, develop effective proprietary products, implement development plans, obtain patent protection and secure adequate capital resources.

The pharmaceutical industry in general and the oncology sector in particular is subject to significant and rapid technological change. Developments by competitors may render our product candidates or technologies obsolete or non-competitive.

Our competitors may succeed in developing technologies or products that are more effective than ours. Additionally, our products that are under patent protection face intense competition from competitors' proprietary products. This competition may increase as new products enter the market.

A number of our competitors have substantially more capital, research and development, regulatory, manufacturing, marketing, human and other resources and experience than we have. As a result, our competitors may:

- develop products that are more effective or less costly than any of our current or future products or that render our products obsolete;
- produce and market their products more successfully than we do;
- establish superior proprietary positions; or
- obtain FDA or foreign regulatory approval for labeling claims that are more favorable than those for our products.

We will also face increasing competition from lower-cost generic products after market exclusivity or patents on our proprietary products expire. Loss of patent protection typically leads to a rapid decline in sales for that product and could affect our future results. As new products enter the market, our products may become obsolete or our competitors' products may be more effective or more effectively marketed and sold than our products. Technological advances, competitive forces and loss of intellectual property protection rights for our products may render our products obsolete.

We may be subject to product liability lawsuits and our insurance may be inadequate to cover damages.

Clinical trials and commercial use of our current and potential products may expose us to liability claims from the use or sale of these products. Consumers, healthcare providers, pharmaceutical companies and others selling such products might make claims of this kind. We may experience financial losses in the future due to product liability claims. We have obtained limited product liability insurance coverage for our products and clinical trials, under which the coverage limits are \$10 million per occurrence and \$10 million in the aggregate. We do not know whether this coverage will be adequate to protect us in the event of a claim. We may not be able to obtain or maintain insurance coverage in the future at a reasonable cost or in sufficient amounts to protect us against losses. If third

parties bring a successful product liability claim or series of claims against us for uninsured liabilities or in excess of insured liabilities, we may not have sufficient financial resources to complete development or commercialization of any of our product candidates and our business and results of operations will be adversely affected.

If we are unable to attract and retain additional, highly skilled personnel required for the expansion of our activities, our business will suffer.

Our success is dependent on key personnel, including members of our senior management and scientific staff at all our geographic locations. If any of our executive officers decide to leave and we cannot locate a qualified replacement in time to allow a smooth transition, our business may be adversely affected. To successfully expand our operations, we will need to attract and retain additional highly skilled individuals, particularly in the areas of clinical administration, non-clinical and development research, manufacturing and finance. We compete with other companies for the services of existing and potential employees; however, to the extent these employees favor larger, more established employers, we may be at a disadvantage.

Earthquake or other natural or man-made disasters and business interruptions could adversely affect our business.

Our operations are vulnerable to interruption by fire, power loss, floods, telecommunications failure and other events beyond our control. In addition, our operations in California are susceptible to disruption as a result of natural disasters such as earthquakes. So far we have never experienced any significant disruption of our operations as a result of earthquakes, other natural disasters, or any man-made disasters. Although we have a contingency recovery plan, any significant business interruption could cause delays in our drug development and future revenue and harm our business.

Provisions in our certificate of incorporation, bylaws and applicable Delaware law may prevent or discourage third parties or stockholders from attempting to replace our management.

Anti-takeover provisions of our certificate of incorporation and bylaws make it more difficult for a third party to acquire us, even if doing so would be beneficial to our stockholders. These provisions include:

- authorization of the issuance of up to 2,000,000 shares of our preferred stock;
- elimination of cumulative voting; and
- elimination of stockholder action by written consent.

Our bylaws establish procedures, including notice procedures, with regard to the nomination, other than by or at the direction of our board of directors, of candidates for election as directors or for stockholder proposals to be submitted at stockholder meetings.

We are also subject to Section 203 of the Delaware General Corporation Law, an anti-takeover provision. In general, Section 203 of the Delaware General Corporation Law prevents a stockholder owning 15% or more of a corporation's outstanding voting stock from engaging in business combinations with a Delaware corporation for three years following the date the stockholder acquired 15% or more of a corporation's outstanding voting stock. This restriction is subject to exceptions, including the approval of the board of directors and of the holders of at least two-thirds of the outstanding shares of voting stock not owned by the interested stockholder.

We believe that the benefits of increased protection of our potential ability to negotiate with the proponents of unfriendly or unsolicited proposals to acquire or restructure us outweigh the disadvantages of discouraging those proposals because, among other things, negotiation of those

proposals could result in an improvement of their terms. Nevertheless, these provisions are expected to discourage different types of coercive takeover practices and inadequate takeover bids and to encourage persons seeking to acquire control of our company to first negotiate with us, and may have the effect of preventing or discouraging third parties or stockholders from attempting to replace our management.

ITEM 1B. UNRESOLVED STAFF COMMENTS.

None

ITEM 2. PROPERTIES.

Our principal administrative facility is currently located in leased general office space, containing approximately 37,000 square feet, in Dublin, California, under a lease that expires in November 2015. As part of the acquisition of ATL, we assumed the property lease on a 36,389 square foot laboratory and administrative building in Cambridge, UK. The lease was executed in March 2003 for a 20 year term. We also have a drug formulation laboratory in a 10,000 square foot industrial building that we own in Pleasanton, California. We are currently leasing 11,700 square feet of space for drug discovery laboratory operations in Salt Lake City, Utah. The lease on this space expires in May 2012. Although we believe the above properties are adequate and suitable for our operations in the foreseeable future, we are continuing to evaluate our space needs as we further consolidate and integrate our operations in the US and UK .

ITEM 3. LEGAL PROCEEDINGS.

We are not currently subject to any pending material legal proceedings.

ITEM 4. MINE SAFETY DISCLOSURES.

Not applicable.

PART II

ITEM 5. MARKET FOR REGISTRANT'S COMMON EQUITY, RELATED STOCKHOLDER MATTERS AND ISSUER PURCHASES OF EQUITY SECURITIES.

Market for Common Stock

Our common stock trades on the Nasdaq Global Market under the symbol "ASTX." The following table sets forth the high and low trading price information for our common stock for each quarterly period in the two most recent fiscal years as reported on the Nasdaq Global Market:

| | <u>High</u> | <u>Low</u> |
|--|-------------|------------|
| 2011 | | |
| Quarter ended March 31, 2011 | \$3.26 | \$2.53 |
| Quarter ended June 30, 2011 | 3.35 | 2.51 |
| Quarter ended September 30, 2011 | 3.21 | 1.79 |
| Quarter ended December 31, 2011 | 2.06 | 1.51 |
| 2010 | | |
| Quarter ended March 31, 2010 | \$3.50 | \$2.57 |
| Quarter ended June 30, 2010 | 3.80 | 1.86 |
| Quarter ended September 30, 2010 | 2.18 | 1.70 |
| Quarter ended December 31, 2010 | 3.08 | 2.07 |

Holders of Record

As of March 6, 2012, there were 553 holders of record of our common stock and approximately 15,500 beneficial stockholders.

Dividends

We have never paid cash dividends on our capital stock and do not expect to pay any dividends in the foreseeable future. We intend to retain future earnings, if any, for use in our business.

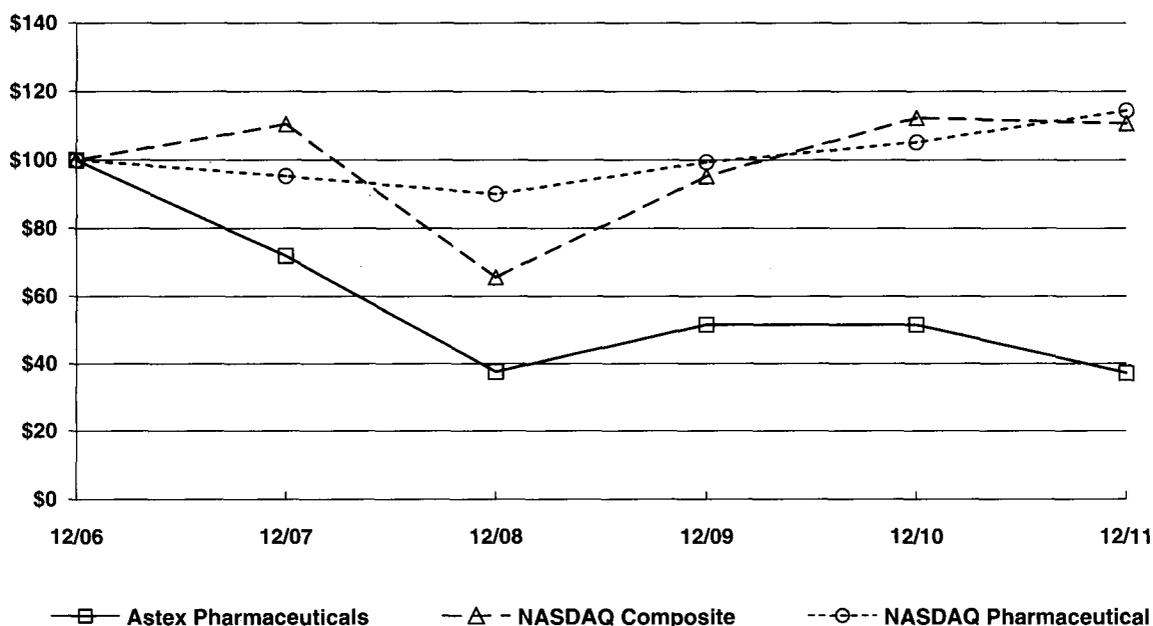
Equity Securities

During the fiscal year ended December 31, 2011, we did not repurchase our common stock or issue unregistered securities.

Company Stock Price Performance Graph

The performance graph below is required by the SEC and shall not be deemed to be incorporated by reference by any general statement incorporating by reference this annual report on Form 10-K into any filing under the Securities Act or the Securities Exchange Act except to the extent we specifically incorporate this information by reference and shall not otherwise be deemed soliciting material or filed under such Acts.

The graph compares our cumulative total stockholder return with those of the Nasdaq Composite Index and the Nasdaq Pharmaceutical Index. The graph assumes that \$100 was invested on December 31, 2006 in the Company's common stock and in the Nasdaq Composite Index and the Nasdaq Pharmaceutical Index, including reinvestment of dividends. Note that historic stock price performance should not be considered indicative of future stock price performance.



| | 12/06 | 12/07 | 12/08 | 12/09 | 12/10 | 12/11 |
|--------------------------------------|--------|--------|-------|-------|--------|--------|
| Astex Pharmaceuticals, Inc | 100.00 | 71.85 | 37.60 | 51.57 | 51.57 | 37.20 |
| NASDAQ Composite | 100.00 | 110.38 | 65.58 | 95.27 | 112.22 | 110.58 |
| NASDAQ Pharmaceutical | 100.00 | 95.32 | 90.11 | 99.36 | 105.18 | 114.32 |

ITEM 6. SELECTED FINANCIAL DATA.

The information set forth below is not necessarily indicative of results of future operations and should be read in conjunction with the financial statements and notes thereto appearing in Item 15 of Part IV of this report.

| <u>Consolidated Statement of Operations Data:</u> | Year ended December 31, | | | | |
|--|---------------------------------------|-----------------|-----------------|-------------------|------------------|
| | 2011 | 2010 | 2009 | 2008 | 2007 |
| | (In thousands, except per share data) | | | | |
| Total revenues | \$66,914 | \$52,972 | \$41,253 | \$38,422 | \$ 22,954 |
| Cost of product revenue | — | — | — | — | 221 |
| Research and development expenses | 43,895 | 28,394 | 29,689 | 32,685 | 23,423 |
| Selling, general and administrative expenses | 16,842 | 9,442 | 8,994 | 11,119 | 13,520 |
| Amortization of intangibles and impairment charge. | 4,465 | — | — | — | — |
| Acquired in-process research and development | — | — | — | 5,185 | 9,967 |
| Gain on sale of products | (700) | (750) | (595) | (2,236) | (33,677) |
| Income (loss) from operations | 2,412 | 15,886 | 3,165 | (8,331) | 9,500 |
| Other income (expense) and income tax benefit (provision) | 3,130 | 387 | 1,572 | (780) | 3,581 |
| Net income (loss) | <u>\$ 5,542</u> | <u>\$16,273</u> | <u>\$ 4,737</u> | <u>\$ (9,111)</u> | <u>\$ 13,081</u> |
| Basic net income (loss) per common share | <u>\$ 0.07</u> | <u>\$ 0.27</u> | <u>\$ 0.08</u> | <u>\$ (0.16)</u> | <u>\$ 0.23</u> |
| Diluted net income (loss) per common share | <u>\$ 0.07</u> | <u>\$ 0.27</u> | <u>\$ 0.08</u> | <u>\$ (0.16)</u> | <u>\$ 0.23</u> |
| Shares used to compute basic net income (loss) per common share | <u>75,072</u> | <u>60,287</u> | <u>59,316</u> | <u>57,721</u> | <u>56,868</u> |
| Shares used to compute diluted net income (loss) per common share | <u>75,751</u> | <u>60,635</u> | <u>59,340</u> | <u>57,721</u> | <u>57,301</u> |

| <u>Consolidated Balance Sheet Data:</u> | As of December 31, | | | | |
|---|--------------------|------------------|------------------|-----------------|------------------|
| | 2011 | 2010 | 2009 | 2008 | 2007 |
| | (In thousands) | | | | |
| Cash, cash equivalents, marketable securities, and restricted cash | \$128,051 | \$122,511 | \$103,022 | \$90,679 | \$ 93,385 |
| Other current assets | 10,338 | 1,370 | 2,054 | 1,307 | 857 |
| Property, plant and equipment, net | 7,013 | 3,932 | 4,205 | 4,437 | 4,435 |
| Goodwill and other intangible assets | 130,992 | 731 | 731 | 731 | 731 |
| Other assets | 554 | 554 | 505 | 611 | 1,040 |
| Total assets | <u>\$276,948</u> | <u>\$129,098</u> | <u>\$110,517</u> | <u>\$97,765</u> | <u>\$100,448</u> |
| Current liabilities | \$ 34,670 | \$ 6,048 | \$ 6,573 | \$ 6,629 | \$ 6,961 |
| Non-current liabilities | 22,277 | 1,438 | 1,958 | 645 | 832 |
| Total stockholders' equity | <u>220,001</u> | <u>121,612</u> | <u>101,986</u> | <u>90,491</u> | <u>92,655</u> |
| Total liabilities and stockholders' equity | <u>\$276,948</u> | <u>\$129,098</u> | <u>\$110,517</u> | <u>\$97,765</u> | <u>\$100,448</u> |

ITEM 7. MANAGEMENT'S DISCUSSION AND ANALYSIS OF FINANCIAL CONDITION AND RESULTS OF OPERATIONS.

You should read the following discussion together with our consolidated financial statements and related notes included elsewhere in this report. The results discussed below are not necessarily indicative of the results to be expected in any future periods. Our disclosure and analysis in this section of the report also contain forward-looking statements. When we use the words "anticipate," "estimate," "project," "intend," "expect," "plan," "believe," "should," "likely" and similar expressions, we are making forward-looking statements. Forward-looking statements provide our current expectations or forecasts of future events. In particular, these statements include statements such as: our estimates about profitability; the percentage and amount of royalties we expect to earn on Dacogen sales under our agreement with Eisai; our forecasts regarding our operating expenses; our expectations about the joint development program with GSK; our statements regarding the sufficiency of our cash to meet our operating needs; and statements about our acquisition of Astex Therapeutics Limited. Our actual results could differ materially from those predicted in the forward-looking statements as a result of risks and uncertainties including, but not limited to: our ability to successfully integrate Astex Therapeutics Limited with our existing business; the commercial success of Dacogen; delays and risks associated with conducting and managing our clinical trials; developing products and obtaining regulatory approval; our ability to exit research operations in Pleasanton and Salt Lake City; our ability to establish and maintain collaborative relationships; competition; our ability to obtain funding; our ability to protect our intellectual property; our dependence on third party suppliers; risks associated with the hiring and loss of key personnel; adverse changes in the specific markets for our products; and our ability to launch and commercialize products. Certain unknown or immaterial risks and uncertainties can also affect our forward-looking statements. Consequently, no forward-looking statement can be guaranteed and you should not rely on these forward-looking statements. For a discussion of the known and material risks that could affect our actual results, please see the "Risk Factors" section of this report. We undertake no obligation to update any forward-looking statements, whether as a result of new information, future events or otherwise. Readers should carefully review the Risk Factors section as well as other reports or documents we file from time to time with the Securities and Exchange Commission.

Overview

We are a pharmaceutical company dedicated primarily to the discovery and development of novel small molecule therapeutics with a focus on oncology and hematology. We changed our name from SuperGen, Inc. to Astex Pharmaceuticals, Inc. in September 2011. We believe we are developing a proprietary pipeline of novel medicines for partnership with leading pharmaceutical companies. We believe we are a leader in the application of fragment-based drug discovery and development of small-molecule therapeutics. Fragment-based drug discovery is considered by many in our sector to be one of the most important advances in discovery chemistry in the last 20 years.

We currently receive development and license revenue from partnered programs and royalty revenues relating to sales of Dacogen® (decitabine) for Injection, a product approved by the FDA for the treatment of patients with myelodysplastic syndromes ("MDS"), which is licensed to Eisai Corporation.

On July 20, 2011, we completed the acquisition of all of the outstanding shares of Astex Therapeutics Limited ("ATL"), a privately held UK-based biotechnology company with particular expertise in fragment-based drug discovery. Pursuant to the acquisition, we paid approximately \$24.9 million in cash and issued 32.4 million shares of our common stock (representing approximately 35% of our issued and outstanding stock as of the closing of the acquisition after giving effect to the issuance of such shares) to the securityholders of ATL. In addition, we will pay deferred consideration of \$30 million in stock, cash, or a combination of stock and cash, to be determined at the discretion of the Company, no later than 30 months after the closing of the acquisition (January 2014).

ATL discovers and develops novel small molecule therapeutics. Using its fragment-based drug discovery platform, Pyramid, ATL has built a pipeline of molecularly-targeted drugs for large pharmaceutical partners and internal development that are at various stages of clinical, pre-clinical and early discovery development.

Our primary developmental efforts revolve around the products progressing out of our small-molecule drug discovery programs. Our two lead programs are AT13387, a novel HSP 90 inhibitor, coming out of our ATL acquisition, and SGI-110, a novel second generation hypomethylating agent. The third product in our clinical pipeline is amuvatinib (MP-470), our multi-targeted kinase inhibitor and DNA repair suppressor. We are currently conducting a Phase II trial for amuvatinib in small cell lung cancer called ESCAPE. We also have partnered clinical trials ongoing for AT7519, a CDK inhibitor, and AT9283, an aurora/JAK2 inhibitor.

In addition to our own clinical pipeline, we maintain several partnerships with pharmaceutical companies and may receive development and license revenue in the future based on program advancement.

Our founding strategy was to in-license late-stage clinical products and commercialize these products by executing selective developmental and commercialization strategies that might allow these products to come into the market and be utilized by the widest possible patient populations. However, the competition for late-stage compounds that can be obtained through licensure or acquisition, that have shown initial efficacy in humans, has increased significantly with most major pharmaceutical companies and emerging biotechnology companies taking positions in this market. We believe that our current strategy attempts to mitigate the competitive risk of in-licensure and positions us to out-license selective products to our licensing competitors or other pharmaceutical companies. Our primary objective is to become a leading developer and seller or licensor of medicines for patients suffering from cancer.

We currently receive royalty revenues relating to sales of Dacogen for treatment of patients with MDS. We are entitled to receive a royalty on worldwide net sales of Dacogen starting at 20% and escalating to a maximum of 30%. We recognize royalty revenue when the royalty statement is received from Eisai because we do not have sufficient ability to accurately estimate Dacogen sales prior to that time. In 2006, Eisai executed an agreement to sublicense Dacogen to Cilag GmbH International ("Cilag"), a Johnson & Johnson company, granting exclusive development and commercialization rights in all territories outside North America. Cilag is responsible for conducting regulatory and commercial activities related to Dacogen in all territories outside North America, while Eisai retains all commercialization rights and responsibility for all activities in the United States, Canada and Mexico. As a result of both the original agreement with Eisai and the sublicense with Cilag, we may receive up to \$17.5 million in future contingent payments dependent upon achievements for Dacogen globally. In the second half of 2012, the Company will learn the outcome of Cilag's MAA submission to the EMA, seeking approval for Dacogen in the elderly Acute Myeloid Leukemia indication.

All of our current products, other than Dacogen, are in the development or clinical trial stage, and will require substantial additional investments in research and development, clinical trials, regulatory and sales and marketing activities to commercialize these product candidates. Conducting clinical trials is a lengthy, time-consuming, and expensive process involving inherent uncertainties and risks, and our studies may be insufficient to demonstrate safety and efficacy to support FDA approval of any of our product candidates.

As a result of our substantial research and development expenditures and minimal product revenues, we have incurred cumulative losses of \$334.8 million through December 31, 2011, and have not consistently generated enough funds through our operations to support our business. Although we were profitable in the years ended December 31, 2011 and 2010, we expect to have operating losses over the next few years and we may never achieve sustained profitability.

Ultimately, our ability to sustain profitability will depend upon a variety of factors, including regulatory approvals of our products, the timing of the introduction and market acceptance of our products and competing products, Eisai's success in selling Dacogen, the success of our various collaborative, research and license arrangements, the launch of new products and our ability to control our ongoing costs and operating expenses. If our drug discovery and research efforts are not successful, or if the results from our clinical trials are not positive, we may not be able to get sufficient funding to continue our trials or conduct new trials, and we would be forced to scale down or cease our business operations. Moreover, if our products are not approved or commercially accepted we will remain unprofitable for longer than we currently anticipate. Additionally, we might be forced to substantially scale down our operations or sell certain of our assets, and it is likely the price of our stock would decline precipitously.

Critical Accounting Policies

Our management discussion and analysis of our financial condition and results of operations is based upon our consolidated 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 judgments that affect the reported amounts of assets, liabilities, revenues and expenses, and reported disclosures. On an on-going basis, we evaluate our estimates, including those related to revenue recognition, impairment of goodwill, intangible assets, investments, and stock-based compensation. We base our estimates on historical experience and on various other assumptions that we believe are reasonable under the circumstances, the results of which form the basis for making judgments about the carrying values of assets and liabilities that are not readily apparent from other sources. Actual results may differ from these estimates under different assumptions or conditions.

Our significant accounting policies are more fully disclosed in Note 1 to our consolidated financial statements. However, some of our accounting policies are particularly important to the portrayal of our financial position and results of operations and require the application of significant judgment by our management. We believe the following critical accounting policies, among others, affect our more significant judgments and estimates used in the preparation of our consolidated financial statements.

Intangible Assets and Goodwill

The fair value of the identified intangible assets recorded in the acquisition of ATL was estimated by using income or cost replacement approaches. The acquisition of ATL also created goodwill as the purchase price exceeded the fair value of the identifiable assets acquired net of the liabilities assumed. The value assigned to developed technology is being amortized over seven years and the value assigned to non-active collaboration agreements is being amortized over five years, the estimated useful lives of the assets.

We do not amortize goodwill and other intangible assets with indefinite useful lives. We test goodwill and other indefinite-lived intangible assets for impairment on an annual basis (in December) and in between annual tests if we become aware of any events occurring or changes in circumstances that would indicate a reduction in the fair value of the assets below their carrying amounts.

The annual test for goodwill impairment is a two-step process. In the first step we compare the carrying value of the Company's net assets including goodwill with its fair value. We determine the fair value of the Company based on its market capitalization using quoted market prices of its common stock, and taking into account other factors that may affect the fair value of the Company as a whole. If the fair value is less than the carrying value of the Company's net assets, then in the second step, the impairment loss is measured as the excess, if any, of recorded goodwill over its implied fair value.

Implied fair value is the excess of the fair value of the Company over the fair value of all its identified assets and liabilities.

In December 2011, we performed an annual goodwill impairment test. During the last quarter of 2011 and in 2012 through the filing date of these financial statements, there has been significant fluctuation in the quoted market prices of our common stock. Significant judgment is required to evaluate the fair value of the Company. We concluded, based on the changes in the market prices of the Company's common stock during this period and our assessment of the premium a market participant would be willing to pay to acquire control of the Company, that goodwill was not impaired, as the fair value of the Company as a whole exceeded the carrying value of its net assets. Such excess was relatively insignificant and consequently, we will continue to monitor the Company's market capitalization and other events and circumstances affecting its fair value, and will evaluate our goodwill for potential impairment in future periods. Should we conclude in a future period that the fair value of the Company as a whole is less than the carrying value of its net assets, it is likely that we will record a material charge for goodwill impairment, which could be as high as the entire goodwill amount.

We test indefinite-lived intangibles for impairment by comparing the carrying value of these intangibles to their fair value, and record an impairment loss for any excess. In October 2011, Janssen, exercised its right under our research alliance to terminate its participation in the development of one compound, which constituted one of the in-process research and development assets we acquired with ATL in July 2011. As a result, we concluded there was a decline in the fair value of the corresponding indefinite-lived intangible asset compared to the amount we recorded upon the acquisition of ATL in July 2011. We determined the new fair value of this intangible based on an estimated 20% likelihood of continuing the research and development through a similar collaboration agreement. We recorded a \$1,250,000 charge during the year ended December 31, 2011 related to this impairment. Should we decide in the future to abandon this project or others for which we have recorded intangible assets, we may have to record additional impairment charges.

Intangible assets related to in-process research and development projects are considered to be indefinite-lived until the completion or abandonment of the associated research and development efforts. If and when development is completed, the associated assets would be deemed finite-lived and would then be amortized based on their respective estimated useful lives at that point in time. If we abandon a research and development project its value will be written off and recognized as an impairment loss.

Stock-Based Compensation

We account for stock-based compensation at the fair value estimated on the measurement date using the Black-Scholes option-pricing model based on assumptions for volatility, risk-free interest rates, expected life of the option, and dividends (if any). Expected volatility is determined using a blend of historical and implied volatility of our common stock based on the period of time corresponding to the expected life of the stock options. The expected life of our stock options is based on our historical data and represents the period of time that stock options granted are expected to be outstanding. The risk-free interest rate is based on the U.S. Treasury yield curve in effect at the time of grant commensurate with the expected life assumption.

We are using the straight-line attribution method to recognize stock-based compensation expense. The amount of stock-based compensation recognized during a period is based on the value of the portion of the awards that are ultimately expected to vest, including awards that vest based on certain performance criteria. We estimate forfeitures at the time of grant and revise them, if necessary, in subsequent periods if actual forfeitures differ from those estimates. The term "forfeitures" is distinct from "cancellations" or "expirations" and represents only the unvested portion of the surrendered option. This analysis is re-evaluated quarterly and the forfeiture rate is adjusted as necessary based

upon historical data. Ultimately, the actual expense recognized over the vesting period will only be for those shares that vest.

As of December 31, 2011, there was \$3.97 million of total unrecognized compensation cost related to unvested stock-based awards that vest based upon service conditions or vest based upon performance conditions and are probable of vesting. This cost is expected to be recognized over a weighted average period of 2.5 years.

Revenue Recognition

Eisai is required to pay us royalties starting at 20% and escalating to a maximum of 30% of net worldwide Dacogen sales within 45 days after the end of each calendar quarter. During the year ended December 31, 2011, we recorded royalty revenue of \$60.5 million. Because we do not have sufficient ability to accurately estimate Dacogen sales, we recognize royalty revenue when we receive the royalty statement from Eisai. In accordance with our license agreement with Eisai, we are entitled to receive 50% of any payments Eisai receives as a result of any sublicenses. We recognize milestone fees upon completion of specified substantive at-risk milestones according to the related contract terms.

We enter into revenue arrangements with multiple deliverables, such as intellectual property rights and research and development services. For these arrangements, we generally have not met the criteria to separate the deliverables for revenue recognition purposes and we have treated the deliverables as a combined unit of accounting. As such, non-refundable up-front payments received in connection with research and license agreements have been deferred and recognized on a straight-line basis over the relevant estimated periods of continuing involvement, generally the research term. We re-evaluate the period of continuing involvement each reporting period and adjust our estimates accordingly. Advance payments in excess of amounts earned are classified as deferred revenue until earned.

Revenues associated with substantive, at-risk milestones pursuant to ATL's collaborative agreements will be recognized upon achievement of the milestones through option exercise by the collaboration partner. We consider a milestone to be substantive at the inception of the arrangement if it is commensurate with either our performance to achieve the milestone or the enhancement of the value of the delivered item as a result of a specific outcome resulting from our performance to achieve the milestone, it relates solely to past performance, and it is reasonable relative to all of the deliverables and payment terms within the arrangement. Non-refundable contingent future amounts receivable in connection with future events specified in the collaboration agreement that are not considered milestones will be recognized as revenue when payments are earned from our collaborators through completion of any underlying performance obligations, the amounts are fixed or determinable, and collectibility is reasonably assured.

Impairment of Investments in Financial Instruments

Investments in financial instruments are carried at fair value based on quoted market prices, with unrealized gains and losses included in accumulated other comprehensive income or loss in stockholders' equity. Our investment portfolio includes equity securities that could subject us to material equity market risk and corporate and U.S. government (or U.S. governmental agency) obligations that subject us to varying levels of credit risk. An other than temporary decline in fair value of a financial instrument will be subject to a write-down resulting in a charge against earnings. The determination of whether a decline in fair value is other than temporary requires significant judgment, and could have a material impact on our balance sheet and results of operations. Our management reviews the securities within our portfolio for other than temporary declines in value on a regular basis. As of December 31, 2011, the gross unrealized losses on available for sale debt securities was approximately \$3,000, and such losses were not attributed to changes in credit risk. The prices of some of our marketable equity securities are subject to considerable volatility. Currently we own 2,384,211

shares of AVI Bio Pharma, Inc. (“AVI”) and recorded an other-than-temporary decline in value of \$3.1 million related to this investment during the year ended December 31, 2008. At December 31, 2011, our investment in AVI was trading at below its carrying value of \$1.12 per share, but the investment has been in an unrealized loss position for less than six months and is deemed to be temporary. Decreases in the fair value of our securities may significantly impact our results of operations.

Investments in equity securities without readily determinable fair value are carried at cost. We periodically review those carried costs, amounting to \$500,000 as of December 31, 2011, and evaluate whether an impairment has occurred. The determination of whether an impairment has occurred requires significant judgment, as each investment has unique market and development opportunities.

Recent Accounting Pronouncements

In May 2011, the Financial Accounting Standards Board (“FASB”) issued Accounting Standards Update (“ASU”) 2011-04 relating to fair value measurements. This guidance clarifies the application of existing fair value measurements and disclosures, and changes certain principles or requirements for fair value measurements and disclosures. These amendments are effective for interim and annual periods beginning after December 15, 2011. The adoption of this amendment will not have a material impact on our consolidated financial statements.

In June 2011, the FASB issued ASU 2011-05 on the presentation of comprehensive income, which amends current comprehensive income guidance. These amendments will require companies to present the components of net income and other comprehensive income either as one continuous statement or as two consecutive statements. It eliminates the option to present components of other comprehensive income as part of the statement of changes in stockholders’ equity. The amended guidance, which must be applied retroactively, is effective for annual periods beginning after December 15, 2011, with earlier adoption permitted. This amendment will impact the presentation of our financial statements, but will have no effect on our financial condition, results of operations or cash flows.

In September 2011, the FASB issued ASU 2011-08 on testing goodwill for impairment. Under these amendments, an entity may assess qualitative factors to determine whether it is more likely than not that the fair value of a reporting unit is less than its carrying amount, including goodwill. If determined to be necessary, the two-step impairment test shall be used to identify potential goodwill impairment and measure the amount of a goodwill impairment loss to be recognized, if any. The amendment is effective for annual and interim goodwill impairment tests performed for fiscal years beginning after December 15, 2011. We do not expect that adoption of this amendment will have a material impact on our consolidated financial statements.

Results of Operations

| <u>Revenues (in thousands)</u> | <u>2011</u> | <u>2010</u> | <u>2009</u> |
|---|-----------------|-----------------|-----------------|
| Royalty revenue | \$60,519 | \$52,463 | \$41,156 |
| Development and license revenue | 6,395 | 509 | 97 |
| Total revenues | <u>\$66,914</u> | <u>\$52,972</u> | <u>\$41,253</u> |

The increases in royalty revenue are due to higher worldwide Dacogen product sales by Eisai. Eisai is required to pay us royalties starting at 20% and escalating to a maximum of 30% of net Dacogen sales within 45 days after the end of each calendar quarter. We recognize royalty revenue when we receive the royalty statements from Eisai because we do not have sufficient ability to accurately estimate Dacogen sales prior to that time. For example, the royalty revenues recorded in 2011 represent Eisai’s Dacogen sales for the fourth quarter of 2010 and the first three quarters of 2011.

Development and license revenue includes the recognition of up-front payments received from GSK and Janssen in connection with research and license agreements of \$2,028,000, \$509,000 and \$97,000 in 2011, 2010 and 2009, respectively. The increase in revenue from up-front payments in 2011 from 2010 relates to the research alliance with Janssen that generated revenue subsequent to our acquisition of ATL. Included within the revenue from up-front payments in 2011 is recognition of the remaining deferred revenue balance of \$1,244,000 related to the Janssen research alliance during the fourth quarter of 2011 when Janssen exercised its right to terminate its participation in the development of one compound. The increase in revenue from up-front payments in 2010 from 2009 relates to the collaboration with GSK that we entered into in the fourth quarter of 2009. Up-front payments have been deferred and recognized on a straight-line basis over the relevant estimated periods of continuing involvement, generally the research term. Development and license revenue in 2011 also includes the receipt of contingent payments of \$4,367,000 based upon achievement of specific performance criteria under our GSK collaboration agreement.

| <u>Operating expenses (in thousands)</u> | <u>2011</u> | <u>2010</u> | <u>2009</u> |
|---|-----------------|-----------------|-----------------|
| Research and development | \$43,895 | \$28,394 | \$29,689 |
| General and administrative | 16,842 | 9,442 | 8,994 |
| Amortization of intangibles and impairment charge | 4,465 | — | — |
| Gain on sale of products | (700) | (750) | (595) |
| Total operating expenses | <u>\$64,502</u> | <u>\$37,086</u> | <u>\$38,088</u> |

The increase in research and development expenses from 2010 to 2011 was primarily due to the consolidation of ATL's activities from the acquisition date of July 20, 2011 and corresponding expenditures related to drug discovery efforts and clinical trial costs for AT13387, SGI-110, and amuvatinib, and one-time severance costs of \$995,000 in 2011. The decrease in research and development expenses from 2009 to 2010 was primarily due to lower contracted outside research and development services for several of our drug candidates and lower clinical trial costs related to our Phase I and Phase Ib clinical trials for amuvatinib.

We conduct research internally and through collaborations with third parties as we continue to maintain a strong commitment to our research and development efforts. Our research and development activities consist primarily of drug discovery, drug development, and pre-clinical and clinical development, as we advance our existing product candidates through clinical trials. Our research and development expenses consist primarily of salaries, employee benefits and other personnel related costs; stock-based compensation expense; laboratory equipment and supplies; third-party consultant fees and contract labor; costs for pre-clinical and clinical trials, including clinical research organizations; other outsourced research; depreciation expense; corporate overhead; and allocated facility costs.

We do not allocate certain of our internal research and development costs such as salaries and other personnel related costs, corporate overhead and facility costs to our development programs on a project-by-project basis. These costs are incurred across all programs and activities and contribute to many discovery, research and development programs including a broad range of scientific research projects, many of which fail in the early stages of discovery and development. We do allocate direct salaries and third party costs to certain major development programs that are generally in later stages of pre-clinical or clinical development. Our scientists record their time to such specific projects when appropriate; however, many activities simultaneously benefit multiple projects and cannot be readily attributed to a specific program. Accordingly, the accurate assignment of time and costs to a specific project is difficult and does not give a true indication of the actual costs of a particular project on a

fully burdened basis. Below is a summary of direct salaries and third party costs that are identifiable for our major drug programs:

| Third Party Costs and Direct Salaries Identifiable by Project (in thousands) | 2011 | 2010 | 2009 | Cumulative To Date |
|---|-------------|-------------|-------------|---------------------------|
| Amuvatinib | \$3,712 | \$2,821 | \$4,601 | \$22,572 |
| SGI-1776 | 96 | 2,277 | 3,243 | 10,076 |
| SGI-110 | 5,323 | 2,566 | 2,088 | 11,836 |
| AT13387 | 1,502 | — | — | 1,502 |
| AT7519 | 961 | — | — | 961 |
| AT9283 | 134 | — | — | 134 |
| All other projects | 6,718 | 4,383 | 3,216 | 27,402 |

Conducting clinical trials is a lengthy, expensive and uncertain process. Completion of clinical trials may take several years or more. The length of time generally varies substantially according to the type, complexity, novelty and intended use of the product candidate. Our clinical trials may be suspended at any time if we or the FDA believe the patients participating in our studies are exposed to unacceptable health risks. We may encounter problems in our studies which will cause us or the FDA to delay or suspend the studies. Because of these uncertainties, we cannot predict when or whether we will successfully complete the development of our product candidates or the ultimate product development cost for any of our product candidates.

The increase in general and administrative expenses from 2010 to 2011 relates primarily to acquisition costs of \$3.5 million relating to the acquisition of ATL and the consolidation of ATL's activities from the acquisition date of July 20, 2011, as well as higher stock-based compensation and legal expenses. The increase in general and administrative expenses from 2009 to 2010 relates primarily to higher costs for accounting and tax consultation fees, additional mailing and consulting costs relating to our annual stockholder meeting and related proxy solicitation, and additional investor relations and business development consulting expenses, offset in part by lower stock-based compensation expenses.

Amortization of intangible assets was recorded during 2011 as a result of our acquisition of ATL including developed technology and non-active collaboration intangible assets. We record amortization using the straight-line method, which approximates the pattern in which we expect to derive benefits from the use of these assets, over the estimated useful lives of the intangibles (7 years for developed technology and 5 years for non-active collaborations). During the year ended December 31, 2011, we have recorded amortization of \$1,076,000 related to the developed technology and \$2,139,000 related to the non-active collaboration agreements. We expect to record amortization expense of approximately \$7,899,000 in each of the next four years, \$5,760,000 in the fifth year, \$2,598,000 in the sixth year, and \$1,522,000 in the seventh year. However, since the underlying intangible assets are denominated in British Pounds Sterling and translated to US dollars, the amounts we record as amortization expense may vary due to fluctuations in currency exchange rates. In addition, during 2011 we recorded an impairment charge of \$1,250,000 related to the reduction in the fair value of one of our active collaboration intangibles that resulted from Janssen terminating its participation in the development of one compound. As a result of the termination, Janssen will not be obligated to make any payments of milestones or royalties associated with the development of this compound in the future. We may not identify another research and development partner for this compound, and may choose not to pursue further research and development of this compound if we believe that our resources can be more efficiently deployed for other projects. As a result, we concluded there was a decline in the fair value of the corresponding indefinite-lived intangible asset compared to the amount we recorded upon the acquisition of ATL in July 2011. We determined the new fair value of this intangible based on an estimated 20% likelihood of continuing the research and development through a similar collaboration agreement.

Gain on sale of products relates to the sale of our North American and worldwide rights to Nipent to Hospira. Gain on sale of products for the year ended December 31, 2009 represented the receipt of

a \$500,000 payment from Hospira relating to the sale of the worldwide rights for Nipent, a \$75,000 reduction of our price protection reserve, and \$20,000 relating to the reversal of a residual products return reserve that was no longer required due to the expiration of the contractual return period. Gain on sale of products for the year ended December 31, 2010 represented the receipt of a \$700,000 payment from Hospira relating to the sale of the worldwide rights for Nipent and a \$50,000 elimination of the remaining balance of our price protection reserve relating to the North American agreement. The \$700,000 gain on sale of products for the year ended December 31, 2011 represented a payment from Hospira relating to the sale of the Nipent worldwide rights. We expect to receive one additional \$700,000 payment in 2012, representing the final payment due under the sale of the worldwide rights for Nipent.

| Other income (expense) and income tax benefit (provision) (in thousands) | 2011 | 2010 | 2009 |
|--|--------|-------|-------|
| Interest income | \$ 226 | \$182 | \$686 |
| Other income (expense) | (384) | 244 | — |
| Income tax benefit (provision) | 3,288 | (39) | 886 |

The changes in interest income have been due primarily to variations in interest rates.

Other expense recorded in 2011 primarily represents losses on foreign currency transactions and disposals of fixed assets, offset in part by changes in the value of a warrant liability. Other income in 2010 represented receipt of proceeds under the Qualifying Therapeutic Discovery Project program relating to our qualifying research and development programs.

The income tax benefit for 2011 was primarily due to the recognition of tax benefits associated with the amortization of deferred tax liabilities resulting from the acquisition of ATL and UK research and development tax credits. The tax provision of \$39,000 in 2010 represented state tax provisions, taking into account estimated net operating loss carrybacks and research and development tax credits. In 2009, we recorded a tax benefit of \$886,000, which was primarily due to the Worker, Home Ownership and Business Assistance Act of 2009, signed into law on November 6, 2009, that allowed for certain net operating losses to be used to eliminate or refund alternative minimum tax, as well as monetization of research credits and other state tax benefits.

Liquidity and Capital Resources

Our cash, cash equivalents, and current and non-current marketable securities totaled \$128.1 million at December 31, 2011, compared to \$120.4 million at December 31, 2010.

Net cash provided by operating activities was \$12.4 million in 2011 and consisted primarily of the net income of \$5.5 million plus non-cash depreciation of \$1.4 million, non-cash amortization of intangibles and impairment charge of \$4.5 million, non-cash stock-based compensation expense of \$3.1 million, decrease in restricted cash of \$2.1 million, and an increase in accounts payable and other accrued liabilities of \$2.5 million, offset in part by an increase in accounts receivable of \$1.4 million, an increase in income tax receivable of \$1.5 million, a decrease in deferred tax liability of \$1.8 million, and a decrease in deferred revenue of \$2.0 million. Net cash provided by operating activities was \$18.0 million in 2010 and consisted primarily of the net income of \$16.3 million plus non-cash depreciation of \$1.3 million, and non-cash stock-based compensation expense of \$1.4 million. Net cash provided by operating activities was \$8.7 million in 2009, and consisted primarily of the net income of \$4.7 million plus non-cash depreciation of \$1.2 million, non-cash stock-based compensation expense of \$2.5 million, and an increase in deferred revenue from entering into the GSK agreements in 2009 of \$2.4 million, offset in part by an \$818,000 increase in income tax receivable and a \$1.1 million decrease in accounts payable and other accrued liabilities.

Net cash provided by investing activities was \$2.4 million in 2011 and consisted primarily of \$98.6 million in maturities of marketable securities, offset in part by \$95.3 million for purchases of

marketable securities and \$1.4 million for purchases of property and equipment. Net cash used in investing activities was \$0.5 million in 2010 and consisted primarily of \$169.1 million for purchases of marketable securities and \$1.0 million for purchases of property and equipment, offset in part by \$169.0 million in maturities of marketable securities. Net cash used in investing activities was \$52.6 million in 2009, and consisted primarily of \$133.3 million for the purchase of marketable securities and \$1 million for purchases of property and equipment, offset in part by \$81.2 million in maturities of marketable securities.

Net cash provided by financing activities was \$434,000 and \$336,000 in 2011 and 2010, respectively, and related to proceeds from the issuance of common stock upon exercise of stock options and issuances under our employee stock purchase plan. Net cash provided by financing activities was \$2.7 million in 2009, and related primarily to proceeds from the issuance of common stock to GSK, as well as proceeds from the issuance of common stock upon exercise of stock options and issuances under our employee stock purchase plan.

Our contractual obligations as of December 31, 2011 are as follows (in thousands):

| | Payments Due by Period | | | | |
|--|------------------------|----------------|----------------|----------------|----------------|
| | Total | < 1 year | 1-3 years | 4-5 years | After 5 years |
| Operating leases | \$20,153 | \$2,343 | \$6,599 | \$3,093 | \$8,118 |
| Total contractual cash obligations | <u>\$20,153</u> | <u>\$2,343</u> | <u>\$6,599</u> | <u>\$3,093</u> | <u>\$8,118</u> |

Our principal administrative facility is currently located in leased general office space, containing approximately 37,000 square feet, in Dublin, California, under a lease that expires in November 2015. As part of the acquisition of ATL, we assumed the property lease on a 36,389 square foot laboratory and administrative building in Cambridge, UK. The lease was executed in March 2003 for a 20 year term. We are currently leasing 11,700 square feet of space for our drug discovery laboratory operations in Salt Lake City, Utah. The lease on this space expires in May 2012.

We will pay the former ATL stockholders deferred consideration of \$30 million in stock, cash, or a combination of stock and cash, to be determined at the discretion of the Company. Deferred consideration will be paid in semi-annual installments whose amounts will be determined based on the amounts of the contingent payments ATL has received and will receive under its collaboration arrangements during the period from January 1, 2011 through January 20, 2014. The amount of the future semi-annual installments reflects our best estimates of the probability and timing of collaboration milestones to be received. We paid the first deferred consideration installment of \$10.0 million in early February 2012. We currently estimate that remaining installments will be approximately \$8.1 million in July 2012, \$6.4 million in January 2013, \$2.9 million in July 2013, and \$2.6 million in January 2014.

Avicine, AVI BioPharma's proprietary cancer vaccine, will require significant additional expenditures to complete the clinical development necessary to gain marketing approval from the FDA and equivalent foreign regulatory agencies. As part of our agreement with AVI BioPharma, we are obligated to make additional payments to AVI based on successful achievement of developmental, regulatory approval, and commercialization milestones over the next several years that could total \$80 million. However, no significant development efforts have been incurred for Avicine since 2003 and none are anticipated in the near future. We are unable to determine precisely when and if our payment obligations under our agreement with AVI will become due as these obligations are based on milestone events, the achievement of which is subject to a significant number of risks and uncertainties. Because some of the milestone events are revenue-related and the payment obligation would not be triggered absent our receipt of revenues, we may be able to use funds generated from revenues to make the milestone payments if they become due. Additionally, there is a \$6.8 million remaining future contingent regulatory milestone payment due to the former Montigen stockholders when and if the related milestone is achieved, which is payable in shares of our common stock.

We have financed our operations primarily through the issuance of equity and debt securities, the receipt of milestone, royalty and other payments in connection with collaborative agreements, and the sale of non-core assets. Based on our current forecasted product development activities, we believe that our current cash, cash equivalents, marketable securities and other investments will satisfy our cash requirements for at least the next twelve months. We may pursue additional financing options, including the selling of additional shares of stock in public or private offerings.

We believe that our need for additional funding will increase in the future, especially if we acquire new product technologies for development and sale, and our ability to continue raising funds from external sources will be critical to our success. We continue to actively consider future contractual arrangements that would require significant financial commitments. If we experience currently unanticipated cash requirements, we could require additional capital much sooner than presently anticipated. We may raise money by the sale of our equity securities or debt, or the exercise of outstanding stock options by the holders of such options. However, given uncertain market conditions and the volatility of our stock price, we may not be able to sell our securities in public offerings or private placements at prices and on terms that are favorable to us, if at all. We may also choose to obtain funding through licensing and other contractual agreements. Such arrangements may require us to relinquish our rights to our technologies, products or marketing territories, or to grant licenses on terms that are not favorable to us. If we fail to obtain adequate funding in a timely manner, or at all, we will be forced to scale back our product development activities or our operations in a manner that will ensure we can discharge our obligations as they come due in the ordinary course of business.

Off-Balance Sheet Arrangements

We do not have any off-balance sheet arrangements that are currently material or reasonably likely to be material to our consolidated financial position or results of operations.

Income Taxes

As of December 31, 2011, we have net operating loss carryforwards for federal income tax purposes of approximately \$199.4 million which expire in the years 2012 through 2028, net operating loss carryforwards for state income tax purposes of approximately \$102.5 million which expire in the years 2014 through 2029, federal research and development credit carryforwards of approximately \$478,000 which expire in the year 2031, and state research and development carryforwards of approximately \$10.8 million, some of which expire in 2025 and some of which have no expiration. The realization of these future tax benefits is dependent upon our ability to generate sufficient taxable income within the carryforward period. Because of our history of operating losses, we believe that these benefits are not currently likely to be realized, and, accordingly, the net deferred tax assets have been fully offset by a valuation allowance.

Utilization of our net operating loss carryforwards are subject to substantial annual limitations due to ownership change limitations provided by the Internal Revenue Code and similar state provisions. The annual limitation will result in the expiration of the net operating losses before utilization. Our deferred tax assets have been adjusted for the expected limitation.

We have no unrecognized tax benefits as of December 31, 2011. We do not anticipate that total unrecognized tax benefits will significantly change prior to December 31, 2012. No interest and penalties expenses were recognized in the statements of operations for the years ended December 31, 2009, 2010, and 2011. We are subject to income tax examinations for U.S. Federal income taxes and state income taxes from 1997 forward due to net operating losses in tax years 1995 through 2009. We are subject to tax examinations in the United Kingdom from 2010 forward. We do not anticipate that total unrecognized tax benefits will significantly change prior to December 31, 2012.

ITEM 7A. QUANTITATIVE AND QUALITATIVE DISCLOSURES ABOUT MARKET RISK.

Foreign Currency Exchange Rate Risk

The functional currency of our subsidiary in the United Kingdom is British Pounds Sterling. We have certain cash balances, goodwill and other intangible assets, and other assets and liabilities denominated in British Pounds Sterling. As a result, we are exposed to foreign currency rate fluctuations and we do not hedge against the risk associated with such fluctuations. Consequently, changes in exchange rates could result in material exchange losses and could unpredictably, materially and adversely affect our operating results and stock price. A hypothetical 1% increase or decrease in foreign exchange rates would result in an approximate \$1.4 million increase or decrease, respectively, in our financial assets and liabilities denominated in British Pounds Sterling. This potential change is based on a sensitivity analysis performed on our financial position at December 31, 2011. Actual results may differ materially. We do not hold any derivative financial instruments to manage our foreign currency exchange rate risks.

Interest Rate Risk

Due to the short-term nature of our interest bearing assets, which consist primarily of certificates of deposit, money market funds, United States corporate obligations, and United States government and government agency obligations, we believe that our exposure to interest rate risk would not significantly affect our operations.

Our investment policy is to manage our marketable securities portfolio to preserve principal and liquidity while maximizing the return on the investment portfolio. Our marketable securities portfolio is primarily invested in corporate debt securities and debt securities issued by U.S. government agencies with an average maturity of less than one year and a minimum investment grade rating of A, A-1 or better to minimize credit risk. Although changes in interest rates may affect the fair value of the marketable securities portfolio and cause unrealized gains or losses, such gains or losses would not be realized unless the investments were to be sold prior to maturity.

Equity Securities Market Price Risk

As of December 31, 2011 and 2010, we owned 2.4 million shares of AVI common stock that is traded on the NASDAQ exchange. The fair market value of this investment as of December 31, 2011 was \$1.8 million. Decreases in the market price of the AVI stock may generate impairment charges in the future. Increases in the market price of the AVI stock will only generate gains in our statement of operations if the stock can be sold above its written-down carrying amount per share of \$1.12.

ITEM 8. FINANCIAL STATEMENTS AND SUPPLEMENTARY DATA.

All information required by this item is included on pages F-1 to F-32 in Item 15 of Part IV of this Report and is incorporated into this item by reference.

ITEM 9. CHANGES IN AND DISAGREEMENTS WITH ACCOUNTANTS ON ACCOUNTING AND FINANCIAL DISCLOSURE.

None.

ITEM 9A. CONTROLS AND PROCEDURES.

Evaluation of Disclosure Controls and Procedures.

We carried out an evaluation, under the supervision of and with the participation of our management, including our Chief Executive Officer and our Chief Financial Officer, of the effectiveness of our disclosure controls and procedures (as defined in Rules 13a-15(e) and 15d-15(e))

under the Securities Exchange Act of 1934, as amended) as of the end of the period covered by this report. Based on the evaluation, our Chief Executive Officer and Chief Financial Officer have concluded that as of December 31, 2011, our disclosure controls and procedures were effective.

Management's Report on Internal Control over Financial Reporting

Our management is responsible for establishing and maintaining an adequate internal control structure and procedures for financial reporting as defined in Exchange Act Rules 13a-15(f) and 15d-15(f). Our internal control over financial reporting is a process designed by, or under the supervision of, our Chief Executive Officer and Chief Financial Officer and effected by our board of directors, management and other personnel, 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. Our internal control over financial reporting includes those policies and procedures that:

- pertain to the maintenance of records that, in reasonable detail, accurately and fairly reflect our transactions and dispositions of assets;
- provide reasonable assurance that transactions are recorded as necessary to permit preparation of financial statements in accordance with generally accepted accounting principles, and that our receipts and expenditures are being made only in accordance with authorizations of our management and our board of directors; and
- provide reasonable assurance regarding prevention or timely detection of unauthorized acquisition, use, or disposition of our assets that could have a material effect on our 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.

Under the supervision of and with the participation of our management, including our Chief Executive Officer and our Chief Financial Officer, our management has assessed the effectiveness of internal control over financial reporting as of December 31, 2011. Our assessment was based on criteria set forth by the Committee of Sponsoring Organizations of the Treadway Commission ("COSO") in Internal Control-Integrated Framework. Based on using the COSO criteria, we believe our internal control over financial reporting as of December 31, 2011 was effective.

We have excluded from our assessment the internal control over financial reporting of ATL, which we acquired on July 20, 2011, as discussed in Note 5 of Notes to Consolidated Financial Statements included elsewhere in this Annual Report. Total assets of \$25,965,000 and net assets of \$11,474,000 as of December 31, 2011 were subject to ATL's internal control over financial reporting. Total revenues of \$5,886,000 and net loss of \$(5,966,000) for the fiscal year ended December 31, 2011 were subject to ATL's internal control over financial reporting.

Ernst & Young LLP, our independent registered public accounting firm, has issued an attestation report on the Company's internal control over financial reporting as of December 31, 2011 as included below.

Changes in Internal Control over Financial Reporting

There were no changes in our internal control over financial reporting that occurred during the fourth quarter of 2011 that have materially affected, or are reasonably likely to materially affect, our internal control over financial reporting.

Report Of Independent Registered Public Accounting Firm

The Board of Directors and Stockholders of Astex Pharmaceuticals, Inc.

We have audited Astex Pharmaceuticals, Inc.'s internal control over financial reporting as of December 31, 2011, based on criteria established in Internal Control—Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission (the COSO criteria). Astex Pharmaceuticals, 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.

As indicated in the accompanying Management's Report on Internal Control over Financial Reporting, management's assessment of and conclusion on the effectiveness of internal control over financial reporting did not include the internal controls of Astex Therapeutics Limited, which is included in the 2011 consolidated financial statements of Astex Pharmaceuticals, Inc. As of December 31, 2011, \$25,965,000 and \$11,474,000 of the total and net assets, respectively, and, for the year ended December 31, 2011, \$5,886,000 and \$(5,966,000) of the total revenues and net loss, respectively, included in the consolidated financial statements of Astex Pharmaceuticals, Inc. were subject to the internal control over financial reporting of Astex Therapeutics Limited. Our audit of internal control over financial reporting of Astex Pharmaceuticals, Inc. also did not include an evaluation of the internal control over financial reporting of Astex Therapeutics Limited.

In our opinion, Astex Pharmaceuticals, Inc. maintained, in all material respects, effective internal control over financial reporting as of December 31, 2011, based on the COSO criteria.

We also have audited, in accordance with the standards of the Public Company Accounting Oversight Board (United States), the consolidated balance sheets of Astex Pharmaceuticals, Inc. as of December 31, 2011 and 2010, and the related consolidated statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2011 of Astex Pharmaceuticals, Inc. and our report dated March 15, 2012 expressed an unqualified opinion thereon.

/s/ ERNST & YOUNG LLP

Redwood City, California
March 15, 2012

ITEM 9B. OTHER INFORMATION.

None.

PART III

ITEM 10. DIRECTORS, EXECUTIVE OFFICERS AND CORPORATE GOVERNANCE.

Information regarding our Board of Directors is incorporated by reference to the section entitled “Election of Directors” appearing in our definitive Proxy Statement for the 2012 Annual Meeting of Stockholders to be filed with the Commission within 120 days after the end of our fiscal year pursuant to Regulation 14A (the “Proxy Statement”).

Audit Committee

Information regarding the Audit Committee is incorporated by reference to the Proxy Statement.

Audit Committee Financial Expert

Information regarding the financial expert(s) on the Audit Committee is incorporated by reference to the Proxy Statement.

Code of Ethics

Information regarding the Code of Ethics is incorporated by reference to the Proxy Statement.

Corporate Governance

Information regarding Corporate Governance is incorporated by reference to the Proxy Statement.

Section 16(a) Beneficial Ownership Reporting Compliance

Information regarding Section 16(a) beneficial ownership reporting compliance is set forth under “Voting Securities of Principal Stockholders and Management” in the Proxy Statement, which information is incorporated herein by reference.

ITEM 11. EXECUTIVE COMPENSATION.

Information regarding executive compensation is incorporated by reference to the information set forth under the caption “Compensation of Directors and Executive Officers,” including Compensation Committee Interlocks and Insider Participation, in the Proxy Statement. The information included under the heading “Compensation Committee Report” in the Proxy Statement is incorporated herein by reference; however, this information shall not be deemed to be “soliciting material” or to be “filed” with the Commission or subject to Regulation 14A or 14C, or to the liabilities of Section 18 of the Exchange Act.

ITEM 12. SECURITY OWNERSHIP OF CERTAIN BENEFICIAL OWNERS AND MANAGEMENT AND RELATED STOCKHOLDER MATTERS.

Information regarding security ownership of certain beneficial owners and management is incorporated by reference to the information set forth under the caption “Voting Securities of Principal Stockholders and Management” in the Proxy Statement. Information regarding our Equity Compensation Plans is incorporated by reference to the Proxy Statement.

ITEM 13. CERTAIN RELATIONSHIPS AND RELATED TRANSACTIONS AND DIRECTOR INDEPENDENCE.

Information regarding certain relationships and related transactions is incorporated by reference to the information set forth under the caption “Certain Transactions” in the Proxy Statement. Certain of our relationships and related transactions are addressed in the “Management’s Discussion and Analysis of Financial Condition and Results of Operations” section of this report. The information regarding director independence is incorporated herein by reference to the Proxy Statement.

ITEM 14. PRINCIPAL ACCOUNTANT FEES AND SERVICES.

Information regarding principal auditor fees and services is set forth under “Principal Accounting Fees and Services” in the Proxy Statement, which information is incorporated herein by reference.

PART IV

ITEM 15. EXHIBITS AND FINANCIAL STATEMENT SCHEDULES.

(a) The following documents are filed as part of this report:

1. *All Financial Statements:*

The following financial statements and report of Ernst & Young LLP, Independent Registered Public Accounting Firm, are included in Part IV of this Report on the pages indicated:

| | <u>Page</u> |
|---|-------------|
| Report of Independent Registered Public Accounting Firm | F-1 |
| Consolidated Balance Sheets | F-2 |
| Consolidated Statements of Operations | F-3 |
| Consolidated Statement of Stockholders' Equity | F-4 |
| Consolidated Statements of Cash Flows | F-5 |
| Notes to Consolidated Financial Statements | F-6 |

2. *Financial Statement Schedules:*

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

3. *Exhibits:*

| <u>Exhibit Number</u> | <u>Description of Document</u> |
|---------------------------|--|
| (d)3.1 | Amended and Restated Certificate of Incorporation of the Registrant. |
| (l)3.2 | Bylaws of the Registrant, as amended and restated on July 20, 2011. |
| (t) 3.3 | Certificate of Ownership and Merger dated September 9, 2011 between SuperGen, Inc. and Astex Pharmaceuticals, Inc. |
| (g)4.1 | Specimen Common Stock Certificate. |
| (f)10.1 | Form of Indemnification Agreement between the Registrant and each of its directors and officers. |
| (**)(q)10.2 | 2003 Stock Plan, as amended effective March 11, 2010. |
| (**)(i)10.3 | 2008 Employee Stock Purchase Plan as amended March 17, 2011. |
| (**)(i)10.4 | Astex Therapeutics Limited 2010 Share Option Scheme. |
| (**)(i)10.5 | Astex Technology Limited Enterprise Management Incentive Scheme. |
| (**)(i)10.6 | Astex Technology Share Option Plan for Consultants. |
| (**)(b)10.7 | Amended and Restated Executive Employment and Confidential Information and Invention Assignment Agreement dated March 10, 2011 between Registrant and James S.J. Manuso. |
| (**)(s) 10.8 | Employment Agreement between Astex Technology Limited and Harren Jhoti dated April 1, 2005. |
| (**)(s) 10.9 | Employment Agreement between Astex Technology Limited and Martin Buckland dated September 8, 2004. |
| (**)(c)10.10 | Severance Benefit Plan for Officers. |
| (d)10.11 | Office Building Lease dated June 23, 2000 between the Registrant and Koll Dublin Corporate Center, L.P. |
| (p)10.12 | First Amendment to Lease between the Registrant and Dublin Corporate Center Two, L.P. made as of August 2, 2010. |
| (u)10.13 | Underlease Agreement between Astex Technology Limited and Trinity College (CSP) Limited dated March 20, 2003. |

| Exhibit Number | Description of Document |
|-------------------|--|
| (k)10.14 | Common Stock and Warrant Purchase Agreement dated April 4, 2000 between the Registrant and AVI BioPharma, Inc. |
| (k)10.15 | United States of America Sales, Distribution, and Development Agreement dated April 4, 2000 between the Registrant and AVI BioPharma, Inc. |
| (j)10.16 | Registration Rights Agreement dated April 4, 2000 between the Registrant and AVI BioPharma, Inc. |
| (*)(h)10.17 | Amended and Restated License Agreement effective September 21, 2004 between the Registrant and MGI PHARMA, Inc. |
| (h)10.18 | Common Stock Purchase Agreement dated August 31, 2004 between the Registrant and MGI PHARMA, Inc. |
| (h)10.19 | Investor Rights Agreement dated August 31, 2004 between the Registrant and MGI PHARMA, Inc. |
| (n)10.20 | Amended and Restated Agreement and Plan of Merger and Reorganization, dated March 30, 2006, by and among the Registrant, King's Peak Acquisition Corporation, Montigen Pharmaceuticals, Inc., James Clarke, as Stockholder Representative and U.S. Bank National Association, as Escrow Agent. |
| (o)10.21 | Asset Acquisition Agreement, dated June 21, 2006, between the Registrant and Mayne Pharma (USA), Inc. |
| (m)10.22 | Asset Acquisition Agreement Amendment dated August 22, 2006 between the Registrant and Mayne Pharma (USA), Inc. |
| (a)10.23 | Asset Acquisition Agreement, dated November 25, 2006, between the Registrant and Mayne Pharma plc. |
| (*)(e)10.24 | Amended and Restated Commercial Research and License Agreement dated November 6, 2009 between the Registrant and GlaxoSmithKline. |
| (e)10.25 | Common Stock Purchase Agreement dated October 22, 2009 between the Registrant and GlaxoSmithKline. |
| (r)10.26 | Implementation Agreement, dated April 6, 2011, between the Registrant and Astex Therapeutics Limited. |
| 23.1 | Consent of Independent Registered Public Accounting Firm. |
| 31.1 | Certification of Chief Executive Officer under Section 302(a) of the Sarbanes-Oxley Act of 2002. |
| 31.2 | Certification of Chief Financial Officer under Section 302(a) of the Sarbanes-Oxley Act of 2002. |
| 32.1 | Certifications of Chief Executive Officer and Chief Financial Officer pursuant to 18 U.S.C. Section 1350, as adopted pursuant to Section 906 of the Sarbanes-Oxley Act of 2002. |
| 101.INS | XBRL Instance Document*** |
| 101.SCH | XBRL Taxonomy Extension Schema Document*** |
| 101.CAL | XBRL Taxonomy Extension Calculation Linkbase Document*** |
| 101.DEF | XBRL Taxonomy Extension Definition Linkbase Document*** |
| 101.LAB | XBRL Taxonomy Extension Label Linkbase Document*** |
| 101.PRE | XBRL Taxonomy Extension Presentation Linkbase Document*** |

(*) Confidential treatment has been previously granted for certain portions of these exhibits.

(**) Indicates a management contract or compensatory plan or arrangement.

(***)XBRL (Extensible Business Reporting Language) information is furnished and not filed or a part of a registration statement or prospectus for purposes of Sections 11 or 12 of the Securities Act of

1933, is deemed not filed for purposes of Section 18 of the Securities Exchange Act of 1934, and otherwise is not subject to liability under these sections.

- (a) Incorporated by reference from the Registrant's Report on Form 8-K dated November 25, 2006 filed with the Securities and Exchange Commission on November 28, 2006.
- (b) Incorporated by reference from the Registrant's Report on Form 8-K dated March 10, 2011 filed with the Securities and Exchange Commission on March 11, 2011.
- (c) Incorporated by reference from the Registrant's Report on Form 8-K dated October 28, 2008 filed with the Securities and Exchange Commission on October 31, 2008.
- (d) Incorporated by reference from the Registrant's Report on Form 10-Q filed with the Securities and Exchange Commission on August 11, 2000.
- (e) Incorporated by reference from the Registrant's Report on Form 10-Q filed with the Securities and Exchange Commission on November 9, 2009.
- (f) Incorporated by reference from the Registrant's Report on Form 10-K filed with the Securities and Exchange Commission on March 31, 2003.
- (g) Incorporated by reference from the Registrant's Report on Form 10-K filed with the Securities and Exchange Commission on March 19, 1998.
- (h) Incorporated by reference from the Registrant's Report on Form 10-Q filed with the Securities and Exchange Commission on November 9, 2004.
- (i) Incorporated by reference from the Registrant's Registration Statement on Form S-8 (Reg. No. 333-175765) filed with the Securities and Exchange Commission on July 25, 2011.
- (j) Incorporated by reference from the Registrant's Registration Statement on Form S-3 (Reg. No. 333-52326) filed with the Securities and Exchange Commission on December 20, 2000.
- (k) Incorporated by reference from the Registrant's Report on Form 10-K filed with the Securities and Exchange Commission on March 23, 2001.
- (l) Incorporated by reference from the Registrant's Report on Form 10-Q filed with the Securities and Exchange Commission on August 9, 2011.
- (m) Incorporated by reference from the Registrant's Report on Form 8-K dated August 22, 2006 filed with the Securities and Exchange Commission on August 28, 2006.
- (n) Incorporated by reference from the Registrant's Report on Form 8-K dated April 4, 2006 filed with the Securities and Exchange Commission on April 7, 2006.
- (o) Incorporated by reference from the Registrant's Report on Form 8-K dated June 21, 2006 filed with the Securities and Exchange Commission on June 27, 2006.
- (p) Incorporated by reference from the Registrant's Report on Form 8-K dated August 2, 2010 filed with the Securities and Exchange Commission on August 6, 2010.
- (q) Incorporated by reference from the Registrant's Registration Statement on Form S-8 (Reg. No. 333-169473) filed with the Securities and Exchange Commission on September 17, 2010.
- (r) Incorporated by reference from the Registrant's Report on Form 8-K dated April 6, 2011 filed with the Securities and Exchange Commission on April 7, 2011.
- (s) Incorporated by reference from the Registrant's Report on Form 8-K dated July 20, 2011 filed with the Securities and Exchange Commission on July 26, 2011.

- (t) Incorporated by reference from the Registrant's Report on Form 8-K dated September 9, 2011 filed with the Securities and Exchange Commission on September 13, 2011.
- (u) Incorporated by reference from the Registrant's Report on Form 10-Q filed with the Securities and Exchange Commission on November 9, 2011.
- (b) *Exhibits.* See Item 15(a) above.
- (c) *Financial Statement Schedules.* See Item 15(a) above.

REPORT OF INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

The Board of Directors and Stockholders of Astex Pharmaceuticals, Inc.

We have audited the accompanying consolidated balance sheets of Astex Pharmaceuticals, Inc. as of December 31, 2011 and 2010, and the related consolidated statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2011. 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 consolidated financial position of Astex Pharmaceuticals, Inc. at December 31, 2011 and 2010, and the consolidated results of its operations and its cash flows for each of the three years in the period ended December 31, 2011, 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), Astex Pharmaceuticals, Inc.'s internal control over financial reporting as of December 31, 2011, based on criteria established in Internal Control—Integrated Framework issued by the Committee of Sponsoring Organizations of the Treadway Commission and our report dated March 15, 2012 expressed an unqualified opinion thereon.

/s/ ERNST & YOUNG LLP

Redwood City, California
March 15, 2012

ASTEX PHARMACEUTICALS, INC.
CONSOLIDATED BALANCE SHEETS
(In thousands, except share and per share amounts)

| | December 31, | |
|---|---------------------|-------------|
| | 2011 | 2010 |
| ASSETS | | |
| Current assets: | | |
| Cash and cash equivalents | \$ 39,788 | \$ 25,554 |
| Marketable securities | 86,444 | 89,699 |
| Accounts receivable | 5,189 | 615 |
| Income tax receivable | 2,963 | 40 |
| Prepaid expenses and other current assets | 2,186 | 715 |
| Total current assets | 136,570 | 116,623 |
| Marketable securities, non-current | 1,819 | 5,124 |
| Property, plant and equipment, net | 7,013 | 3,932 |
| Goodwill | 44,794 | 731 |
| Other intangible assets, net | 86,198 | — |
| Restricted cash | — | 2,134 |
| Other assets | 554 | 554 |
| Total assets | \$ 276,948 | \$ 129,098 |
| LIABILITIES AND STOCKHOLDERS' EQUITY | | |
| Current liabilities: | | |
| Accounts payable | \$ 7,529 | \$ 1,198 |
| Accrued compensation | 5,324 | 3,556 |
| Other accrued liabilities | 613 | 794 |
| Deferred acquisition consideration | 17,353 | — |
| Deferred tax liability | 3,342 | — |
| Deferred revenue | 509 | 509 |
| Total current liabilities | 34,670 | 6,057 |
| Warrant liability | 187 | — |
| Deferred acquisition consideration, non-current | 11,624 | — |
| Deferred tax liability, non-current | 9,545 | — |
| Deferred revenue, non-current | 921 | 1,429 |
| Total liabilities | 56,947 | 7,486 |
| Commitments and contingencies | | |
| Stockholders' equity: | | |
| Preferred stock, \$.001 par value; 2,000,000 shares authorized; none outstanding | — | — |
| Common stock, \$.001 par value; 150,000,000 shares authorized; 93,049,938 and 60,357,593 shares issued and outstanding at December 31, 2011 and December 31, 2010, respectively | 93 | 60 |
| Additional paid in capital | 561,355 | 459,482 |
| Accumulated other comprehensive income (loss) | (6,677) | 2,382 |
| Accumulated deficit | (334,770) | (340,312) |
| Total stockholders' equity | 220,001 | 121,612 |
| Total liabilities and stockholders' equity | \$ 276,948 | \$ 129,098 |

See accompanying notes to consolidated financial statements

ASTEX PHARMACEUTICALS, INC.
CONSOLIDATED STATEMENTS OF OPERATIONS
(In thousands, except per share amounts)

| | <u>Year ended December 31,</u> | | |
|---|--------------------------------|-----------------|-----------------|
| | <u>2011</u> | <u>2010</u> | <u>2009</u> |
| Revenues: | | | |
| Royalty revenue | \$60,519 | \$52,463 | \$41,156 |
| Development and license revenue | 6,395 | 509 | 97 |
| Total revenues | <u>66,914</u> | <u>52,972</u> | <u>41,253</u> |
| Operating expenses: | | | |
| Research and development | 43,895 | 28,394 | 29,689 |
| General and administrative | 16,842 | 9,442 | 8,994 |
| Amortization of intangibles and impairment charge | 4,465 | — | — |
| Gain on sale of products | (700) | (750) | (595) |
| Total operating expenses | <u>64,502</u> | <u>37,086</u> | <u>38,088</u> |
| Income from operations | 2,412 | 15,886 | 3,165 |
| Interest income | 226 | 182 | 686 |
| Other income (expense) | (384) | 244 | — |
| Income before income taxes | 2,254 | 16,312 | 3,851 |
| Income tax benefit (provision) | 3,288 | (39) | 886 |
| Net income | <u>\$ 5,542</u> | <u>\$16,273</u> | <u>\$ 4,737</u> |
| Net income per common share: | | | |
| Basic | <u>\$ 0.07</u> | <u>\$ 0.27</u> | <u>\$ 0.08</u> |
| Diluted | <u>\$ 0.07</u> | <u>\$ 0.27</u> | <u>\$ 0.08</u> |
| Weighted average shares outstanding: | | | |
| Basic | <u>75,072</u> | <u>60,287</u> | <u>59,316</u> |
| Diluted | <u>75,751</u> | <u>60,635</u> | <u>59,340</u> |

See accompanying notes to consolidated financial statements

ASTEX PHARMACEUTICALS, INC.
CONSOLIDATED STATEMENT OF STOCKHOLDERS' EQUITY
(In thousands)

| | Common Stock | | Additional Paid in Capital | Accumulated Other Comprehensive Income (Loss) | Accumulated Deficit | Total |
|---|--------------|--------|----------------------------------|--|------------------------|-----------|
| | Shares | Amount | | | | |
| Balances at January 1, 2009 | 59,082 | \$59 | \$452,524 | \$ (770) | \$(361,322) | \$ 90,491 |
| Comprehensive income: | | | | | | |
| Net income | — | — | — | — | 4,737 | 4,737 |
| Other comprehensive income (loss) | | | | | | |
| Change in unrealized gain (loss) on investments | — | — | — | 1,567 | — | 1,567 |
| Comprehensive income | | | | | | 6,304 |
| Issuance of common stock to GSK | 990 | 1 | 2,454 | — | — | 2,455 |
| Issuance of common stock upon exercise of stock options | 60 | — | 128 | — | — | 128 |
| Issuance of common stock in connection with employee stock purchase plan | 67 | — | 101 | — | — | 101 |
| Compensation expense from stock option grants | — | — | 2,507 | — | — | 2,507 |
| Balances at December 31, 2009 | 60,199 | 60 | 457,714 | 797 | (356,585) | 101,986 |
| Comprehensive income: | | | | | | |
| Net income | — | — | — | — | 16,273 | 16,273 |
| Other comprehensive income (loss) | | | | | | |
| Change in unrealized gain (loss) on investments | — | — | — | 1,585 | — | 1,585 |
| Comprehensive income | | | | | | 17,858 |
| Issuance of common stock upon exercise of stock options | 92 | — | 182 | — | — | 182 |
| Issuance of common stock in connection with employee stock purchase plan | 67 | — | 154 | — | — | 154 |
| Compensation expense from stock option grants | — | — | 1,432 | — | — | 1,432 |
| Balances at December 31, 2010 | 60,358 | 60 | 459,482 | 2,382 | (340,312) | 121,612 |
| Comprehensive income (loss): | | | | | | |
| Net income | — | — | — | — | 5,542 | 5,542 |
| Other comprehensive income (loss) | | | | | | |
| Change in unrealized gain (loss) on investments | — | — | — | (3,278) | — | (3,278) |
| Change in cumulative foreign currency translation loss | — | — | — | (5,781) | — | (5,781) |
| Comprehensive loss | | | | | | (3,517) |
| Issuance of common stock for acquisition of Astex Therapeutics Ltd. | 32,353 | 32 | 95,087 | — | — | 95,119 |
| Assumption of stock options in connection with acquisition of Astex Therapeutics Ltd. | — | — | 3,270 | — | — | 3,270 |
| Issuance of common stock upon exercise of stock options | 263 | 1 | 282 | — | — | 283 |
| Issuance of common stock in connection with employee stock purchase plan | 76 | — | 151 | — | — | 151 |
| Compensation expense from stock option grants | — | — | 3,083 | — | — | 3,083 |
| Balances at December 31, 2011 | 93,050 | \$93 | \$561,355 | \$(6,677) | \$(334,770) | \$220,001 |

See accompanying notes to consolidated financial statements

ASTEX PHARMACEUTICALS, INC.
CONSOLIDATED STATEMENTS OF CASH FLOWS
(In thousands)

| | Year ended December 31, | | |
|---|-------------------------|------------------|-----------------|
| | 2011 | 2010 | 2009 |
| Operating activities: | | | |
| Net income | \$ 5,542 | \$ 16,273 | \$ 4,737 |
| Adjustments to reconcile net income to net cash provided by operating activities: | | | |
| Depreciation | 1,437 | 1,280 | 1,221 |
| Amortization of intangibles and impairment charge | 4,465 | — | 106 |
| Gain on sale of property and equipment | 75 | — | — |
| Stock-based compensation expense | 3,083 | 1,432 | 2,507 |
| Change in valuation of deferred acquisition consideration | 628 | — | — |
| Change in valuation of warrant liability | (114) | — | — |
| Recognition of gain on sale of products | (700) | (700) | (500) |
| Changes in operating assets and liabilities: | | | |
| Accounts receivable | (1,449) | — | — |
| Income tax receivable | (1,455) | 864 | (818) |
| Prepaid expenses and other assets | 7 | (229) | 71 |
| Restricted cash | 2,134 | 121 | 112 |
| Accounts payable and other accrued liabilities | 2,478 | (535) | (1,191) |
| Deferred tax liability | (1,793) | — | — |
| Deferred revenue | (1,986) | (510) | 2,448 |
| Net cash provided by operating activities | <u>12,352</u> | <u>17,996</u> | <u>8,693</u> |
| Investing activities: | | | |
| Purchases of marketable securities | (95,269) | (169,107) | (133,310) |
| Sales and maturities of marketable securities | 98,551 | 168,954 | 81,196 |
| Proceeds from sale of products | 700 | 700 | 500 |
| Purchases of property and equipment | (1,376) | (1,007) | (989) |
| Proceeds from sale of property and equipment | 101 | — | — |
| Acquisition of Astex Therapeutics net assets, net of cash acquired | (269) | — | — |
| Net cash provided by (used in) investing activities | <u>2,438</u> | <u>(460)</u> | <u>(52,603)</u> |
| Financing activities: | | | |
| Proceeds from issuances of common stock | 434 | 336 | 2,684 |
| Net cash provided by financing activities | <u>434</u> | <u>336</u> | <u>2,684</u> |
| Effect of foreign exchange rate changes on cash and cash equivalents | (990) | — | — |
| Net increase in cash and cash equivalents | 14,234 | 17,872 | (41,226) |
| Cash and cash equivalents at beginning of period | 25,554 | 7,682 | 48,908 |
| Cash and cash equivalents at end of period | <u>\$ 39,788</u> | <u>\$ 25,554</u> | <u>\$ 7,682</u> |
| Supplemental Disclosure of Non-Cash Investing Activities: | | | |
| Common stock issued in connection with Astex Therapeutics acquisition | \$ 95,119 | \$ — | \$ — |
| Fair value of stock options assumed in connection with Astex Therapeutics acquisition | 3,270 | — | — |
| Fair value of warrants assumed in connection with Astex Therapeutics acquisition | 301 | — | — |
| Supplemental Disclosure of Cash Flow Information: | | | |
| Income taxes paid in cash during the period | \$ 39 | \$ 4 | \$ — |

See accompanying notes to condensed consolidated financial statements

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS

1. Summary of Significant Accounting Policies

Description of Business

Astex Pharmaceuticals, Inc. (“Astex Pharmaceuticals,” “we,” “us” or the “Company”) was incorporated as SuperGen, Inc. in California in March 1991. We changed our state of incorporation to Delaware in 1997 and changed our name to Astex Pharmaceuticals, Inc. in September 2011. We are a pharmaceutical company dedicated to the discovery and development of therapies to treat patients with cancer. Operating segments are determined based upon the way we organize our business for making operating decisions and assessing performance. We have one operating segment—the development and commercialization of human therapeutics.

Principles of Consolidation

Our consolidated financial statements include the accounts of Astex Pharmaceuticals, Inc. and its wholly-owned subsidiaries. Operating results include the results of Astex Therapeutics Limited (“ATL”), our wholly owned subsidiary based in the United Kingdom, from the acquisition date of July 20, 2011 (see Note 5). The financial statements of ATL have been translated from their functional currency of British Pounds Sterling into US dollars using period-end exchange rates for assets and liabilities and weighted average exchange rates for operating results. Goodwill and intangible asset balances arising from the acquisition of ATL are also denominated in British Pounds Sterling and are translated into US dollars at period-end exchange rates. Translation gains and losses are included in accumulated other comprehensive income (loss) in stockholders’ equity. Foreign currency transaction gains and losses are included in the results of operations in other income (expense). All intercompany balances and transactions have been eliminated in consolidation.

Use of Estimates

The preparation of financial statements in conformity with accounting principles generally accepted in the United States requires us to make estimates and assumptions that affect the amounts reported in the financial statements and accompanying notes. Actual results may differ from those estimates.

Revenue Recognition

We recognize royalty revenue when we receive the related royalty statement because we do not have sufficient ability to accurately estimate the underlying sales prior to that time. We recognize milestone fees upon completion of specified substantive at-risk milestones according to the related contract terms.

We enter into revenue arrangements with multiple deliverables, such as intellectual property rights and research and development services. For these arrangements, we generally have not met the criteria to separate the deliverables for revenue recognition purposes and we have treated the deliverables as a combined unit of accounting. As such, non-refundable up-front payments received in connection with research and license agreements have been deferred and recognized on a straight-line basis over the relevant estimated periods of continuing involvement, generally the research term. We re-evaluate the period of continuing involvement each reporting period and adjust our estimates accordingly. Advance payments in excess of amounts earned are classified as deferred revenue until earned.

On January 1, 2011, we prospectively adopted Financial Accounting Standards Board (“FASB”) Accounting Standards Update 2009-13, Multiple Deliverable Revenue Arrangements (“ASU 2009-13”),

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

1. Summary of Significant Accounting Policies (Continued)

and Accounting Standards Update 2010-17, Revenue Recognition—Milestone Method (“ASU 2010-17”). ASU 2009-13 addresses the accounting for multiple-deliverable arrangements to potentially enable vendors to account for products or services separately rather than as a combined unit, and modifies the manner in which the transaction consideration is allocated across the separately identified deliverables. ASU 2010-17 amends previous standards to define a milestone and clarify that the milestone method of revenue recognition is a valid application of the proportional performance model when applied to research or development arrangements. Accordingly, we have made an accounting policy election to recognize a payment that is contingent upon the achievement of a substantive milestone in its entirety in the period in which the milestone is achieved. The adoption of these pronouncements did not have a material effect on our consolidated financial statements in the year ended December 31, 2011. However, ASU 2009-13 may have a material effect upon any collaboration agreements that we enter into in future periods.

Other Income (Expense)

Other expense of \$384,000 recorded in the year ended December 31, 2011 represents losses on foreign currency transactions and disposals of fixed assets, offset by changes in the value of a warrant liability. Other income of \$244,000 recorded in the year ended December 31, 2010 represents receipt of proceeds under the Qualifying Therapeutic Discovery Project program relating to our qualifying research and development programs.

Research and Development

Research and development expenditures, including direct and allocated expenses, are charged to expense as incurred. These expenditures include salaries and employee-related expenses; fees paid to physicians, hospitals, or other research institutions for clinical and non-clinical studies; fees paid to outside contractors for monitoring of clinical sites or collection and analysis of data; costs associated with the research and manufacture of clinical drug supplies; and payments made under technology license agreements prior to regulatory approval of drug candidates.

Cash, Cash Equivalents and Marketable Securities

Cash and cash equivalents include bank demand deposits, commercial paper, marketable securities with maturities of three months or less when purchased, and money market funds which invest primarily in U.S. government and U.S. government agency obligations. These instruments are highly liquid and market risk is minimized by investing in highly rated securities. Cash equivalents are reported at fair value.

Marketable securities consist of corporate or government agency debt securities and equity securities that have a readily ascertainable market value based on quoted market prices or other observable inputs and are readily marketable. These investments are reported at fair value. All cash equivalents and marketable securities are designated as available-for-sale, with unrealized gains and losses included in accumulated other comprehensive income (loss) in stockholders' equity. A decline in the market value of a security below its cost that is deemed to be other than temporary is charged to earnings, and results in the establishment of a new cost basis for the security. The cost of securities sold is determined using the specific identification method.

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

1. Summary of Significant Accounting Policies (Continued)

Equity Investments

Equity investments in securities without readily determinable fair value, which consist of investments in privately held companies, are carried at cost. As of December 31, 2011 and 2010 we held one such investment with a carrying amount of \$500,000. This investment is included in other assets on the consolidated balance sheets. We periodically review this investment carried at cost and evaluate whether an impairment has occurred. We believe this equity investment continues to be realizable.

Fair Value of Financial Instruments

Fair value is defined as the exchange price that would be received to sell an asset or paid to transfer a liability (an exit price) in the principal or most advantageous market for the asset or liability in an orderly transaction between market participants on the measurement date. The following three levels of inputs may be used to measure fair value under the fair value hierarchy:

- Level 1—Quoted prices in active markets for identical assets or liabilities that can be accessed at the measurement date.
- Level 2—Observable inputs other than quoted prices included within Level 1, such as quoted prices for similar assets or liabilities in active markets or other inputs that are observable or can be corroborated by observable market data for substantially the full term of the assets or liabilities.
- Level 3—Unobservable inputs that are supported by little or no market activity.

If the inputs used to measure the financial assets and liabilities fall within more than one of the different levels described above, the categorization is based on the lowest level input that is significant to the fair value measurement of the instrument.

As of December 31, 2011, we held \$112,030,000 of cash equivalents and marketable securities consisting of equity securities, high quality marketable debt instruments of the U.S. government and U.S. government agencies, commercial paper, and money market funds. We have adopted an investment policy and established guidelines relating to credit quality, diversification and maturities of our investments to preserve principal and maintain liquidity. All investment securities are issued by or guaranteed by the U.S. government and its Federal Agencies or have a credit rating of at least long-term of A or short-term of A1/P1 as determined by Moody's Investors Service and/or Standard & Poor's. We do not have any direct investments in auction-rate securities or securities that are collateralized by assets that include mortgages or subprime debt.

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

1. Summary of Significant Accounting Policies (Continued)

The fair value measurements of our financial assets and liabilities are identified at the following levels within the fair value hierarchy (in thousands):

| | Fair Value Measurements Using | | | Total |
|---|--|---|--|------------------|
| | Quoted Prices in Active Markets for Identical Assets (Level 1) | Significant Other Observable Inputs (Level 2) | Significant Unobservable Inputs (Level 3) | |
| At December 31, 2011 | | | | |
| Financial assets carried at fair value: | | | | |
| Money market funds | \$ — | \$ 4,469 | — | \$ 4,469 |
| Commercial paper | — | 31,394 | — | 31,394 |
| Corporate notes | — | 1,435 | — | 1,435 |
| U.S. government and U.S. government agency notes | — | 72,913 | — | 72,913 |
| Equity securities | <u>1,819</u> | <u>—</u> | <u>—</u> | <u>1,819</u> |
| Total | <u>\$1,819</u> | <u>\$110,211</u> | <u>—</u> | <u>\$112,030</u> |
| Financial liabilities carried at fair value: | | | | |
| Warrant liability | — | — | \$ 187 | \$ 187 |
| Deferred acquisition consideration | — | — | 28,977 | 28,977 |
| Total | <u>—</u> | <u>—</u> | <u>\$29,164</u> | <u>\$ 29,164</u> |
| At December 31, 2010 | | | | |
| Financial assets carried at fair value: | | | | |
| Money market funds | \$ — | \$ 1,044 | — | \$ 1,044 |
| Commercial paper | — | 35,413 | — | 35,413 |
| U.S. government and U.S. government agency notes | — | 77,157 | — | 77,157 |
| Equity securities | <u>5,124</u> | <u>—</u> | <u>—</u> | <u>5,124</u> |
| Total | <u>\$5,124</u> | <u>\$113,614</u> | <u>—</u> | <u>\$118,738</u> |

The following table provides reconciliations of financial liabilities measured at fair value using significant unobservable inputs (Level 3)(in thousands):

| | Deferred Acquisition Consideration | Warrant Liability |
|---|--|----------------------|
| Balances recognized at acquisition date of July 20, 2011. | \$28,349 | \$ 301 |
| Change in value | <u>628</u> | <u>(114)</u> |
| Balances at December 31, 2011 | <u>\$28,977</u> | <u>\$ 187</u> |

Significant inputs and assumptions used to estimate the fair values of the deferred acquisition consideration are discussed in Note 5. The change in fair value of the deferred acquisition consideration is included in general and administrative expenses on the accompanying statement of operations for the year ended December 31, 2011.

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

1. Summary of Significant Accounting Policies (Continued)

The fair value of the warrant liability is estimated using the Black-Scholes option-pricing model based on the assumptions noted in the following table:

| | Fair Value | |
|------------------------------------|----------------------|------------------|
| | December 31, 2011 | July 20, 2011 |
| Risk-free interest rate | 1.32% | 1.95% |
| Dividend yield | — | — |
| Expected volatility | 69.18% | 55.97% |
| Expected life (in years) | 5.75 | 6.25 |

We compute expected volatility using a blend of historical and implied volatility of our common stock based on the period of time corresponding to the expected life of the warrants. The expected life of the warrants is estimated to equal their remaining contractual term. The risk-free interest rate is based on the U.S. Treasury yield curve in effect at the time of measurement that is commensurate with the expected life assumption. The dividend yield is zero as we do not expect to pay any dividends in the foreseeable future. The change in fair value of the warrant liability is included in other income (expense) on the accompanying statement of operations for the year ended December 31, 2011.

During 2011 an impairment charge was recorded for an indefinite lived intangible asset equal to the difference between the carrying value and the fair value of the asset. The fair value of the asset was measured using the income approach including significant unobservable inputs (Level 3). The inputs and assumptions are discussed in Note 6.

Restricted Cash and Investments

Under one of our operating lease agreements as noted in Note 12 below, we were required to set aside cash and/or investments as collateral for two letters of credit. At December 31, 2010 we had \$2,134,000 of restricted cash related to this agreement.

Property, Plant and Equipment

Property, plant and equipment are stated at cost. Depreciation of building, office and manufacturing equipment and furniture and fixtures is provided on a straight-line basis over the estimated original useful lives of the respective assets, as noted below. Leasehold improvements are amortized over the shorter of the life of the lease or their estimated useful lives using the straight-line method.

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

1. Summary of Significant Accounting Policies (Continued)

Property, plant and equipment consist of the following at December 31 (in thousands):

| | <u>2011</u> | <u>2010</u> | <u>Estimated Useful Lives</u> |
|--|-----------------|-----------------|-----------------------------------|
| Land | \$ 324 | \$ 324 | N/A |
| Building and building improvements | 4,400 | 2,671 | 20-31 years |
| Leasehold improvements | 2,927 | 2,778 | 5-10 years |
| Equipment | 6,754 | 5,109 | 5 years |
| Furniture and fixtures | 3,204 | 3,017 | 3-5 years |
| Construction in process | — | 95 | N/A |
| Total property and equipment | <u>17,609</u> | <u>13,994</u> | |
| Less accumulated depreciation and amortization | <u>(10,596)</u> | <u>(10,062)</u> | |
| Property, plant and equipment, net | <u>\$ 7,013</u> | <u>\$ 3,932</u> | |

Goodwill and Other Intangible Assets

Goodwill represents the excess of the consideration transferred over the fair values of assets acquired and liabilities assumed in a business combination. Other intangible assets with indefinite useful lives are related to trademarks and acquired in-process research and development projects and are measured at their respective fair values as of the acquisition date. We do not amortize goodwill and other intangible assets with indefinite useful lives. We test goodwill and other indefinite-lived intangible assets for impairment on an annual basis (in December) and in between annual tests if we become aware of any events occurring or changes in circumstances that would indicate a reduction in the fair value of the assets below their carrying amounts.

We test goodwill for impairment at the Company-wide level, and determined that we have one operating segment and no components within the Company that represent separate businesses and for which discrete financial information is available and regularly reviewed by our management. The annual test for goodwill impairment is a two-step process. In the first step we compare the carrying value of the Company's net assets including goodwill with its fair value. We determine the fair value of the Company based on its market capitalization using quoted market prices of our common stock, and taking into account other factors that may affect the fair value of the Company as a whole. If the fair value is less than the carrying value of the Company's net assets, then in the second step, the impairment loss is measured as the excess, if any, of recorded goodwill over its implied fair value. Implied fair value is the excess of the fair value of the Company over the fair value of all its identified assets and liabilities.

Intangible assets related to in-process research and development projects are considered to be indefinite-lived until the completion or abandonment of the associated research and development efforts. If and when development is complete, the associated assets would be deemed finite-lived and would then be amortized based on their respective estimated useful lives at that point in time. If we abandon a research and development project, we will write off its value and recognize an impairment loss.

Intangible assets with finite useful lives are related to acquired developed technology and acquired rights in non-active collaboration agreements, which are akin to licensing arrangements. Intangible assets with finite useful lives are reviewed for impairment when facts or circumstances suggest that the

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

1. Summary of Significant Accounting Policies (Continued)

carrying value of these assets may not be recoverable. We amortize the intangible assets using the straight-line method, which approximates the pattern in which we expect to derive the benefits from the use of these assets. The developed technology is being amortized over seven years and the non-active collaboration agreements are being amortized over five years.

Long-lived Assets

We evaluate long-lived assets, other than goodwill and indefinite-lived intangibles, for impairment whenever events or changes in circumstances may suggest impairment. Potential indicators of impairment may include a significant decrease in the fair value of an asset, a significant change in the extent or manner in which an asset is used, a significant adverse change in legal or business factors that affect the value of an asset, an adverse action or assessment by a regulator such as the FDA, an accumulation of costs significantly in excess of the expected amount to acquire an asset, and a history of operating or cash flow losses combined with projections or forecasts that demonstrate continuing losses associated with an income producing asset. If there are indicators of impairment of an asset or asset group, we will test the assets for recoverability by comparing the carrying amount to the expected undiscounted cash flows attributable to those assets. If the carrying amount of the assets is not recoverable, we will record an impairment loss measured as the difference between the carrying amount and the fair value of the impaired assets.

Major Customers

During 2011, 2010, and 2009, all of our royalty revenue was received from Eisai Corporation related to Dacogen sales (see Note 7 below). All of our development and license revenue recognized in 2011, 2010, and 2009 resulted from our agreements with GlaxoSmithKline other than \$1,501,000 in 2011 that related to our collaboration agreement with Janssen.

Geographical Information

As a result of our acquisition of ATL in July 2011 (see Note 5), we have assets in both the US and UK as well as revenues recognized in both locations. At December 31, 2011, the geographical breakdown was as follows (in thousands):

| | <u>US</u> | <u>UK</u> |
|-----------------------------|-----------|--------------|
| Revenues | \$ 61,028 | \$ 5,886 |
| Long-lived assets | 6,236 | \$134,142(1) |
| Total assets | \$120,723 | \$156,225 |

(1) Includes goodwill and intangible assets from acquisition of ATL.

Net Income per Common Share

Basic net income per share is calculated by dividing the net income by the weighted-average number of common shares outstanding for the period, without consideration of potential common shares. Diluted net income per share is computed by dividing the net income by the weighted-average number of common shares outstanding for the period and potential dilutive common shares for the period determined using the treasury-stock method. For purposes of this calculation, options to purchase stock and warrants and shares potentially issuable as deferred acquisition consideration are

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

1. Summary of Significant Accounting Policies (Continued)

considered to be potential common shares and are only included in the calculation of diluted net income per share when their effect is dilutive.

The following table is a reconciliation of the denominator used in the calculation of basic and diluted net income per common share (in thousands):

| | <u>Year ended December 31,</u> | | |
|--|--------------------------------|---------------|---------------|
| | <u>2011</u> | <u>2010</u> | <u>2009</u> |
| Weighted-average common shares outstanding used in calculation of basic net income per share | 75,072 | 60,287 | 59,316 |
| Dilutive stock options | <u>679</u> | <u>348</u> | <u>24</u> |
| Weighted-average common shares outstanding used in calculation of diluted net income per share | <u>75,751</u> | <u>60,635</u> | <u>59,340</u> |
| Weighted-average outstanding stock options and warrants not included in dilutive net income per share calculation as they had an antidilutive effect | <u>10,327</u> | <u>8,322</u> | <u>8,760</u> |
| Shares potentially issuable as deferred acquisition consideration not included in dilutive net income per share calculation as they had an antidilutive effect | <u>7,068</u> | <u>—</u> | <u>—</u> |

Recent Accounting Pronouncements

In May 2011, the Financial Accounting Standards Board (“FASB”) issued Accounting Standards Update (“ASU”) 2011-04 relating to fair value measurement. This guidance clarifies the application of existing fair value measurements and disclosures, and changes certain principles or requirements for fair value measurements and disclosures. These amendments are effective for interim and annual periods beginning after December 15, 2011. The adoption of this amendment will not have a material impact on our consolidated financial statements.

In June 2011, the FASB issued ASU 2011-05 on the presentation of comprehensive income, which amends current comprehensive income guidance. These amendments will require companies to present the components of net income and other comprehensive income either as one continuous statement or as two consecutive statements. It eliminates the option to present components of other comprehensive income as part of the statement of changes in stockholders’ equity. The amended guidance, which must be applied retroactively, is effective for annual periods beginning after December 15, 2011, with earlier adoption permitted. This amendment will impact the presentation of our financial statements but will have no effect on our financial condition, results of operations or cash flows.

In September 2011, the FASB issued ASU 2011-08 on testing goodwill for impairment. Under these amendments, an entity may assess qualitative factors to determine whether it is more likely than not that the fair value of a reporting unit is less than its carrying amount, including goodwill. If determined to be necessary, the two-step impairment test shall be used to identify potential goodwill impairment and measure the amount of a goodwill impairment loss to be recognized, if any. The amendment is effective for annual and interim goodwill impairment tests performed for fiscal years beginning after December 15, 2011. We do not expect that adoption of this amendment will have a material impact on our consolidated financial statements.

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

2. Available-for-Sale Securities

The following is a summary of available-for-sale securities (in thousands):

| | <u>Amortized Cost</u> | <u>Gross Unrealized Gains</u> | <u>Gross Unrealized Losses</u> | <u>Fair Value</u> |
|---|---------------------------|---------------------------------------|--|-----------------------|
| At December 31, 2011 | | | | |
| Money market funds | \$ 4,469 | \$ — | \$ — | \$ 4,469 |
| U.S. corporate debt securities | 32,830 | — | (1) | 32,829 |
| Debt securities issued by U.S. government and U.S. government agencies | 72,901 | 14 | (2) | 72,913 |
| Marketable equity securities | 2,726 | — | (907) | 1,819 |
| Total | <u>\$112,926</u> | <u>\$ 14</u> | <u>\$(910)</u> | <u>\$112,030</u> |
| At December 31, 2010 | | | | |
| Money market funds | \$ 1,044 | \$ — | \$ — | \$ 1,044 |
| U.S. corporate debt securities | 35,413 | — | — | 35,413 |
| Debt securities issued by U.S. government and U.S. government agencies | 77,173 | 8 | (24) | 77,157 |
| Marketable equity securities | 2,726 | 2,398 | — | 5,124 |
| Total | <u>\$116,356</u> | <u>\$2,406</u> | <u>\$(24)</u> | <u>\$118,738</u> |

The available-for-sale securities are classified on the balance sheet as follows (in thousands):

| | <u>Fair Value at December 31,</u> | |
|---|-----------------------------------|------------------|
| | <u>2011</u> | <u>2010</u> |
| Amounts included in cash and cash equivalents | \$ 23,767 | \$ 23,915 |
| Marketable securities, current | 86,444 | 89,699 |
| Marketable securities, non-current | 1,819 | 5,124 |
| Total | <u>\$112,030</u> | <u>\$118,738</u> |

At December 31, 2011, all of our debt securities were due in one year or less based on their contractual maturities.

Realized gains and losses on the sale of available-for-sale securities for the years ended December 31, 2011, 2010, and 2009 were not material.

We evaluate investments with unrealized losses to determine if the losses are other than temporary. In making this determination, we consider changes in the credit risk of debt securities, the financial condition and near-term prospects of the issuers, the magnitude of the losses compared to the investments' cost, the length of time the investments have been in a continuous unrealized loss position, and whether it is more-likely-than-not that we will hold the investments for a reasonable period of time sufficient for a recovery of the cost basis. At December 31, 2011, all of our unrealized losses in debt securities relate to seven individual debt securities with a fair value of \$23,479,000 that have been in an unrealized loss position for less than a year. Such losses were not related to changes in credit risk and were deemed to be temporary. At December 31, 2011, our marketable securities balance consisted primarily of 2.4 million shares of AVI BioPharma common stock that was trading at below its carrying

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

2. Available-for-Sale Securities (Continued)

value of \$1.12 per share. The shares have been in an unrealized loss position for less than six months. We considered the severity and duration of the unrealized loss and determined that we have the ability and intent to hold the shares until a recovery of fair value occurs. Accordingly, we concluded that the unrealized loss is temporary.

3. Stockholders' Equity

Stock Reserved for Future Issuance

At December 31, 2011, we have reserved shares of common stock for future issuance as follows:

| | |
|---|------------|
| Stock options outstanding | 14,366,623 |
| Warrants outstanding | 184,628 |
| Stock options available for grant | 2,264,958 |
| Shares available for Employee Stock Purchase Plan | 252,130 |
| | 17,068,339 |

Warrants

At December 31, 2011, we had outstanding warrants to purchase 184,628 shares of our common stock at an exercise price of \$5.79 per share. At December 31, 2010, we had no outstanding warrants to purchase shares of our common stock.

4. Stock-Based Compensation

Stock Option Plans. We have 20,500,976 shares of common stock authorized for issuance upon the grant of incentive stock options or nonstatutory stock options to employees, directors, and consultants under our 2003 Stock Plan. The number of shares to be purchased, their price, and the terms of payment are determined by our Board of Directors, provided that the exercise price for incentive stock options cannot be less than the fair market value on the date of grant. The options granted generally expire ten years after the date of grant and become exercisable at such times and under such conditions as determined by the Board of Directors (generally over a four or five year period). Options that have performance-based vesting criteria become exercisable in accordance with the milestones determined by the Board of Directors.

Employee Stock Purchase Plan. We also have an employee stock purchase plan ("ESPP") that allows eligible employees to purchase common stock at a price per share equal to 85% of the lower of the fair market value of the common stock at the beginning or end of each six month period during the term of the ESPP. The current offering period began November 15, 2011 and is scheduled to end on May 14, 2012.

We recognized \$3,083,000, \$1,432,000, and \$2,507,000, in stock-based compensation expense for the years ended December 31, 2011, 2010, and 2009, respectively. These amounts have been recorded in research and development expenses or general and administrative expenses, based on the home department of our employees.

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

4. Stock-Based Compensation (Continued)

The fair value of each stock award is estimated on the grant date using the Black-Scholes option-pricing model based on the weighted average assumptions noted in the following table:

| | Year ended December 31 | | | ATL Replacement Options |
|------------------------------------|------------------------|-------|-------|-------------------------------|
| | 2011 | 2010 | 2009 | (1) |
| Expected volatility | 58.6% | 61.0% | 65.9% | 58.07% |
| Expected life (in years) | 5.95 | 6.32 | 5.91 | 1.98 |
| Risk-free interest rate | 2.00% | 2.63% | 2.36% | 0.44% |
| Dividend yield | — | — | — | — |

(1) Represents replacement options issued upon the acquisition of ATL on July 20, 2011. See Note 5.

The fair value of ESPP shares is estimated also using the Black-Scholes option-pricing model based on the weighted average assumptions noted in the following table:

| | Year ended December 31 | | |
|------------------------------------|------------------------|-------|-------|
| | 2011 | 2010 | 2009 |
| Expected volatility | 64.7% | 60.2% | 61.3% |
| Expected life (in years) | 0.50 | 0.50 | 0.50 |
| Risk-free interest rate | 1.57% | 2.43% | 2.90% |
| Dividend yield | — | — | — |

We compute expected volatility using a blend of historical and implied volatility of our common stock based on the period of time corresponding to the expected life of the stock options. We do not rely exclusively on implied volatility because options on Astex stock with remaining terms of greater than one year are not regularly traded in the market. The expected life of stock options granted is based exclusively on historical data and represents the weighted average period of time that stock options granted are expected to be outstanding. The expected life is applied to one group as a whole as we do not expect substantially different exercise or post-vesting termination behavior among our employee and director population. The risk-free interest rate is based on the U.S. Treasury yield curve in effect at the time of grant commensurate with the expected life assumption. The dividend yield is zero as we do not expect to pay any dividends in the foreseeable future. We currently estimate when and if performance-based options will be earned. If the awards are not considered probable of achievement, no amount of stock-based compensation is recognized. If we consider the award to be probable of achievement, expense is recorded over the estimated service period.

We are using the straight-line attribution method to recognize stock-based compensation expense. The amount of stock-based compensation recognized during a period is based on the value of the portion of the awards that are ultimately expected to vest. We estimate forfeitures at the time of grant and revise them, if necessary, in subsequent periods if actual forfeitures differ from those estimates. We used an estimated forfeiture rate of 7.89% in 2011, 8.6% in 2010, and 6.91% in 2009. The term “forfeitures” is distinct from “cancellations” or “expirations” and represents only the unvested portion of the surrendered option. The forfeiture rate is re-evaluated annually and is adjusted as necessary. Ultimately, the actual expense recognized over the vesting period will only be for those shares that vest.

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

4. Stock-Based Compensation (Continued)

A summary of the Company's stock options as of December 31, 2011 and activity during the three years then ended is presented below:

| | Options Outstanding | Weighted Average Exercise Price | Weighted Average Remaining Contractual Term (in years) | Aggregate Intrinsic Value |
|---|------------------------|--|--|---------------------------------|
| Balance at January 1, 2009 | 8,004,976 | \$ 6.74 | | |
| Granted | 2,273,704 | 1.95 | | |
| Exercised | (59,802) | 2.14 | | |
| Forfeited | (521,253) | 3.41 | | |
| Expired | (327,720) | 10.54 | | |
| Balance at December 31, 2009 | 9,369,905 | 5.66 | | |
| Granted | 2,374,897 | 2.82 | | |
| Exercised | (91,518) | 1.98 | | |
| Forfeited | (88,686) | 2.49 | | |
| Expired | (432,649) | 18.32 | | |
| Balance at December 31, 2010 | 11,131,949 | 4.62 | | |
| Granted | 3,903,275 | 1.64 | | |
| Exercised | (262,790) | 1.08 | | |
| Forfeited | (161,699) | 3.10 | | |
| Expired | (244,112) | 8.40 | | |
| Balance at December 31, 2011 | <u>14,366,623</u> | \$ 3.83 | 5.81 | \$2,281,627 |
| Vested or expected to vest at December 31, 2011 | <u>13,770,530</u> | \$ 3.82 | 5.76 | \$2,225,052 |
| Exercisable at December 31, 2011 | <u>9,933,427</u> | \$ 3.87 | 5.17 | \$1,631,018 |

| | Year ended December 31, | | |
|--|-------------------------|---------|---------|
| | 2011 | 2010 | 2009 |
| Weighted average grant-date fair value of options granted | \$ 1.49 | \$ 1.66 | \$ 1.18 |
| Weighted average grant-date fair value of options assumed in connection with acquisition of ATL | 2.14 | — | — |
| Intrinsic value of options exercised (i.e. difference between the market price at exercise and the price paid to exercise the options) | 237,100 | 106,000 | 35,567 |
| Cash received from exercise of options | 283,100 | 182,000 | 127,788 |

As of December 31, 2011, there was \$3,966,000 of total unrecognized compensation expense related to unvested stock-based awards that vest based upon service conditions or vest based upon performance conditions and are probable of vesting. This expense is expected to be recognized over a weighted average period of 2.5 years.

5. Acquisition of Astex Therapeutics Limited

On July 20, 2011, we completed the acquisition of all of the outstanding shares of ATL (the "Transaction"), a privately held UK-based biotechnology company with particular expertise in fragment-

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

5. Acquisition of Astex Therapeutics Limited (Continued)

based drug discovery. The Company determined that the acquisition of ATL would create a unique and important opportunity to expand our drug development partnerships, expand our clinical assets, make our drug discovery process more robust, better leverage our cash, realize economies of scale, and develop expanded future revenue streams. Pursuant to the Transaction, we paid approximately \$24.9 million in cash and issued 32.4 million shares of Astex common stock (representing approximately 35% of the issued and outstanding stock of Astex as of the closing of the Transaction after giving effect to the issuance of such shares) to the securityholders of ATL.

In addition, we are obligated to pay deferred consideration of \$30 million in stock, cash, or a combination of stock and cash, to be determined at the discretion of the Company. Deferred consideration will be paid in semi-annual installments whose amounts will be determined based on the amounts of the contingent payments ATL has received and will receive under its collaboration arrangements during the period from January 1, 2011 through January 20, 2014. While the timing of the deferred consideration payments can vary, the aggregate amount of deferred consideration is fixed and will be paid no later than 30 months after the closing of the Transaction (January 2014), with a minimum of \$15 million payable no later than the 18 month anniversary of the closing of the Transaction (January 2013). Deferred consideration is accounted for as a liability at fair value. We determine the fair value of the deferred consideration liability as the expected present value of future semi-annual installments, discounted at our incremental borrowing rate of five per cent. The amount of the future semi-annual installments reflects our best estimates of the probability and timing of collaboration payments to be received. In February 2012, we paid the initial installment of deferred consideration in the amount of \$10.0 million in cash.

Also, as part of the Transaction, we issued replacement options for the outstanding ATL options and assumed warrants of ATL. Based on the terms of the acquisition agreement, the outstanding ATL options were replaced with options to acquire 2,237,976 shares of Astex common stock. The outstanding ATL warrants entitle the holders to acquire 184,628 shares of Astex common stock. The values of the replacement options and the warrants assumed were determined using the Black-Scholes option-pricing model, with amounts converted to US dollars at the exchange rate on the date of the Transaction.

The acquisition of ATL has been accounted for as a business combination in accordance with Accounting Standards Codification Topic 805, "Business Combinations" ("ASC 805"). The results of operations of ATL since July 20, 2011 have been included in our consolidated financial statements. For the year ended December 31, 2011, our consolidated results include revenue from ATL of \$5,886,000, cumulative foreign currency translation loss of \$(5,781,000), and a net loss of \$(9,100,000) or \$(0.12) per basic and diluted share. In addition, we recorded transaction related costs of \$3,547,000 for the year ended December 31, 2011, which were recorded in general and administrative expenses.

The aggregate purchase price of ATL was \$151,897,000, consisting of the following (in thousands):

| | |
|---|------------------|
| Value of common stock issued | \$ 95,119 |
| Cash paid | 24,858 |
| Deferred consideration | 28,349 |
| Fair value of stock options assumed | 3,270 |
| Fair value of warrants assumed | 301 |
| Total | <u>\$151,897</u> |

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

5. Acquisition of Astex Therapeutics Limited (Continued)

We originally estimated and recorded the fair values of the ATL assets acquired and liabilities assumed on July 20, 2011 at the values noted in the first column below. We subsequently made certain adjustments to the income tax rates applied in the preliminary measurements of goodwill and intangibles, resulting in the revised values noted below (in thousands):

| | <u>Original Values</u> | <u>Revised Values</u> |
|---|----------------------------|---------------------------|
| Net tangible assets | \$ 26,871 | \$ 26,871 |
| Goodwill | 44,296 | 45,911 |
| Intangible assets—In-process research and development | 50,776 | 47,184 |
| Intangible assets—Non-active collaboration agreements | 25,234 | 26,501 |
| Intangible assets—Developed technology | 17,812 | 18,189 |
| Intangible assets—Trademark | 2,683 | 2,481 |
| Deferred tax liability | <u>(15,775)</u> | <u>(15,240)</u> |
| Total | <u>\$151,897</u> | <u>\$151,897</u> |

The fair value of the identified intangible assets was estimated by using income or cost replacement approaches.

Developed Technology and In-process Research and Development (unencumbered product candidates)—The intangible assets were valued using the cost replacement approach which uses the concept of replacement cost as an indicator of fair value. The premise of the cost approach is that a prudent investor would pay no more for an asset than the amount for which the asset could be replaced or recreated. Replacement cost when new, which refers to the cost to replace the asset with one of like utility using current material and labor rates, establishes the highest amount a prudent investor would pay for the subject asset. To the extent that an existing asset will provide less utility than a new one, the value of that asset is lower.

In-process Research and Development (active collaboration agreements)—The intangible assets were valued using the income approach which recognizes that the fair value of an asset is premised upon the expected receipt of future economic benefits such as earnings and cash flow. Indications of value are developed by discounting these benefits to their present value at a discount rate that reflects both the current return requirements of the market and the risks inherent in the specific investment. This approach is most appropriate when an identifiable stream of income can be attributed to the particular asset being valued, as is the case with this intangible asset. The distinction between the active and non-active collaboration agreements relates to ATL’s involvement in the research and development effort. Active collaboration agreements are those projects where research and development by ATL was still on-going, and ATL was still required to deliver a qualified compound or develop intellectual property for the collaboration partner. Accordingly, ATL has not yet earned the right to receive milestone and royalty amounts from the collaboration partners for the use of its intellectual property. These agreements are still in the initial phases of research and development. Upon completion of the research phases, still at an early stage of compound development (pre-clinical or early clinical), the collaboration partners can elect to assume the research and development efforts moving forward. At that point, ATL’s involvement will be limited to a minimal effort of maintaining protection of the intellectual property (“IP”). Beginning with this stage, ATL will be entitled to receipt of IP-based

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

5. Acquisition of Astex Therapeutics Limited (Continued)

contingent payments (both R&D related and commercial) and royalties for multiple years, both during and after the research and development phases.

Non-Active Collaboration Agreements—We are entitled to earn amounts from customers for the use of our intellectual property. While ATL did perform research and development services at the initial phases under these agreements, collaboration partners took over the research and development at a relatively early stage (pre-clinical or early clinical), prior to the Transaction, whereupon ATL’s involvement was limited to a minimal effort of maintaining protection of the intellectual property. ATL is entitled to receipt of IP-based contingent payments (both research and development-related and commercial) and royalties for multiple years. These intangible assets were also valued using the income approach.

Trademark—The income approach using the “relief from royalty” method is a commonly used technique to value intangible assets when comparable licensing transactions are available to benchmark the royalty rate that could be expected to be generated by the subject asset. In the relief from royalty method, the value of the subject assets is estimated by determining the royalties that are relieved from being paid because the company owns the asset. In other words, the value of the asset is derived from the fact that the company would be willing to pay a royalty to license the subject asset.

Goodwill—The acquisition of ATL created goodwill as the acquisition consideration exceeds the fair value of net identifiable assets and acquired liabilities assumed. There are a number of factors contributing to the amount of goodwill, including the ATL workforce and the expectation that the acquisition of ATL will create synergies which will provide future value. All of the goodwill recorded is expected to be deductible for US tax purposes over a period of fifteen years.

The net tangible assets acquired consisted of the following (in thousands):

| | |
|---------------------------------|-----------------|
| Cash | \$24,589 |
| Accounts receivable | 2,749 |
| Income tax receivable | 1,530 |
| Prepaid expenses | 1,540 |
| Fixed assets | 3,457 |
| Other assets | 507 |
| Accounts payable | (4,079) |
| Accrued compensation | (1,882) |
| Deferred revenue | (1,540) |
| Total | <u>\$26,871</u> |

Revenues associated with substantive, at-risk milestones pursuant to ATL’s collaborative agreements will be recognized upon achievement of the milestones through option exercise by the collaboration partner. We consider a milestone to be substantive at the inception of the arrangement if it is commensurate with either our performance to achieve the milestone or the enhancement of the value of the delivered item as a result of a specific outcome resulting from our performance to achieve the milestone, it relates solely to past performance, and it is reasonable relative to all of the deliverables and payment terms within the arrangement. Based on these criteria, during the year ended December 31, 2011, we recognized milestone revenue of \$4,385,000 from GSK relating to achievement or completion of specified research targets. Non-refundable contingent future amounts receivable in

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

5. Acquisition of Astex Therapeutics Limited (Continued)

connection with future events specified in the collaboration agreement that are not considered milestones will be recognized as revenue when payments are earned from our collaborators through completion of any underlying performance obligations, the amounts are fixed or determinable, and collectibility is reasonably assured.

The following pro forma condensed combined financial information gives effect to the acquisition of ATL as if it were consummated on January 1, 2010 (the beginning of the comparable prior reporting period). The pro forma condensed combined financial information is presented for informational purposes only and is not intended to represent or be indicative of the results of operations of the Company that would have been reported had the acquisition occurred on January 1, 2010 and should not be taken as representative of future consolidated results of operations of the combined company (in thousands, except per share amounts):

| | Year ended December 31, | |
|-------------------------------------|----------------------------|-----------|
| | 2011 | 2010 |
| Revenues | \$76,560 | \$61,633 |
| Net income (loss) | 1,050 | (882) |
| Net income (loss) per common share: | | |
| Basic | \$ 0.01 | \$ (0.01) |
| Diluted | \$ 0.01 | \$ (0.01) |

As a result of the acquisition of ATL, we initiated a plan to reorganize and downsize our business to realize certain operational efficiencies at our Salt Lake City, Utah and Pleasanton, California research facilities. The reorganization resulted in the outplacement of 44 employees by January 2012. We have paid severance to the employees that have already been terminated. Since our employees were required to stay with the company and perform transition related services before they could collect their severance, we have accrued the severance costs as they are earned. During the year ended December 31, 2011 we recorded \$995,000 of severance costs, which are recorded in research and development expenses. During the year ended December 31, 2011, \$699,000 of these costs were paid in cash, resulting in a remaining balance of \$296,000 at December 31, 2011, which is included in accrued compensation on the accompanying balance sheet. As a result of the planned reorganization, we expect to pay total severance costs of approximately \$1.1 million through January 2012.

6. Goodwill and Intangible Assets

In December 2011, we performed an annual goodwill impairment test. During the last quarter of 2011 and in 2012 through the filing date of these financial statements, there has been significant fluctuation in the quoted market prices of our common stock. Significant judgment is required to evaluate the fair value of the Company. We concluded, based on the changes in the market prices of the Company's common stock during this period and our assessment of the premium a market participant would be willing to pay to acquire control of the Company, that goodwill was not impaired, as the fair value of the Company as a whole exceeded the carrying value of its net assets. Such excess was relatively insignificant and consequently, we will continue to monitor the Company's market capitalization and other events and circumstances affecting its fair value, and will evaluate our goodwill for potential impairment in future periods. Should we conclude in a future period that the fair value of

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

6. Goodwill and Intangible Assets (Continued)

the Company as a whole is less than the carrying value of its net assets, it is likely that we will record a material charge for goodwill impairment, which could be as high as the entire goodwill amount.

Changes in the carrying amount of goodwill were as follows:

| | |
|--|-----------------|
| Balances at December 31, 2009 and 2010 | \$ 731 |
| Goodwill recorded upon acquisition of ATL | 44,296 |
| Goodwill adjustments | 1,615 |
| Impact of translation to current exchange rate | <u>(1,848)</u> |
| Balance at December 31, 2011 | <u>\$44,794</u> |

Pursuant to our business combinations accounting policy, we record goodwill adjustments for the effect on goodwill of changes to net assets acquired during the measurement allocation period (which can be up to one year from the date of an acquisition). In connection with the preparation of our annual financial statements, certain adjustments were recorded related to the fair values of the intangible assets acquired from ATL.

We test indefinite-lived intangibles for impairment by comparing the carrying value of these intangibles to their fair value, and record an impairment loss for any excess. In October 2011, Janssen Pharmaceutica NV, a Johnson and Johnson company (“Janssen”), exercised its right under our research alliance to terminate its participation in the development of one compound, which constituted one of the in-process research and development assets we acquired with ATL in July 2011 (see Note 5). As a result of the termination, the exclusive license rights to the compound reverted back to us, and Janssen will not be obligated to make any payments, including milestone payments and royalties, associated with the development of this compound in the future. We may not identify another research and development partner for this compound, and may choose not to pursue further research and development of this compound if we believe that our resources can be more efficiently deployed for other projects. As a result, we concluded there was a decline in the fair value of the corresponding indefinite-lived intangible asset in connection with our annual impairment test performed in December 2011 compared to the amount we recorded upon the acquisition of ATL in July 2011. We determined the new fair value of this intangible based on an estimated 20% likelihood of continuing the research and development through a similar collaboration agreement and estimating the receipts to be generated from such an arrangement. We recorded a \$1,250,000 charge during the year ended December 31, 2011 related to this impairment. Should we decide in the future to abandon this project or others for which we have recorded intangible assets, we may have to record additional impairment charges. Also in connection with the termination of Janssen Pharmaceutica NV’s participation in this project, because we no longer have any remaining performance obligations under the collaboration agreement, we recognized the remaining deferred revenue balance of \$1,244,000 related to this agreement as revenue during the year ended December 31, 2011.

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

6. Goodwill and Intangible Assets (Continued)

All of our intangible assets as of December 31, 2011 were recorded in connection with the acquisition of ATL in July 2011. Intangible assets consist of the following at December 31, 2011 (in thousands):

| | 2011 | |
|---|----------------------------------|-------------------------------------|
| | <u>Gross Carrying Amount</u> | <u>Accumulated Amortization</u> |
| Finite-lived intangibles: | | |
| Developed technology | \$17,488 | \$(1,076) |
| Non-active collaboration agreements | 25,495 | (2,139) |
| Total finite-lived intangibles | <u>42,983</u> | <u>(3,215)</u> |
| Indefinite-lived intangibles: | | |
| Trademarks | 2,381 | — |
| Unencumbered compounds | 23,904 | — |
| Active collaboration agreements | 20,145 | — |
| Total indefinite-lived intangibles | <u>46,430</u> | <u>—</u> |
| Total intangible assets | <u>\$89,413</u> | <u>\$(3,215)</u> |

We expect to record amortization expense of approximately \$7,899,000 in each of the next four years and \$5,760,000 in the fifth year. However, since the underlying intangible assets are denominated in British Pounds Sterling and translated to US dollars, the amounts we record as amortization expense may vary due to fluctuations in currency exchange rates.

7. License and Stock Purchase Agreements with MGI PHARMA, Inc./Eisai Corporation

In August 2004, we entered into a license agreement with MGI PHARMA, Inc., a Minnesota corporation (“MGI”) relating to Dacogen® (decitabine) for Injection, an anti-cancer therapeutic which has been approved by the United States Food and Drug Administration (“FDA”) for the treatment of patients with myelodysplastic syndrome (“MDS”). Pursuant to the terms of the license agreement, MGI received exclusive worldwide rights to the development, commercialization and distribution of Dacogen for all indications. We are entitled to receive royalties from MGI on all sales of licensed product worldwide. The license agreement will expire, on a country-by-country and licensed product-by-licensed product basis on the later to occur of (a) twenty years after the first commercial sale of the applicable licensed product in the respective country or (b) the expiration, termination, invalidation or abandonment of the patent rights covering the respective licensed product, or the manufacture or use thereof, in the respective country. Either we or MGI may terminate the license agreement for the non-payment by the other of any payment obligations under the agreement, or for any uncured material breach of the agreement. In addition, we have the right to terminate the agreement if (i) MGI is acquired by an entity that is not deemed an “equivalent” pharmaceutical company or (ii) MGI becomes insolvent. MGI became a wholly-owned subsidiary of Eisai Corporation of North America (“Eisai”) in January 2008.

In May 2006, the FDA approved Dacogen for the treatment of patients with MDS and MGI commenced commercial sales of Dacogen in the United States. Eisai is required to pay us royalties starting at 20% and escalating to a maximum of 30% of net Dacogen sales within 45 days after the end

ASTEX PHARMACEUTICALS, INC.

NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

7. License and Stock Purchase Agreements with MGI PHARMA, Inc./Eisai Corporation (Continued)

of each calendar quarter. We recognize royalty revenue when we receive the royalty statement from Eisai because we do not have sufficient ability to accurately estimate Dacogen sales. During the years ended December 31, 2011, 2010, and 2009, we recorded royalty revenue from Eisai of \$60,519,000, \$52,463,000, and \$41,156,000, respectively.

In July 2006, Eisai executed an agreement to sublicense Dacogen to Cilag GmbH International, a Johnson & Johnson company (“Cilag”), granting exclusive development and commercialization rights in all territories outside North America. In accordance with our license agreement with Eisai, we are entitled to receive 50% of certain payments Eisai receives as a result of any sublicenses. As a result of both the original agreement with Eisai and this sublicense with Cilag, we may receive up to \$17.5 million in future payments if milestones are achieved for Dacogen sales globally. Cilag companies will be responsible for conducting regulatory and commercial activities related to Dacogen in all territories outside North America, while Eisai retains all commercialization rights and responsibility for all activities in the United States, Canada and Mexico.

8. Agreements with GlaxoSmithKline

In October 2009, we entered into two agreements with GlaxoSmithKline LLC (“GSK”): (1) a Commercial Research and License Agreement (the “License Agreement”) and (2) a Common Stock Purchase Agreement (the “Purchase Agreement”). These agreements were combined and accounted for as one arrangement with one unit of accounting for revenue recognition purposes.

Pursuant to the terms of the License Agreement, we agreed to collaborate with GSK over a period of five years to discover and develop specific epigenetic therapeutics. At the end of the research term, or earlier if GSK elected, GSK could exercise its option to license from us the compounds that resulted from the joint research effort in order to continue the development and ultimately commercialize and sell the products worldwide.

Upon execution of the License Agreement, we received an upfront payment of \$2 million from GSK, which was initially recorded as deferred revenue. GSK was obligated to make certain additional payments to us if and when the compounds reach specified developmental milestones, as well as payments to us if and when the compounds that GSK licensed achieve certain regulatory milestones. The License Agreement further provided that if the licensed compounds derived from the joint research team become products, GSK would pay us contingent sales payments as well as royalties on annual net sales of such products. Total potential development and commercialization contingent amounts payable to us could exceed \$375 million. The tiered royalties, into double digit magnitudes, would be paid on a country-by-country and product-by-product basis.

Pursuant to the Purchase Agreement, we also received \$3 million from GSK for the purchase of shares of our common stock. The purchase price per share was based on 110% of the average closing price of our common stock for the thirty day period preceding the closing date. This resulted in the issuance of 990,099 shares of our common stock. The fair market value of the shares issued was \$2,455,000, based upon the market value of our common stock on the date the transaction was executed and the number of shares to be issued was fixed, and the premium of \$545,000 was recorded as additional deferred revenue. The total initial deferred revenue related to GSK of \$2,545,000 was being recognized ratably over five years, the expected term of our substantive performance obligations under the License Agreement as of December 31, 2011. For the years ended December 31, 2011, 2010, and 2009, we recognized \$509,000, \$509,000, and \$97,000, respectively, of the deferred revenue as

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

8. Agreements with GlaxoSmithKline (Continued)

development and license revenue. All deferred revenue recorded on our balance sheets as of December 31, 2011 and 2010 relates to this collaboration with GSK.

Subsequent Event

In January 2012, we entered into an Asset Transfer Agreement (the "Transfer Agreement") with GSK. Under the terms of the Transfer Agreement, we terminated the License Agreement and will transfer certain existing research work and assets generated under the CLIMB™ epigenetic collaboration and grant licensing rights to GSK. We will have no further obligation to conduct additional research work on the program. GSK will make one-time, non-refundable payments to us upon the achievement of the first transferred licensed compound to reach defined milestones as described in the Transfer Agreement and will also pay us royalties upon certain sales from the transferred assets, if any. We expect that the remaining balance of deferred revenue of \$1,430,000 at December 31, 2011 that is related to this agreement will be recognized as development and license revenue in 2012.

The shares issued under the Purchase Agreement were subject to a lock-up providing that GSK could not effect any sale or transfer of the issued shares, or any beneficial interest therein, until October 22, 2010, after which 25% of the Shares would be released and the remaining 75% of the shares could be released in four equal installments at the end of each three month period following October 22, 2010. In connection with the Transfer Agreement, we entered into a letter agreement with GSK amending the Purchase Agreement so that the lock-up terms would be replaced in their entirety to provide that GSK may not effect any sale or transfer of the shares or any beneficial interest therein until January 2013.

9. Montigen Pharmaceuticals Acquisition

In 2006, we acquired a privately-held oncology-focused drug discovery and development company called Montigen Pharmaceuticals, Inc. ("Montigen"). Montigen's assets included its research and development team, a proprietary drug discovery technology platform and optimization process, CLIMB, and late-stage pre-clinical compounds.

Upon the closing of the acquisition, we paid the Montigen stockholders a total of \$17.9 million, consisting of \$9.0 million in cash and \$8.9 million in shares of our common stock. The acquisition agreement required us to pay the former Montigen stockholders an additional \$22 million, contingent upon the achievement of specific regulatory milestones. The first contingent milestone was achieved in 2007, triggering a payment to the former Montigen stockholders of \$10 million, which we paid through the issuance of our common stock. In 2008, the second contingent milestone was achieved, triggering a payment of \$5.2 million, which was paid to the former Montigen stockholders through the combination of a cash payment of \$2,770,000 and the issuance of 1,481,000 shares of our common stock. There is a \$6.8 million remaining future contingent regulatory milestone payment due to the former Montigen stockholders which will be recorded as additional acquired in-process research and development expense when and if the milestone is achieved.

10. Nipent Sale Transactions

In August 2006, we executed an Asset Acquisition Agreement with Mayne Pharma (USA), Inc. ("Mayne"), pursuant to which Mayne acquired the North American rights to our products Nipent and

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

10. Nipent Sale Transactions (Continued)

SurfaceSafe® cleaning system. We received cash proceeds of \$13.4 million, which represented the purchase price per the agreement, reduced by a number of adjustments and holdbacks. Mayne was acquired by Hospira, Inc. (“Hospira”) in February 2007.

In April 2007, we closed another transaction with Hospira completing the sale of the remaining worldwide rights for Nipent for total consideration of up to \$8.3 million. We received an initial up-front payment of \$3.75 million. The balance of the purchase price was guaranteed and payable in five installments over a five year period on the anniversary of the closing date, except for \$1.25 million in holdbacks. As of December 31, 2011, there is one final payment of \$700,000 that is due to be received in 2012.

Under the terms of the North American Asset Acquisition agreement, we were obligated to reimburse Hospira for three years from the date of the agreement for amounts paid to a new supplier of Nipent in excess of the amounts referenced in a related commercial supply agreement. Hospira negotiated a manufacturing supply agreement with an FDA approved manufacturing site, and based on historical sales trends and manufacturing yields and forecasts of future sales and manufacturing yields, we initially estimated our price protection exposure over the three year period to be \$600,000. During 2008, we paid Hospira \$49,000 relating to this obligation for the first of the three years covered under this agreement. At December 31, 2008, based on the updated estimates of manufacturing yields and sales trends for Nipent, we reduced the price protection reserve by \$426,000 and computed our remaining potential liability for the remaining two years at \$125,000. At December 31, 2009, we reduced the deferred gain on sale related to this obligation to \$50,000, based on updated estimates of manufacturing yields and requirements and sales trends for the remaining year of the agreement. The three year obligation period expired in 2010.

During 2009, we received a \$500,000 installment payment relating to the sale of the remaining worldwide rights, reversed \$20,000 of a residual products return reserve that was no longer required due to the expiration of the contractual return period, and reduced our price protection reserve by \$75,000, resulting in \$595,000 which was recorded as gain on sale of products during the year ended December 31, 2009. During 2010, we received a \$700,000 installment payment relating to the sale of the worldwide rights to Nipent and wrote off the remaining balance of our price protection reserve of \$50,000, resulting in \$750,000 which was recorded as gain on sale of products during the year ended December 31, 2010. During 2011, we received another \$700,000 installment payment relating to the sale of the worldwide Nipent rights.

11. AVI BioPharma, Inc.

As of December 31, 2011, we held 2,384,211 shares of AVI BioPharma, Inc. (“AVI”) common stock with a fair market value of \$1,788,000. We have accounted for the investment in AVI as an investment in equity securities that are available-for-sale as our ownership is less than 20% of AVI’s outstanding shares.

Avicine, AVI’s proprietary cancer vaccine, will require significant additional expenditures to complete the clinical development necessary to gain marketing approval from the FDA and equivalent foreign regulatory agencies. As part of an agreement that we have entered into with AVI, we are obligated to make payments to AVI based on successful achievement of developmental, regulatory approval, and commercialization milestones over the next several years related to Avicine that could total \$80 million. However, no significant development efforts have been incurred for Avicine since

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

11. AVI BioPharma, Inc. (Continued)

2003 and none are anticipated in the near future. In 2003 and 2002, we recorded a total of \$565,000 in research and development expenses for Avicine. At December 31, 2011 and 2010, this amount was still payable and is included in the balance sheet in other accrued liabilities.

12. Commitments and Contingencies

Dublin Headquarters Lease—In August 2010, we executed an amendment to the lease for our corporate headquarters in Dublin, California. The lease amendment took effect on December 1, 2010 for a five year term with a five year renewal option.

The terms of our old corporate headquarters lease required us to establish and maintain two irrevocable and unconditional letters of credit to secure our obligations under the lease. The domestic financial institution issuing the letters of credit required us to collateralize our potential obligations under the lease by assigning to the institution approximately \$2.1 million in certificates of deposit. The certificates of deposit are included in the balance sheet under Restricted cash at December 31, 2010. Although the lease expired on November 30, 2010, the letters of credit did not expire until January 31, 2011, at which time the collateralized certificates of deposit were released.

Cambridge Facility Lease—As part of the acquisition of ATL, we assumed the property lease on a laboratory and administrative building in Cambridge, UK. The lease was executed in March 2003 for a 20 year term. The annual rent is reviewed every five years and becomes the greater of the full open market rent for the premises at the time of the rent review or the base rent payable immediately prior to the rent review. The next rent review is on December 25, 2012.

Utah Facility Lease—Our research facility in Salt Lake City, Utah is being rented under a five year lease that expires on May 31, 2012.

Future minimum rentals under all operating leases with terms greater than one year as of December 31, 2011 are as follows (in thousands):

| Year ending December 31, | Minimum rental obligations |
|---------------------------------|---|
| 2012 | \$ 2,343 |
| 2013 | 2,246 |
| 2014 | 2,196 |
| 2015 | 2,157 |
| 2016 | 1,546 |
| 2017and beyond | 9,665 |
| | <u>\$20,153</u> |

Rent expense was \$1,619,000 in 2011, \$2,091,000 in 2010, and \$2,194,000 in 2009. These amounts are net of sublease income of \$219,000 in 2010 and \$232,000 in 2009. From August 2007 through November 2010, we subleased a portion of our Dublin headquarters facility under a non-cancellable lease.

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

12. Commitments and Contingencies (Continued)

As noted in Note 5 above, we will pay the former ATL stockholders deferred consideration of \$30 million in stock, cash, or a combination of stock and cash, to be determined at the discretion of the Company. Deferred consideration will be paid in semi-annual installments whose amounts will be determined based on the amounts of the contingent payments ATL has received and will receive under its collaboration arrangements during the period from January 1, 2011 through January 20, 2014. The amount of the future semi-annual installments reflects our best estimates of the probability and timing of collaboration milestones to be received. We paid the first deferred consideration installment of \$10.0 million in early February 2012. We currently estimate that remaining installments will be approximately \$8.1 million in July 2012, \$6.4 million in January 2013, \$2.9 million in July 2013, and \$2.6 million in January 2014.

As noted in Note 9 above, we will pay the former Montigen stockholders an additional \$6.8 million in shares of Astex common stock, contingent upon achievement of specific regulatory milestones.

We have entered into technology license agreements allowing us access to certain technologies. These agreements generally require royalty payments based upon the sale of approved products incorporating the technology under license. No sales of such products have occurred as of December 31, 2011.

We have also entered into manufacturing and service agreements for certain manufacturing services, the supply of research materials and the performance of specified research studies. These agreements require payments based upon the performance of the manufacturing entity, delivery of the research materials or the completion of the studies. There are no material commitments for such payments as of December 31, 2011.

13. Income Taxes

For financial reporting purposes, our net income before income taxes included the following components (in thousands):

| | Year ended December 31, | | |
|-------------------------|-------------------------|----------|---------|
| | 2011 | 2010 | 2009 |
| Pre-tax income (loss): | | | |
| United States | \$ 14,647 | \$16,312 | \$3,851 |
| Foreign | (12,393) | — | — |
| | \$ 2,254 | \$16,312 | \$3,851 |

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

13. Income Taxes (Continued)

Income tax benefit (provision) consisted of the following components (in thousands):

| | <u>Year ended December 31,</u> | | |
|--|--------------------------------|---------------|--------------|
| | <u>2011</u> | <u>2010</u> | <u>2009</u> |
| Current: | | | |
| Federal | \$ — | \$ — | \$835 |
| State | (5) | (39) | 51 |
| Foreign | <u>1,509</u> | <u>—</u> | <u>—</u> |
| Total current | <u>1,504</u> | <u>(39)</u> | <u>886</u> |
| Deferred: | | | |
| Foreign | <u>1,784</u> | <u>—</u> | <u>—</u> |
| Total deferred | <u>1,784</u> | <u>—</u> | <u>—</u> |
| Total income tax benefit (provision) | <u>\$3,288</u> | <u>\$(39)</u> | <u>\$886</u> |

The difference between the income tax benefit (provision) and the amount computed by applying the federal statutory income tax rate to income before income taxes is as follows (in thousands):

| | <u>Year ended December 31,</u> | | |
|--|--------------------------------|----------------|---------------|
| | <u>2011</u> | <u>2010</u> | <u>2009</u> |
| Income tax expense at federal statutory rate | \$ (789) | \$(5,709) | \$(1,348) |
| State taxes (net of federal) | (517) | (568) | (178) |
| Non-deductible deferred compensation | (379) | (411) | (638) |
| Credits | — | 998 | 890 |
| Expired losses | (15,083) | — | — |
| Unrealized loss on investments | 1,294 | (644) | (636) |
| Benefit of foreign activities in the U.S | 2,583 | — | — |
| Foreign research & development credits | 387 | — | — |
| Change in warrant valuation | (40) | — | — |
| Compensation limitation | (262) | — | — |
| Impairment of intangible asset | (438) | — | — |
| Other | (215) | 205 | (65) |
| Change in valuation allowance | 29,037 | 6,090 | 2,861 |
| Non-deductible transaction costs | (1,116) | — | — |
| Foreign rate differential | (1,124) | — | — |
| Expired research & development credits | (11,559) | — | — |
| Refundable foreign research & development credits .. | <u>1,509</u> | <u>—</u> | <u>—</u> |
| Total | <u>\$ 3,288</u> | <u>\$ (39)</u> | <u>\$ 886</u> |

Deferred income taxes reflect the net tax effects of (a) temporary differences between the carrying amounts of assets and liabilities for financial reporting purposes and the amounts used for income tax

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

13. Income Taxes (Continued)

purposes, and (b) operating losses and tax credit carryforwards. Significant components of our deferred tax assets are as follows (in thousands):

| | <u>December 31,</u> | |
|---|---------------------|------------------|
| | <u>2011</u> | <u>2010</u> |
| Deferred tax assets: | | |
| Net operating loss carryforwards | \$ 86,032 | \$ 93,936 |
| Purchased in-process technology | 2,104 | 2,722 |
| Research and development credit carryforwards | 7,508 | 18,678 |
| Capitalized research and development | 208 | 623 |
| Investments | 7,186 | 6,062 |
| Deferred revenue | — | 787 |
| Stock based compensation | 5,726 | 4,448 |
| Fixed assets | 1,655 | 1,895 |
| Other | 1,239 | 933 |
| Valuation allowance | <u>(101,047)</u> | <u>(130,084)</u> |
| Total deferred tax assets | <u>\$ 10,611</u> | <u>\$ —</u> |
| Deferred tax liabilities: | | |
| Intangible assets | \$ (21,858) | \$ — |
| Deferred revenue | <u>(1,640)</u> | <u>—</u> |
| Total deferred tax liabilities | <u>\$ (23,498)</u> | <u>\$ —</u> |
| Net deferred tax liabilities | <u>\$ (12,887)</u> | <u>\$ —</u> |

The tax benefit of operating losses, temporary differences, and credit carryforwards is recorded as an asset to the extent that management assesses that realization is “more likely than not.” Realization of the future tax benefits is dependent upon our ability to generate sufficient taxable income within the carryforward period. Because of a limited history of operating income and our projected expenditures on development programs, management believes that recognition of the deferred tax assets arising from the above-mentioned tax benefits is not currently likely to be realized, and, accordingly, the net deferred tax assets have been fully offset by a valuation allowance. The valuation allowance decreased by \$29,037,000 during the year ended December 31, 2011, decreased by \$6,090,000 during the year ended December 31, 2010, and decreased by \$2,861,000 during the year ended December 31, 2009.

Net operating losses and tax credit carryforwards as of December 31, 2011 are as follows (in thousands):

| | <u>Amount</u> | <u>Expiration Years</u> |
|---|---------------|-------------------------|
| Net operating losses, federal | \$199,388 | 2012-2028 |
| Net operating losses, state | 102,510 | 2014-2029 |
| Tax credits, federal | 478 | 2031 |
| Tax credits, state | 10,816 | 2025 and no expiration |

Utilization of our net operating loss carryforwards are subject to substantial annual limitations due to ownership change limitations provided by the Internal Revenue Code and similar state provisions.

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

13. Income Taxes (Continued)

The annual limitation will result in the expiration of the net operating losses before utilization. The Company's deferred tax assets have been adjusted for the expected limitation.

We had no unrecognized tax benefits as of December 31, 2011 and 2010. Also, there are no accrued amounts for interest and penalties.

Our policy is to recognize interest and penalties related to income taxes as a component of income tax expense. No interest and penalty expenses have been recognized in the statements of operations for the years ended December 31, 2009, 2010 and 2011. We are subject to income tax examinations for U.S. Federal income taxes and state income taxes from 1997 forward due to net operating losses in tax years 1995 through 2009. We are subject to tax examinations in the United Kingdom from 2010 forward. We do not anticipate that total unrecognized tax benefits will significantly change prior to December 31, 2012.

14. Employee Benefit Plans

401(k) Profit Sharing Plan

We have adopted a 401(k) Profit Sharing Plan (the "401(k) Plan") for all eligible employees with a minimum of two months of service. We may be obligated to make contributions to the plan to comply with statutory requirements. Voluntary employee contributions to the 401(k) Plan may be matched by the Company, up to 3% of each participant's annual compensation, up to \$6,000 maximum per participant. Our expense relating to contributions made to employee accounts under the 401(k) Plan was approximately \$231,000 in 2011, \$212,000 in 2010, and \$214,000 in 2009.

Employee Stock Purchase Plan

We have 500,000 shares of our common stock reserved for issuance under the 2008 Employee Stock Purchase Plan ("ESPP"). Employees participating in the ESPP are granted the right to purchase shares of common stock at a price per share that is the lower of 85% of the fair market value of a share of our common stock on the first day of an offering period, or 85% of the fair market value of a share of our common stock on the last day of that offering period.

In 2011, we issued 45,041 and 31,259 shares through the ESPP at \$2.29 and \$1.56 per share, respectively. In 2010, we issued 29,185 and 38,183 shares through the ESPP at \$2.30 and \$2.27 per share, respectively. In 2009, we issued 37,342 and 29,455 shares through the ESPP at \$1.34 and \$1.73 per share, respectively. As of December 31, 2011, there are 252,130 shares reserved for future issuance under the ESPP program.

ASTEX PHARMACEUTICALS, INC.
NOTES TO CONSOLIDATED FINANCIAL STATEMENTS (Continued)

15. Quarterly Financial Data (Unaudited)

Following is a summary of the quarterly results of operations for the years ended December 31, 2011 and 2010:

| | Quarter Ended | | | |
|---|---|----------|--------------|-------------|
| | March 31 | June 30 | September 30 | December 31 |
| | (Amounts in thousands, except per share data) | | | |
| 2011 | | | | |
| Royalty revenue | \$16,971 | \$11,539 | \$16,638 | \$15,371 |
| Development and license revenue | 127 | 127 | 308 | 5,833 |
| Net income (loss) | 5,490 | 903 | (1,071) | 220 |
| Basic and diluted net income (loss) per share | 0.09 | 0.01 | (0.01) | 0.00 |
| 2010 | | | | |
| Royalty revenue | \$14,293 | \$ 9,764 | \$13,249 | \$15,157 |
| Development and license revenue | 127 | 127 | 127 | 127 |
| Net income | 4,674 | 961 | 3,892 | 6,746 |
| Basic and diluted net income per share | 0.08 | 0.02 | 0.06 | 0.11 |

During the quarter ended December 31, 2011, Janssen exercised its right under our research alliance to terminate its participation in the development of one compound. In this connection, we determined that a related in-process research and development intangible was impaired and recognized an impairment charge of \$1,250,000. We also recognized the remaining deferred revenue balance related to this research alliance of \$1,244,000.

| <u>Signature</u> | <u>Title</u> | <u>Date</u> |
|---|------------------------|----------------|
| <u>/s/ TIMOTHY HAINES</u> (Timothy Haines) | Director | March 15, 2012 |
| <u>/s/ HARREN JHOTI</u> (Harren Jhoti) | President and Director | March 15, 2012 |
| <u>/s/ ISMAIL KOLA</u> (Ismail Kola) | Director | March 15, 2012 |
| <u>/s/ WALTER J. LACK</u> (Walter J. Lack) | Director | March 15, 2012 |

Consent of Independent Registered Public Accounting Firm

We consent to the incorporation by reference in the following Registration Statements:

- (1) Registration Statement (Form S-8 No. 333-07295) pertaining to the 1993 Stock Option Plan, 1996 Directors' Stock Option Plan and Employees and Consultants Stock Option Agreement/ Plan of the Registrant,
- (2) Registration Statement (Form S-8 No. 333-58303) pertaining to the 1993 Stock Option Plan and 1998 Employee Stock Purchase Plan of the Registrant,
- (3) Registration Statements (Form S-8 Nos. 333-87369 and 333-44736) pertaining to the 1993 Stock Option Plan of the Registrant,
- (4) Registration Statement (Form S-8 No. 333-86644) pertaining to the 1996 Directors' Stock Option Plan and 1998 Employee Stock Purchase Plan of the Registrant,
- (5) Registration Statements (Form S-8 Nos. 333-110152, 333-127073, and 333-169473) pertaining to the 2003 Stock Plan of the Registrant,
- (6) Registration Statement (Form S-8 No. 333-120505) pertaining to the 1998 Employee Stock Purchase Plan of the Registrant,
- (7) Registration Statement (Form S-8 No. 333-152811) pertaining to the 2003 Stock Plan and 2008 Employee Stock Purchase Plan of the Registrant,
- (8) Registration Statements (Form S-3 Nos. 333-113858, 333-120502, and 333-156840) of the Registrant and in the related Prospectuses, and
- (9) Registration Statement (Form S-8 No. 333-175765) pertaining to the 2008 Employee Stock Purchase Plan and stand-alone assumed options of the Registrant,

of our reports dated March 15, 2012, with respect to the consolidated financial statements of Astex Pharmaceuticals, Inc. and the effectiveness of internal control over financial reporting of Astex Pharmaceuticals, Inc. included in this Annual Report (Form 10-K) of Astex Pharmaceuticals, Inc. for the year ended December 31, 2011.

/s/ ERNST & YOUNG LLP

Redwood City, California
March 15, 2012

Certification of CEO Pursuant to Rule 13a-14(a) of the Exchange Act

I, James S.J. Manuso, certify that:

1. I have reviewed this annual report on Form 10-K of Astex Pharmaceuticals, Inc.;
2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;
3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;
4. The registrant's other certifying officer and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f)) for the registrant and we have:
 - a) Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, including its consolidated subsidiaries, is made known to us by others within those entities, particularly during the period in which this report is being prepared;
 - b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, 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;
 - c) Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and
 - d) Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and
5. The registrant's other certifying officer and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's board of directors (or persons performing the equivalent functions):
 - a) All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and
 - b) any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: March 15, 2012

By: /s/ JAMES S.J. MANUSO

James S.J. Manuso
Chief Executive Officer
(Principal Executive Officer)

Certification of CFO Pursuant to Rule 13a-14(a) of the Exchange Act

I, Michael Molquentin, certify that:

1. I have reviewed this annual report on Form 10-K of Astex Pharmaceuticals, Inc.;
2. Based on my knowledge, this report does not contain any untrue statement of a material fact or omit to state a material fact necessary to make the statements made, in light of the circumstances under which such statements were made, not misleading with respect to the period covered by this report;
3. Based on my knowledge, the financial statements, and other financial information included in this report, fairly present in all material respects the financial condition, results of operations and cash flows of the registrant as of, and for, the periods presented in this report;
4. The registrant's other certifying officer and I are responsible for establishing and maintaining disclosure controls and procedures (as defined in Exchange Act Rules 13a-15(e) and 15d-15(e)) and internal control over financial reporting (as defined in Exchange Act Rules 13a-15(f) and 15d-15(f)) for the registrant and we have:
 - a) Designed such disclosure controls and procedures, or caused such disclosure controls and procedures to be designed under our supervision, to ensure that material information relating to the registrant, including its consolidated subsidiaries, is made known to us by others within those entities, particularly during the period in which this report is being prepared;
 - b) Designed such internal control over financial reporting, or caused such internal control over financial reporting to be designed under our supervision, 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;
 - c) Evaluated the effectiveness of the registrant's disclosure controls and procedures and presented in this report our conclusions about the effectiveness of the disclosure controls and procedures, as of the end of the period covered by this report based on such evaluation; and
 - d) Disclosed in this report any change in the registrant's internal control over financial reporting that occurred during the registrant's most recent fiscal quarter (the registrant's fourth fiscal quarter in the case of an annual report) that has materially affected, or is reasonably likely to materially affect, the registrant's internal control over financial reporting; and
5. The registrant's other certifying officer and I have disclosed, based on our most recent evaluation of internal control over financial reporting, to the registrant's auditors and the audit committee of the registrant's board of directors (or persons performing the equivalent functions):
 - a) All significant deficiencies and material weaknesses in the design or operation of internal control over financial reporting which are reasonably likely to adversely affect the registrant's ability to record, process, summarize and report financial information; and
 - b) any fraud, whether or not material, that involves management or other employees who have a significant role in the registrant's internal control over financial reporting.

Date: March 15, 2012

By: /s/ MICHAEL MOLKENTIN
Michael Molquentin
Chief Financial Officer
(Principal Financial and Accounting Officer)

**CERTIFICATION OF CHIEF EXECUTIVE OFFICER AND CHIEF FINANCIAL OFFICER
PURSUANT TO
18 U.S.C. SECTION 1350,
AS ADOPTED PURSUANT TO
SECTION 906 OF THE SARBANES-OXLEY ACT OF 2002**

I, James S.J. Manuso, certify, pursuant to 18 U.S.C. Section 1350, as adopted pursuant to Section 906 of the Sarbanes-Oxley Act of 2002, that the Annual Report of Astex Pharmaceuticals, Inc. on Form 10-K for the year ended December 31, 2011 fully complies with the requirements of Section 13(a) or 15(d) of the Securities Exchange Act of 1934 and that information contained in such Form 10-K fairly presents, in all material respects, the financial condition and results of operations of Astex Pharmaceuticals, Inc.

Dated: March 15, 2012

By: /s/ JAMES S.J. MANUSO

Name: James S.J. Manuso

Title: Chief Executive Officer

I, Michael Molquentin, certify, pursuant to 18 U.S.C. Section 1350, as adopted pursuant to Section 906 of the Sarbanes-Oxley Act of 2002, that the Annual Report of Astex Pharmaceuticals, Inc. on Form 10-K for the year ended December 31, 2011 fully complies with the requirements of Section 13(a) or 15(d) of the Securities Exchange Act of 1934 and that information contained in such Form 10-K fairly presents, in all material respects, the financial condition and results of operations of Astex Pharmaceuticals, Inc.

Dated: March 15, 2012

By: /s/ MICHAEL MOLKENTIN

Name: Michael Molquentin

Title: Chief Financial Officer

A signed original of this written statement required by Rule 13a-14(b) of the Securities Exchange Act of 1934 and 18 U.S.C. 1350 has been provided to the Registrant and will be retained by the Registrant and furnished to the Securities and Exchange Commission or its staff upon request.

This certification accompanies the 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 or the Securities Exchange Act of 1934, each as amended, (whether made before or after the date of the Form 10-K), irrespective of any general incorporation language contained in such filing.

Stockholder Information

BOARD OF DIRECTORS

James S.J. Manuso, PhD, MBA
Chairman & Chief Executive Officer

Harren Jhoti, PhD
President and Director

Charles J. Casamento
*Executive Director and Principal
The Sage Group, Inc.*

Peter Fellner, PhD
*Vice Chairman
Chairman
Vernalis plc*

Thomas V. Girardi
*Senior Partner
Girardi & Keese*

Allan R. Goldberg, PhD
*Advisory Partner
The Channel Group LLC*

Timothy Haines
*Partner
Abingworth Management Ltd.*

Ismail Kola, PhD
*Executive Vice President & President
New Medicines UCB S.A.*

Walter J. Lack
*Managing Partner
Engstrom, Lipscomb & Lack*

OFFICERS

James S.J. Manuso, PhD, MBA
Chairman & Chief Executive Officer

Harren Jhoti, PhD
President and Director

Mohammad Azab, MD, M.Sc., MBA
Chief Medical Officer

Martin Buckland, DPhil, MBA
Chief Business Officer

Michael Molkenin, CPA
*Chief Financial Officer &
Corporate Secretary*

SENIOR MANAGEMENT

Timothy L. Enns
*Senior Vice President
Corporate Communications
& Marketing*

Lyn Leaper, EPA, PhD
*Senior Vice President
Intellectual Property*

Michael V. McCullar, PhD, MBA
*Senior Vice President
Strategy & Discovery Operations*

David Rees, PhD
*Senior Vice President
Medicinal Chemistry*

Neil Thompson, PhD
*Senior Vice President
Biology*

CORPORATE HEADQUARTERS

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Suite 200
Dublin, CA 94568
USA

925-560-0100 tel
925-560-0101 fax
www.astx.com

INDEPENDENT REGISTERED PUBLIC ACCOUNTING FIRM

Ernst & Young LLP
275 Shoreline Drive
Suite 600
Redwood City, CA 94065

OUTSIDE LEGAL COUNSEL

Wilson Sonsini Goodrich & Rosati
Professional Corporation
650 Page Mill Road
Palo Alto, CA 94304

TRANSFER AGENT

Computershare
250 Royall Street
Canton, MA 02021
781-575-2000
www.cis.computershare.com

NASDAQ: ASTX

CAUTIONARY STATEMENTS REGARDING FORWARD-LOOKING STATEMENTS

This Annual Report contains predictions, estimates and other forward-looking statements within the meaning of the private Securities Litigation Reform Act of 1995. These forward-looking statements involve a number of risks and uncertainties about our business, including, but not limited to: our expectation that we will leverage the acquisition of Astex Therapeutics and create value to stockholders; our belief that we are a leader in fragment-based drug discovery, and that we will continue our pharmaceutical partnerships and will develop more collaborative relationships; our belief that the breadth and depth of our pipeline set us apart as an industry leader; our expectations about the development of our drugs within our pipeline, and that we will file multiple INDs for our drug candidates; our expectations about the timing and results of our clinical trials; our anticipated revenues from our license of Dacogen and an escalating revenue stream generally; our expectations about future profitability; our expectations about our cash position and our ability to sustain near term operations without raising more cash; our expectations about our joint development program with partners including GSK, as well as our expectations about the achievement of milestones and receipt of anticipated royalty payments; and our expectations that our research and development efforts will lead to viable drug candidates.

In some cases, these forward-looking statements may be identified by the usage of words such as "may," "will," "could," "should," "would," "expects," "plans," "anticipates," "believes," "estimates," "predicts," "potential," or "continue," or the negative of such words and other similar terminology. While this discussion represents our current judgment on the future direction of our business, these statements involve known and unknown risks and uncertainties that may cause our or our industry's results, level of activity, performance or achievements to be materially different from those expressed or implied by the forward-looking statements. Certain unknown or immaterial risks and uncertainties can also affect our forward-looking statements. Forward-looking statements not specifically described above also may be found in other sections of this Annual Report. We disclaim any intention or obligation to update or revise any forward-looking statements, whether as a result of new information, future events or otherwise. For a discussion of the known and material risks that could affect our actual results, please see "Risk Factors" in this Annual Report. For information about our company, stockholders and other interested parties may contact the Investor Relations Department at our corporate headquarters, or visit our website at www.astx.com. Inquiries regarding stock certificates, transfer requirements, address changes, and related matters should be directed to the Transfer Agent at the address given on this page of the report.



www.astx.com

CORPORATE HEADQUARTERS — US

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