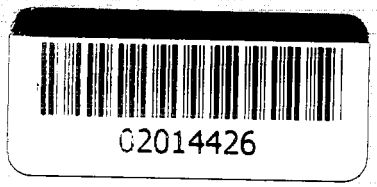
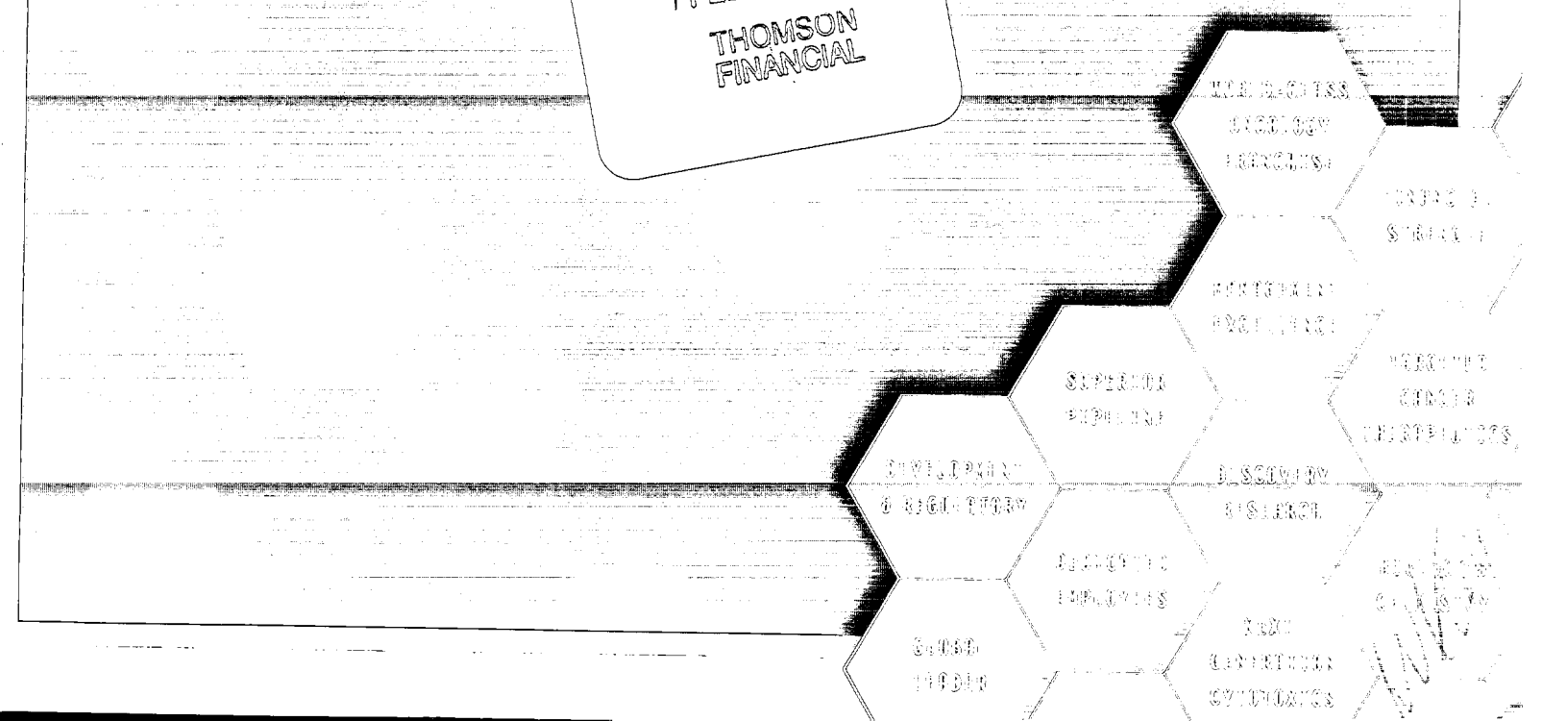


OSI Pharmaceuticals

2001 ANNUAL REPORT

ARIS
P.E. 9/30/01

This year that vision is fast becoming a reality.



Our primary focus is on the rapid development and commercialization of innovative products that will provide high quality, life saving therapeutics to treat patients with cancer and other unmet medical needs worldwide.

OSI Pharmaceuticals

Building a World-Class Oncology Franchise

The past year was one of the most dynamic in our history. Building on the successes of 2000—the return of rights to Tarceva™ (OSI-774) and two successful financings raising $487 million—we set out to transform OSI into a company with the comprehensive capabilities and deep pipeline that constitutes a world-class cancer franchise.

We began 2001 with four primary goals aimed at building both our clinical and corporate capabilities. These were: to sign a co-development and marketing agreement for Tarceva™ (OSI-774); to initiate a comprehensive Phase III development program for Tarceva™ (OSI-774); to continue to evolve our drug discovery efforts away from funded collaborations with single digit royalties; and to pursue a successful mergers and acquisitions program. Specifically, we sought to broaden our pipeline and fill in and strengthen skill sets in clinical development and regulatory affairs. These will permit us to provide excellence across the board, from drug discovery to drug registration.

With the OSI/Genentech/Roche alliance, two acquisitions, steady progress on Tarceva™ (OSI-774) and a comprehensive oncology team now in place, we can point to a successful year of continued progress. The depth and breadth of today's pipeline has us well positioned to emerge as a major force in oncology—able to broaden therapeutic boundaries, improve the quality-of-life, and ultimately save the lives of those who suffer from cancer.

2001: Meeting Key Corporate Goals

OSI, GENENTECH AND ROCHE ALLIANCE

In January 2001, OSI, Genentech and Roche formed a global co-development and commercialization alliance for Tarceva™ (OSI-774). In the United States, Genentech and OSI are co-developing Tarceva™ (OSI-774), employing an equal cost and profit sharing arrangement for commercialization. Roche, OSI's international partner, is developing and commercializing Tarceva™ (OSI-774) outside the United States and will pay OSI royalties on net sales. Roche and Genentech also paid a combined $95 million on signing (in upfront fees and equity purchases) and could pay up to $92 million in additional milestones. With this strategy, OSI gains from Roche's global product marketing expertise, while being able to leverage its own resources for commercialization with Genentech in the United States.

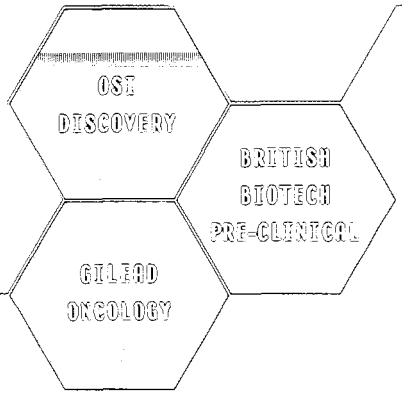
EXECUTION OF TARCEVA™ (OSI-774)

The program to develop Tarceva™ (OSI-774), an extensive global plan, will target non-small cell lung cancer (NSCLC) and pancreatic cancer as initial registration strategies. At the same time, it will continue to develop the product for multiple additional indications including breast, ovarian, colorectal and brain tumors. The program will assess the utility of Tarceva™ (OSI-774) in combination

TO OUR SHAREHOLDERS

"We have now assembled all the pieces of an exciting puzzle... creating a powerful and dynamic oncology franchise."

—Colin Goddard, Ph.D.
CHAIRMAN OF THE BOARD
AND CHIEF EXECUTIVE OFFICER



with existing chemotherapy and biological agents as well as a single agent. It will also seek to demonstrate a survival benefit for both earlier stage and late stage cancer patients. This will enable the product's front-line use in a number of cancers.

In May 2001, the Tarceva™ (OSI-774) product development team announced positive data from three Phase II clinical studies. As presented at the 37th Annual Meeting of the American Society of Clinical Oncology (ASCO), the clinical results indicated encouraging anti-cancer activity of Tarceva™ (OSI-774), as a single agent, in patients with refractory NSCLC, ovarian and head and neck cancers. Specifically, the findings demonstrated objective partial responses and considerable evidence of long term disease stabilization in a patient population that is difficult to treat. These results were obtained against a backdrop of a relatively mild set of principal side effects: an acneiform rash (seen in 72% of patients) and diarrhea (seen in approximately 33% of patients).

Over the past year, the OSI/Genentech/Roche alliance initiated four registration studies with Tarceva™ (OSI-774). Three trials are designed to assess the use of Tarceva™ (OSI-774) in combination with chemotherapy for front-line use in lung and pancreatic cancers. A fourth study is a single agent trial for refractory lung cancer. In July 2001, the Company announced the first of the Phase III Tarceva™ (OSI-774) trials in NSCLC, launched by Genentech. Roche followed with the initiation of the global Phase III trial in NSCLC in November 2001, while OSI announced the commencement of the final two Phase III trials in refractory NSCLC and front-line pancreatic cancer. Both OSI trials are co-sponsored with the National Cancer Institute of Canada Clinical Trials Group.

The alliance also began additional Phase Ib trials designed to evaluate the safety, tolerance, pharmacokinetics and preliminary anti-cancer activity of escalating doses of Tarceva™ (OSI-774) in combination with various chemotherapies in advanced cancer patients. Together with the National Cancer Institute's Cancer Therapy Evaluation Program (CTEP), we are collaborating to conduct clinical trials in multiple tumor types including metastatic breast, malignancies of the gastrointestinal and genitourinary tracts, gynecological malignancies and brain tumors.

MERGERS & ACQUISITIONS

The acquisition of clinical candidates together with clinical development and regulatory expertise was an important part of our overall strategy in 2001. Most recently, in December 2001, we acquired the oncology assets of Gilead Sciences for $170 million in cash and equity plus up to $30 million in potential milestones for the most advanced product NX211. As a result of this transaction, we now have three additional oncology candidates in clinical trials. These include OSI-211(formerly NX211) and OSI-7904 (formerly GS7904L), which are novel, liposomal formulations of cytotoxic, anti-cancer agents. OSI-211 is currently in Phase II clinical trials for refractory ovarian and small cell lung cancer, while OSI-7904 is in Phase I trials. The third product in this portfolio is OSI-7836 (formerly GS7836), a nucleoside analog being developed in Phase I testing as a next-generation Gemzar®.

As part of this transaction we also acquired Gilead's Boulder, Colorado operations, including clinical research and drug development capabilities, personnel and facilities. Nicole Onetto, M.D., the former Senior Vice President of Medical Affairs at Gilead, joined OSI as Executive Vice President, Oncology. She has brought with her a seasoned, multidisciplinary oncology and drug development team with a proven track record.

In addition, in September 2001 we acquired pre-clinical research operations from British Biotech, including their state-of-the-art research and pilot manufacturing facilities in Oxford, UK for $13.9 million. We are currently consolidating our UK operations into the Oxford site. With this acquisition, as well as that of Gilead's oncology business, we have expanded our R&D capabilities from early stage research through pre-clinical and clinical development by adding high quality infrastructure and professionals.

FURTHER ACCOMPLISHMENTS

In 2000, two additional products entered Phase I clinical trials through our recently concluded alliance with Pfizer in cancer therapy. OSI-754 (formerly CP-609,754) a farnesyl transferase inhibitor, is being developed by OSI as a targeted therapy for bladder cancer subsequent to OSI gaining commercial rights to this product from Pfizer. The second compound, which inhibits cancer-related angiogenesis (new blood vessel formation) by targeting the vascular endothelial growth factor receptor (VEGFR), is the subject of a Pfizer-sponsored clinical program.

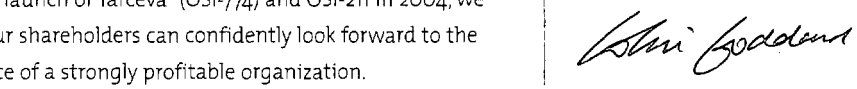
In addition to acquiring new facilities in Oxford, UK and Boulder, Colorado, we moved our corporate headquarters to a new facility in Melville, New York. We will consolidate our US discovery research operations into a new site located on the grounds of Farmingdale State University of New York (SUNY). The Farmingdale site is land specifically dedicated to the Broad Hollow Bioscience Park, a New York State funded project to

establish a biotechnology industry on Long Island through the clustering of mature and incubator companies. OSI will serve as the anchor tenant in support of this important initiative.

On the financial front we enter 2002 with strong cash reserves. At the end of the calendar year, the Company's cash and short term investments totaled approximately $400 million. Although we expect to significantly increase our R&D investments in 2002, we do this from a solid financial base and in the knowledge that these investments are focused on one of the most complete oncology pipelines in the industry. With the projected launch of Tarceva™ (OSI-774) and OSI-211 in 2004, we believe our shareholders can confidently look forward to the emergence of a strongly profitable organization.

In 2000, we presented our vision for becoming a world-class oncology franchise. This year that vision is fast becoming reality. We are now busy writing the next chapter in the history of the Company, as we prepare to rapidly develop products emerging from our pipeline and evolve a powerful commercial operation.

The key reason for our success has been, and will remain, the outstanding employees of OSI. I would like to take the opportunity to thank the employees, you the shareholders, and our corporate partners and friends. We believe that your support will make it possible for us to improve the quality-of-life for people afflicted with cancer and offer the promise of hope to those whose lives our products may one day touch.

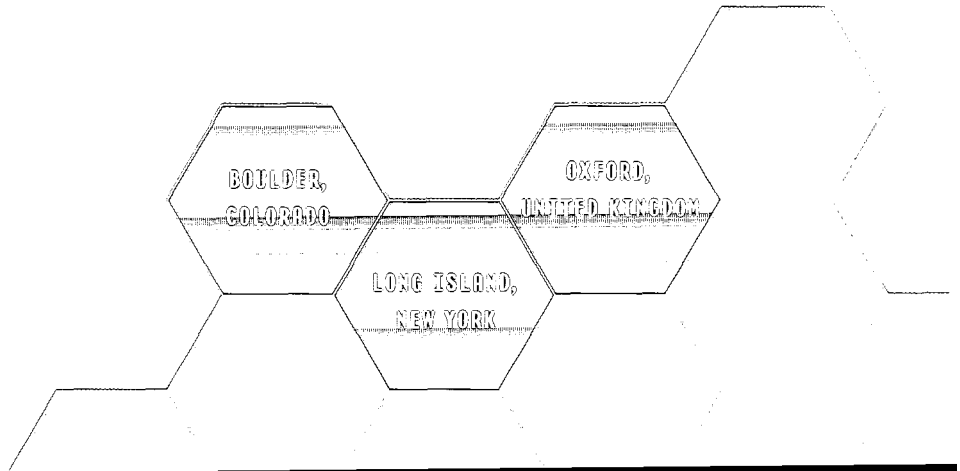


Colin Goddard, Ph.D.
CHAIRMAN OF THE BOARD
AND CHIEF EXECUTIVE OFFICER

MAY 14, 2001
New Class of Cancer Drugs Shows Promise by Andrew Pollack

JUNE 06, 2001
We've Gone From Hopeless to Hope;
Student Fighting Cancer Gets Into Trial by Steven Ginsberg

MAY 13, 2001
Drug Advances Bring New Hope to Cancer Battle by Ron Winslow



Building on Today—Moving Toward Tomorrow

ONCOLOGY FRANCHISE

One in three people in the developed world will be afflicted with cancer and one in four will die from it. Although the prospects for a cure in cancer may seem distant, we at OSI are confident that with our pipeline of discovery programs and drug candidates, we are well positioned to move forward in the fight against this deadly disease.

We believe in a balanced approach to developing new and improved cancer fighting drugs. First, we must build on today's treatment options—cytotoxic agents that have served as the cornerstone of cancer care for decades. We are developing next-generation cytotoxics that widen the therapeutic window by increasing the anti-cancer benefit of these aggressive drugs while managing the harmful side effects. Second, we must continue to explore our growing understanding of the genetic basis for cancer with the development of mechanism-based therapeutic agents, designed to act on gene targets that are involved in the malignant progression of cancer. We believe that as we are making the transition to a new paradigm for the treatment of cancer, many of these novel targeted therapeutics will be effectively used in combination with conventional cytotoxic agents in order to maximize the therapeutic benefit and provide an array of effective treatment options for patients.

OSI has for many years conducted drug discovery efforts in targeted therapies. The first advanced drug candidate to emerge from these efforts is Tarceva™ (OSI-774), a small molecule

OSI'S ONCOLOGY PIPELINE

PRODUCT	TARGET	IND TRACK	PHASE I	PHASE II	PHASE III	PARTNERS
TARCEVA™ (OSI-774)	EGFR					Genentech/Roche
OSI-211	Liposomal Lurtotecan					OSI owned
OSI-754	FTI					OSI owned
OSI-7836	Gemzar® analog					OSI owned
CP-632	VEGFR					Pfizer
OSI-7904	Liposomal TS Inhibitor					OSI owned
CP-xxx	HER2-neu					Pfizer
CP-xxx	PDGFR					Pfizer

inhibitor of the epidermal growth factor receptor (EGFR). Tarceva™ (OSI-774) is currently in Phase III clinical trials for non-small cell lung cancer and pancreatic cancer. We believe the acquisition of Gilead's oncology assets will complement our drug discovery engine and accelerate our development and commercialization capabilities with the addition of a world-class drug development and oncology group. With this acquisition we have also augmented our pipeline of gene-targeted small molecule therapeutics with several promising next-generation cytotoxic agents currently in clinical development.

Today, OSI has a full array of cancer drug discovery and development capabilities that effectively differentiates our Company and will allow us to excel in our goal of becoming a global leader in oncology.

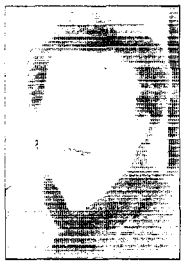
Tarceva™ (OSI-774)—EGFR Targeted Cancer Therapy—A Powerful Anti-Cancer Drug

The discovery and development of new drug targets is crucial for the development of improved therapies for cancer. OSI pioneered the development of anti-cancer programs that target the multiple underlying mechanisms of cancer.

The most advanced of these programs targets the EGFR tyrosine kinase, a cell surface receptor with an important role in the control of cell growth and differentiation. Extensive studies show that in many human cancers, EGFR is over-expressed or mutated, leading to aberrant signaling and the development of tumors.

Tarceva™ (OSI-774), our small molecule anti-cancer agent, is a selective and orally active inhibitor of EGFR and is currently being tested in clinical trials. We have now completed Phase II trials for Tarceva™ (OSI-774) in non-small cell lung cancer, head and neck cancer and ovarian cancer. Patients in these trials had advanced or metastatic cancer and had generally failed standard treatment regimens. Objective evidence of anti-tumor activity manifested itself as partial responses and disease stabilization. The principal side effect seen for Tarceva™ (OSI-774) is an acneiform rash that is observed in approximately 72% of patients.

In January 2001, we entered into an alliance with Genentech and Roche for the global co-development and commercialization of Tarceva™ (OSI-774). This global program is designed as a broad-based approach in implementing several Phase III trials that we expect will result in an effective registration with the Food and Drug Administration and other international regulatory agencies. These trials include combination studies with existing chemotherapy regimens for front-line use in pancreatic cancer and non-small cell lung cancer as well as a single agent trial for



Tracy Good Walter – mother, wife, attorney, Tarceva™ (OSI-774) trial patient

Since she was diagnosed with lung cancer in the Spring of 1998, Tracy had undergone a number of different cancer therapies. In July 2000, Tracy was enrolled in a single agent Phase I Tarceva™ (OSI-774) trial. Today, Tracy continues with Tarceva™ (OSI-774) as her daily treatment. Tarceva™ (OSI-774) is currently in Phase III trials in non-small cell lung and pancreatic cancers.

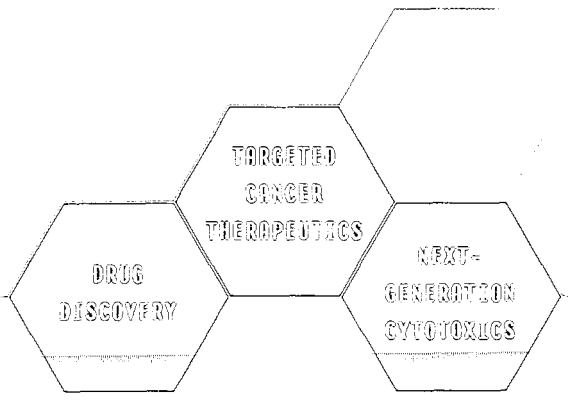
TARCEVA™ (OSI-774) CURRENT PHASE II DATA

(single-agent studies)

	NON-SMALL CELL LUNG CANCER	HEAD & NECK	OVARIAN
Patients	57	124	30
Complete Response	1	—	—
Partial Responses	8	7	4
Stable Disease	15	49	14
Overall Responders	42%	45%	59%
Median Survival (days)	257	174	242
One Year Survival	48%	24%	45%

Principal Side Effects

	MILD/MODERATE	SEVERE
Rash & Related Disorders	65.5%	6.5%
Diarrhea	30.2%	3.2%



Tarceva™ (OSI-774) and EGFR

Elevated numbers of EGF receptors have been detected on a variety of human tumors including breast, lung, head and neck, and colorectal cancers. The over-expression or mutation of these receptors leads to the abnormal stimulation of growth factor pathways resulting in unregulated cell signaling. Tarceva™ (OSI-774) is designed to specifically inhibit a signal transduction pathway by blocking the activity of the EGFR tyrosine kinase and targeting the underlying molecular changes that define the conversion of normal cells into a cancerous state. Tarceva™ (OSI-774) is designed to accomplish this by inhibiting the EGFR tyrosine kinase so that the aberrant signaling pathway is blocked and normal growth is restored.

refractory non-small cell lung cancer. We have initiated several Phase Ib combination trials to evaluate the effects of Tarceva™ (OSI-774) used in conjunction with several different chemotherapy drugs. We have also begun an exploratory Phase II trial in advanced breast cancer using Tarceva™ (OSI-774) as a single agent.

OSI is also collaborating with the U.S. National Cancer Institute's Cancer Therapy Evaluation Program to conduct clinical trials with Tarceva™ (OSI-774) in multiple tumor types, including epithelial malignancies of the gastrointestinal and genitourinary tracts, gynecological malignancies and brain tumors.

PHASE III TRIALS WITH TARCEVA™ (OSI-774)

TRIAL	INDICATION
Tarceva™ + carboplatin + paclitaxel	non-small cell lung cancer
Tarceva™ + gemcitabine + cisplatin	non-small cell lung cancer
Tarceva™ + gemcitabine	pancreatic cancer
Tarceva™	refractory non-small cell lung cancer

These Phase III trials are large scale registration oriented trials. They are all randomized, placebo-controlled studies that seek to demonstrate improvements in patient survival and quality-of-life.

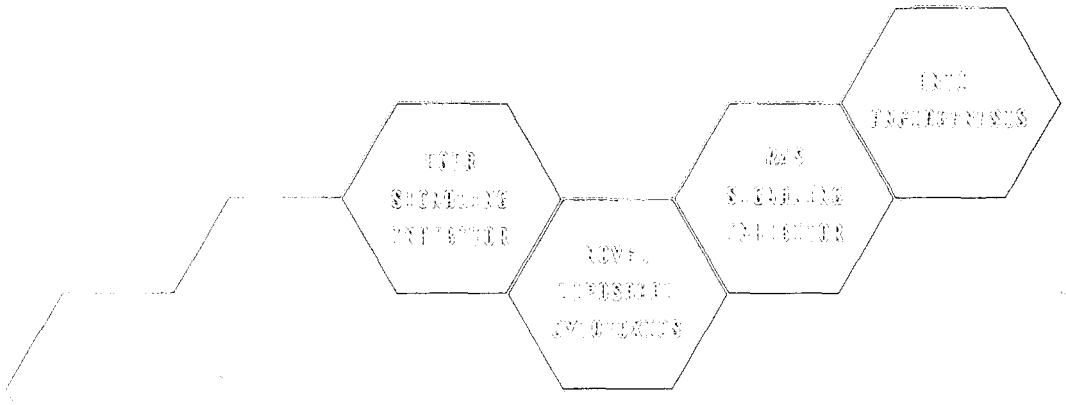
Deciphering the Language of the Cancer Cell

We now know that cancers are caused by abnormalities in the expression of certain genes, especially oncogenes (growth regulating genes that are either over-expressed or mutated in cancer cells). The language of cancer is to be discovered in aberrations to the cell signaling pathways that control cell proliferation, apoptosis (programmed cell death), angiogenesis (the process of blood vessel growth), invasion and metastasis. At OSI, our discovery efforts in targeted therapies are directed toward the development of drugs that target the genetic abnormalities present in human cancers and their consequences. Specifically, our drug discovery programs in targeted therapy include programs in signal transduction, angiogenesis and apoptosis.

SIGNAL TRANSDUCTION

Ras genes are among the most frequently activated oncogenes in cancer. Different forms of the *ras* oncogene are referred to as H-*ras*, K-*ras* and N-*ras*. *Ras* is a protein that normally transmits signals (including growth signals) from the cell membrane to the cell nucleus. In order to mediate this signal, *ras* proteins have to be modified by an enzyme called farnesyl transferase. In many forms of cancer, *ras* is mutated resulting in the constant transmission of signals irrespective of the presence of external stimuli. In fact, mutated forms of *ras* can be found in 30% to 40% of human cancers.

OSI-754 (formerly CP-609,754), an orally active inhibitor of farnesyl transferase, is designed to function as an anti-cancer agent by interfering with the ability of the *ras* protein to



OSI-754 and Bladder Cancer

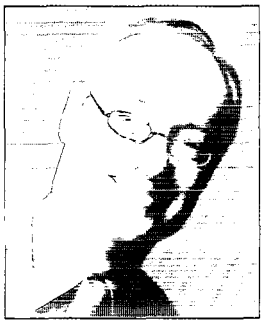
Bladder cancer is among the most common cancers in the United States. The American Cancer Society estimates that in 2002 there will be approximately 55,000 new cases of bladder cancer and about 13,000 deaths from this disease. With many bladder cancers exhibiting mutated forms of the H-ras oncogene, we recognize the opportunity to develop OSI-754 to address a specific and largely unmet medical need.

mediate signaling. We recently received full commercial rights to OSI-754, which was discovered in collaboration with Pfizer as part of our long standing alliance in cancer drug discovery. Pre-clinical studies show that inhibitors of farnesyl transferase are more effective at blocking the membrane recruitment of the H- and N- forms of the *ras* gene rather than the more common K-*ras* gene. We will therefore enter OSI-754 into a Phase II clinical trial for bladder cancer where mutant and over-expressed forms of the H-*ras* oncogene are known to be present.

ANGIOGENESIS

Angiogenesis, the process of blood vessel growth, has been shown to play an important role in the development and spread of cancer. Solid tumors induce angiogenesis because a blood vessel supply is necessary to provide nutrients to sustain tumor growth. In many cancers, the induction of angiogenesis is mediated by the production of a growth factor called vascular endothelial growth factor (VEGF). This growth factor binds to the VEGF receptor (VEGFR), a key tyrosine kinase involved in regulating blood vessel growth.

A third drug candidate to enter clinical trials as a result of our successful cancer drug discovery alliance with Pfizer



"WITH TARCEVA™, WE BELIEVE, WE HAVE BEEN ABLE TO DEMONSTRATE ANTI-CANCER ACTIVITY IN THE FORM OF OBJECTIVE PARTIAL RESPONSES AND DISEASE STABILIZATION IN PATIENTS WITH ADVANCED, REFRACTORY, NON-SMALL CELL LUNG CANCER. THE DURATION OF SURVIVAL IN THESE PATIENTS IS OF PARTICULAR INTEREST."

—Roman-Perez Solar, M.D.
CHAIRMAN, DEPARTMENT OF ONCOLOGY,
MONTEFIORE MEDICAL CENTER/ALBERT EINSTEIN
COLLEGE OF MEDICINE, BRONX, NY

works by inhibiting the VEGFR. The compound is an orally active, potent and selective inhibitor of VEGFR and is currently in Phase I clinical trials, conducted by Pfizer.

[illegible]

We have additional drug discovery programs focused specifically on oncogenes and apoptosis, or programmed cell death. Normal cells undergo tightly controlled, or programmed death, which is often pathologically prevented in cancer cells. We are currently studying the mechanisms that underlie the ability of certain cells to avoid apoptosis and contribute to tumor growth by promoting cell survival.

Expanding Therapeutic Boundaries

Cancer therapy is undergoing a transition from the pre-genomic era of traditional cytotoxic drugs to a new era focusing on gene targeted therapies and combination approaches.

As these new targeted drugs emerge in clinical testing, they are frequently used in combination with cytotoxic chemotherapy drugs in an attempt to maximize the anti-cancer benefit. There is now a large body of experimental evidence showing that this type of combination therapy may be a promising therapeutic approach. We believe that a successful oncology franchise should develop both next-generation or improved cytotoxic drugs in addition to the new targeted therapies in order to provide an array of treatment options for the cancer patient.

Thus, while our drug discovery research efforts have focused primarily on targeted therapies, our acquisition of oncology assets from Gilead has further complemented our portfolio with several promising, next-generation cytotoxic agents. These include two compounds incorporating novel liposomal formulations—OSI-211 (formerly NX211), a topoisomerase I inhibitor, and OSI-7904 (formerly GS7904L), a thymidylate synthase inhibitor. Non-liposomal formulations of these products are currently marketed for cancer indications. Additionally, OSI-7836 (formerly GS7836) is being developed as a next-generation Gemzar® (gemcitabine) for multiple solid tumors.

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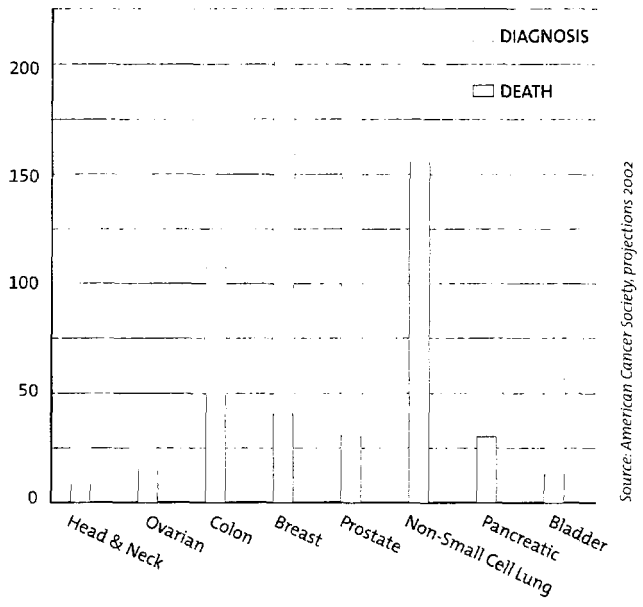
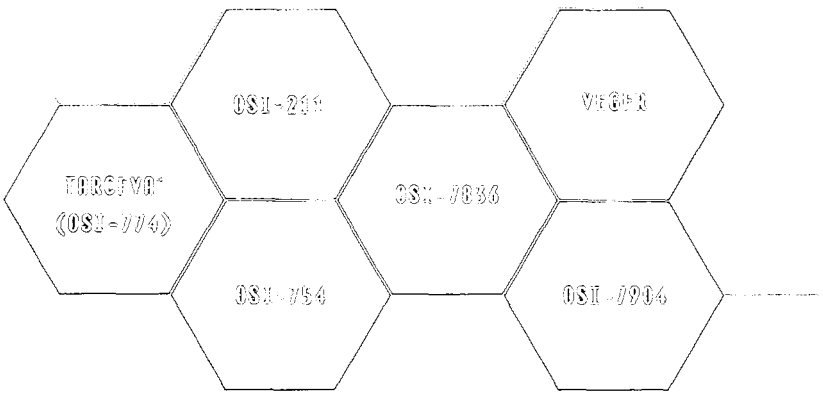
OSI-211 is a proprietary liposomal formulation of the anti-cancer agent, lurtotecan, a topoisomerase I inhibitor. This novel formulation is designed to enhance efficacy and improve the drug's therapeutic effect. Topoisomerase I is an enzyme critical to cellular replication. Specifically, topoisomerase I enables double-stranded DNA to unwind prior to replication. Failure of DNA to unwind appropriately during the cell cycle sends a cell into apoptosis, preventing further cell replication. Hycamptin® and Camptosar® are examples of currently marketed topoisomerase I inhibitors. Phase I studies of OSI-211 revealed some promising indications of anti-tumor activity in ovarian and other cancers.

U.S. CANCER STATISTICS

(new cases per year, in thousands)



Source: American Cancer Society, projections 2002



Phase II clinical trials are in progress for patients with ovarian and small cell lung cancers.

OSI-7904

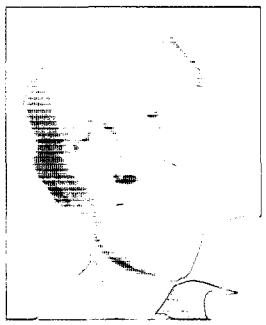
OSI-7904 is a member of the class of drugs known as thymidylate synthase inhibitors, a well established group of agents with a validated mechanism of action, often used in metastatic colorectal and breast cancers. 5-Fluorouracil and Xeloda® are examples of marketed drugs in this class. This liposomal formulation is designed to improve the therapeutic window and enhance activity by maintaining active levels of drug in the tumor for extended periods of time. This product is currently in Phase I trials.

OSI-7836

OSI-7836 is a member of the nucleoside class of cytotoxic drugs of which the most prominent is Gemzar®, which has been approved for use in front-line combinations for non-small cell lung cancer and for use in pancreatic cancer. OSI's next-generation product has been shown to be more active than Gemzar® in pre-clinical xenograft models of anti-tumor activity and is currently in Phase I trials.

Core Drug Discovery Research

We also intend to continue to discover and develop small molecule drugs that address other major markets outside of cancer. In these efforts we expect to focus primarily in the areas of diabetes and obesity, taking advantage of our extensive research expertise in this field. Our historical partnerships have resulted in a pipeline of drugs being developed by Tanabe (diabetes), Aventis (cholesterol lowering and asthma), Pfizer (cosmeceuticals) and Solvay (congestive heart failure) that could yield royalty income to OSI if they are successful in reaching and gaining marketing approval.



"THESE NEXT-GENERATION CYTOTOXIC AGENTS HAVE THE POTENTIAL TO DEMONSTRATE ACTIVITY AGAINST A WIDE VARIETY OF SOLID TUMORS. MORE IMPORTANTLY, THEY OFFER THE OPPORTUNITY TO MAXIMIZE THE THERAPEUTIC BENEFIT OF NOVEL TARGETED THERAPEUTICS WHEN USED IN COMBINATION."

—Franco M. Muggia, M.D.
ANNE MURNICK AND DAVID H. COGAN PROFESSOR OF ONCOLOGY
AT THE NEW YORK UNIVERSITY SCHOOL OF MEDICINE

Building on a Strong Foundation

CAPABILITIES

With the acquisition of the oncology group from Gilead and the assets acquired from British Biotech, OSI has grown into an organization of over 500 people with facilities in New York, Colorado and the United Kingdom. Today, OSI is an independent, fully integrated R&D organization, with an emerging world-class oncology franchise. We now have a comprehensive array of proven talent and infrastructure that gives us the ability to move from concept to product registration, all in-house.

In addition to the three clinical stage drug candidates that we received with the Gilead transaction, we also inherited a world-class development capabilities group. Led by Dr. Nicole Onetto, this team is well respected throughout the oncology community as an outstanding development team. The Boulder team is seasoned at every end of the continuum, with capabilities in toxicology, cell biology, cancer pharmacology, pharmacokinetics and regulatory affairs. The addition of these development capabilities complements the strong discovery research base that OSI has built through the years.

The British Biotech acquisition equipped OSI with an additional first rate research facility, which will become the home for our UK research efforts in 2002. Furthermore, with this acquisition, we have gained additional key discovery resources in medicinal and analytical chemistry, and in drug metabolism and pharmacokinetics. We have also added a pilot manufacturing plant that has the capacity

"OSI IS NOW A MAJOR PLAYER IN ONCOLOGY, EQUIPPED WITH WORLD-CLASS DEVELOPMENT CAPABILITIES AND A SOLID CLINICAL PIPELINE UNPARALLELED IN OTHER COMPANIES OF THIS SIZE. WITH THE ACQUISITION OF GILEAD'S ONCOLOGY PROGRAM, OSI HAS ACQUIRED ONE OF THE MOST RESPECTED INTERNATIONAL ONCOLOGY DRUG DEVELOPMENT GROUPS IN THE INDUSTRY."

—Eric Rowinsky, M.D.
INSTITUTE FOR DRUG DEVELOPMENT
DIRECTOR OF CLINICAL RESEARCH

to scale up the production of small molecules for pre-clinical toxicology testing and early clinical trials. This will be key in further enabling OSI to move more rapidly into clinical development.

OSI's approach is focused on the discovery and development of small molecule pharmaceutical products which, typically, would be taken orally as a pill, capsule or suspension. Our drug discovery platform constitutes an integrated set of technologies and capabilities covering every major aspect of pre-clinical and clinical development.

Today our core discovery technologies and capabilities include:

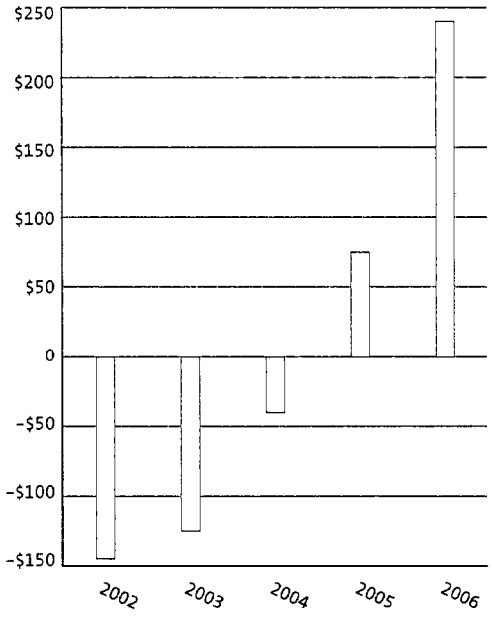
- gene transcription, signal transduction, protein kinases and other assay systems
- automated high-throughput screening
- a library of over 350,000 proprietary small molecule compounds and over 125,000 natural product extracts
- medicinal and automated combinatorial chemistry
- *in vivo* pharmacology, pharmacokinetics and pharmaceutical development capabilities
- a top quality clinical research and safety team, and a clinical project management and regulatory affairs unit

Looking Forward

OSI is pursuing a vision of the future of cancer treatment—one in which patients have safer and more effective treatment options—one in which cancer is successfully managed as a chronic disease rather than a life-threatening illness—one in which there is hope for the development of preventive agents.

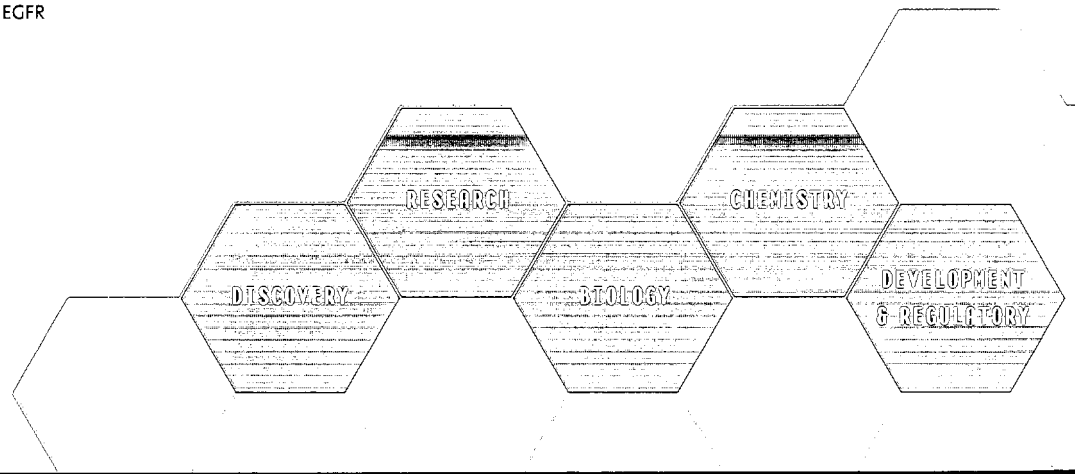
To that end, we intend to continue to build a successful biopharmaceutical company that will be a global leader in the fight against cancer and other deadly diseases. We are able to pursue this vision from a solid financial base. We move forward with approximately $400 million in cash reserves as we enter 2002 and the belief that a successful approval and launch of Tarceva™ (OSI-774) and OSI-211 in 2004 will result in a strongly profitable organization . We are proud of all that we have accomplished in 2001 and enter 2002 with optimism and confidence.

MODEL OF OPERATING CASH FLOW PROJECTION
(Jan. 2002, in millions)



Model assumes current business operations, Tarceva™ (OSI-774) and OSI-211 approvals in 2004 and an average of analyst projections of EGFR market in 2006.

OSI has a full array of cancer drug discovery and development capabilities that will allow us to excel in the new paradigm of cancer treatment.



Corporate Information

BOARD OF DIRECTORS

Colin Goddard, Ph.D.
Chairman of the Board and Chief Executive Officer

Edwin A. Gee, Ph.D.
Chairman, Emeritus
Former Chairman & CEO
International Paper, Inc.

G. Morgan Browne
Chief Financial Officer
Cold Spring Harbor Laboratory

John H. French II
Vice Chairman, Southern Pacific Petroleum (US) and Vice Chairman, Company of the Far Countries

Daryl K. Granner, M.D.
Director, Vanderbilt Diabetes Center
Professor, Molecular Physiology and Biophysics, Vanderbilt University

Walter M. Lovenberg, Ph.D.
Former Executive Vice President
Marion Merrell Dow Inc.

Viren Mehta
Mehta Partners LLC

Sir Mark Richmond
Senior Fellow University College, London
Formerly Head of Research and Special Assignments, Glaxo Research & Development

John P. White, Esq.
Partner
Cooper & Dunham LLP

SENIOR MANAGEMENT

Colin Goddard, Ph.D.
Chairman of the Board and Chief Executive Officer

Nicole Onetto, M.D.
Executive Vice President, Oncology
Division Head

Arthur M. Bruskin, Ph.D.
Executive Vice President, Global Research

Robert L. Van Nostrand
Vice President and Chief Financial Officer

Barbara A. Wood, Esq.
General Counsel

Linda E. Amper, Ph.D.
Vice President, Human Resources and Administration

Raymond Bendele, D.V.M., Ph.D.
Vice President, Pharmaceutical Development

Arlindo L. Castelhano, Ph.D.
Vice President, U.S. Chemistry

Eric W. Collington, Ph.D.
Vice President, Corporate Strategic Affairs

Geoffrey Cooper, Ph.D.
Vice President, Business Development

Neil Gibson, Ph.D.
Vice President, U.S. Research

John Murray, Ph.D.
Vice President, U.K. Chemistry

Pedro Santabárbara, M.D., Ph.D.
Vice President, Clinical Research Oncology

Robert L. Simon
Vice President, Global Regulatory Affairs

John A. Slack, Ph.D.
Vice President, Development

CORPORATE HEADQUARTERS

OSI Pharmaceuticals, Inc.
58 South Service Road
Suite 110
Melville, NY 11747

OTHER COMPANY LOCATIONS

OSI Pharmaceuticals (UK) Limited
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Oxford, OX4 6LT
United Kingdom

OSI Pharmaceuticals (Boulder)
2860 Wilderness Place
Boulder, CO 80301

OSI Pharmaceuticals (US Research)
106 Charles Lindbergh Blvd.
Uniondale, NY 11553

TRANSFER AGENT & REGISTRAR

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1500 Market Street
Philadelphia, PA 19102

GENERAL COUNSEL

Mintz, Levin
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New York, NY 10017

PATENT COUNSEL

Cooper & Dunham LLP
1185 Avenue of The Americas
New York, NY 10036

AUDITORS

KPMG LLP
1305 Walt Whitman Road
Melville, NY 11747

ANNUAL MEETING

The annual meeting of shareholders will be held on March 13, 2002 at 10:00AM at
OSI Pharmaceuticals
Corporate Headquarters
58 South Service Road
Melville, NY 11747

ANNUAL REPORT ON FORM 10-K

The Company's Annual Report on Form 10-K filed with the Securities and Exchange Commission and other information may be obtained without charge by writing, phoning or visiting our website:

OSI Pharmaceuticals, Inc.
58 South Service Road
Suite 110
Melville, NY 11747
(631) 962-2000
www.osip.com

STOCK LISTING

Nasdaq: OSIP

Design: Calfo Aron LLC, www.calfoaron.com

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

Form 10-K

(Mark One)

☑ ANNUAL REPORT PURSUANT TO SECTION 13 OR 15(d)
OF THE SECURITIES EXCHANGE ACT OF 1934

For the fiscal year ended September 30, 2001 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: 0-15190

OSI PHARMACEUTICALS, INC.
(Exact Name of Registrant as Specified in its Charter)

Delaware	13-3159796
(State or other Jurisdiction of Incorporation or Organization)	*(I.R.S. Employer Identification No.)*
58 South Service Road, Melville, N.Y.	11747
(Address of Principal Executive Offices)	*(Zip Code)*

Registrant's Telephone Number, including area code
(631) 962-2000

Securities Registered Pursuant to Section 12(b) of the Act:

Title of each class	Name of each exchange on which registered
None	None

Securities Registered Pursuant to Section 12(g) of the Act:
Common Stock, par value $.01 per share, and
Series SRPA Junior Participating Preferred Stock Purchase Rights
(Title of Class)

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

As of November 30, 2001, the aggregate market value of the Registrant's voting stock held by non-affiliates was $1,293,547,335. For purposes of this calculation, shares of common stock held by directors, officers and stockholders whose ownership exceeds five percent of the common stock outstanding at November 30, 2001 were excluded. Exclusion of shares held by any person should not be construed to indicate that the person possesses the power, direct or indirect, to direct or cause the direction of the management or policies of the Registrant, or that the person is controlled by or under common control with the Registrant.

As of November 30, 2001, there were 35,111,419 shares of the Registrant's common stock, par value $.01 per share, outstanding.

DOCUMENTS INCORPORATED BY REFERENCE

Portions of the Registrant's definitive proxy statement for its 2002 annual meeting of stockholders are incorporated by reference into Part III of this Form 10-K.

On the following pages, we have reproduced the first nine items of our annual report on Form 10-K filed with the Securities and Exchange Commission on December 13, 2001. The Form 10-K report has not been approved by the Securities and Exchange Commission, nor has the Commission passed upon the accuracy or adequacy of the data included therein. A copy of the complete Form 10-K, as filed with the Securities and Exchange Commission, may be obtained without charge by writing to: OSI Pharmaceuticals, Inc., Robert L. Van Nostrand, Corporate Secretary, 58 South Service Road, Suite 110, Melville, New York 11747.

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PART I

ITEM 1. BUSINESS

We are a leading biopharmaceutical company primarily focused on the discovery, development and commercialization of innovative products for the treatment of cancer. We have built a pipeline of discovery programs and drug candidates addressing major, unmet needs in cancer and selected opportunities arising from our extensive drug discovery research programs that represent significant commercial opportunities outside of cancer. We have three candidates in clinical trials and seven projects with candidates in late stage pre-clinical development. On November 26, 2001, we announced the execution of an agreement to acquire the oncology assets of Gilead Sciences, Inc., the closing of which is subject to the satisfaction of antitrust clearance and other customary closing conditions. Upon the closing of this transaction, we will have three additional oncology candidates in clinical trials. Additionally, we will have acquired a first class, oncology clinical development team as well as strong pharmacology and toxicology groups, which will fully complement our existing discovery and pre-clinical development capabilities.

Our most advanced drug candidate, Tarceva™ (formerly OSI-774), is a small molecule inhibitor of the epidermal growth factor receptor, or EGFR. The protein product of the EGFR gene is a receptor tyrosine kinase, or RTK, that is overexpressed in many major solid tumor cancers. We believe EGFR inhibitors represent an exciting new class of relatively safe and well tolerated anti-cancer agents that may have utility in treating a wide range of tumor types. Tarceva™ is an oral once-a-day small molecule drug designed to specifically block the activity of the EGFR protein. Tarceva™ was originally being developed as part of a long-term partnership in cancer drug discovery with Pfizer Inc. In June 2000, all rights to Tarceva™ were returned to us as a result of an anti-trust finding by the U.S. Federal Trade Commission during its investigation of Pfizer's merger with Warner-Lambert Company. Tarceva™ has demonstrated encouraging indications of anti-cancer activity in single agent, open label Phase II trials in non-small cell lung cancer, head and neck cancer and ovarian cancer. Currently, Tarceva™ is being developed in an alliance with Genentech, Inc. and Roche. If the drug is successful in obtaining regulatory approval, Genentech will market it in the United States, and Roche will market it in the rest of the world. Tarceva™ is currently in Phase III clinical trials for non-small cell lung cancer and pancreatic cancer.

Our Strategy

Our objective is to be the leading biopharmaceutical company focused on discovering, developing and commercializing innovative products for the treatment of cancer. We also intend to maintain our research interests in other selected disease areas, particularly diabetes, which address major markets with unmet clinical needs. The two key elements of our strategy are:

Building a world-class oncology franchise. We intend to discover, develop and commercialize oncology products providing high quality, life saving therapeutics to cancer patients worldwide. We have established, and will continue to build, the skills to discover, develop, register, market and sell oncology products generated from our in-house and collaborative research and through in-licensing and acquisition efforts. The creation of a successful oncology business unit will provide for revenue growth and profitability which we will use to support subsequent investment in other clinical areas. We believe that Tarceva™ has established a corporate presence for us in oncology. This presence, together with our strong core of discovery research, and the world class oncology clinical operations group we will acquire from Gilead, has us well positioned to pursue this objective. With the execution in November 2001 of the agreement with Gilead to purchase its oncology assets, we will acquire Gilead's entire pipeline of clinical candidates in oncology and certain related intellectual property, as well as Gilead's Boulder, Colorado operations, including clinical research and drug development personnel, infrastructure, and facilities. This transaction will accelerate our development and commercialization capabilities with the addition of an outstanding and complementary drug development and oncology group, and will augment our pipeline of gene-targeted small molecule therapeutics with several promising next-generation cytotoxics agents currently in clinical development. Under the terms of the transaction, we will receive exclusive worldwide development and commercialization rights to Gilead's three clinical development candidates in oncology. With a full array of cancer drug discovery and development capabilities,

we expect to be positioned to rapidly develop products emerging from our own pipeline, compete for premier in-licensing opportunities and evolve an effective commercial operation.

Building a leading drug discovery franchise. We intend to continue to discover and develop small-molecule drugs that address other major markets. This initiative will continue to harness the potential value inherent in our research operations. We expect to focus primarily in the areas of diabetes as well as other selected opportunities which may arise from our extensive research and development programs. This effort will continue to lay the foundation for a second business unit in diabetes and will allow us to opportunistically innovate in-licensed technology, explore secondary indications for cancer and diabetes compounds, and develop and commercialize drug candidates through creative revenue sharing opportunities with marketing leaders. Such partnerships and the evolution of our existing leveraged, partnered discovery programs should provide for the creation of a broad pipeline of partnered development candidates.

Our Research and Development Programs

Research and Development Pipeline

The following table summarizes the status of our more advanced product candidates as of November 30, 2001 and identifies any related collaborator.

Disease Area/Product	Drug Type	Status(a)	Collaborator
Cancer			
Tarceva™	Epidermal growth factor receptor inhibitor	Phase III	Genentech/Roche
NX211	Liposomal lurtotecan	Phase II	OSI-Owned(b)
GS7836	Gemzar® analog	Phase I	OSI-Owned(b)
CP-609,754	Farnesyl transferase inhibitor	Phase I	OSI-Owned
CP-547,632	Vascular endothelial growth factor receptor	Phase I	Pfizer
GS7904L	Liposomal thymidylate	Phase I	OSI-Owned(b)
CP-XXX	HER2-neu	IND Track	Pfizer
CP-XXX	PDGFr	IND Track	Pfizer
Respiratory/Asthma			
OSI-760	Adenosine A_1 receptor inhibitor	IND Track	OSI-Owned
AVE0309	Interleukin-4 gene expression inhibitor	IND Track	Aventis
Cholesterol Lowering			
HMR 1171/ AVE 9103A	Low density lipoprotein receptor gene expression stimulator	IND Track	Aventis
Congestive Heart Failure			
OSIC-0961370	Adenosine A_1 receptor inhibitor	IND Track	Solvay
AVE9488	EcNOS gene expression inhibitor	IND Track	Aventis

(a) Denotes safety and efficacy tests as follows:

"IND Track" — Final stages of pre-clinical development which focus on meeting formal Food and Drug Administration requirements for an investigational new drug application. This phase typically takes nine months to one year to complete.

"Phase I" — Evaluation of safety in humans.

"Phase II" — Evaluation of safety, dosing and tolerance in humans.

"Phase III" — Evaluation of safety and efficacy in humans.

(b) Upon the closing of the acquisition agreement signed with Gilead Sciences, Inc. on November 26, 2001 which is subject to the satisfaction of antitrust clearance and other customary closing conditions.

Cancer

Background

Cancer remains a major unmet healthcare concern with over 1.2 million Americans diagnosed with solid tumors every year. Traditionally, development of anti-cancer drugs has resulted in products which generally kill all rapidly dividing cells. These products, called cytotoxic drugs, usually interfere directly and non-selectively with normal processes in the cell associated with DNA replication and cell division. Since these cell division processes occur routinely in healthy tissues, the cytotoxic drugs are severely limited in their utility by their serious side-effects, including disruption of the blood, immune and gastrointestinal systems. These side-effects limit the anti-tumor value of these cytotoxic drugs because they can be used only in sub-optimal dosing regimens.

Two general approaches have been taken in an attempt to improve the available drug treatment options for cancer patients. The first approach involves the development of next-generation cytotoxic agents, which present improvements in activity over existing drugs or technological innovations, such as liposomal formulations, that are designed to improve targeting of the cytotoxic agent to the tumor, thus reducing the incidence of harmful side-effects. The second approach involves the exploitation of our rapidly growing understanding of the genetic basis for cancer in order to develop drugs that directly target the genetic abnormalities present in human cancers or treat their consequences. As these new "targeted" drugs emerge in clinical testing, they are frequently used in combination with cytotoxic chemotherapy drugs in an attempt to maximize the anti-cancer benefit by using so-called drug cocktails. It is our belief that any successful oncology franchise should be developing both next-generation or improved cytotoxic drugs and targeted therapies in order to provide an array of effective treatment options for the cancer patient. Thus, while our drug discovery research efforts are focused on next-generation targeted therapies, our anticipated acquisition of oncology assets from Gilead has complemented our research efforts with a portfolio of novel cytotoxic agents. These assets include NX211 and GS7904L, which are liposomal formulations of novel agents belonging to two classes of drug (termed Topoisomerase I inhibitors and Thymidylate synthase inhibitors) for which products are currently marketed. Our belief is that these liposomal formulations might allow us to achieve improved activity profiles over the existing marketed products. The third member of the Gilead portfolio is GS7836, which in pre-clinical testing has demonstrated superior activity over another marketed product, Gemzar®, which is sold by Eli Lilly and Co. for the treatment of pancreatic and non-small cell lung cancer.

Our drug discovery efforts in targeted therapies were for many years conducted in partnership with Pfizer. We are currently developing two drug candidates in clinical trials that were jointly discovered as part of this alliance: Tarceva™, an inhibitor of the EGFR gene, and CP-609,754, a farnesyl transferase inhibitor, which targets the H-*ras* gene. Pfizer is continuing to develop three other targeted therapies from this alliance, which concluded in April 2001. These drugs represent the vanguard of a substantial research effort directed toward the discovery and development of these next generation targeted drugs.

These novel, targeted anti-cancer drugs include approaches that specifically target cancer-causing genes, or oncogenes, and processes required for tumor growth such as angiogenesis. Oncogenes are typically growth regulating genes that are either over-expressed or mutated in cancer cells in such a manner that they confer a significant growth advantage on cancer cells in the body and contribute to the uncontrolled growth associated with cancer. One of the most important of these oncogenes is EGFR. Epidermal growth factor is one of several natural proteins that promote normal cell proliferation in a tightly regulated manner by binding to its receptor, EGFR, and sending growth signals, via the receptor's tyrosine kinase enzyme activity, to the nucleus of the cell controlling growth. In many human cancers, EGFR is either over-expressed or mutated, leading to abnormal signaling and the development of a cancerous mass.

EGFR kinase is over-expressed in a wide range of human tumors. More than 700,000 patients diagnosed with cancer each year in the United States have tumors that over-express EGFR. Thus, there is both an urgent medical need and a substantial potential market for effective anti-EGFR agents. Progress in the field has established EGFR as a validated target for cancer intervention and small molecule tyrosine kinase inhibitors as promising drug candidates in this area. Antibody products are also under development which target the EGF binding region of the receptor and have demonstrated improved anti-cancer activity when used

in conjunction with existing treatment and chemotherapy regimens. We believe these agents are unlikely to effectively inhibit mutated forms of EGFR. They also require delivery via intravenous infusion and are expensive to produce. In contrast to these agents, small molecule inhibitors of the tyrosine kinase activity, such as Tarceva™, should be effective against either mutant or over-expressed forms of EGFR, are active as once-a-day oral therapies and are relatively easy and inexpensive to manufacture. In addition, Tarceva™ has demonstrated anti-tumor activity when used clinically as a single agent.

Tarceva™

From 1986-2001, the focus of our cancer collaboration with Pfizer was the discovery and development of novel classes of orally active, gene-targeted, small molecule anti-cancer drugs based on oncogenes and tumor suppressor genes and the fundamental mechanisms underlying tumor growth. Today these approaches remain at the core of our in-house discovery efforts. The most prominent and advanced of these programs targets EGFR. Tarceva™, our small molecule anti-cancer agent, is a potent, selective and orally active inhibitor of EGFR. Tarceva™ has demonstrated anti-cancer activity in open-label Phase II trials and has now entered into Phase III trials for non-small cell lung and pancreatic cancer. We gained full development and marketing rights to Tarceva™ in June 2000 when the FTC ordered Pfizer to divest it to us as a result of an anti-trust finding upon the FTC's review of Pfizer's merger with Warner-Lambert. In January 2001, we entered into an alliance with Genentech and Roche for the global co-development and commercialization of Tarceva™.

Clinical Data. Phase I and Phase II trials on Tarceva™ have demonstrated the drug to possess activity as a single agent and to be safe and well-tolerated with manageable side-effects. The trials revealed a reversible rash and occasional diarrhea as the principal side-effects. The dose limiting side-effect in the Phase I trials was diarrhea at 200 mg per day. On a 150 mg oral daily dosing regimen, however, this side-effect is generally mild and is treated effectively (when necessary) with Loperamide (over the counter Imodium®). Clinical investigators have generally considered the rash, which is common to all anti-EGFR drugs in development, to be the most common adverse event in the context of anti-cancer therapy. Some success in treating rash has been observed with minocycline as well as with a variety of other agents. A subset of patients in both Phase I and Phase II trials have received daily doses of Tarceva™ for extended periods (from six months to over one year) and over 300 patients have now received the drug with well-managed side-effect profiles.

We have now completed Phase II trials for Tarceva™ in non-small cell lung cancer, head and neck cancer and ovarian cancer. Patients in these trials had advanced or metastatic cancer and had generally failed standard treatment regimens. We believe these trials are encouraging because they demonstrate objective clinical responses to Tarceva™ as a single agent. The primary endpoint in these trials is response rate, with stable disease, time to progression and quality-of-life being monitored as secondary endpoints. Of these Phase II trials, rash and rash related disorders were seen in 155 patients, or 72.1% of the patients. Mild to moderate rash was seen in 141 of these patients and 14 patients showed severe rash. Diarrhea was experienced by 72, or 33.5%, of the patients. Sixty-five of these side effects were mild to moderate and 7 patients had severe diarrhea. Headache was experienced by 18, or 8.5% of the patients, of which 17 were mild to moderate. The activity data from these trials is summarized below.

Non-small cell lung cancer. The trial consisted of 57 non-small cell lung cancer patients having tumors that were confirmed to be EGFR positive and had failed standard platinum-based chemotherapy. Patients received a daily dose of 150 mg of Tarceva™. The results from this trial showed that 42% of the patients had a response, 15 of these patients demonstrated stable disease for greater than 3 months, eight patients had a partial response, and one patient had a complete response. The median survival in this trial was 257 days with 48% of the patients surviving one year or longer.

Head and neck cancer. This trial had 124 patients in advanced head and neck cancer receiving 150 mg per day. The results showed 45% of the patients in the study had either a partial response or stable disease for greater than 3 months. Seven patients had objective partial response while 49 patients demonstrated stable disease. The median survival in this study was 174 days and the one year survival rate was 24% of the patients.

Ovarian Cancer. The third trial in advanced ovarian cancer reported a response rate of 59% of the 30 evaluable patients. Four patients had a partial response and 14 patients demonstrated stable disease. The median survival of these patients was 242 days with 45% of the patients surviving one year or longer.

Objective responses were also observed in earlier Phase I trials in patients with colorectal and renal cell cancer.

Development. Since the inception of our alliance with Genentech and Roche in January 2001, we have begun implementation of a global development strategy for Tarceva™ with our partners. This plan was designed to be a broad-based approach in implementing several Phase III trials to result in an effective registration with the Food and Drug Administration. These trials include combination trials with existing chemotherapy regimens for front-line use in pancreatic and non-small cell lung cancer as well as a single agent trial for refractory non-small cell lung cancer patients. We will also be conducting several safety trials to review the effect of Tarceva™ in combination with other chemotherapy drugs. Under the alliance, the following Phase III trials have begun:

- A Phase III front-line non-small cell lung cancer trial in combination with carboplatin (Paraplatin®) and paclitaxel (Taxol®). This is the standard of care in the U.S.
- A Phase III front-line non-small cell lung cancer trial in combination with gemcitabine (Gemzar®) and cisplatin (Platinol®). This is the standard of care in Europe.
- A Phase III front-line pancreatic trial in combination with gemcitabine (Gemzar®).
- A Phase III trial in refractory non-small cell lung cancer. In this trial, Tarceva™ will be applied as a single agent.

These Phase III trials will be large scale registration oriented trials. Additionally, we have begun a Phase II trial in advanced breast cancer using Tarceva™ as a single agent. We have also begun a number of Phase Ib combination trials to study the effects of Tarceva™ used in conjunction with several different chemotherapy drugs.

During fiscal 2001, we agreed to collaborate with the U.S. National Cancer Institute's Cancer Therapy Evaluation Program to conduct over twenty clinical trials with Tarceva™ in multiple tumor types, including epithelial malignancies of the gastrointestinal and genitourinary tracts, gynecological malignancies and brain tumors. The trials will be funded and managed by NCI, and we will provide Tarceva™ for the trials. These investigations generate useful pre-clinical data, in addition to maintaining awareness of Tarceva™ in the oncology community.

Other Cancer Programs

In addition to Tarceva™, upon the closing of our acquisition of the Gilead assets, we will add NX211, GS7836 and GS7904L to our oncology clinical pipeline, which currently includes the targeted therapies, CP-609,754 (a farnesyl transferase inhibitor) and CP-547,632 (a VEGFR inhibitor), both of which entered Phase I clinical trials from our alliance with Pfizer in cancer discovery. NX211 (liposomal lurtotecan), a proprietary liposomal formulation of the active topoisomerase I inhibitor lurtotecan, is currently in Phase II clinical trials for the potential treatment of a variety of solid tumors, including ovarian and small cell lung cancer. GS7836, a novel nucleoside analogue, is in Phase I clinical trials and has demonstrated activity in a variety of refractory solid tumor xenograft models. GS7904L, a liposomal thymidylate synthase inhibitor, is also in Phase I clinical trials, having demonstrated promising activity in preclinical testing for the potential treatment of various solid tumors.

NX211. NX211 is lurtotecan, a drug that was licensed from GLAXO SmithKline and is a member of the camptothecin class of cytotoxics that act as topoisomerase-1 inhibitors. Gilead formulated lurtotecan in a liposome to improve its therapeutic index. This class of drugs have established activity in cancers. Two that are currently marketed are irinotecan (Camptosar® by Pharmacia & UpJohn, Inc. in the United States and by Aventis in Europe) which is indicated primarily for colorectal cancer, and topotecan (Hycamtin® by GLAXO) which is used to treat relapsed ovarian cancer and relapsed small cell lung cancer. Lurtotecan had

been active in Phase II clinical trials. The liposome formulation was designed to enhance efficacy and improve the drugs' therapeutic index. NX211 is currently in Phase II trials for relapsed ovarian cancer and relapsed small cell lung cancer. The trials are designed to determine possible differentiating features in efficacy, safety, convenience, and other areas. The patient enrollment for these trials has been completed.

CP-609,754 (Farnesyl Transferase Inhibitor). CP-609,754 is an orally active inhibitor of an enzyme called farnesyl transferase. We recently announced the return to us of full commercial rights to CP-609,754, which was undergoing Phase I clinical trials with Pfizer. CP-609,754 was discovered in collaboration with Pfizer as part of our long standing alliance in cancer drug discovery and was being developed by Pfizer as a targeted therapy for use in major solid tumor indications including colon and lung cancer. The K-*ras* oncogene and other farnesylated signal transduction proteins are considered the gene targets for anti-cancer activity in these tumors. Competitor products, however, have not demonstrated significant anti-tumor activity in these major tumor populations. Farnesyl transferase inhibitors, or FTIs, are designed to function as anti-cancer agents by preventing key signaling proteins, like those in the *ras* family, from associating with the cell membrane in cancer cells. Pre-clinical studies have shown that the FTIs are relatively ineffective at blocking the cell membrane association of K-*ras* in human tumors, but are more effective at blocking the membrane association of H- and N- forms of the *ras* gene. We plan to develop CP-609,754 for tumors such as bladder cancer where mutant and over-expressed forms of the H-*ras* oncogene are present. There are 55,000 incidences of bladder cancer diagnosed each year in the United States. Though a niche market for many major pharmaceutical companies, we recognize the opportunity to develop CP-609,754 to address this significant unmet medical need.

GS7836 was originally licensed from the Southern Research Institute and is a member of the nucleoside class of cytotoxic drugs of which gemcitabine (Gemzar® marketed by Eli-Lilly) is the market leader. GS7836 is being developed as an improved gemcitabine. We currently propose to target indications in relapsed non-small cell lung cancer and then first line non-small cell lung cancer, while screening for activity in other solid tumor indications.

GS7904L is a member of the Thymidylate Synthase Inhibitor, or TSI, class of cytotoxic chemotherapies. This drug candidate was also licensed from GLAXO and formulated in liposomes by Gilead to improve its therapeutic ratio. The leading TSI used today is 5-Fluoro-uracil, or 5-FU, a generically available TSI which has broad high unit volume use in many tumor types. A recent marketed entrant from this class is capecitabine (Xeloda® by Roche), which is indicated in fourth line treatment of metastatic breast cancer, but has so far generated limited sales. Strategically there is a need for better therapies than 5-FU or Xeloda® in relapsed colorectal cancer and metastatic breast cancer. The liposomal formulation of GS7904L allows for maintenance of long term blood levels, which should enable the clinical development goal of long term threshold coverage in the use of this drug.

Discovery Programs in Targeted Therapy

We have multiple drug discovery programs in targeted therapies for cancer, some of which have compounds in advanced pre-clinical development, which are focused on developing drugs which are orally available, potent inhibitors of key protein tyrosine kinase receptors involved in signal transduction, apoptosis (cell death) or angiogenesis. Angiogenesis is the process of blood vessel growth and is induced by solid tumors which require nutrients that enable growth. We believe that the ability to safely and effectively inhibit this process represents one of the most exciting opportunities in cancer drug development. Under our alliance with Pfizer we discovered two compounds in this area. CP-547,632 targets the vascular endothelial growth factor receptor and is in Phase I trials. A second Pfizer drug targets the platelet derived growth factor receptor, PDGFR, and is in advanced pre-clinical development. An additional candidate from the Pfizer program is a small molecule inhibitor of the HER2-neu tyrosine kinase and is in advanced pre-clinical development.

Diabetes

Diabetes is a chronic, progressively debilitating disease affecting more than 143 million people worldwide. According to the American Diabetes Association, diabetes is the sixth leading cause of death by disease in the United States and is estimated to afflict 16 million Americans with approximately 800,000 new cases

diagnosed annually. Approximately 90-95% of the people affected have Type II diabetes which usually develops in adults over age 40 and is most common among adults over age 55. The prevalence of diabetes is likely to continue to grow as this age group continues to increase in number.

Effective October 1, 1999, we entered into a fully-funded collaboration, including milestone and success payments and royalties, with Tanabe Seiyaku Co., Ltd. to discover and develop small molecule drugs for the treatment of Type II diabetes. We received an upfront fee upon initiation of this program. This collaboration is built upon our comprehensive drug discovery alliance with Vanderbilt University Diabetes Center, with which we have collaborated since April 1998. The OSI/Tanabe collaboration focuses on drugs designed to normalize elevated plasma glucose levels seen in Type II diabetes. The program is focused on selected targets in diabetes, which allows us to pursue other targets in diabetes not otherwise covered by the collaboration. As a result, we have established discovery efforts of our own on certain targets in this area, to which we will be adding additional resources.

Respiratory Diseases

Currently, there are more than 17 million asthma sufferers in the United States alone, approximately 25% of whom are children. As part of the assets purchased from Cadus Pharmaceutical Corporation in July 1999, we acquired a program under which we are developing several sub-type specific inhibitors of the adenosine receptor family. OSI-760 is an adenosine A1 receptor inhibitor in advanced pre-clinical development to treat the acute phase of an asthma attack. We also have several lead candidates targeting the adenosine A2B receptors that we are testing with a goal to treat the longer term damage associated with chronic asthma. In addition, as part of a recently concluded alliance with Aventis in gene transcription drug discovery, we have discovered a compound that inhibits expression of the Interleukin-4, or IL-4, gene. The IL-4 gene mediates and sustains allergic asthmatic inflammatory resources. We expect that Aventis will begin Phase I clinical trials of this drug candidate shortly.

Cholesterol Lowering

Another project from the Aventis alliance targeted cholesterol lowering. The cholesterol lowering market is dominated by a class of drugs commonly referred to as the statins, including Lipitor® and Zocor®, which target a key enzyme involved in the body's metabolism of fats and cholesterol and have total worldwide sales of over $14 billion per year. Three to five percent of patients on these drugs have an elevation of certain liver enzymes which indicates some low level of liver damage as a side-effect. Our program with Aventis was designed to target a new class of compounds that would avoid these complications. Two compounds discovered in the program, HMR 1171 and AVE 9103, are in advanced pre-clinical development. These compounds enhance the expression of the low density lipoprotein receptor, or LDLr, the principal mechanism by which liver cells bind LDL-cholesterol, commonly referred to as bad cholesterol, for clearance by the body. In pre-clinical primate models, these candidates are effective in lowering LDL-cholesterol. The candidates are currently at the IND-track stage of development with Aventis.

Cosmeceuticals

Every year consumers worldwide spend billions of dollars on cosmetic products and services that promise to provide a youthful, healthy or culturally desirable appearance. We believe that most of these products are not optimally effective and may have undesirable side-effects. In 1996, we entered into a joint venture with Pfizer and New York University to form Anaderm Research Corporation, a company dedicated to the application of modern tools for the discovery and development of safe, effective, pharmacologically active agents for certain cosmetic and quality-of-life indications, such as skin pigmentation, hair loss and skin wrinkling. We currently provide discovery, biology, medicinal chemistry and pharmaceutical development resources to Anaderm.

Expansion of Our Product Pipeline

We intend to aggressively add to and progress our pipeline of drug candidates through clinical trials. With the expected acquisition of the Gilead oncology assets, as well as assets acquired from British Biotech plc in September 2001, we will have the skill sets necessary for the entire process of drug discovery and development from the inception of the drug discovery process through to registration. These acquisitions have built on a strong base of research and lead discovery and provide complimentary medicinal and process chemistry skills and a world-class oncology and development team. We now possess all of the skill sets needed to take a candidate from early lead discovery through to final registration. We will fully utilize these resources to build our product pipeline through (i) licensing and acquisition and (ii) de-novo drug discovery.

Licensing and Acquisitions

Our discovery efforts have been focused on a small molecule, targeted therapy approach that has produced a portfolio of earlier stage programs that will provide for future product candidates. Many of our later stage development programs have arisen as a result of our historical base of collaborations with pharmaceutical companies and are being developed by these companies. These drug candidates are in various stages of pre-clinical or early clinical development. If these programs are successful, we will receive royalties on sales of these products.

In addition to these programs and our existing proprietary efforts, we have set ourselves a goal of further expanding our pipeline beyond Tarceva™ by employing a strategy to identify and acquire clinical development candidates. The acquisition of the oncology assets of Gilead is a successful example of this effort. This acquisition will not only provide us with world class oncology development capabilities, but will also provide us with three clinical stage drug candidates in our primary oncology area of focus. In order to continue this effort, we have retained a network of financial, licensing and other consultants to assist us in identifying acquisition and licensing targets. The sourcing for these opportunities will range from academia to large pharmaceutical companies.

De-Novo Drug Discovery

The core of our company has historically been built around a base of high quality scientific research focused on gene targeted, small molecule drug discovery. We believe this scientific base coupled with a platform of pioneering technologies and capabilities will provide a stream of high quality product opportunities for our future growth. The mission of our drug discovery research teams is to generate a flow of product candidates to create a valuable pipeline which will contribute significant revenues in the five to ten year time frame. We have focused our efforts in research on cancer, as well as selected opportunities in diabetes and certain advanced chemistry-driven products in our core areas of expertise that provide opportunistic discovery targets.

Our Drug Discovery and Development Capabilities

Background

Our approach is focused on the discovery and development of small molecule pharmaceutical products which, typically, would be taken orally by a patient as a pill, capsule or suspension. Our drug discovery platform constitutes an integrated set of technologies and capabilities covering every major aspect of pre-clinical and clinical development. The process begins with a lead seeking phase. In this phase, which generally takes one to two years, a combination of modern molecular biology, robotics and computational science is used to build assay or test systems in which large libraries of diverse small molecules and natural products are tested to see if any of these molecules possess activity against a drug target. Drug targets are usually genes or gene products that are shown to be relevant to various disease states. After this initial testing, active compounds are tested in a variety of secondary assays designed to determine their potency and selectivity, and to obtain early information on their toxicity and mechanism of action. Active compounds surviving this selection process are considered leads and progress into lead optimization.

During lead optimization, medicinal chemists synthesize new molecules and combinatorial libraries which are structurally related to the lead compound. These are tested extensively in order to produce a drug candidate which has greatly improved drug-like qualities, is active and well-tolerated in animal models and can be patented as a novel pharmaceutical. Having identified a suitable drug candidate, the molecule is advanced toward clinical trials and enters the IND-track phase, in which toxicological, scale-up synthesis and clinical trial design issues are addressed. This phase usually takes nine to 12 months.

Upon entering clinical trials (with an investigational new drug approval from the Food and Drug Administration) a drug is first assessed for its safety, usually in healthy volunteers (except for life-threatening diseases such as cancer where patient volunteers are used). After these Phase I trials, drugs are tested in efficacy, or Phase II, trials to demonstrate activity in humans prior to extensive Phase III trials designed to collect the data necessary to support a new drug application filing with the FDA. The entire process typically takes over a decade and is subject to significant risk and attrition. Only approximately 1-in-16 drug discovery projects results in a successful product and approximately seven million compounds are tested for every successful product. We have, therefore, adopted a research strategy that manages a portfolio of product opportunities and have integrated a platform of technologies designed to rapidly and cost-effectively enhance the overall process.

Our Technology Platform

We have built a fully-integrated drug discovery platform in order to accelerate the process of identifying and optimizing high-quality, small molecule drug candidates. Our core discovery technologies and capabilities include: (i) gene transcription, signal transduction, protein kinases and other assay systems, (ii) automated high throughput screening, (iii) a library of over 350,000 proprietary small molecule compounds and over 125,000 natural product extracts, (iv) medicinal and automated combinatorial chemistry, (v) in vivo pharmacology, pharmacokinetics and pharmaceutical development capabilities, and (vi) core clinical project management and regulatory affairs units.

Biology and Lead Seeking

We are able to conduct high throughput screening on a wide variety of assay platforms, including enzyme, immuno and receptor assays. Our drug screening process enables us to manage large compound libraries and prepare test substances for screening. We have developed proprietary hardware and software systems to automate the entire drug screening process, from the addition of the test substances to assay systems to the analysis of the data generated from the tests.

We specialize in the development of a variety of drug screens that capitalize on recent advances in our understanding of the human genome and its correlation to disease. For example, we pioneered the use of genetically engineered human cells to identify compounds that affect transcription of target genes. Our assay systems, which employ reporter gene technology, can be utilized to discover drugs that affect the expression of proteins encoded by the target genes. This broadly enabling technology is the subject of an extensive patent estate. We believe that our breadth of expertise in this area enables us to select the most appropriate assay with which to pursue drug discovery against a novel biological target.

Access to large libraries of diverse, small molecule compounds is a key asset in our drug discovery efforts. Leads discovered from these libraries become the proprietary starting materials from which drugs are optimized. Our proprietary libraries include focused libraries of small molecule compounds derived from our high-speed combinatorial analoging, and libraries of diverse, high quality small molecule compounds that we have acquired. We also continue to expand our libraries through our high speed combinatorial analoging activities.

Chemistry and Lead Optimization

The pharmaceutical properties of a lead compound must be optimized before clinical development of that compound begins. We have assembled a high quality medicinal chemistry team of combinatorial, computational, pharmaceutical development and natural product chemists, which are critical elements of the lead

optimization process. In addition, on September 28, 2001, we acquired certain pre-clinical research operations from British Biotech which further expanded our skill sets in medicinal and analytical chemistry and in drug metabolism and pharmacokinetics. A pilot manufacturing plant was also acquired from British Biotech, which provides to us the ability to rapidly scale up the production of small molecules for pre-clinical toxicology testing and early clinical trials and will further enable us to move competitively into clinical development.

Pre-Clinical Development

We have expertise in pharmacokinetics and pharmaceutical chemistry. In addition, we have expertise in the management and generation of good laboratory practices and accredited data, which are required for regulatory dossier submissions to agencies such as the FDA. Thus, we are able to support the development of a drug candidate for clinical testing. We have invested significant resources in expanding this capability and in technological enhancements in this area.

Clinical Development

As of September 30, 2001, we relied on third-party clinical research organizations, or CROs, under the management and supervision of our Tarceva™ development team, to conduct clinical studies and assist us in obtaining regulatory approvals for our product candidates. We have entered into agreements with CROs with expertise in oncology to monitor our ongoing clinical trials for Tarceva™ Our Tarceva™ development team works to integrate externally contracted clinical development support activities with contract research, manufacturing and inventory control organizations. Under a tripartite development agreement with Genentech and Roche, while the costs are shared equally, each party is responsible for managing certain trials. Genentech and Roche will each manage one of the Phase III combination trials in non-small cell lung cancer. We are managing the Phase III trials in refractory non-small cell lung cancer and pancreatic cancer.

In connection with our agreement to purchase the assets of Gilead's oncology business, we will acquire an established oncology development team with considerable expertise in clinical development and regulatory approval. As a result, we plan to reduce our reliance on CROs and transition some of our future Tarceva™ clinical trial activities to our internal team. The internal team will continue the clinical development of products to be acquired from Gilead's oncology unit, as well as other proprietary products, including CP-609,754.

Manufacturing and Supply

We currently rely on third-party manufacturers to manufacture all of our product candidates. As of September 30, 2001, our sole manufactured product was Tarceva™. Under our OSI/Genentech agreement, we are responsible for the manufacture and supply of Tarceva™ for pre-clinical and clinical trials and to supply commercial quantities for sales within the United States. Under our OSI/Roche agreement, Roche has the right to manufacture and supply Tarceva™ for pre-clinical and clinical trials and to supply commercial quantities for sales outside of the United States.

Tarceva™, a small molecule, is manufactured in a three-step process with high yield. We currently engage six contract manufacturers to manufacture the intermediates and active pharmaceutical ingredient, or API, for Tarceva™. We expect to enter into long-term manufacturing and supply agreements with at least three of these manufacturers. In April 2001, we entered into a manufacturing contract with Schwarz Pharma Manufacturing, Inc. to convert the API into a tablet form. All manufacturers are required to comply with current Good Manufacturing Practices, or cGMP. We have sufficient quantities of Tarceva™ to conduct our ongoing clinical trials. We currently use third parties to label, inventory, and distribute Tarceva™.

In connection with our acquisition of certain of the pre-clinical research operations of British Biotech, we acquired a fully-integrated cGMP pilot manufacturing plant in Oxford, England. This plant is capable of supplying clinical grade material on a scale sufficient to support our proprietary development activities through to the completion of Phase II clinical trials. We plan to use this facility to manufacture products to support our current and future pre-clinical and clinical development programs.

In connection with our agreement to purchase the assets of Gilead's oncology business, we will enter into a manufacturing agreement covering products acquired from Gilead. Over a one-year transition period, Gilead will continue to manufacture and supply to us the API for GS7836 and GS7904L. We will transition the manufacture of the API to a new manufacturer thereafter. The NX211 API is already manufactured by a third party. Gilead will also produce for us liposomal formulations of NX211 and GS7904L, the two liposomal products that we will acquire from Gilead's pipeline. Gilead will manufacture the liposomal formulations at its manufacturing facility in San Dimas, California, and will support our ongoing clinical trial activities and, upon FDA approval, commercial manufacturing needs.

Our Major Collaborative Programs

We selectively engage in collaborations and partnerships with pharmaceutical companies to combine our capabilities with the collaborators' resources. Our agreements with Genentech and Roche provide that we share resources for the development and commercialization of Tarceva™. We will share the profits and losses of such efforts with Genentech; in addition, we will receive royalties on sales of the product from Roche. Our agreements with Anaderm and Tanabe provide that our partners fund our collaborative research and development programs, which are jointly managed, and pay for clinical development, manufacturing, marketing and launch costs for any product developed. We will receive royalties on sales of any resulting products from these and other historical collaborations. Certain collaborative programs involve milestone payments by the partners. Generally, our collaborative research agreements prohibit us from pursuing with any third party drug discovery research relating to the drug targets covered by research under the collaboration, but does not block research activity in the fields.

Roche and Genentech

On January 8, 2001, we entered into an alliance with Genentech and Roche for the global co-development and commercialization of Tarceva™. We received upfront fees of $25 million related to this alliance. Under the OSI/Genentech agreement, we agreed to collaborate in the product development of Tarceva™ with the goal of obtaining regulatory approval for commercial marketing and sale in the United States of products resulting from the collaboration. Under the agreement with Genentech, we are conducting clinical trials of indications for licensed products defined in the agreement. Consistent with the development plan and with the approval of a joint steering committee, we will agree with Genentech as to who will own and be responsible for the filing of drug approval applications with the FDA other than the first new drug application which we will own and be responsible for filing and the first supplemental new drug application which we will have the option to own and be responsible for filing. Genentech has responsibility for the design and implementation of all product launch activities and the promotion, marketing and sales of all products resulting from the collaboration in the United States, its territories and Puerto Rico, while we have certain co-promotion rights. Genentech will pay us certain milestone payments and we will share in the operating profits or losses on products resulting from the collaboration. Under the OSI/Genentech agreement, we granted to Genentech a non-transferable (except under certain circumstances), non-sublicensable (except under certain circumstances), co-exclusive license under our patents related to Tarceva™ to use, sell, offer for sale and import products resulting from the collaboration. In addition, Genentech granted to us a non-transferable (except under certain circumstances), non-sublicensable (except under certain circumstances), co-exclusive license to certain patents held by Genentech to use, make, have made, sell, offer for sale and import products resulting from the collaboration. The term of the OSI/Genentech agreement is until the date on which neither we nor Genentech are entitled to receive a share of the operating profits or losses on any products resulting from the collaboration. The agreement is also subject to early termination under certain circumstances.

Under the OSI/Roche agreement, we granted to Roche, and Roche obtained, a license under our intellectual property rights with respect to Tarceva™. Roche is collaborating with us and Genentech in the product development of Tarceva™ and is responsible for future marketing and commercialization of Tarceva™ outside of the United States in certain territories as defined in the agreement. The grant is a royalty-bearing, non-transferable (except under certain circumstances), non-sublicensable (except with consent), sole and

exclusive license to use, sell, offer for sale and import products resulting from the development of Tarceva™ in the world, other than the territories covered by the OSI/Genentech agreement. In addition, Roche has the right, but not the obligation, to manufacture Tarceva™ for its territory, subject to certain exceptions. Roche will pay us certain milestone payments and royalty payments on sales of products resulting from the collaboration. We have primary responsibility for patent filings for the basic patents protecting Tarceva™, and in addition, we have the right, but not the obligation, to institute, prosecute and control patent infringement claims. The term of the OSI/Roche agreement is until the date on which we are no longer entitled to receive a royalty on products resulting from the development of Tarceva™. The OSI/Roche agreement is subject to early termination in the event of certain defaults. In addition, after two and one half years from the effective date, Roche may terminate the agreement on a country-by-country basis. We may also have the right to terminate the agreement on a country-by-country basis if Roche has not launched or marketed a product in such country under certain circumstances.

Under the Tripartite Agreement, we agreed with Genentech and Roche to establish a structure which is intended to generally result in the optimization of the use of each party's resources to develop Tarceva™ in certain countries around the world, and share certain global development costs on an equal basis; to share information generated under a global development plan; to facilitate attainment of necessary regulatory approvals of Tarceva™ products for commercial marketing and sale in the world; and to work together on such matters as the parties agree from time to time during the development of Tarceva™. We, as well as Genentech and Roche, may conduct clinical and pre-clinical activities for additional indications for Tarceva™ not called for under the global development plan, subject to certain conditions. The Tripartite Agreement will terminate when either the OSI/Genentech agreement or the OSI/Roche agreement terminates.

Anaderm Research Corporation

On April 23, 1996, we formed Anaderm with Pfizer and NYU for the discovery and development of novel compounds to treat conditions such as baldness, wrinkles and pigmentation disorders. In April 1999, we amended a prior research agreement with Pfizer and Anaderm to expand our collaborative program. The amended research agreement expires in April 2002, followed by a three-year phase-down period. Under the expanded program, we provide assay biology, high throughput screening, compound libraries, combinatorial, medicinal, and natural product chemistry, as well as pharmaceutics, pharmacokinetics and molecular biology. Anaderm or Pfizer will pay royalties to us on the sales of products resulting from the collaboration.

Tanabe Seiyaku Co., Ltd.

Effective as of October 1, 1999, we entered into a collaborative research and license agreement with Tanabe focused on discovering and developing novel pharmaceutical products to treat diabetes. Under the agreement, we are responsible for identification of targets (subject to Tanabe's approval), assay development, screening of compounds from our library and Tanabe's library against identified targets, identification of seed compounds meeting certain criteria specified in the agreement, optimization of these seed compounds and identification of lead compounds meeting certain criteria specified in the agreement. Tanabe maintains responsibility for further development and marketing of a lead compound in exchange for milestone and royalty payments to us.

If Tanabe determines to initiate further development of lead compounds identified by us, we will grant to Tanabe exclusive, worldwide licenses to, among other things, use, manufacture and sell all products containing these lead compounds directed to the identified targets in exchange for license fees and royalties on product sales. The duration of the licenses is coextensive with the lives of the patents related to the licensed compound or ten years from the first commercial sale, whichever is longer. If Tanabe determines not to initiate further development of a lead compound or if Tanabe discontinues development of candidate compounds, we will have the sole and exclusive right to develop, use, manufacture and sell all products resulting from the collaboration, and we will pay royalties to Tanabe.

Generally, both Tanabe and we are prohibited during the term of the contract from pursuing independently or sponsoring, directly or indirectly, research and development of compounds and products in the

diabetes area relating to the targets identified in the agreement. The agreement is for a term of four years, with the option to extend for an additional two-year period. Tanabe has committed to provide research funding to us in an aggregate amount of up to approximately $16.0 million.

Vanderbilt University

Effective as of April 28, 1998, we entered into a collaborative research, option and alliance agreement with Vanderbilt University to conduct a collaborative research program and seek a corporate partner to fund a technology collaboration for the discovery and development of drugs to treat diabetes. The agreement was for a term of one year, and was modified when we entered into the agreement with Tanabe.

Concurrently with the execution of the Tanabe agreement, we entered into an amended and restated collaborative research, license and alliance agreement with Vanderbilt and Tanabe with an effective date of August 31, 1999. The term of the research program conducted by Vanderbilt and us commenced on April 28, 1998 and will end upon termination of the contract period under the Tanabe agreement unless mutually extended by Vanderbilt and us. The OSI/Vanderbilt research program is comprised of both research directed toward the targets identified, as well as those not identified, in the Tanabe agreement. We may offer to Tanabe any of the additional targets for inclusion in the OSI/Tanabe research program. As part of the OSI/Vanderbilt research program, Vanderbilt will assist us in fulfilling our obligations under the OSI/Tanabe research program by providing access to Vanderbilt's drug discovery resources, including laboratories and assays.

We will provide funding to Vanderbilt to conduct the OSI/Vanderbilt research program. A portion of this funding will come from Tanabe's funding of the OSI/Tanabe research program. We will also pay to Vanderbilt a percentage of the revenues we receive from Tanabe and any other third party which commercializes products resulting from the OSI/Tanabe research program, based on the extent to which Vanderbilt technology and patents contributed to the product generating the revenue.

Pfizer Inc.

In April 1986, we entered into a collaborative research agreement and a license agreement with Pfizer. During the first five years of the collaboration, we focused principally on understanding the molecular biology of oncogenes. In 1991, we renewed the collaboration for a second five-year term and expanded the resources and scope of the collaboration to focus on the discovery and development of cancer therapeutic products based on mechanisms-of-action that target oncogenes and anti-oncogenes and fundamental mechanisms underlying tumor growth. In April 1996, we renewed the collaboration for a new five-year term by entering into new collaborative research and license agreements. In June 2000, we gained full development and marketing rights to Tarceva™ in order to allow Pfizer to meet certain requirements of the FTC arising from the FTC's review of Pfizer's merger with Warner-Lambert. On April 1, 2001, the funded phase of the collaborative research agreement expired and was not renewed. Following the expiration of the collaborative research agreement, Pfizer is continuing to develop certain specified drug candidates which emanated from the collaborative research agreement and for which Pfizer will owe us a royalty if ultimately commercialized. We continue to have rights in joint technology developed during the collaboration.

Effective November 21, 2001, Pfizer chose to discontinue development of CP-609,754, a farnesyl transferase inhibitor that was undergoing Phase I trials, and returned to us full commercial rights pursuant to the terms of the original license agreement between the parties. CP-609,754 was jointly discovered by us and Pfizer during the collaborative research agreement and was being developed by Pfizer as a targeted therapy for use in major solid tumor indications, including lung and colorectal. We plan to develop CP-609,754 for the treatment of bladder and other tumor types that target mutant and over-expressed forms of the H-*ras* oncogene. We will pay a royalty to Pfizer if the drug is successfully developed.

Aventis

Pursuant to an amended collaborative research and license agreement effective April 1, 1997, we had been conducting research and development activities with Aventis, which had focused specifically on our

expertise in live-cell assay technology. Aventis was responsible for all lead development activities. We had identified several compounds, which Aventis is optimizing for further development. The most advanced of these compounds are in advanced pre-clinical development for atherosclerosis and asthma.

We have granted to Aventis an exclusive, worldwide license, and rights to acquire additional licenses, with respect to, among other things, the use, manufacture and sale of products resulting from our lead seeking efforts against these individual drug targets. In exchange for the license, Aventis will pay royalties to us on sales of products arising out of the collaboration. The funded phase of the agreement terminated on September 30, 2000. The agreement states that the license expires on the later of March 31, 2002 or the last to expire of any obligations of Aventis to pay royalties.

Sankyo Co., Ltd.

Effective as of February 12, 1997, we entered into a collaborative research and license agreement with Sankyo to be conducted in partnership with MRC Collaborative Center, London, England. The collaboration is focused on discovering and developing novel pharmaceutical products to treat influenza. We are responsible for conducting research including, without limitation, compound screening in exchange for research funding from Sankyo. Sankyo has the responsibility and the exclusive right to conduct pre-clinical and clinical development of all candidate compounds in exchange for milestone payments to us. The agreement was for a term of three years, with the option to extend for an additional one or two-year period upon conditions and terms acceptable to each of us. We renewed the collaboration for an additional two years in November 1999. The term of the agreement expires on December 31, 2001 and we expect the agreement will not be renewed.

Our Intellectual Property

Patents and other proprietary rights are vital to our business. Our policy is to protect our intellectual property rights in technology developed by our scientific staff through a variety of means, including applying for patents in the United States and other major industrialized countries. We also rely upon trade secrets and improvements, unpatented proprietary know-how and continuing technological innovations to develop and maintain our competitive position. In this regard, we seek restrictions in our agreements with third parties, including research institutions, with respect to the use and disclosure of our proprietary technology. We also enter into confidentiality agreements with our employees, consultants and scientific advisors.

We currently own 16 U.S. patents and 42 foreign patents. In addition, we currently own 26 pending applications for U.S. patents, three of which have been allowed, and 75 applications for foreign patents. Moreover, we jointly own with Pfizer rights to 20 issued U.S. and 58 issued foreign patents and 32 pending U.S. and 482 pending foreign patent applications. Upon the closing of the Gilead transaction we will acquire ownership of, or exclusive license to, 28 U.S. patents and 53 foreign patents. We will also acquire ownership of, or exclusive license to, four U.S. and 44 foreign pending patent applications. Moreover, we will jointly own, with North Carolina State University, one issued U.S. patent and one U.S. and four foreign pending patent applications. Further, other institutions have granted us exclusive rights under their U.S. and foreign patents and patent applications.

Included in the above patents and patent applications are one issued U.S. patent and 27 issued foreign patents for Tarceva™ and related compounds, which contain composition of matter, process of preparation and method of use claims, and four U.S. and 158 foreign pending patent applications relating to Tarceva™ and related compounds. We also have 11 applications for U.S. patents and 36 applications for foreign patents pending, which contain composition of matter and method of use claims for our receptor-subtype specific adenosine receptor antagonist compounds. The patents and patent applications that we will acquire ownership of, or exclusive license to, as a result of the Gilead transaction will be for NX211, GS7904L and GS7836. The patents and patent applications for NX211 that we will acquire ownership of include five issued U.S. patents and 45 issued foreign patents, and two U.S. and 22 foreign pending patent applications, which contain composition of matter, NX211 liposome, method of use and method of preparation claims. For NX211, we will also own, with North Carolina State University, one issued U.S. patent and one U.S. and four foreign pending patent applications, which contain method of preparation claims. We will also have an exclusive

license from North Carolina State University to 18 issued U.S. patents and five issued foreign patents, and seven foreign pending patent applications, which contain claims to intermediates, and processes for making campthothecins. For GS7904L, we will also own one U.S. and two foreign pending patent applications, which contain GS7904L liposome claims. For GS7904L, we will acquire an exclusive license to five U.S. patents and three foreign patents, and 12 foreign pending patent applications, all of which have been exclusively licensed by Gilead from GLAXO, and which contain composition of matter, method of use and method of preparation claims. For GS7836, we will acquire an exclusive license to one U.S. and one foreign pending patent application, both exclusively licensed by Gilead from Southern Research Institute, and which contain method of use claims. We intend to aggressively seek patent protection for all lead compounds discovered or developed in our own programs.

We have assembled a strong gene transcription patent position. We currently have nine issued U.S. patents and two issued foreign patents in this expanding patent estate. These include U.S. Patent Nos. 5,863,733, 5,665,543 and 5,976,793 which cover the use of reporter genes in many cell-based transcription assays used for drug discovery. U.S. Patents Nos. 5,776,502 and 6,136,779 cover methods of modulating gene transcription *in vivo* using any low molecular weight compound, and U.S. Patent Nos. 5,580,722 and 5,846,720 cover modulation of genes associated with cardiovascular disease. We have additional patent applications pending, two of which have been allowed in the United States, which should further enhance our patent position in the area of gene transcription. We believe that this technology is in widespread use throughout the pharmaceutical and biotechnology sectors. We have a non-exclusive out-licensing program for this patent estate. Currently, we have licensed this technology to Aurora Biosciences Corporation, Pharmacia & UpJohn, Inc., the R.W. Johnson Pharmaceutical Research Institute, American Home Products Corporation and its wholly-owned subsidiary, American Cyanamid Company, and Merck & Co., Inc. Under these agreements, we receive reciprocal license rights to other technology or annual fees together with milestone and royalty payments with respect to small-molecule gene transcription modulators developed and marketed as pharmaceutical products.

Our Competition

The pharmaceutical and biotechnology industries are intensely competitive. We face, and will continue to face, intense competition from organizations such as large pharmaceutical companies, biotechnology companies and academic and research institutions. We face significant competition from industry participants which are pursuing the same or similar technologies as those that comprise our technology platform and from organizations that are pursuing pharmaceutical products or therapies that are competitive with our potential products. Most of the major pharmaceutical organizations competing with us have greater capital resources, larger research and development staffs and facilities and greater experience in drug development, obtaining regulatory approval and pharmaceutical product manufacturing and marketing. Our major competitors include fully-integrated pharmaceutical companies that conduct extensive drug discovery efforts and are developing novel small molecule pharmaceuticals, as well as numerous smaller companies.

With respect to our small molecule drug discovery programs, other companies have potential drugs in clinical trials to treat disease areas for which we are seeking to discover and develop drug candidates. These competing drug candidates may be further advanced in clinical development than our potential products in our small molecule programs and may result in effective, commercially successful products. In the cancer field, our lead drug candidate, Tarceva™, is currently in Phase III trials. At least four competitors, Pfizer/Warner-Lambert, AstraZeneca PLC, ImClone Systems Incorporated/Bristol Myers-Squibb and Abgenix, Inc., also have compounds in clinical testing for this target. In addition, CP-609,754, a farnesyl transferase inhibitor whose rights were recently returned to us from Pfizer, has completed Phase I clinical trials. This target is also the subject of active research and development at several other companies including Schering-Plough Corporation and Johnson & Johnson. Our efforts in the area of asthma have led to advanced pre-clinical development OSI-760, a promising adenosine A1 receptor inhibitor. Schering-Plough and Johnson & Johnson each have similar drug candidates.

In connection with our agreement to purchase the assets of Gilead's oncology business, we will face competition with respect to the three additional products we expect to acquire from Gilead. The most

advanced of the three products, NX211, a topoisomerase-1 inhibitor, is currently in Phase II trials. Camptosar® and Hycamtin®, are already marketed for this target by Pharmacia/Aventis and GLAXO, respectively. The two other products to be acquired from Gilead, GS7836 and GS7904L, are in earlier clinical development. GS7836, a nucleoside analog, is in Phase I trials. Eli Lilly currently markets Gemzar® for this target. GS7904L, a thymidylate synthase inhibitor, is entering Phase I trials. This target faces generic competition, as well as competition from Xeloda® which is marketed by Roche.

Companies with related research and development activities also present significant competition for us. For example, research efforts with respect to gene sequencing and mapping are identifying new and possibly superior target genes than our target genes. In addition, alternative drug discovery strategies, such as rational drug design, may prove more effective than those pursued by us. Furthermore, competitors may have access to more diverse compounds than we do for testing by virtue of larger compound libraries or through combinatorial chemistry skills or other means.

Our technology platform consists of a variety of cell free and live-cell assay systems, gene transcription technologies, high throughput drug screening and medicinal, combinatorial and natural product chemistries. Pharmaceutical and biotechnology companies and others are active in all of these areas and employ live-cell assays, gene transcription and high throughput robotics in their drug discovery operations. Numerous other companies use one or more of these technologies.

We believe that our ability to compete successfully will be based upon, among other things, our ability to create and maintain scientifically advanced technology, attract and retain scientific personnel possessing a broad range of expertise, obtain patent protection or otherwise develop and protect proprietary products or processes, enter into co-development and marketing arrangements with our collaborative partners, conduct clinical trials, obtain required government approvals on a timely basis and commercialize our products.

Government Regulation

We and our collaborative partners are subject to, and any potential products discovered and developed by us must comply with, comprehensive regulation by the FDA in the United States and by comparable authorities in other countries. These national agencies and other federal, state, and local entities regulate, among other things, the pre-clinical and clinical testing, safety, effectiveness, approval, manufacture, labeling, marketing, export, storage, record keeping, advertising and promotion of pharmaceutical and diagnostic products.

The FDA Process

The process required by the FDA before pharmaceutical products may be approved for marketing in the United States generally involves:

- pre-clinical laboratory and animal tests;
- submission to the FDA of an investigational new drug application, which must be in effect before clinical trials may begin;
- adequate and well controlled human clinical trials to establish the safety and efficacy of the drug for its intended indication;
- submission to the FDA of a new drug application; and
- FDA review of the new drug application or product license application in order to determine, among other things, whether the drug is safe and effective for its intended uses.

Pre-clinical tests include laboratory evaluation of product chemistry and formulation, as well as animal studies, to assess the potential safety and efficacy of the product. Certain pre-clinical tests must comply with FDA regulations regarding current good manufacturing practices. The results of the pre-clinical tests are submitted to the FDA as part of an investigational new drug application and are reviewed by the FDA prior to the commencement of human clinical trials.

Clinical trials are conducted according to protocols that detail matters such as a description of the condition to be healed, the objectives of the study, a description of the patient population and the parameters to be used to monitor safety and efficacy. Each protocol must be submitted to the FDA as part of the investigational new drug application. Protocols must be conducted in accordance with FDA regulations concerning good clinical practices to ensure the quality and integrity of clinical trial results and data. Failure to adhere to good clinical protocols may result in FDA rejection of clinical trial results and data, and may delay ultimate FDA approval of the drug.

Clinical trials are typically conducted in three sequential phases, which may overlap. During Phase I, when the drug is initially given to human subjects, the product is tested for safety, dosage tolerance, absorption, metabolism, distribution and excretion. Phase I studies are often conducted with healthy volunteers depending on the drug being tested. Phase II involves studies in a limited patient population (typically patients with the conditions needing treatment) to:

- evaluate preliminarily the efficacy of the product for specific, targeted indications;
- determine dosage tolerance and optimal dosage; and
- identify possible adverse effects and safety risks.

Pivotal or Phase III trials are undertaken in order to evaluate efficacy and safety in a comprehensive fashion within an expanded patient population. The FDA may suspend or terminate clinical trials at any point in this process if it concludes that patients are being exposed to an unacceptable health risk. Results of pre-clinical and clinical trials must be summarized in comprehensive reports for the FDA. In addition, the results of Phase III studies are often subject to vigorous statistical analysis. This data may be presented in accordance with the guidelines for the International Committee of Harmonization which can facilitate review in Europe and Japan.

FDA approval of our own and our collaborators' products is required before the products may be sold in the United States. FDA approval of the New Drug Application will be based, among other factors, on our comprehensive reporting of clinical data, enumerated impact, animal studies, and manufacturing processes and facilities. The process of obtaining approvals from the FDA can be costly and time consuming and may be affected by unanticipated delays.

Among the conditions for new drug application approval is the requirement that the prospective manufacturer's procedures conform to good manufacturing practices, which must be followed at all times. In complying with this requirement, manufacturers, including a drug sponsor's third-party contract manufacturers, must continue to expend time, money and effort in the area of production quality assurance, and quality control to ensure compliance. Domestic manufacturing establishments are subject to periodic inspections by the FDA in order to assess, among other things, compliance with good manufacturing practices. To supply products for use in the United States, foreign manufacturing establishments must comply with good manufacturing practices and are subject to periodic inspection by the FDA or by regulatory authorities in certain countries under reciprocal agreements with the FDA.

Both before and after market approval is obtained, a product, its manufacturer and the holder of the new drug application for the product are subject to comprehensive regulatory oversight. Violations of regulatory requirements at any stage, including after approval, may result in various adverse consequences, including the FDA's delay in approving or refusal to approve a product, withdrawal of an approved product from the market and the imposition of criminal penalties against the manufacturer and new drug application holder. In addition, later discovery of previously unknown problems may result in restrictions on the product, manufacturer or new drug application holder, including withdrawal of the product from the market. Furthermore, new government requirements may be established that could delay or prevent regulatory approval of our products under development.

Other Regulatory Processes

For marketing of a drug outside the United States, we and our collaborators and the drugs developed by us, if any, will be subject to foreign regulatory requirements governing human clinical trials and marketing approval for drugs. The requirements governing the conduct of clinical trials, product licensing, pricing and reimbursement vary widely from country to country. Before a new drug may be exported from the United States, it must be approved for marketing in the United States or comply with FDA regulations pertaining to investigational new drug applications.

In addition to regulations enforced by the FDA, we must also comply with regulations under the Occupational Safety and Health Act, the Environmental Protection Act, the Toxic Substances Control Act, the Resource Conservation and Recovery Act and other federal, state and local regulations. Our research and development activities involve the controlled use of hazardous materials, chemicals and various radioactive compounds. Although we believe that our safety procedures for handling and disposing of hazardous materials comply with the standards prescribed by state and federal regulations, the risk of accidental contamination or injury from these materials cannot be completely eliminated.

Our Employees

We believe that our success is largely dependent upon our ability to attract and retain qualified personnel in scientific and technical fields. As of October 31, 2001, we employed 383 persons worldwide (234 in the United States), of whom 285 were primarily involved in research and development activities, with the remainder engaged in executive and administrative capacities. Although we believe that we have been successful to date in attracting skilled and experienced scientific personnel, competition for personnel is intense and we cannot assure that we will continue to be able to attract and retain personnel of high scientific caliber. We consider our employee relations to be good.

CAUTIONARY FACTORS THAT MAY AFFECT FUTURE RESULTS

(Cautionary Statement under the Private Securities Litigation Reform Act of 1995, as amended)

This report contains forward-looking statements that do not convey historical information, but relate to predicted or potential future events, such as statements of our plans, strategies and intentions, or our future performance or goals for our product development programs. These statements can often be identified by the use of forward-looking terminology such as "believe," "expect," "intend," "may," "will," "should," or "anticipate" or similar terminology. The statements involve risks and uncertainties and are based on various assumptions. Stockholders and prospective stockholders are cautioned that these statements are only projections. In addition, any forward-looking statement that we make is intended to speak only as of the date on which we made the statement. We will not update any forward-looking statement to reflect events or circumstances that occur after the date on which the statement is made. The following risks and uncertainties, among others, may cause our actual results to differ materially from those described in forward-looking statements made in this report or presented elsewhere by management from time to time.

Although we have potential products that appear to be promising at early stages of development and in clinical trials, none of our potential products may reach the market for a number of reasons.

Our success depends on the discovery of new drugs which we can commercialize and take to market. None of our potential products, including Tarceva™ (formerly OSI-774), however, may ever reach the market for a number of reasons. They may be found ineffective or cause harmful side-effects during pre-clinical testing or clinical trials or fail to receive necessary regulatory approvals. We may find that the products cannot be manufactured on a large scale basis, and therefore, they may not be economical to produce. Our products could also fail to achieve market acceptance or be precluded from commercialization by proprietary rights of third parties.

We have a number of product candidates in very early stages of development, and we do not expect them to be commercially available for several years, if at all. Including the assets from our proposed transaction with Gilead Sciences, Inc., all but six of our product candidates are in the pre-clinical development phase. The six candidates that are in clinical trials will still require significant research and development and regulatory approvals before we or our collaborative partners will be able to market them.

If we have a setback in our Tarceva™ program, our stock price would almost certainly decline.

We are currently in Phase III clinical trials for Tarceva™. If the results of the trials are not satisfactory, we would need to conduct additional clinical trials or abandon our Tarceva™ program. Since Tarceva™ is our most advanced product candidate, a setback of this nature would almost certainly cause a decline in our stock price.

If we are unable to demonstrate acceptable safety and efficacy of Tarceva™ during clinical trials, we will not be able to obtain regulatory approval and thus will not be able to commercialize and generate revenues from Tarceva™.

We must continue to demonstrate, through pre-clinical testing and clinical trials, that Tarceva™ is safe and effective. The results from pre-clinical testing and early clinical trials may not be predictive of results obtained in subsequent clinical trials, and we cannot be sure that our clinical trials will demonstrate the safety and efficacy necessary to obtain regulatory approval for Tarceva™. A number of companies in the biotechnology and pharmaceutical industries have suffered significant setbacks in advanced clinical trials, even after obtaining promising results in earlier trials. In addition, certain clinical trials are conducted with patients having the most advanced stages of disease. During the course of treatment, these patients often die or suffer other adverse medical effects for reasons that may not be related to the pharmaceutical agent being tested. These events can cause our statistical analysis of clinical trial results to be incorrect.

The completion of clinical trials of Tarceva™ may be delayed by many factors. One such factor is the rate of enrollment of patients. We cannot control the rate at which patients present themselves for enrollment, and we cannot be sure that the rate of patient enrollment will be consistent with our expectations or be sufficient to

enable clinical trials of our product candidates to be completed in a timely manner. Any significant delays in, or termination of, clinical trials of our product candidates may hinder our ability to obtain regulatory approval of Tarceva™.

We cannot be sure that regulatory authorities will permit us to undertake additional clinical trials for Tarceva™. Any delays in obtaining or failure to obtain regulatory approval will hinder us from commercializing and generating revenues from Tarceva™.

If we are unable to enter into and maintain arrangements with third parties for the co-development and commercialization of our potential products, including our alliance with Genentech and Roche for Tarceva™, our ability to proceed with the timely and profitable manufacturing and sale of our product candidates may be limited.

If we fail to enter into and maintain successful collaborative partnerships to conduct or assist us with co-development or commercialization, we may not be able to obtain the resources needed to commercialize potential products in certain drug discovery efforts.

Successful commercialization of our product candidates is dependent upon our ability to:

- manufacture our products in commercial quantities at reasonable costs;
- obtain reimbursement coverage for our products;
- compete favorably against other products; and
- market our products successfully.

For our most advanced drug candidate, Tarceva™, we entered into an alliance with Genentech and Roche for the co-development and marketing of Tarceva™. The failure to maintain this co-development and marketing partnership on reasonable terms could delay our development of Tarceva™ and could require us to expend greater financial resources because we would have to focus our efforts internally. As our internal costs increase, we may have difficulty recovering them.

If our competitors succeed in developing technologies and products that are more effective than our own, our technologies and products may be rendered less competitive.

We face significant competition from industry participants that are pursuing the same technologies as we are, and from organizations that are developing pharmaceutical products that are competitive with our potential products. Where we are developing products independently, many of the organizations competing with us have greater capital resources, larger research and development staffs and facilities, and more extensive experience in drug discovery and development, obtaining regulatory approval and pharmaceutical product manufacturing and marketing. With these additional resources, our competitors may be able to respond to the rapid and significant technological changes in the biotechnology and pharmaceutical industries faster than we can. Our future success will depend in large part on our ability to maintain a competitive position with respect to these technologies. Rapid technological development may result in our compounds, products or processes becoming obsolete before we recover any of the expenses incurred to develop them.

In particular, we face significant competition from other biotechnology and pharmaceutical companies which are currently developing drugs similar to Tarceva™ that could decrease our potential sales of the product. We are aware of four companies, two of which have resources substantially greater than we do, which are currently developing drugs similar to Tarceva™. AstraZeneca PLC is developing a small molecule with a close structural relationship to Tarceva™, called Iressa®, that is currently in Phase III trials. Pfizer/Warner-Lambert Company has a compound, CI-1033, now in Phase I trials, which is structurally similar to Iressa® and Tarceva™. ImClone Systems, Incorporated and Abgenix, Inc. are developing a different kind of product, humanized antibodies, against the EGFR target. The ImClone product is currently in Phase III trials and the Abgenix product is in Phase I trials. AstraZeneca and ImClone are expected to both enter the market ahead of us. If our competitors succeed in developing drugs similar to Tarceva™ that are more effective than our

own, or if they enter the market with their products before we do, our product may not gain widespread market acceptance.

If government agencies do not grant us or our collaborative partners required approvals for any of our potential products, then we or our collaborative partners will not be able to manufacture or sell our products.

All of our newly discovered potential products must undergo an extensive regulatory approval process in the United States and other countries. This regulatory process, which includes pre-clinical testing and clinical trials of each compound to establish its safety and efficacy, can take many years and requires the expenditure of substantial resources. Moreover, data obtained from pre-clinical and clinical activities are susceptible to varying interpretations that could delay, limit or prevent regulatory approval. The Food and Drug Administration and other regulatory agencies may delay or deny the approval of our proposed products. None of our products has yet received governmental approval and none may ever do so.

Even if we obtain regulatory approval, a marketed product and its manufacturer are subject to continuing review, including post-marketing surveillance. We may be required to withdraw our product from the market if previously unknown problems are discovered. Violations of regulatory requirements at any stage may result in various unfavorable consequences to us, including the FDA's imposition of criminal penalties against the manufacturer and the holder of the new drug application.

If we are unable to successfully manage and assimilate the operations, personnel, technologies and products acquired and to be acquired from British Biotech plc and Gilead Sciences, Inc., respectively, we may deplete our existing financial and management resources, as well as our opportunities for favorable acquisitions in the future.

An important part of our business strategy has been and will continue to be to grow through mergers and acquisitions of products, companies and businesses. In September 2001, we acquired certain pre-clinical research operations of British Biotech plc, including laboratory equipment, several research and administrative professionals and certain of its facilities in the United Kingdom. In November 2001, we signed an agreement to acquire certain assets associated with Gilead's oncology business, including its pipeline of clinical candidates in oncology, related intellectual property, key members of its personnel and its facilities in Colorado. We may face difficulties integrating the new operations, personnel, technologies, products and cultures. Management's attention may be diverted from other business concerns to address these integration issues, analyze new technologies and manage these geographically diverse infrastructures. In addition, failure to integrate and assimilate the acquisitions into our company's current structure could lead to frustrated employees and the potential loss of such employees who may be vital to the new operations. We have incurred and will continue to incur certain liabilities and expenses in connection with these acquisitions. Any increases in liabilities or expenses may result in dilutive issuances of equity securities, further increases of debt, reduction of existing cash balances, amortization expenses related to intangible assets and other charges to operating results. If we are unable to successfully manage these acquisitions, not only do we risk depleting our resources to address immediate concerns, we risk delaying and possibly losing suitable strategic acquisition opportunities as they arise in the future.

If our competitors who are currently developing products similar to Tarceva™ gain market approval before us, then the number of patients available and willing to volunteer for our clinical trials may be reduced.

In order for us to meet the FDA's requirements for Phase III clinical trials, we will have to demonstrate our drug's efficacy and safety in a pre-determined number of patients. If the pre-determined number of patients do not volunteer for treatment with our drug in clinical trials, the FDA may determine that our data is insufficient to establish the drug's efficacy and safety and deny us market approval. Patients who enroll in clinical trials do so on a voluntary basis. By volunteering for a clinical trial, a select number of patients are given early access to an experimental treatment. Currently, AstraZeneca and Pfizer/Warner-Lambert have compounds, now in clinical trials, which are structurally similar to Tarceva™, and will be indicated for a similar use. In addition, ImClone and Abgenix are developing a different kind of product, humanized

antibodies, against the EGFR target. The ImClone product is currently in Phase III trials and the Abgenix product is in Phase I trials. If one or all of these drugs is granted market approval before Tarceva™, then those drugs will be available by prescription for all patients who need treatment. Patients who have an alternative treatment available on the market may be less likely to volunteer for our clinical trials. Any reduction in the number of volunteers for our clinical trials could delay the completion of the study or cause some trials to be cancelled.

Our reliance on clinical distributors, manufacturers and clinical research organizations, or CROs, may result in delays in completing or a failure to complete clinical trials if they fail to perform under our agreements with them.

We have limited experience in conducting and managing clinical trials, as well as obtaining regulatory approvals for our product candidates. An element of our product development strategy is to use clinical distributors, manufacturers and CROs to manufacture and distribute the product candidate and to conduct and manage clinical studies and to assist us in guiding products through the FDA review and approval process. Because we have engaged and intend to engage clinical distributors, manufacturers and CROs to help us obtain market approval for our drug candidates, many important aspects of this process have been and will be out of our direct control. If the clinical distributors, manufacturers and CROs fail to perform their obligations under our agreements with them or fail to manufacture and distribute the product candidate and to perform clinical trials in a satisfactory manner, we may face delays in completing our clinical trials, as well as commercialization of any drug candidate. Furthermore, any loss or delay in obtaining contracts with such entities may also delay the completion of our clinical trials and the market approval of drug candidates.

We have incurred losses since our inception, and we expect to incur losses over the next several years which may cause the value of our common stock to decrease.

We have had net operating losses since our inception in 1983. At September 30, 2001, our accumulated deficit was approximately $105.7 million. Our losses have resulted principally from costs incurred in research and development and from general and administrative costs associated with our operations. These costs have exceeded our revenues, which to date have been generated principally from collaborative research agreements.

We expect to incur substantial additional operating expenses over the next several years as a result of increases in our expenses for the development of Tarceva™ and our other research and development programs. These expenses include enhancements in our drug discovery technologies and increases in the resources we will devote to our internally funded proprietary projects, which are undertaken without collaborative partners. We do not expect to generate revenues from the sale of our potential products for a number of years and we expect to continue to incur operating losses during this period.

If we cannot protect our intellectual property rights, our ability to develop and commercialize our products will be severely limited.

We currently own 16 U.S. patents and 42 foreign patents. In addition, we currently own 26 pending applications for U.S. patents, three of which have been allowed, and 75 applications for foreign patents. Upon the closing of the Gilead transaction, we will acquire ownership of, or exclusive license to, 28 U.S. patents and 53 foreign patents. We will also acquire ownership of, or exclusive license to, four U.S. and 44 foreign pending patent applications. Moreover, we will jointly own, with North Carolina State University, one issued U.S. patent and one U.S. and four foreign pending patent applications. We intend to continue to aggressively seek patent protection for all of the product candidates that we have discovered or developed.

Our success depends, in part, on our ability and our collaborative partners' ability to obtain patent protection for new product candidates, maintain trade secret protection and operate without infringing the proprietary rights of third parties. As with most biotechnology and pharmaceutical companies, our patent position is highly uncertain and involves complex legal and factual questions. Without patent and other similar protection, other companies could offer substantially identical products for sale without incurring the sizable

discovery and development costs that we have incurred. Our ability to recover these expenditures and realize profits upon the sale of products could be diminished.

The process of obtaining patents can be time consuming and expensive. Even if we spend the necessary time and money, a patent may not issue or it may insufficiently protect the technology it was intended to protect. We can never be certain that we were the first to develop the technology or that we were the first to file a patent application for the particular technology because U.S. patent applications are confidential until a patent issues and publications in the scientific or patent literature lag behind actual discoveries.

The degree of future protection for our proprietary rights will remain uncertain if our pending patent applications are not approved for any reason or if we are unable to develop additional proprietary technologies that are patentable. Furthermore, third parties may independently develop similar or alternative technologies, duplicate some or all of our technologies, design around our patented technologies and challenge issued patents.

If we cannot obtain adequate funding for our research and development efforts, we may have to limit the scope of our proprietary product development or enter into more restrictive arrangements with collaborative partners.

Our future capital requirements will depend on many factors, including the size and complexity of our research and development programs, the progress of pre-clinical testing and early stage clinical trials, the time and costs involved in obtaining regulatory approvals for our product candidates, the costs of manufacturing arrangements and the costs of commercialization activities.

We intend to raise funds through public or private sales of our securities, including equity securities, as well as from collaborative partners. We may not be able to obtain adequate funding from equity financings on reasonable or acceptable terms, if at all. Furthermore, any additional equity financings may dilute the value of the common stock held by our stockholders. If adequate funds are not available, we may be required to significantly curtail one or more of our research and development programs or obtain funds through arrangements with collaborative partners or others that may require us to relinquish certain of our rights to a number of our technologies or product candidates.

If our collaborative partners give other products greater priority than our products, then our products may be subject to delays in research and development, manufacture and commercialization that may impede our ability to take them to market before our competitors. This may render our products obsolete or may result in lower than anticipated revenues for us.

We rely on some of our collaborative partners to assist with research and development as well as the manufacture of our potential products in their FDA-approved manufacturing facilities. Some of our collaborative agreements allow our partners significant discretion in electing whether or not to pursue the activities that they have agreed to pursue for us. We cannot control the amount and timing of resources our collaborative partners devote to our programs or potential products. Our potential products may be in competition with other products for priority of access to our collaborative partners' research and development and manufacturing facilities. If our collaborative partners do not give significant priority to the research and development or manufacture of our potential products in an effective or timely manner, the clinical development of our product candidates or their submission for regulatory approval could be delayed, and our ability to deliver products to the market on a timely basis could be impaired. Furthermore, we may not be able to enter into any necessary third-party research and development or manufacturing arrangements on acceptable terms, if at all.

Consolidations among companies with which we are engaged in partnerships or alliances can result in the diminution or termination of, or delays in, one or more of our collaborative programs.

In 1995, the pharmaceutical operations of three companies with which we had collaborative research agreements, Hoechst AG, Hoechst Roussel Pharmaceuticals, Inc. and Marion Merrell Dow Inc., were combined into one entity, currently known as Aventis. This combination resulted in delays in our collaborative

programs with each of the constituent companies and a reduction in the aggregate funding received by us. The merger between Pfizer and Warner-Lambert and other future consolidations among large pharmaceutical companies with which we are engaged could result in the diminution or termination of, or delays in, one or more of our collaborative programs.

If we or our collaborative partners are required to obtain licenses from third parties, our revenues and royalties on any commercialized products could be reduced.

The development of some of our products may require the use of technology developed by third parties. The extent to which efforts by other researchers have resulted or will result in patents and the extent to which we or our collaborative partners are forced to obtain licenses from others, if available, is currently unknown. If we or our collaborative partners must obtain licenses from third parties, fees must be paid for such licenses. These fees would reduce the revenues and royalties we may receive on commercialized products.

If other companies claim that we infringe on their intellectual property rights, we may be subject to costly and time-consuming litigation and delays in product introduction.

Our processes and potential products may conflict with patents which have been or may be granted to competitors, academic institutions or others. As the biotechnology industry expands and more patents are filed and issued, the risk increases that our product candidates may give rise to a declaration of interference by the Patent and Trademark Office, or to claims of patent infringement by other companies, institutions or individuals. These entities or persons could bring legal proceedings against us seeking substantial damages or seeking to enjoin us from testing, manufacturing or marketing our products. If any of these actions were successful, we may also be required to cease the infringing activity or obtain the requisite licenses or rights to use the technology which may not be available to us on acceptable terms, if at all. Any litigation, regardless of the outcome, could be extremely costly to us.

The use of any of our potential products in clinical trials and the sale of any approved products may expose us to liability claims resulting from the use of products or product candidates.

The nature of our business exposes us to potential liability risks inherent in the testing, manufacturing and marketing of drug discovery candidates and products. Using our drug candidates in clinical trials may expose us to product liability claims. These risks will expand with respect to drugs, if any, that receive regulatory approval for commercial sale. While we currently maintain product liability insurance that we believe is adequate, such insurance may not be available at reasonable rates, if at all, in the future. If we do not or cannot maintain adequate insurance coverage, we may incur significant liability if a product liability claim arises.

If other biotechnology and pharmaceutical companies are not willing to pay appropriate royalties for the use of our patented "gene transcription estate," then we may choose to expend substantial amounts of funds and resources in enforcing the patents.

We are seeking to license to other companies rights to use our patented "gene transcription estate" which consists of drug discovery assays that provide a way to identify novel product candidates that can control the activity of genes. We believe technology and practices covered by these patents are in widespread use in the pharmaceutical and biotechnology industries. To date, we have granted five licenses to use our gene transcription patent. If other pharmaceutical and biotechnology companies which we believe are using our patented technology are not willing to negotiate license arrangements with us on reasonable terms, we may have to choose between abandoning our licensing strategy or initiating legal proceedings against those companies. Legal action, particularly patent infringement litigation, is extremely costly.

If the market price of our common stock, similar to other biotechnology companies, remains highly volatile, then our stockholders may not be able to sell their stock when desired or at desirable prices.

When the stock prices of biotechnology companies fall, our stock price will most likely fall as well. The market price of the common stock of biotechnology and pharmaceutical companies and our common stock has

been volatile and may remain volatile for the foreseeable future. If our stock price falls, our stockholders may not be able to sell their stock when desired or at desirable prices.

The following factors, among others, may also cause our stock price to decline:

- fluctuations in operating results;
- announcements of technological innovations or new therapeutic products by others;
- negative or neutral clinical trial results;
- developments concerning strategic alliance agreements;
- government regulation;
- developments in patent or other proprietary rights;
- public concern as to the safety of our products;
- future sales of substantial amounts of our common stock by existing stockholders; and
- comments by securities analysts and general market conditions.

Our corporate governance documents and state law provide certain anti-takeover measures which will discourage certain types of transactions involving an actual or potential change in control of the company.

Under our certificate of incorporation, our board of directors has the authority, without further action by the stockholders, to fix the rights and preferences, and issue shares of, preferred stock. Since January 1999, we have had a shareholders rights plan, which was subsequently replaced with a new plan, commonly referred to as a "poison pill." Further, we are subject to Section 203 of the Delaware General Corporation Law which, subject to certain exceptions, restricts certain transactions and business combinations between a corporation and a stockholder owning 15% or more of the corporation's outstanding voting stock for a period of three years from the date the stockholder becomes an interested stockholder.

ITEM 2. PROPERTIES

We currently lease three facilities in the United States, one located at 58 S. Service Road, Melville, New York, consisting of 23,000 square feet, one located at 106 Charles Lindbergh Boulevard, Uniondale, New York, consisting of 30,000 square feet, and another located at 777 Old Saw Mill Road, Tarrytown, New York, consisting of 45,000 square feet. The Melville facility houses our principal executive, finance, administrative and regulatory affairs offices. The Uniondale facility houses our drug discovery laboratory. We have elected to cancel the Tarrytown facility lease, which houses an additional laboratory, effective December 31, 2002 (see note 15(a) to the accompanying consolidated financial statements). Pursuant to the terms of the lease agreement for our Melville office, we entered into a lease termination agreement for our Uniondale facility located at 50 Charles Lindbergh Boulevard, Uniondale, New York which was used until June 2001.

Our subsidiary, OSI Pharmaceuticals (UK) Limited, or OSI-UK, leases three facilities, one located at 10 Holt Court South, Aston Science Park, Birmingham, England, consisting of a 25,000 square foot facility, one located at Windrush Court, Watlington Road Cowley, Oxford, England, consisting of 84,000 square feet, and one located at Isis House, Watlington Road Cowley, Oxford, England, consisting of 32,000 square feet. The two Oxford facility leases were acquired in the British Biotech asset acquisition on September 28, 2001 (see note 3(a) to the accompanying consolidated financial statements). As a result of facility leases acquired in the British Biotech asset acquisition, we plan to exit the Aston Science Park, Birmingham, England facility in March 2002 (see note 15(b) to the accompanying consolidated financial statements).

In fiscal 2001, we negotiated an agreement with the State University of New York, or SUNY, to lease 53,000 square feet in the discovery research and headquarters facility located in the Broad Hollow BioScience Park on the SUNY Farmingdale campus in Farmingdale, New York. SUNY is presently expanding and refurbishing the facility and we expect the facility to be ready for occupancy sometime in fiscal 2002.

ITEM 3. LEGAL PROCEEDINGS

There are no material legal proceedings pending against us.

ITEM 4. SUBMISSION OF MATTERS TO A VOTE OF SECURITY HOLDERS

There were no matters submitted to a vote of our security holders during the fourth quarter of fiscal 2001.

PART II

ITEM 5. MARKET FOR REGISTRANT'S COMMON EQUITY AND RELATED STOCKHOLDER MATTERS

Our common stock is traded in the over-the-counter market and is included for quotation on the NASDAQ National Market under the symbol OSIP. The following is the range of high and low sales prices by quarter for our common stock from the first quarter of fiscal 2000 through September 30, 2001 as reported on the NASDAQ National Market:

2001 FISCAL YEAR	HIGH	LOW
First Quarter	$86.375	$54.000
Second Quarter	79.188	30.188
Third Quarter	57.460	32.375
Fourth Quarter	55.170	31.600

2000 FISCAL YEAR	HIGH	LOW
First Quarter	$ 8.420	$ 4.063
Second Quarter	30.750	7.000
Third Quarter	29.000	8.375
Fourth Quarter	73.940	27.060

As of November 30, 2001, there were approximately 440 holders of record of our common stock. We have not paid any cash dividends since inception and we do not intend to pay any cash dividends in the foreseeable future. Declaration of dividends will depend, among other things, upon future earnings, our operating and financial condition, our capital requirements and general business conditions.

ITEM 6. SELECTED CONSOLIDATED FINANCIAL DATA

The following table sets forth our selected consolidated financial data as of and for each of the years in the five-year period ended September 30, 2001. The information below should be read in conjunction with the consolidated financial statements and notes thereto included elsewhere in this report.

	YEARS ENDED SEPTEMBER 30,				
	2001(a)	2000(b)	1999(c)	1998(d)	1997(e)
Consolidated Statement of Operations Data:					
Revenues	$26,021,916	$28,651,428	$22,652,303	$19,468,337	$14,777,323
Expenses:					
Research and development	56,038,070	39,622,140	24,995,577	20,303,837	17,143,034
Production and service costs	262,080	834,870	1,753,474	813,464	635,768
Selling, general and administrative	15,770,805	10,937,829	8,679,737	8,264,888	7,177,848
Amortization of intangibles	741,910	869,761	1,468,801	1,460,740	1,460,748
Loss from operations	$(46,790,949)	$(23,613,172)	$(14,245,286)	$(11,374,592)	$(11,640,075)
Other income — net	25,660,515	3,519,759	1,155,834	1,190,124	2,053,838
Gain on sale of Anaderm common stock	—	—	3,291,015	—	—
Gain on sale of diagnostic business	—	3,745,844	—	—	—
Loss before cumulative effect of accounting change	$(21,130,434)	$(16,347,569)	$(9,798,437)	$(10,184,468)	$(9,586,237)
Cumulative effect of the change in accounting for the recognition of upfront fees	$(2,625,000)	—	—	—	—
Net loss	$(23,755,434)	$(16,347,569)	$(9,798,437)	$(10,184,468)	$(9,586,237)
Basic and diluted net loss per common share:					
Loss before cumulative effect of change in accounting policy	$(0.62)	$(0.67)	$(0.46)	$(0.48)	$(0.44)
Cumulative effect of change in accounting policy	$(0.08)	—	—	—	—
Net loss	$(0.70)	$(0.67)	$(0.46)	$(0.48)	$(0.44)
Weighted average number of shares of common stock outstanding	33,851,735	24,531,072	21,450,812	21,372,655	21,604,344

	AS OF SEPTEMBER 30,				
	2001(a)	2000(b)	1999(c)	1998(d)	1997(e)
Consolidated Balance Sheet Data:					
Cash, cash equivalents, and investment securities	$551,478,461	$85,064,671	$18,861,854	$24,418,281	$31,834,669
Receivables	6,633,056	1,048,921	5,193,902	2,410,794	1,871,212
Working capital	533,435,809	80,104,223	14,562,336	22,268,346	29,612,616
Total assets	591,689,187	99,776,008	47,031,328	50,417,980	59,585,565
Long-term liabilities	14,060,528	2,719,336	3,084,644	2,009,509	1,727,281
Stockholders' equity	549,832,346	89,881,629	33,364,946	43,059,246	52,944,868

(a) The fiscal 2001 consolidated financial statements include a cumulative effect of the change in accounting principle of $2.6 million relating to the adoption of SAB No. 101; the acquisition of certain assets from British Biotech plc for $13.9 million; $25 million in upfront fees received upon the execution of collaboration agreements with Genentech, Inc. and Roche; net proceeds of approximately $404 million from a public offering of common stock in November 2000; the sale of newly-issued shares of common stock to Genentech, Inc. and Roche for an aggregate purchase price of $35 million each; and a charge to operations of $5.1 million for the estimated cost of closing our Tarrytown, New York and Birmingham, England facilities. (See notes 1(b), 3(a), 5(a), 9(g), 9(h), 15(a) and 15(b) to the accompanying consolidated financial statements.)

(b) The fiscal 2000 consolidated financial statements include a charge to operations of $700,000 representing the cost of a license to use and practice certain of Cadus Pharmaceutical Corporation's technology and patents; a $3.5 million technology access fee received

upon the execution of a collaborative research and license agreement with Tanabe Seiyaku Co., Ltd.; non-cash compensation charges of approximately $6.8 million and deferred compensation of approximately $8.8 million associated with options issued to an employee and consultants; net proceeds of approximately $53 million from a private placement of common stock; and a $3.7 million gain resulting from the sale of our diagnostics business, including the assets of our wholly-owned subsidiary, OSDI, Inc., to The Bayer Corporation. (See notes 2(e), 5(c), 9(a), 9(f), and 16 to the accompanying consolidated financial statements.)

(c) The fiscal 1999 consolidated financial statements include the acquisition of Cadus Pharmaceutical Corporation's research business for $2.2 million in cash, including a $806,000 charge to operations for in-process R&D acquired; a gain of $3.3 million on the sale of our Anaderm Research Corporation stock to Pfizer Inc.; and a $535,000 charge to operations for the estimated costs of closing our facilities in North Carolina. (See notes 3(b), 5(b) and 15(c) to the accompanying consolidated financial statements.)

(d) The fiscal 1998 consolidated financial statements include approximately $702,000 of license revenue received upon execution of a license agreement with Aurora Biosciences Corporation. (See note 2(a) to the accompanying consolidated financial statements.)

(e) The fiscal 1997 consolidated financial statements include license fee revenues received upon execution of collaborative research and license agreements with Aventis and Sankyo Co., Ltd. aggregating $1.3 million; and the repurchase of all 1.25 million shares of our common stock held by Becton, Dickinson and Company for an aggregate price of $8.8 million. (See notes 5(f) and 5(g) to the accompanying consolidated financial statements.)

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

Overview

We are a biopharmaceutical company primarily focused on the discovery, development and commercialization of innovative products for the treatment of cancer. We have also built a pipeline of discovery programs and drug candidates addressing major, unmet needs in diabetes and selected opportunities arising from our drug discovery research programs that represent commercial opportunities outside of cancer. To date, none of our proprietary or collaborative programs have resulted in commercial products; therefore, we have not received any revenues or royalties from the sale of products by us or by our collaborators. We have funded our operations primarily through public and private placements of equity securities and payments under collaborative research agreements with major pharmaceutical companies.

Historically, we have conducted most of our drug discovery programs through funded collaborations with major pharmaceutical companies. These arrangements have typically included milestone and royalty payments on the successful development and marketing of products discovered in the collaborations. Using this business model, we were able to leverage the research, development and financial resources of our corporate partners to help build and sustain a fully-integrated drug discovery capability and a large pipeline of product opportunities supplemented by those within our own proprietary programs. During the last fiscal year, as we have generated the financial resources to invest more fully in our own programs, we have transitioned away from a partner-funded alliance model in favor of independent research and development directed toward a generation of OSI-owned and sponsored drug candidates.

In our cancer program, we intend to build the infrastructure and capabilities required for the full commercialization of products arising from our cancer research and development efforts. In our diabetes and other proprietary programs, we intend to develop our own drug candidates through the early stages of clinical development prior to entering into co-development and commercialization agreements with leading pharmaceutical companies in return for significant milestone and royalty payments on product sales.

The most advanced of our product candidates is Tarceva™ (formerly OSI-774), which has demonstrated encouraging indications of activity in cancer and has exhibited a well-tolerated side-effect profile as a monotherapy in three open-label Phase II clinical trials for the treatment of non-small cell lung, ovarian and head and neck cancers. We have initiated Phase III clinical trials in pancreatic cancer and refractory non-small cell lung cancer. In January 2001, we entered into concurrent agreements with Genentech, Inc. and Roche for the global co-development and commercialization of Tarceva™. To date, we have received upfront fees and equity investments totaling $95 million under these agreements. In addition, we may receive scheduled milestone payments of up to $92 million based on the successful filing and registration of the drug in major markets. In the United States, we will employ an essentially equal cost and profit sharing arrangement for the commercialization of Tarceva™ with Genentech. Outside of the United States, we will receive royalties from Roche on net sales of products. The overall costs of the development program are split equally among the three parties.

In November 2001, we received the return of full commercial rights to CP-609,754, a farnesyl transferase inhibitor, that was undergoing Phase I trials with Pfizer Inc. CP-609,754 was jointly discovered in our collaboration with Pfizer as part of our longstanding alliance in cancer drug discovery and was being developed by Pfizer as a targeted therapy for use in major solid tumor indications (i.e., colon and lung). We have plans to develop CP-609,754 for tumors such as bladder cancer. If the drug is successfully developed, we will pay Pfizer a royalty on sales.

Also in November 2001, we signed an agreement with Gilead Sciences, Inc. to acquire a pipeline of clinical candidates in oncology and certain related intellectual property, as well as Gilead's Boulder, Colorado operations, including clinical research and drug development personnel, infrastructure and facilities. In consideration for these assets, we will pay to Gilead $130 million in cash and $40 million in shares of common stock upon the closing of the transaction. We will also pay up to an additional $30 million in either cash or a combination of cash and common stock upon the achievement of certain milestones related to the

development of NX211, the most advanced of Gilead's oncology product candidates. We are also assuming certain royalty and milestone obligations to third parties in connection with these oncology products. The transaction is expected to close by December 31, 2001, subject to antitrust clearance and satisfaction of other customary conditions.

Our fiscal 2001 net loss of $23.8 million increased approximately $7.4 million or 45% compared to our fiscal 2000 net loss of $16.3 million. This increase was primarily related to the launch of the development program associated with Tarceva™, an increased focus on our proprietary research and the closing and consolidation of certain facilities. The increase in net loss was partially offset by the recognition of $6.3 million of the upfront fees from Genentech and Roche (see note 5(a) to the accompanying consolidated financial statements), and higher interest income resulting from increased funds available for investment as more fully discussed in "Other Income and Expense" and "Liquidity and Capital Resources" below. Included in the fiscal 2001 net loss was a non-cash charge of $2.6 million related to the cumulative effect of a change in accounting principle for the recognition of upfront fees upon the adoption of the Securities and Exchange Commission Staff Accounting Bulletin No. 101 (see note 1(b) to the accompanying consolidated financial statements). Excluding the net effect of this change in accounting principle, the fiscal 2001 net loss would have been $22.0 million, or $.65 per share.

Revenues

Total revenues of $26.0 million in fiscal 2001 decreased approximately $2.6 million or 9% compared to fiscal 2000, and total revenues of $28.7 million in fiscal 2000 increased approximately $6.0 million or 26% compared to fiscal 1999. As we are focusing our business away from collaborative-based to independent drug discovery and development, we expect collaborative revenue to continue to decrease over time. Collaborative research and development agreements with Pfizer, Anaderm Research Corporation, Tanabe Seiyaku Co., Ltd., Aventis, Sankyo Co., Ltd., Solvay Pharmaceuticals, Inc., Fujirebio, Inc., The Bayer Corporation, and Helicon Therapeutics, Inc. accounted for substantially all of our collaborative program revenues between fiscal 1999 and fiscal 2001. Total collaborative program revenues of approximately $18.0 million in fiscal 2001 decreased approximately $5.7 million or 24% compared to fiscal 2000. This decrease was primarily due to the conclusion of our funded collaborations with Aventis in September 2000, Solvay in December 2000, and Pfizer in April 2001. These decreases were partially offset by increased revenues from the Tanabe collaboration, which is focused on discovering and developing pharmaceutical products for the treatment of diabetes. Total collaborative program revenues of approximately $23.7 million in fiscal 2000 increased approximately $5.5 million or 30% compared to fiscal 1999. This increase was primarily due to increased funding for the Anaderm collaboration for the discovery and development of cosmeceuticals, funding from a research agreement with Solvay assumed by us in July 1999 with the acquisition of certain assets from Cadus Pharmaceutical Corporation, and funding associated with a collaborative research agreement with Tanabe initiated in October 1999. Increases in collaborative program revenues for fiscal 2000 were partially offset by the termination of the diagnostics collaboration with Bayer upon the sale of our diagnostics assets to Bayer in November 1999, and, to lesser extents, the reduction in funding under the extended collaboration agreement with Sankyo and the conclusion of our funded collaborative research agreement with Helicon in June 1999.

License and related revenues of approximately $7.4 million in fiscal 2001 increased approximately $3.7 million or 99% compared to fiscal 2000. This increase was due to the recognition of upfront fees received from Genentech and Roche of $6.3 million (see note 5(a) to the accompanying consolidated financial statements). In accordance with the provisions SAB No. 101, we will recognize the $25 million received from Genentech and Roche evenly over the expected three-year development phase of our agreement. The fiscal 2001 increase was offset by a one-time technology access fee of $3.5 million from Tanabe recognized in fiscal 2000. In connection with a change in accounting principle effective October 1, 2000 (see note 1(b) to the accompanying consolidated financial statements) to comply with the provisions of SAB No. 101, we will recognize this previously recognized technology access fee over the four-year term of the agreement, resulting in approximately $875,000 in revenue recognition in fiscal 2001. Assuming the technology access fee received from Tanabe had been recognized over the term of the agreement in fiscal 2000, total revenues would have been $26 million in fiscal 2000.

Expenses

Operating expenses increased approximately $20.5 million or 39% in fiscal 2001 compared to fiscal 2000 and increased approximately $15.4 million or 42% in fiscal 2000 compared to fiscal 1999. Operating expenses primarily include (i) research and development expenses, which include expenses related to the development of our lead clinical candidate Tarceva™, and proprietary and collaborative-based research expenses; (ii) selling, general and administrative expenses; and (iii) amortization of intangibles.

Research and development expenses increased approximately $16.4 million or 41% in fiscal 2001 compared to fiscal 2000. The increase was related primarily to increased costs associated with (i) the clinical development of Tarceva™ under our Tripartite Agreement with Genentech and Roche which we entered into in January 2001; (ii) our increased investments in proprietary drug discovery programs, including cancer, diabetes, and other new opportunities arising from our existing research and development programs; and (iii) consolidating laboratory facilities. These increases were offset by a shift of collaborative-based research expenses from Aventis, Solvay, and Pfizer to independent based drug discovery efforts and a reduction in certain stock option based compensation charges in comparison to the prior year. We expect to continue to increase investment in our proprietary drug discovery programs, in particular the development of Tarceva™. Research and development expenses increased approximately $14.6 million or 59% in fiscal 2000 compared to fiscal 1999. The increase was related to: (i) our expanded collaboration with Anaderm; (ii) our increased investment in proprietary drug discovery programs; (iii) the initiation of the agreement with Tanabe and related costs; (iv) the initiation of clinical development of Tarceva™; and (v) certain non-cash, stock option-based compensation charges.

On August 17, 2000, the Board of Directors granted non-qualified stock options to purchase up to 250,000 common shares to our then new President and Head of Research and Development. The terms of this grant provided for an option to purchase 100,000 shares of common stock with an exercise price equal to 50% of the fair market value on the grant date vesting immediately upon his employment date on September 28, 2000 (i.e., the measurement date), and an option to purchase 150,000 shares of common stock with an exercise price equal to the fair market value on the grant date vesting one-third in a year from the measurement date and monthly thereafter for twenty-four months. The granting of the options at 50% of fair market value resulted in a compensation charge of approximately $5.0 million in fiscal 2000. The granting of the other options resulted in deferred compensation of approximately $4.4 million as of September 30, 2000, which will be recognized as compensation expense over the vesting period. In fiscal 2001, approximately $1.5 million of this deferred compensation was recognized as compensation expense. In addition, other stock options granted to non-employees in connection with their consulting arrangements resulted in compensation expense recognized in fiscal 2001 and 2000 of approximately $1.5 million and $971,000, respectively, and deferred compensation of $1.0 million and $4.4 million as of September 30, 2001 and 2000, respectively. In accordance with EITF Issue 96-18, "Accounting for Equity Instruments that Are Issued to Other Than Employees for Acquiring, or In Conjunction with Selling, Goods or Services," the amount of compensation expense to be recorded in future periods related to the non-employee grants is subject to change each reporting period based upon the then fair value of these options, using a Black-Scholes option pricing model, until expiration of the grant vesting period.

Selling, general and administrative expenses increased approximately $4.8 million or 44% in fiscal 2001 compared to fiscal 2000. The increase was primarily attributable to the increased expenses for additional management and administrative personnel and consultants, as well as an increase in facility expenses and other professional fees associated with our expansion and corporate development activities. Consulting expenses include stock options granted to non-research and development consultants in connection with their consulting arrangements which resulted in $324,000 and $812,000 in compensation expenses in fiscal 2001 and 2000, respectively. We expect that general and administrative expenses will continue to increase as we continue to support and expand our clinical trial programs and research and development efforts. During fiscal 2001, we also made the strategic decision to (i) close our Birmingham, England facility and relocate our Birmingham personnel to our new Oxford, England facilities as a result of the acquisition of assets from British Biotech plc in September 2001 (see note 3(a) of the accompanying consolidated financial statements), and (ii) close our Tarrytown, New York facility and relocate the Tarrytown, New York personnel to our new

research facility in Farmingdale, New York as more fully described under "Liquidity and Capital Resources." The estimated cost of closing these facilities of approximately $5.1 million was accrued as of September 30, 2001, of which $4.4 million was included in research and development expenses and $612,000 in selling, general and administrative expenses in fiscal 2001. Included in the closing costs were amounts associated with severance for employees who would not be relocated, the lease cost from the anticipated closing date through the lease termination date and the value of related leasehold improvements and other capital items which are not being relocated. Selling, general and administrative expenses increased approximately $2.3 million or 26% in fiscal 2000 compared to fiscal 1999. This increase was primarily related to the increased business development costs associated with Tarceva™ and other corporate development activity during the fiscal year. In addition, we incurred increased administration expenses associated with the acquired operation in Tarrytown, New York as a result of the Cadus asset acquisition (see note 3(b) of the accompanying consolidated financial statements), and the expansion of the chemistry facility at our UK subsidiary, OSI Pharmaceuticals (UK) Limited.

Amortization of intangibles in fiscal 2001 represented primarily amortization of goodwill from the acquisition of Aston, which was fully amortized as of September 30, 2001. Amortization of intangibles in fiscal 2000 decreased approximately $599,000 or 41% in comparison to fiscal 1999. The decrease was related to the inclusion of our diagnostic patent estate in the sale of the diagnostics business to Bayer (see note 16 of the accompanying consolidated financial statements), which eliminated the related amortization expense effective November 30, 1999.

Other Income and Expense

Net investment income increased approximately $22.2 million to $25.9 million in fiscal 2001 compared to $3.7 million in fiscal 2000 and increased approximately $2.4 million or 190% in fiscal 2000 compared to fiscal 1999. The increase in fiscal 2001 was largely due to investment of funds generated from: (i) a private sale of common stock to Genentech and Roche in January 2001; and (ii) the underwritten public offering of our common stock in November 2000. The increase in fiscal 2000 was largely due to investment of funds generated from: (i) a private placement of our common stock in March 2000; (ii) the exercise of options and warrants throughout fiscal 2000; and (iii) the sale of our diagnostics business unit in November 1999. These financing activities are more fully explained in "Liquidity and Capital Resources" below. Other income in fiscal 1999 includes the gain recognized on the sale of Anaderm common stock. On September 23, 1999, we exercised our right and sold to Pfizer all of our shares of common stock in Anaderm for approximately $3.6 million. The sale, net of the carrying value of the investment, resulted in a gain of approximately $3.3 million.

Liquidity and Capital Resources

At September 30, 2001, working capital, representing primarily cash, cash equivalents and short-term investments, aggregated approximately $533.4 million compared to $80.1 million at September 30, 2000. This increase resulted primarily from the closing of a public offering of 6,152,500 shares of our common stock in November 2000 for net proceeds of approximately $404.2 million, and $95 million received from Genentech and Roche upon the commencement of our collaborations in January 2001, as more fully described below.

On November 6, 2000, we concluded a public offering of 5.35 million shares of common stock at a price of $70.00 per share. Gross proceeds totaled $374.5 million with net proceeds of approximately $351.4 million after all underwriting and other related fees were deducted. In addition, on November 21, 2000, the underwriters associated with this offering exercised their over-allotment option to purchase an additional 802,500 shares of our common stock at a price of $70.00 per share. Gross proceeds from the exercise of the over-allotment option totaled $56.2 million with net proceeds of approximately $52.8 million.

In January 2001, we secured co-development and marketing partnerships with Genentech and Roche to maximize the commercialization of Tarceva™, and received $95 million in upfront fees and equity investments. The Tarceva™ research and development costs are divided equally among the parties pursuant to a Tripartite Agreement covering the development of the drug. We anticipate a more significant increase in our

fiscal 2002 operating cash burn over fiscal 2001 due to an increase in Tarceva™ expenses, a decrease in our collaborative revenue base, the absence of additional upfront fees, and the expenses resulting from the Gilead oncology assets we expect to acquire. Additionally, interest income will decline as a result of funds to be expensed in the Gilead assets acquisition. Tarceva™ related expenses will increase over the next two years as we continue more costly Phase III clinical trials. Our goal for Tarceva™ is to seek rapid regulatory approval, assess its utility in combination with existing chemotherapy agents, demonstrate a survival benefit for earlier stage cancer patients enabling its front-line use in major cancers, and broaden its application to additional cancers.

We expect to incur additional losses over the next several years as we increase our investment in Tarceva™, CP-609,754 and the development of candidates from the proposed Gilead acquisition and other internal proprietary programs. In connection with the proposed Gilead acquisition, we anticipate we will incur a significant charge in fiscal 2002 relating to the acquired in process research and development. Additionally, as we shift our focus toward internal drug development, we expect collaborative revenues to decrease. Also, the cash to be spent in the Gilead acquisition will decrease our cash balance. Decreased cash balances will reduce investment income.

To achieve profitability, we, alone or with others, must successfully develop and commercialize our technologies and products, conduct pre-clinical studies and clinical trials, secure required regulatory approvals and obtain adequate assistance to successfully manufacture, introduce and market such technologies and products. The ability and time required to reach profitability is uncertain. We believe that amounts received from Genentech and Roche, proceeds from our public offering, existing cash resources, and projected funding from collaborative research and development programs provide a strong financial base from which to fund our operations and capital requirements for at least the next several years.

During fiscal 2000, we received a commitment from the State of New York to expand and refurbish a state-of-the-art discovery research facility located in the Broad Hollow BioScience Park on the State University of New York campus in Farmingdale, New York, which we will lease from the State. We expect to move certain research operations to this new facility by early 2002. In February 2001, we deposited $750,000 with the State University of New York Construction Fund. These funds will be used toward the construction of our new research facility if the costs of the project exceed the amount appropriated by the State. The funds will be returned to us if they are not utilized. We also expect to incur additional changes as we modify the design of the facility to accommodate our needs. In July 2001, we moved our corporate headquarters to a newly constructed office facility in Melville, New York. The new headquarters is in close proximity to our Broad Hollow BioScience Park facility. The facility in Uniondale at which we previously had our headquarters is continuing to support research and development.

On September 28, 2001, we acquired certain assets from British Biotech plc for approximately $13.9 million in cash, which includes professional fees and other related costs. We assumed the leases for British Biotech's state-of-the-art research facilities in Oxford, England, acquired extensive laboratory equipment, gained access to a British Biotech chemical library and retained approximately 60 research and administrative professionals. We will close our Birmingham, England facility and relocate our Birmingham, England personnel to the Oxford, England facilities. We expect this process to conclude by early 2002. The Oxford facilities will become the center for our European research and development operations, and we expect to employ approximately 200 researchers and support staff over time. We plan to close our Tarrytown, New York facility and relocate the Tarrytown personnel to our new research facility in Farmingdale by the summer of 2002. We plan to consolidate our global research operations into two sites by the end of 2002 with approximately 50% based at the Oxford facilities and the other 50% in Long Island, New York. We incurred approximately $5.1 million in restructuring charges associated with the Birmingham and Tarrytown facility closings and severance of employees in the fourth quarter of fiscal 2001.

Recent Accounting Pronouncements

In July 2001, the Financial Accounting Standards Board issued Statement of Financial Accounting Standards No. 141, "Business Combinations," and SFAS No. 142, "Goodwill and Other Intangible Assets."

SFAS No. 141 requires that the purchase method of accounting be used for all future business combinations. It specifies the criteria which intangible assets acquired in a business combination must meet in order to be recognized and reported apart from goodwill. SFAS No. 142 requires that goodwill and intangible assets with indefinite useful lives no longer be amortized, but instead tested for impairment at least annually in accordance with the provisions of SFAS No. 142. Amortization expense relating to goodwill was $693,544 for each of the years ended September 30, 2001, 2000 and 1999. SFAS No. 142 also requires that intangible assets with estimable useful lives be amortized over their respective estimated useful lives, and reviewed for impairment in accordance with SFAS No. 121, "Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to Be Disposed Of." SFAS No. 141 and No. 142 are effective for fiscal years beginning on or after December 15, 2001; however, both of these statements are effective for acquisitions and other intangibles acquired on or after July 1, 2001. We adopted the applicable provisions of these statements for the accounting of the British Biotech asset acquisition, which occurred after July 1, 2001 (see note 3(a) to the accompanying consolidated financial statements).

Upon adoption, we will evaluate our existing intangible assets that were acquired in prior purchase business combinations, and make any necessary reclassifications in order to conform with the new criteria in SFAS No. 141 for recognition apart from goodwill. We will be required to reassess the useful lives and residual values of all intangible assets acquired, and make any necessary amortization period adjustments. In addition, we will be required to test goodwill and, to the extent an intangible asset is identified as having an indefinite useful life, the intangible asset for impairment in accordance with SFAS No. 142. Any impairment loss will be measured as of the date of adoption and recognized as the cumulative effect of a change in accounting principle. As of September 30, 2001, we had goodwill which was fully amortized and unamortized identifiable intangible assets in the amount of $3.7 million. We are currently assessing the impact of the adoption of these accounting standards.

In October 2001, the FASB issued SFAS No. 144, "Accounting for the Impairment or Disposal of Long-Lived Assets" which supercedes SFAS No. 121 "Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to Be Disposed Of." SFAS No. 144 requires, among other things, that long-lived assets be measured at the lower of carrying amount or fair value, less cost to sell, whether reported in continuing operations or in discontinued operations. SFAS No. 144 is effective for fiscal years beginning after December 15, 2001 and interim periods within those fiscal years. We are currently assessing the impact of adoption SFAS No. 144.

Forward Looking Statements

A number of the matters and subject areas discussed in this Item 7 "Management's Discussion and Analysis of Financial Condition and Results of Operations," in Item 1 "Business" and elsewhere in this report that are not historical or current facts deal with potential future circumstances and developments. The discussion of these matters and subject areas is qualified by the inherent risks and uncertainties surrounding future expectations generally, and these discussions may materially differ from our actual future experience involving any one or more of these matters and subject areas. These forward looking statements are also subject generally to the other risks and uncertainties that are described in this report in Item 1 "Business — Cautionary Factors that May Affect Future Results."

ITEM 7A. QUANTITATIVE AND QUALITATIVE DISCLOSURES ABOUT MARKET RISKS

Our cash flow and earnings are subject to fluctuations due to changes in interest rates in our investment portfolio of debt securities, to the fair value of equity instruments held, and, to an immaterial extent, to foreign currency exchange rates. We maintain an investment portfolio of various issuers, types and maturities. These securities are generally classified as available-for-sale and, consequently, are recorded on the balance sheet at fair value with unrealized gains or losses reported as a component of accumulated other comprehensive income (loss) included in stockholders' equity. Our limited investments in certain biotechnology companies are carried on the equity method of accounting. Other-than-temporary losses are recorded against earnings in the same period the loss was deemed to have occurred. It is uncertain whether other-than-temporary losses will be material to our results of operations in the future. Other than foreign currency exchange rates, we do

not currently hedge these exposures. We hedge some of our foreign currency exchange rates exposure through forward contracts as more fully described in note 11(d) to the accompanying consolidated financial statements.

At September 30, 2001, we maintained a portion of our cash and cash equivalents in financial instruments with original maturities of three months or less. We also maintained an investment portfolio containing financial instruments of which approximately 42% have original maturities of less than 12 months. These financial instruments, principally comprised of government and government agency obligations and to a lesser extent of corporate obligations, are subject to interest rate risk and will decline in value if interest rates increase. A hypothetical ten percent change in interest rates during the year ended September 30, 2001 would have resulted in approximately a $2.6 million change in our net loss. We have not used derivative financial instruments in our investment portfolio.

ITEM 8. CONSOLIDATED FINANCIAL STATEMENTS AND SUPPLEMENTARY DATA

Index to Consolidated Financial Statements:

INDEPENDENT AUDITORS' REPORT

The Stockholders and Board of Directors
OSI Pharmaceuticals, Inc.:

We have audited the accompanying consolidated balance sheets of OSI Pharmaceuticals, Inc. and subsidiaries (the "Company") as of September 30, 2001 and 2000, and the related consolidated statements of operations, stockholders' equity and cash flows for each of the years in the three-year period ended September 30, 2001. These consolidated financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these consolidated financial statements based on our audits.

We conducted our audits in accordance with auditing standards generally accepted in the United States of America. 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 consolidated financial statements referred to above present fairly, in all material respects, the financial position of OSI Pharmaceuticals, Inc. and subsidiaries as of September 30, 2001 and 2000, and the results of their operations, and their cash flows for each of the years in the three-year period ended September 30, 2001 in conformity with accounting principles generally accepted in the United States of America.

As discussed in note 1(b) to the consolidated financial statements, the Company changed its method of revenue recognition for certain upfront non-refundable fees in 2001.

/s/ KPMG LLP

Melville, New York
December 7, 2001

OSI PHARMACEUTICALS, INC. AND SUBSIDIARIES
CONSOLIDATED BALANCE SHEETS
September 30, 2001 and 2000

	September 30, 2001	September 30, 2000
ASSETS		
Current assets:		
Cash and cash equivalents	$ 225,149,872	$ 48,392,635
Investment securities	326,328,589	36,672,036
Receivables, including amounts due from related parties of $2,359,625 and $72,585, and trade receivables of $9,702 and $98,956 at September 30, 2001 and 2000, respectively	2,577,407	287,035
Interest receivable	3,820,027	346,430
Grants receivable	235,622	415,456
Prepaid expenses and other	3,120,605	1,165,674
Total current assets	561,232,122	87,279,266
Property, equipment and leasehold improvements — net	25,347,297	9,265,005
Compound library assets — net	923,668	2,330,896
Other assets	502,019	118,630
Intangible assets — net	3,684,081	782,211
	$ 591,689,187	$ 99,776,008
LIABILITIES AND STOCKHOLDERS' EQUITY		
Current liabilities:		
Accounts payable and accrued expenses	$ 18,063,378	$ 6,317,492
Unearned revenue — current; including amounts received in advance from related parties of $8,676,571 and $369,779 as of September 30, 2001 and 2000, respectively	9,621,799	690,895
Loans payable — current	111,136	166,656
Total current liabilities	27,796,313	7,175,043
Other liabilities:		
Unearned revenue — long-term, including amounts received in advance from related parties of $10,678,572 and $333,333 as of September 30, 2001 and 2000, respectively	11,553,571	333,333
Loans payable — long-term	51,703	144,217
Deferred acquisition costs	375,000	355,518
Accrued postretirement benefit cost	2,080,254	1,886,268
Total liabilities	41,856,841	9,894,379
Stockholders' equity:		
Preferred stock, $.01 par value; 5,000,000 shares authorized; no shares issued at September 30, 2001 and 2000	—	—
Common stock, $.01 par value; 200,000,000 and 50,000,000 shares authorized, 35,901,318 and 28,281,850 shares issued at September 30, 2001 and 2000, respectively	359,013	282,819
Additional paid-in capital	664,095,048	187,731,177
Deferred compensation	(3,921,845)	(8,767,030)
Accumulated deficit	(105,743,621)	(81,988,187)
Accumulated other comprehensive income (loss)	1,476,296	(944,448)
	556,264,891	96,314,331
Less: treasury stock, at cost; 939,618 and 939,641 shares at September 30, 2001 and 2000, respectively	(6,432,545)	(6,432,702)
Total stockholders' equity	549,832,346	89,881,629
Commitments and contingencies		
	$ 591,689,187	$ 99,776,008

See accompanying notes to consolidated financial statements.

OSI PHARMACEUTICALS, INC. AND SUBSIDIARIES

CONSOLIDATED STATEMENTS OF OPERATIONS

	Years ended September 30,		
	2001	2000	1999
Revenues:			
Collaborative program revenues, principally from related parties	$ 17,983,978	$ 23,657,601	$ 18,166,693
License and related revenues, including $6.3 million from related parties in 2001	7,425,000	3,725,000	2,271,016
Other research revenues	612,938	1,268,827	2,214,594
	26,021,916	28,651,428	22,652,303
Expenses:			
Research and development	56,038,070	39,622,140	24,995,577
Production and service costs	262,080	834,870	1,753,474
Selling, general and administrative	15,770,805	10,937,829	8,679,737
Amortization of intangibles	741,910	869,761	1,468,801
	72,812,865	52,264,600	36,897,589
Loss from operations	(46,790,949)	(23,613,172)	(14,245,286)
Other income (expense):			
Net investment income	25,910,435	3,737,290	1,290,611
Other expense — net	(249,920)	(217,531)	(134,777)
Gain on sale of Anaderm common stock	—	—	3,291,015
Gain on sale of diagnostics business	—	3,745,844	—
Loss before cumulative effect of accounting change	(21,130,434)	(16,347,569)	(9,798,437)
Cumulative effect of the change in accounting for the recognition of upfront fees	(2,625,000)	—	—
Net loss	$(23,755,434)	$(16,347,569)	$ (9,798,437)
Basic and diluted net loss per common share:			
Loss before cumulative effect of change in accounting policy	$ (0.62)	$ (0.67)	$ (0.46)
Cumulative effect of change in accounting policy	$ (0.08)	$ —	$ —
Net loss	$ (0.70)	$ (0.67)	$ (0.46)
Weighted average shares of common stock outstanding	33,851,735	24,531,072	21,450,812
Proforma information assuming new revenue recognition policy had been applied retroactively:			
Net loss	$(21,130,434)	$(18,972,569)	$ (9,798,437)
Basic and diluted net loss per common share	$ (0.62)	$ (0.77)	$ (0.46)

See accompanying notes to consolidated financial statements.

OSI PHARMACEUTICALS, INC. AND SUBSIDIARIES
CONSOLIDATED STATEMENTS OF STOCKHOLDERS' EQUITY
Years Ended September 30, 2001, 2000 and 1999

	Common Stock		Additional Paid-in Capital	Deferred Compensation	Accumulated Deficit	Accumulated Other Comprehensive Income (Loss)	Treasury Stock	Total Stockholders' Equity
	Shares	Amount						
Balance at September 30, 1998	22,288,583	$222,886	$104,963,082	—	$ (55,842,181)	$ 325	$(6,284,866)	$ 43,059,246
Comprehensive income (loss):								
Net loss	—	—	—	—	(9,798,437)	—	—	(9,798,437)
Unrealized holding loss on investment securities, net of reclassification adjustment	—	—	—	—	—	(185,710)	—	(185,710)
Translation adjustment	—	—	—	—	—	(148,548)	—	(148,548)
Total comprehensive loss								(10,132,695)
Options exercised	92,187	922	269,143	—	—	—	—	270,065
Issuance of common stock for employee purchase plan and other	23,326	233	68,097	—	—	—	—	68,330
Issuance of treasury stock for consulting services	—	—	(127,164)	—	—	—	227,164	100,000
Balance at September 30, 1999	22,404,096	224,041	105,173,158	—	(65,640,618)	(333,933)	(6,057,702)	33,364,946
Comprehensive income (loss):								
Net loss	—	—	—	—	(16,347,569)	—	—	(16,347,569)
Unrealized holding loss on investment securities, net of reclassification adjustment	—	—	—	—	—	(80,650)	—	(80,650)
Translation adjustment	—	—	—	—	—	(529,865)	—	(529,865)
Total comprehensive loss								(16,958,084)
Options exercised	2,370,938	23,709	13,237,156	—	—	—	—	13,260,865
Warrants exercised	174,255	1,743	1,308,907	—	—	—	—	1,310,650
Compensation expense in connection with options issued to an employee below market	—	—	4,975,000	—	—	—	—	4,975,000
Issuance of common stock for employee purchase plan and other	7,561	76	60,417	—	—	—	—	60,493
Proceeds from issuance of common stock, in connection with a private placement, net	3,325,000	33,250	52,682,875	—	—	—	—	52,716,125
Accrued expenses in connection with public offering of common stock	—	—	(318,042)	—	—	—	—	(318,042)
Deferred compensation	—	—	10,611,706	(10,611,706)	—	—	—	—
Amortization of deferred compensation	—	—	—	1,844,676	—	—	—	1,844,676
Purchase of treasury stock	—	—	—	—	—	—	(375,000)	(375,000)
Balance at September 30, 2000	28,281,850	282,819	187,731,177	(8,767,030)	(81,988,187)	(944,448)	(6,432,702)	89,881,629
Comprehensive income (loss):								
Net loss	—	—	—	—	(23,755,434)	—	—	(23,755,434)
Unrealized holding gain on investment securities, net of reclassification adjustment	—	—	—	—	—	2,738,410	—	2,738,410
Translation adjustment	—	—	—	—	—	(317,666)	—	(317,666)
Total comprehensive loss	—	—	—	—	—	—	—	(21,334,690)
Options exercised	537,928	5,380	3,698,615	—	—	—	—	3,703,995
Issuance of common stock for employee purchase plan and other	3,900	38	115,014	—	—	—	157	115,209
Proceeds from issuance of common stock, in connection with public offerings, net	6,152,500	61,525	404,140,778	—	—	—	—	404,202,303
Change in deferred compensation	—	—	(1,559,538)	1,559,538	—	—	—	—
Amortization of deferred compensation	—	—	—	3,285,647	—	—	—	3,285,647
Proceeds from issuance of common stock, in connection with collaboration agreements, net	925,140	9,251	69,969,002	—	—	—	—	69,978,253
Balance at September 30, 2001	35,901,318	$359,013	$664,095,048	$ (3,921,845)	$(105,743,621)	$1,476,296	$(6,432,545)	$549,832,346

See accompanying notes to consolidated financial statements.

OSI PHARMACEUTICALS, INC. AND SUBSIDIARIES
CONSOLIDATED STATEMENTS OF CASH FLOWS

	Years ended September 30,		
	2001	2000	1999
Cash flow from operating activities:			
Net loss	$(23,755,434)	$(16,347,569)	$(9,798,437)
Adjustments to reconcile net loss to net cash provided by (used in) operating activities:			
Gain on sale of Anaderm common stock	—	—	(3,291,015)
Gain on sale of diagnostic business	—	(3,745,844)	—
Gain on sale of investments	(277,888)	(487,594)	(435,907)
Loss on sale of equipment and leasehold improvements	115,043	60,547	—
Depreciation and amortization	3,835,821	2,940,903	2,574,776
In-process research and development charge on acquisition of Cadus' research business	—	—	806,065
Amortization of library assets	1,407,228	1,866,189	1,761,809
Amortization of intangibles assets	741,892	869,760	1,468,800
Accretion of deferred acquisition costs	19,482	19,481	40,121
Issuance of treasury stock for services rendered	—	—	100,000
Non-cash compensation charges	3,285,647	6,819,676	—
Cumulative effect of accounting change	2,625,000	—	—
Changes in assets and liabilities, net of the effects of acquisitions and sale of a business:			
Receivables	(2,291,515)	4,375,566	680,934
Interest receivable	(3,473,597)	(175,090)	112,568
Grants receivable	179,834	(71,947)	62,640
Prepaid expenses and other current assets	(1,325,461)	(136,968)	55,516
Other assets	(383,389)	(8,722)	835,933
Accounts payable and accrued expenses	11,647,767	1,227,720	764,348
Unearned revenue	17,526,201	(4,348,266)	4,247,075
Accrued postretirement benefit cost	193,986	426,645	401,787
Net cash provided by (used in) operating activities	10,070,617	(6,715,513)	387,013
Cash flows from investing activities:			
Payments for acquisition of Cadus' research business	—	—	(2,216,682)
Payments for acquisition of certain assets from British Biotech plc	(13,869,136)	—	—
Net proceeds from sale of diagnostic business	—	8,636,104	—
Purchases of investments	(535,097,958)	(31,004,719)	(10,676,970)
Maturities and sales of investments	248,457,704	4,987,599	14,032,315
Additions to property, equipment and leasehold improvements	(10,625,216)	(2,728,149)	(4,519,678)
Proceeds from sale of equipment and leasehold improvements	35,000	375,000	—
Additions to compound library assets	—	—	(107,517)
Net cash used in investing activities	(311,099,606)	(19,734,165)	(3,488,532)
Cash flows from financing activities:			
Net proceeds from issuance of common stock	474,180,556	52,716,125	—
Proceeds from exercise of stock options, stock warrants, employee purchase plan, and other	3,819,204	13,938,965	338,395
Proceeds from loan payable	—	—	500,000
Payments on loan payable	(148,516)	(131,071)	(102,741)
Purchase of treasury stock	—	(375,000)	—
Net cash provided by financing activities	477,851,244	66,149,019	735,654
Net increase (decrease) in cash and cash equivalents	176,822,255	39,699,341	(2,365,865)
Effect of exchange rate changes on cash and cash equivalents	(65,018)	(170,593)	(85,414)
Cash and cash equivalents at beginning of year	48,392,635	8,863,887	11,315,166
Cash and cash equivalents at end of year	$225,149,872	$ 48,392,635	$ 8,863,887
Non-cash activities:			
Issuance of common stock in satisfaction of deferred acquisition costs	$ —	$ 375,000	$ —

See accompanying notes to consolidated financial statements.

(1) Summary of Significant Accounting Policies

(a) Principles of Consolidation

The consolidated financial statements of the Company include the accounts of OSI Pharmaceuticals, Inc., and its wholly-owned subsidiaries, OSI Pharmaceuticals (UK) Limited (OSI-UK), MYCOsearch, Inc., OSDI, Inc., and Applied bioTechnology, Inc. All intercompany balances and transactions have been eliminated in consolidation. The Company operates in one segment and utilizes a platform of drug discovery technologies and development capabilities in order to discover and develop novel, small molecule compounds. The Company is primarily focused on discovering, developing and commercializing innovative products for the treatment of cancer, and maintaining research interests in other selected disease areas, particularly diabetes, which address major markets with unmet clinical needs.

(b) Revenue Recognition

Collaborative program revenues represent funding arrangements for research and development in the field of biotechnology and are recognized when earned in accordance with the terms of the contracts and the related development activities undertaken. Other research revenues are recognized pursuant to the terms of grants which provide reimbursement of certain expenses related to the Company's other research and development activities. Collaborative and other research revenues are accrued for expenses incurred in advance of the reimbursement and deferred for cash payments received in advance of expenditures. Such deferred revenues are recorded as revenue when earned. Included in license and related revenues are patent license fees, maintenance fees, and technology access and other upfront fees.

Revenue from the sale of diagnostic and research reagent products from OSDI were recognized at time of shipment. Revenues from the performance of chemistry services provided by OSI-UK are recognized when performed.

Prior to October 1, 2000, the Company recognized all nonrefundable license fees, including upfront and technology access fees, as revenue when received and when all contractual obligations of the Company relating to such fees had been fulfilled. Effective October 1, 2000, the Company changed its method of accounting for upfront nonrefundable technology access and other upfront fees to recognize such fees over the term of the related research collaboration period in accordance with the guidance provided in the Securities and Exchange Commission's Staff Accounting Bulletin No. 101, "Revenue Recognition in Financial Statements," as amended.

For the year ended September 30, 2000, the Company recognized as revenue the full $3.5 million technology access fee received from Tanabe Seiyaku Co., Ltd. related to a four-year term collaboration. The Company's adoption of SAB No. 101 effective October 1, 2000 has resulted in a $2.6 million cumulative effect of a change in accounting principle related to the Tanabe fee which was reported as a charge in the quarter ended December 31, 2000. The cumulative effect was initially recorded as unearned revenue and is being recognized as revenue over the remaining term of the collaboration agreement.

During the year ended September 30, 2001, the impact of the change in accounting principle increased the net loss by approximately $1.8 million, or $.05 per share, comprised of the $2.6 million cumulative effect of the change as described above ($.08 per share), net of the $0.9 million of related deferred revenue that was recognized as revenue during the year ended September 30, 2001 ($.03 per share). Had the change in accounting principle been applied retroactively, the net loss for the year ended September 30, 2000 would have increased by $2.6 million, or $.11 per basic and diluted shares.

(c) Intangible Assets

As a result of the Company's R&D programs, including programs funded pursuant to R&D funding agreements (see note 5), the Company has applied for a number of patents in the United States and abroad. Such patent rights are of significant importance to the Company to protect products and processes developed. Costs incurred in connection with patent applications for the Company's R&D programs have been expensed as incurred.

Goodwill was amortized on a straight-line basis over five years and was fully amortized as of September 30, 2001. Workforce intangibles are amortized on a straight-line basis over a three-year period.

(d) Deferred Acquisition Costs

Deferred acquisition costs represent common stock purchase rights issued in connection with the Company's acquisition of OSI-UK on September 19, 1996. The Company issued rights exercisable at the end of three and five years following the closing date which was September 19, 1996 (for an aggregate exercise price of $7,500) to obtain a number of shares of the Company's common stock having an aggregate value of $750,000 (based on the current market value on the date of exercise). In December 1999, one half of these rights were exercised in exchange for 74,255 shares of the Company's common stock. Following this exercise, the Company purchased these shares at the fair market value for $375,000. These shares are currently held in treasury stock. The present value of such remaining rights amounted to $375,000 and $355,518 as of September 30, 2001 and 2000, respectively. The remaining rights were exercisable as of September 30, 2001.

(e) Research and Development Costs

R&D costs are charged to operations as incurred and include direct costs of research scientists and equipment and an allocation of laboratory facility and other core scientific services. In fiscal years 2001, 2000 and 1999, R&D activities included approximately $44.6 million, $20.7 million and $12.8 million of independent R&D, respectively. Independent R&D includes the Company's proportionate share of development expenses related to the Tripartite Agreement (see note 5(a)), R&D activities funded by government research grants and other proprietary R&D programs. The balance of R&D represents expenses under the collaborative agreements and co-ventures with Pfizer Inc., Anaderm Research Corporation, Tanabe Seiyaku Co., Ltd., Vanderbilt University, Sankyo Co., Ltd., Aventis, Solvay Pharmaceuticals, Inc., Novartis Pharma AG, Helicon Therapeutics, Inc., Sepracor, Inc., The Bayer Corporation, Fujirebio, Inc., and BioChem Pharma, Inc. Included in R&D expense is the impact of stock options granted to non-employees over the past three years that have resulted in approximately $1.5 million and $971,000 of compensation expense in fiscal 2001 and 2000, respectively (see note 9(a)). Also included in R&D expense in fiscal 2001 is approximately $4.4 million related to the closing of the Tarrytown, New York and Birmingham, England facilities (see note 15). Included in R&D expenses in fiscal 2000 is $5.0 million of compensation expense related to the issuing of an option to purchase 100,000 shares of common stock to the Company's President and Head of Research and Development (see note 9(a)). Included in R&D expenses in fiscal 1999 is $806,000 of in-process R&D acquired in connection with the purchase of Cadus Pharmaceutical Corporation's research business (see note 3(b)).

(f) Depreciation and Amortization

Depreciation of equipment is recognized over the estimated useful lives of the respective asset groups on a straight-line basis. Leasehold improvements are amortized on a straight-line basis over the lesser of the estimated useful lives or the remainder of the lease term.

Amortization of the fungal cultures and compounds acquired in connection with the acquisition of Cadus' research business in fiscal 1999 (see note 3(b)), the acquisition of The Dow Chemical Company compound library license in fiscal 1997 (see note 3(c)), and the acquisition of MYCOsearch in fiscal 1996 are on a straight-line basis over five years, which represents the estimated period over which the fungal cultures, compounds and license will be used in the Company's R&D efforts.

(g) Income Taxes

Income taxes are accounted for under the asset and liability method. Deferred tax assets and liabilities are recognized for the future tax consequences attributable to differences between the financial statement carrying amounts of existing assets and liabilities and their respective tax bases and operating loss and tax credit carryforwards. Deferred tax assets and liabilities are measured using enacted tax rates expected to apply to taxable income in the years in which those temporary differences are expected to be recovered or settled. The effect on deferred tax assets and liabilities of a change in tax rates is recognized in income in the period that includes the enactment date.

(h) Investments

Investment securities at September 30, 2001 and 2000 consist of U.S. Treasury obligations and corporate debt and equity securities. The Company classifies its investments as available-for-sale. These securities are recorded at their fair value. Unrealized holding gains and losses, net of the related tax effect, on available-for-sale securities are excluded from earnings and are reported in accumulated other comprehensive income (loss), a separate component of stockholders' equity, until realized. The specific identification basis is utilized to calculate the cost to determine realized gains and losses from the sale of available-for-sale securities.

A decline in the market value of any available-for-sale security below cost that is deemed to be other than temporary results in a reduction in carrying amount to fair value. The impairment is charged to earnings and a new cost basis for the security is established. Dividend and interest income are recognized when earned.

As further discussed in note 5(j), the Company received an equity interest in a research and development company in exchange for research services provided to this company. The Company has recorded its investment in the company based on the cost of services rendered. The Company recognizes its share of the operating losses of this company based on its percentage ownership interest under the equity method of accounting.

(i) Net Loss Per Share

Basic and diluted net loss per share is computed by dividing the net loss by the weighted average number of common shares outstanding during the period. The diluted loss per share presented excludes the effect of common share equivalents (stock options and warrants), since such inclusion in the computation would be anti-dilutive. Such options and warrants amounted to 3,757,916 and 3,307,409 for fiscal 2001 and 2000, respectively.

(j) Cash and Cash Equivalents

The Company includes as cash equivalents reverse repurchase agreements, treasury bills, and time deposits with original maturities of three months or less. Such cash equivalents amounted to $220.4 million and $40.1 million as of September 30, 2001 and 2000, respectively.

(k) Use of Estimates

Management of the Company has made a number of estimates and assumptions related to the reporting of assets and liabilities and the disclosure of contingent assets and liabilities to prepare these consolidated financial statements in conformity with accounting principles generally accepted in the United States of America. Actual results could differ from those estimates.

(l) Comprehensive Income (Loss)

Comprehensive income (loss) includes foreign currency translation adjustments and unrealized gains or losses on the Company's available-for-sale securities.

As of September 30, the components of accumulated other comprehensive income (loss) were as follows:

	2001	2000
Cumulative foreign currency translation adjustment	$(1,014,834)	$(697,168)
Unrealized gains (losses) on available-for-sale securities	2,491,130	(247,280)
Accumulated other comprehensive income (loss)	$ 1,476,296	$(944,448)

(m) Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to be Disposed of

The Company accounts for its long-lived assets in accordance with the provisions of Statement of Financial Accounting Standards No. 121, "Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to be Disposed of." SFAS No. 121 requires that long-lived assets and certain identifiable intangibles be reviewed for impairment whenever events or changes in circumstances indicate that the carrying amount of an asset may not be recoverable. Recoverability of assets to be held and used is measured by comparison of the carrying amount of an asset to the future net cash flows expected to be generated by the asset. If such assets are considered to be impaired, the impairment to be recognized is measured by the amount by which the carrying amount of the assets exceed the fair value of assets. Assets to be disposed of are reported at the lower of the carrying amount or fair value less cost to sell.

(n) Computer Software Costs

The Company records the costs of computer software in accordance with AICPA Statement of Position 98-1, "Accounting for the Costs of Computer Software Development or Obtained for Internal Use." SOP 98-1 requires that certain internal-use computer software costs be capitalized and amortized over the useful life of the asset. Total costs capitalized under this policy were approximately $1.6 million as of and for the fiscal year ended September 30, 2001.

(o) Basis of Presentation

Certain reclassifications have been made to the prior period consolidated financial statements to conform them to current presentations.

(2) License Agreements

(a) Aurora Biosciences

Pursuant to a license agreement effective May 26, 1998, the Company granted to Aurora Biosciences Corporation a non-exclusive worldwide license to practice the technology under the Company's patent for live-cell gene transcription assays utilizing a reporter gene. The Company also granted Aurora an option to obtain a

non-exclusive license to practice the technology under the Company's patent concerning methods of modulation. The duration of each license is to be coextensive with the life of the last to expire of the underlying patents. Under the license agreement, Aurora has the right to grant sublicenses. The Company received 75,000 shares of Aurora's common stock with an estimated fair market value of $402,000 and a license fee of $300,000 upon execution of the agreement. In addition, Aurora will pay the Company an annual fee of $50,000, milestone payments and royalties on sales of products derived from the licensed patents, if any. The shares of common stock were subsequently sold in September 1999 at a then fair market value of $909,000. The resulting realized gain of approximately $436,000 is included in net investment income in the accompanying consolidated statement of operations for fiscal 1999. The Company has exclusive control over prosecution, maintenance and enforcement of the patents subject to the agreement.

(b) Pharmacia & UpJohn

Pursuant to a license agreement effective July 29, 1999, the Company granted to Pharmacia & UpJohn, Inc. a non-exclusive, non-transferable, worldwide, royalty-bearing license of certain gene transcription patents for drug discovery and development of product candidates for human therapeutic or diagnostic purposes (other than in the area of cosmeceuticals). Following April 24, 2002, the scope of the non-exclusive license will be expanded to include the discovery and development of cosmeceuticals. The duration of the license is to be coextensive with the life of the last to expire of the underlying patents. Upon signing the license agreement, Pharmacia & UpJohn paid the Company $100,000. In addition, Pharmacia & UpJohn will pay the Company an annual fee of $50,000 and milestone and royalty payments on sales of products derived from the licensed patents, if any. The Company has exclusive control over prosecution, maintenance and enforcement of the patents subject to the agreement.

(c) R.W. Johnson Pharmaceutical Research Institute

Effective December 21, 1999, the Company granted to The R.W. Johnson Pharmaceutical Research Institute, a Johnson & Johnson company, a non-exclusive, non-transferable, worldwide, royalty-bearing license of the Company's gene transcription patent estate for the discovery, development and commercialization of products for human therapeutic purposes (other than the discovery or development of cosmeceuticals, and not any *in vitro* or *in vivo*diagnostic or other purpose). Commencing April 24, 2002, the scope of the non-exclusive license will be expanded to include the discovery, development or commercialization of cosmeceuticals, without any additional consideration. The license will continue in full force and effect until the last expiration date of the underlying patents. R.W. Johnson paid the Company a license fee and annual fees together with milestone payments and royalties based on the development and sale of products derived from the licensed patents. The Company has exclusive control over prosecution, maintenance and enforcement of the patents subject to the license agreement.

(d) American Home Products and American Cyanamid

Effective January 3, 2000, the Company entered into a worldwide, non-exclusive cross license agreement with American Home Products Corporation and its wholly-owned subsidiary, American Cyanamid Company, involving the Company's gene transcription patent estate and patents covering yeast screening technologies developed by American Cyanamid. The agreement provides the Company access to American Cyanamid's technology covered in four issued U.S. patents which include claims for recombinant expression of a variety of targets in yeast, including G-protein coupled receptors (GPCR), hybrid GPCRs and orphan receptors for use in human therapeutics. The agreement also allows American Cyanamid to retain exclusive rights to the use of the Company's GPCR technologies in the agricultural field. The duration of each license is to be coextensive with the life of the last to expire of the patents underlying each license.

(e) Cadus

Effective February 15, 2000, Cadus granted to the Company a non-exclusive, royalty-free, worldwide right and license (without the right to sublicense) to use and practice Cadus' technology and patents involving Cadus' yeast GPCR patent estate; to access various reagents; to use a library of over 30,000 yeast strains; and to use Cadus' proprietary bioinformatics software for the mining of genomic databases. Under the license agreement, the Company may practice the Cadus technology and patents with third parties under collaborative research programs so long as the Company's personnel conduct such research at the Company's facilities. As part of this licensing arrangement, Cadus granted to the Company a non-exclusive, non-transferable license to the use of certain of Cadus' software related to its technology. The cost of the license was $700,000 and was recorded in research and development expense in the accompanying consolidated statement of operations for fiscal 2000. In addition, the Company is required to remit to Cadus an annual maintenance fee of $100,000 in each of the next ten years.

(f) Merck & Co.

Effective June 8, 2000, Merck & Co., Inc. became an additional licensee of the Company's gene transcription patent estate. In exchange for such gene transcription rights, Merck granted the Company a worldwide, non-exclusive license to certain patents referred to as the Transcription Based Assay patents which were previously the property of Sibia Neurosciences, Inc. prior to their acquisition by Merck. The Transcription Based Assay patents consist of claims that cover assay systems designed to identify compounds that bind to cell-surface receptors. The duration of each license is coextensive with the life of the last to expire of the patents underlying each license.

(3) Acquisitions

(a) British Biotech

On September 28, 2001, the Company acquired certain assets from British Biotech plc for approximately $13.9 million in cash, which includes professional fees and other related costs. The acquisition was not determined to be a business combination under the provisions of SFAS No. 141. Accordingly, the acquisition was accounted for as an asset acquisition and the purchase price was allocated to the tangible and intangible assets based on the relative fair values at the date of acquisition. The purchase price was allocated as follows (in thousands):

Equipment and leasehold improvements	$ 9,537
Work force intangible	3,040
License to compound libraries	657
Prepaid expenses	635
Total assets acquired	$13,869

The Company also assumed two British Biotech facility leases in Oxford, England (approximately 116,400 square feet) as of September 28, 2001. The leases for these two facilities expire on August 2009 and April 2021. In connection with the acquisition, the Company acquired a non-exclusive license to compound libraries, and the Company agreed to pay royalties of 2.5% on the sales of products arising out of the use of these libraries. The cost of the license is being amortized on a straight-line basis over three years, which represents the estimated period over which the compound will be used. Also in connection with the acquisition, the Company acquired 68 employees of which 55 were research employees.

(b) Cadus

On July 30, 1999, the Company acquired certain assets from Cadus for approximately $2.2 million in cash, which includes professional fees and other costs and the assumption of certain liabilities. The acquisition was accounted for under the purchase method of accounting. The purchase price has been allocated to the assets and the liabilities assumed based on the fair values at the date of acquisition. The excess of the fair value of the net assets acquired over the purchase price paid representing negative goodwill was approximately $2.9 million. The negative goodwill was allocated proportionately to reduce the value of the noncurrent assets acquired and the in-process R&D which was charged to operations. The in-process R&D charge is included in R&D expenses in the accompanying consolidated statement of operations for fiscal 1999. The purchase price was allocated as follows (in thousands):

Prepaid expenses and other current assets	$ 362
Work force intangible	145
In-process R&D acquired	806
Compound library	336
Fixed assets	1,045
Total assets and in-process R&D acquired	2,694
Less liabilities assumed	(477)
Cash paid	$2,217

The value of the purchased in-process R&D from the acquisition was determined by estimating the projected net cash flows related to products under development, based upon the future revenues to be earned upon commercialization of such products. The percentage of the cash flow allocated to purchased in-process research and development was based upon the estimated percentage complete for each of the R&D projects. These cash flows were discounted back to their net present value. The resulting projected net cash flows from such projects were based on management's estimates of revenues and operating profits related to such projects. The in-process R&D was valued based on the income approach that focuses on the income-producing capability of the assets. The underlying premise of this approach is that the value of an asset can be measured by the present worth of the net economic benefit (cash receipts less cash outlays) to be received over the life of the asset. Significant assumptions and estimates used in the valuation of in-process R&D included: the stage of development for each of the five projects; future revenues based on royalties; growth rates for each product; individual product revenues; product sales cycles; the estimated life of a product's underlying technology of seven years from the date of introduction; future operating expenses; and a discount rate of 60% to reflect present value and risk of developing the acquired technology into commercially viable products.

The assets purchased included (a) certain assets associated with certain of Cadus' research programs (including the GPCR-directed drug discovery program and a collaboration with Solvay), (b) Cadus' compound library of 150,000 compounds, (c) the purchase or license of certain intellectual property rights, and (d) certain furniture, equipment, inventory, and supplies. Several assets were retained by Cadus, including (a) monies in escrow in connection with the judgment of SIBIA against Cadus, (b) cash and accounts receivable, (c) Cadus' Living Chip Technology, (d) Cadus' Functional Genomics Program, and (e) Cadus' Research Collaboration and License Agreement with SmithKline Beecham Corporation. Forty-seven Cadus employees were hired by the Company.

The Company also assumed Cadus' facility lease in Tarrytown, New York (approximately 45,569 square feet) as of July 1, 1999 (approximately $898,249 in rental payments per annum through December 31, 2002) and an equipment lease with General Electric Capital Corporation (GECC). In fiscal 2001 and 2000, the Company subleased approximately 9,100 square feet of the facility. On August 23, 1999, the Company elected

to payoff the GECC lease in exchange for a payment of $2.8 million and obtained ownership of the fixed assets covered by the lease agreement. On September 21, 1999, Cadus reimbursed the Company $308,000 in exchange for those fixed assets that have been retained by Cadus for its own use. The source of the cash portion of the purchase price and the subsequent decision to payoff the lease agreement with GECC was the Company's existing cash resources.

In connection with the acquisition, the Company entered into the following additional agreements with Cadus: (a) a Patent License Agreement, (b) a Technology License Agreement, and (c) a Software License Agreement, pursuant to which the Company obtained non-exclusive licenses for the use and practice of certain of Cadus' patents, Cadus' technology and Cadus' software programs, respectively. The Company and Cadus also entered into another Patent License Agreement under which the Company will license back to Cadus on a non-exclusive basis certain of the patents which were assigned to the Company as part of the acquisition.

In connection with the acquisition, the Company adopted a Non-Qualified Stock Option Plan for Former Employees of Cadus. The Company granted ten-year options to purchase an aggregate of 415,000 shares of common stock of the Company at a purchase price of $5.00 per share, which represents the fair value of the Company's stock at the date granted. These options became exercisable on July 30, 2000, one year from the date of the grant.

Effective February 15, 2000, Cadus granted the Company a non-exclusive, royalty-free, worldwide right and license (without the right to sublicense) to use and practice Cadus' technology and patents involving Cadus' yeast GPCR patent estate; to access various reagents; to use a library of over 30,000 yeast strains; and to use Cadus' proprietary bioinformatics software for the mining of genomic databases. (see note 2(e)).

The operating results of Cadus' research business have been included in the consolidated statements of operations from July 30, 1999. The following unaudited pro forma information presents a summary of consolidated results of operations for fiscal 1999 assuming the asset acquisition had taken place as of October 1, 1998 (in thousands):

	1999 (Unaudited)
Revenues	$ 24,902
Net loss	(15,013)
Net loss per share	(0.70)

The pro forma results give effect to the amortization of acquired intangibles and reduction of investment income. The pro forma information is not necessarily indicative of the results of operations had the asset acquisition been affected on the assumed date.

(c) Compound Library License

On March 18, 1997, the Company entered into a license agreement with Dow Chemical giving the Company exclusive worldwide rights to use more than 140,000 compounds for screening and potential development of small molecule drugs and cosmeceuticals. The initial payment for the license was 352,162 shares of the Company's common stock with a fair market value of approximately $2.5 million. Dow Chemical is also entitled, in certain instances where pre-existing Dow Chemical patents are in effect, to royalty payments from any new drug products that may result from the screening of the subset of the compound library covered by such patents. The common stock issued to Dow Chemical was from the shares held in treasury. The cost of the license agreement is amortized on a straight-line basis over a five-year period, which represents the estimated period over which the compounds are used in the Company's research and

development efforts. Since the Company did not conduct significant research utilizing these compounds during fiscal 1997, the Company began amortizing the license agreement cost in October 1997 and recorded $505,446 of amortization expense in fiscal 2001, 2000 and 1999.

(4) Investments

The Company invests its excess cash in U.S. Government securities and debt and equity instruments of financial institutions and corporations with strong credit ratings. The Company has established guidelines relative to diversification of its investments and their maturities with the objective of maintaining safety and liquidity. These guidelines are periodically reviewed and modified to take advantage of trends in yields and interest rates. The Company uses the specific identification method to determine the cost of securities sold.

The following is a summary of available-for-sale securities as of September 30:

2001	Cost	Gross Unrealized Gains (Losses)	Fair Value
U.S. Treasury Securities and obligations of U.S. Government agencies	$232,035,871	$1,968,390	$234,004,261
Corporate debt securities	91,801,592	522,736	92,324,328
Total	$323,837,463	$2,491,126	$326,328,589

2000	Cost	Gross Unrealized Gains (Losses)	Fair Value
U.S. Treasury Securities and obligations of U.S. Government agencies	$21,251,426	$(123,170)	$21,128,256
Corporate debt securities	15,667,890	(124,110)	15,543,780
Total	$36,919,316	$(247,280)	$36,672,036

Government and corporate debt securities include approximately $20.0 million and $4.2 million as of September 30, 2001 and 2000, respectively, of interests in mutual funds which are invested principally in government and corporate debt securities. Net realized gains on sales of investments during fiscal 2001, 2000 and 1999 were approximately $278,000, $488,000, and $436,000, respectively.

Maturities of debt securities classified as available-for-sale were as follows at September 30, 2001:

	Cost	Fair Value
2002	$117,430,773	$118,098,529
2003	118,225,954	119,082,732
2004	66,227,288	67,148,646
2005	1,999,031	2,024,400
	$303,883,046	$306,354,308

As further discussed in note 5(b) and 5(j), the Company has collaborative research agreements with Anaderm and Helicon, and the Company's investments in such companies were carried based on the equity method of accounting. On September 23, 1999, the Company exercised its right to require Pfizer to purchase all of its shares of Anaderm common stock at a sale price of $3.6 million. As of September 30, 1999, the Company recognized a gain of $3.3 million on the sale of the Anaderm common stock and recorded a

receivable of $3.6 million. On November 10, 1999, the Company received a cash payment of this receivable from Pfizer. As of September 30, 2001 and 2000, the Company fully reserved its investment in Helicon as more fully discussed in note 5(j).

As of September 30, 1999, the Company had an investment in Tularik, Inc. amounting to $250,000 which was carried at cost and approximated fair market value (see note 12). In December 1999, the Company sold its investment in Tularik, Inc. resulting in a gain of approximately $488,000 which is included in net investment income in the accompanying consolidated statement of operations for fiscal 2000.

(5) Product Development Contracts

(a) Roche and Genentech

On January 8, 2001, the Company entered into certain agreements with Genentech, Inc. and Roche for the global co-development and commercialization of the Company's lead anti-cancer drug, Tarceva™. The Company received upfront fees of $25 million related to these agreements, which is being recognized evenly over the expected three-year term of the Company's required research and development efforts under these agreements. For the year ended September 30, 2001, the Company recognized approximately $6.3 million of the upfront fees.

Under the OSI/Genentech Agreement, the Company and Genentech agreed to collaborate in the product development of Tarceva™ with the goal of obtaining regulatory approval for commercial marketing and sale in the United States of products resulting from the collaboration. Under the OSI/Genentech Agreement, the parties established a joint steering committee comprised of representatives from each of the Company and Genentech. The responsibility of the joint steering committee is, among other things, to approve overall strategy of the collaboration; review and approve development, clinical trial strategies and budgets; review and approve manufacturing activities; review and approve marketing and sales budgets; and perform other similar functions. The parties also established a joint project team responsible for formulating overall development plans and budgets.

The parties are conducting clinical trials of indications for licensed products as defined in the OSI/Genentech Agreement in accordance with such agreement. Consistent with the parties' development plan under the OSI/Genentech Agreement, and with the approval of the joint steering committee, the parties will agree as to who will own and be responsible for the filing of drug approval applications with the Food and Drug Administration other than the first new drug application which the Company will own and be responsible for filing and the first supplemental new drug application which the Company will have the option to own and be responsible for filing. Genentech will have responsibility for the design and implementation of all product launch activities and the promotion, marketing and sales of all products resulting from the collaboration in the United States, its territories and Puerto Rico, while the Company will have certain co-promotion rights. Genentech will pay the Company certain milestone payments and the Company will share equally in the operating profits or losses on products resulting from the collaboration.

Under the OSI/Genentech Agreement, the Company granted to Genentech a non-transferable (except under certain circumstances), non-sublicensable (except under certain circumstances), co-exclusive license under the Company's patents related to Tarceva™ to use, sell, offer for sale and import products resulting from the collaboration. In addition, Genentech granted to the Company a non-transferable (except under certain circumstances), non-sublicensable (except under certain circumstances), co-exclusive license to certain patents held by Genentech to use, make, have made, sell, offer for sale and import products resulting from the collaboration. Each party is generally responsible for its own patent filings. In addition, each party, generally, has the right, but not the obligation, to institute, prosecute and control patent infringement claims. The term of the OSI/Genentech Agreement continues until the date on which the parties are no longer

entitled to receive a share of the operating profits or losses on any products resulting from the collaboration. The OSI/Genentech Agreement is subject to early termination in the event of certain defaults by either party and early termination by Genentech under certain circumstances.

Under the OSI/Roche Agreement, the Company granted to Roche a license under the Company's intellectual property rights with respect to Tarceva™. Roche is collaborating with the Company and Genentech in the product development of Tarceva™ and is responsible for future marketing and commercialization of Tarceva™ outside of the United States in certain territories as defined in the OSI/Roche Agreement. The grant is a royalty-bearing, non-transferable (except under certain circumstances), non-sublicensable (except with consent), sole and exclusive license to use, sell, offer for sale and import products resulting from the development of Tarceva™ in the world, other than the territories covered by the OSI/Genentech Agreement. In addition, Roche has the right, but not the obligation, to manufacture Tarceva™ for its territory, subject to certain exceptions. Roche will pay milestone and royalty payments to the Company. The Company has primary responsibility for patent filings for the basic patents protecting Tarceva™, and, in addition, has the right, but not the obligation, to institute, prosecute and control patent infringement claims. The term of the OSI/Roche Agreement continues until the date on which the Company is no longer entitled to receive a royalty on products resulting from the development of Tarceva™. The OSI/Roche Agreement is subject to early termination in the event of certain defaults by either party. In addition, after two and one half years from the effective date, Roche may terminate the agreement on a country-by-country basis. The Company may also have the right to terminate the agreement on a country-by-country basis if Roche has not launched or marketed a product in such country under certain circumstances.

Under the Tripartite Agreement, the Company, Genentech and Roche have agreed to establish a structure which is intended generally to result in the optimization of the use of each party's resources to develop Tarceva™in certain countries around the world, and share certain global development costs on an equal basis; to share information generated under a global development plan, as defined in the Tripartite Agreement; to facilitate attainment of necessary regulatory approvals of Tarceva™ products for commercial marketing and sale in the world; and to work together on such matters as the parties agree from time to time during the development of Tarceva™. The Tripartite Agreement requires each party to spend equally up to a specified amount for the further development of Tarceva™. Under the Tripartite Agreement, the parties have established a global development committee composed of representatives from each party. The global development committee is generally responsible for, among other things, approving material changes to the global development plan, including the annual budget; overseeing execution of the global development plan; resolving disputes concerning overall strategy or funding; and performing other similar functions. The parties have also established a liaison team to work with the teams organized under the OSI/Roche and OSI/Genentech Agreements. The responsibilities of the liaison team include coordination of pre-clinical activities, clinical team activity, regulatory activity, manufacturing activity, and communication and publication strategy. In addition, the liaison team must prepare budgets and updates to present to the global development committee and prioritize and allocate the supply of Tarceva™. Each party may at its own expense conduct clinical and pre-clinical activities for additional indications for Tarceva™ not called for under the global development plan, subject to certain conditions. The Tripartite Agreement will terminate when either the OSI/Genentech Agreement or the OSI/Roche Agreement terminates. Any reimbursement from or additional payments to Genentech or Roche for research and development costs under the cost sharing arrangement of the Tripartite Agreement are recorded as an increase or decrease to research and development expenses in the accompanying consolidated statements of operations.

As discussed in note 9(h), concurrent with the execution of these agreements, the Company entered into separate Stock Purchase Agreements on January 8, 2001 with each of Genentech and Roche Holdings, Inc. for the sale to each of 462,570 newly-issued shares of the Company's common stock for $35 million each.

(b) Anaderm

On April 23, 1996, in connection with the formation of Anaderm, the Company entered into a Stockholders' Agreement with Pfizer, Anaderm, New York University and certain NYU faculty members, and a Collaborative Research Agreement with Pfizer and Anaderm for the discovery and development of cosmeceuticals. Anaderm issued common stock to Pfizer and the Company and options to purchase common stock to NYU and the faculty members. NYU and the faculty members exercised their options fully, and until September 23, 1999, Pfizer held 82%, the Company held 14% and NYU and the faculty members collectively held 4% of Anaderm's common stock. In exchange for its 14% of the outstanding shares of Anaderm common stock, the Company provided formatting for high throughput screens and conducted compound screening for 18 months at its own expense under the research agreement. Upon the completion of the initial phase of the research agreement, the funded phase commenced on October 1, 1997. During this phase, Anaderm made payments to the Company equal to its research costs, including overhead, plus 10%. Anaderm or Pfizer will pay royalties to the Company on the sales of products resulting from this collaboration. In December 1997, the Company and Pfizer entered into an agreement for a second round of equity financing for Anaderm. The agreement called for an equity contribution of $14.0 million, of which the Company contributed $2.0 million in drug discovery resources, including assay biology, high throughout screening, lead optimization and chemistry, through 1999.

In April 1999, the Company amended its Collaborative Research Agreement with Pfizer and Anaderm to expand the collaborative program and amended its Stockholders' Agreement with Pfizer, Anaderm, NYU and the faculty members. The amended research agreement will expire in April 2002, followed by a three-year phase-down period. Under the expanded program, the Company provides a full range of capabilities including assay biology, high throughput screening, compound libraries, combinatorial, medicinal, and natural product chemistry, as well as pharmaceutics, pharmacokinetics and molecular biology. Anaderm or Pfizer will pay royalties to the Company on the sales of products resulting from the collaboration.

As discussed in note 4, the Company exercised an option, pursuant to the April 1999 amendment to the Stockholders' Agreement, to sell its Anaderm common stock to Pfizer on September 23, 1999 for a total sale price of $3.6 million. The Company's net investment in Anaderm at the date of the sale was approximately $354,000 resulting in a net gain of $3.3 million on the sale of common stock.

(c) Tanabe

Effective as of October 1, 1999, the Company entered into a Collaborative Research and License Agreement with Tanabe focused on discovering and developing novel pharmaceutical products to treat diabetes. Under the agreement, the Company is responsible for identification of targets (subject to Tanabe's approval), assay development, screening of compounds from the Company's library and Tanabe's library against identified targets, identification of seed compounds meeting certain criteria specified in the agreement, optimization of these seed compounds and identification of lead compounds meeting certain criteria specified in the agreement. Tanabe maintains responsibility for further development and marketing of a lead compound in exchange for milestone and royalty payments to the Company.

If Tanabe determines to initiate further development of lead compounds identified by the Company, the Company will grant to Tanabe exclusive, worldwide licenses to, among other things, use, manufacture and sell all products containing these lead compounds directed to the identified targets in exchange for license fees and royalties on product sales. The duration of the licenses is coextensive with the lives of the patents related to the licensed compound or ten years from first commercial sale, whichever is longer. If Tanabe determines not to initiate further development of a lead compound or if Tanabe discontinues development of candidate compounds, the Company will have the sole and exclusive right to develop, use, manufacture and sell all products resulting from the collaboration, and it will pay royalties to Tanabe.

Generally, both Tanabe and the Company are prohibited during the term of the contract from pursuing independently or sponsoring directly or indirectly, research and development of compounds and products in the diabetes area relating to the identified targets in the agreement. The agreement is for a term of four years, with the option to extend for an additional two-year period. On September 28, 1999, the Company received approximately $4.3 million from Tanabe, which represented advanced funding of the technology access fee of $3.5 million and research funding of $812,500 for the first quarter of fiscal 2000. During the first quarter ended December 31, 1999, the Company recognized as revenue the technology access fee of $3.5 million in accordance with its accounting policy at that time. As a result of the adoption of SAB No. 101 on October 1, 2000, the Company changed its method of accounting for such non-refundable upfront fees to recognize such fees over the term of the related research agreement. This change resulted in a cumulative effect of an accounting change of $2.6 million recorded in the accompanying consolidated statement of operations for fiscal 2001. See note 1(b).

(d) Vanderbilt

Effective as of April 28, 1998, the Company entered into a Collaborative Research, Option and Alliance Agreement with Vanderbilt University to conduct a collaborative research program and seek a corporate partner to fund a technology collaboration for the discovery and development of drugs to treat diabetes. The agreement was for a term of one year, and was extended until the Company executed a third-party research collaboration agreement, which the Company entered into with Tanabe.

Concurrently with the execution of the Tanabe agreement, the Company entered into an Amended and Restated Collaborative Research, License and Alliance Agreement with Vanderbilt and Tanabe with an effective date of August 31, 1999. The term of the research program conducted by the Company and Vanderbilt commenced on April 28, 1998 and will end upon termination of the contract period under the Tanabe agreement unless mutually extended by the Company and Vanderbilt. The OSI/Vanderbilt research program is comprised of both research directed toward the targets identified, as well as targets not identified, in the Tanabe agreement. The Company may offer to Tanabe any of the additional targets for inclusion in the OSI/Tanabe research program. As part of the OSI/Vanderbilt research program, Vanderbilt assists the Company in fulfilling its obligations under the OSI/Tanabe research program by providing access to Vanderbilt's drug discovery resources, including laboratories and assays.

The Company is providing funding to Vanderbilt to conduct the OSI/Vanderbilt research program. A portion of this funding comes from Tanabe's funding of the OSI/Tanabe research program. The Company will also pay to Vanderbilt a percentage of the revenues it receives from Tanabe and any other third party which commercializes products resulting from the OSI/Tanabe research program based on the extent to which Vanderbilt technology and patents contributed to the product generating the revenue. The Company paid Vanderbilt a one-time success fee in the amount of $500,000, as well as other direct costs of $250,000, in October 1999 in connection with the Company entering into the Tanabe agreement.

(e) Pfizer

In April 1986, the Company entered into a collaborative research agreement and a license agreement with Pfizer. During the first five years of the collaboration, the Company focused principally on understanding the molecular biology of oncogenes. In 1991, the Company renewed the collaboration for a second five-year term and expanded the resources and scope of the collaboration to focus on the discovery and development of cancer therapeutic products based on mechanisms-of-action that target oncogenes and anti-oncogenes and fundamental mechanisms underlying tumor growth. In April 1996, the Company renewed the collaboration for a new five-year term by entering into new collaborative research and license agreements. In June 2000, the Company gained full development and marketing rights to Tarceva™ in order to allow Pfizer to meet certain

requirements of the Federal Trade Commission arising from the FTC's review of Pfizer's merger with Warner-Lambert Company described below. On April 1, 2001, the funded phase of the collaborative research agreement expired and was not renewed. Following the expiration of the collaborative research agreement, Pfizer is continuing to develop certain specified drug candidates which emanated from the collaborative research agreement and for which Pfizer will owe us a royalty if ultimately commercialized. We continue to have rights in joint technology developed during the collaboration.

Effective November 21, 2001, Pfizer chose to discontinue development of CP-609,754, a farnesyl transferase inhibitor that was undergoing Phase I trials, and returned to us full commercial rights pursuant to the terms of the original license agreement between the parties. CP-609,754 was jointly discovered by the Company and Pfizer during the collaborative research agreement and was being developed by Pfizer as a targeted therapy for use in major solid tumor indications, including lung and colorectal. The Company plans to develop CP-609,754 for the treatment of bladder and other tumor types that target mutant and over-expressed forms of the H-*ras* oncogene. The Company will pay a royalty to Pfizer if the drug is successfully developed.

During fiscal 2000, Pfizer, in order to meet Federal Trade Commission requirements for its merger with Warner-Lambert, granted all development and marketing rights of Tarceva™ to the Company. The reason for the divestiture was the determination by the FTC of an antitrust issue in the emerging EGFR cancer market arising as a result of the development by Warner-Lambert of an EGFR inhibitor that was in early Phase I studies at that time. The divestiture of Tarceva™ through the existing OSI/Pfizer collaboration presented the most expeditious resolution of the antitrust issue. Under terms of a May 23, 2000 agreement with Pfizer, which became effective upon issuance and publication of the FTC's order on June 19, 2000, the Company received a royalty-free license to all rights for the further development and commercialization of Tarceva™. The terms of the agreement did not require the Company to make any payments to Pfizer for the license. In January 2001, the Company entered into a co-development and marketing partnership with Genentech and Roche for Tarceva™ (see note 5(a)).

Effective as of April 1, 1999, the Company entered into a Development Agreement with Pfizer for the development of certain compounds derived from the collaborative research agreement described above for the treatment of psoriasis. Under the Development Agreement, the Company is conducting a program which includes pre-clinical and clinical research and development, through and including Phase II clinical trials, for compounds to assess their safety and efficacy to be developed as therapeutic agents for the treatment of psoriasis and other related dermal pathologies. Pfizer has granted to the Company an exclusive, with the exception of Pfizer, license to make and use the compounds for all research and development purposes in the development program other than the sale or manufacture for sale of products or processes. At the end of the development program, Pfizer must notify the Company if it intends to continue development and commercialization of a compound within three months following receipt of the data package from the clinical studies. If Pfizer notifies the Company of this intention, it will have an exclusive, world-wide license, with the right to grant sublicenses, to make, use, sell, offer for sale and import products developed in the course of the development program subject to the reimbursement of clinical development costs. If Pfizer fails to notify the Company, the Company will receive an exclusive, world-wide, royalty-bearing license, including the right to grant sublicenses, to manufacture, use, sell, offer for sale and import products developed in the course of the development program. The Company is, however, under no obligation to accept this license. The party receiving the license must pay milestone and royalty payments as consideration therefor. The duration of the licenses is coextensive with the lives of patents related to the licensed compounds.

(f) Aventis

Pursuant to an amended collaborative research and license agreement effective April 1, 1997, the Company had been conducting research and development activities with Aventis, which had focused

specifically on the Company's expertise in live-cell assay technology. Aventis was responsible for all lead development activities. The Company had identified several compounds, which Aventis is optimizing for further development. The most advanced of these compounds are in advanced pre-clinical development for cholesterol lowering, atherosclerosis and asthma.

The Company has granted to Aventis an exclusive, worldwide license, and rights to acquire additional licenses, with respect to, among other things, the use, manufacture and sale of products resulting from the Company's lead seeking efforts against individual drug targets. In exchange for these licenses, Aventis will pay royalties to the Company on sales of products arising out of the collaboration. The funded phase of the agreement terminated on September 30, 2000. The agreement states that the license expires on the later of March 31, 2002 or the last to expire of any obligations of Aventis to pay royalties.

(g) Sankyo

Effective as of February 12, 1997, the Company entered into a Collaborative Research and License Agreement with Sankyo to be conducted in partnership with MRC Collaborative Center, London, England. The collaboration is focused on discovering and developing novel pharmaceutical products to treat influenza. The Company is responsible for conducting research including, without limitation, compound screening in exchange for research funding from Sankyo. Sankyo has the responsibility and the exclusive right to conduct pre-clinical and clinical development of all candidate compounds in exchange for milestone payments to the Company. The agreement was for a term of three years, with the option to extend for an additional one or two-year period upon conditions and terms acceptable to each party. The collaboration was renewed for an additional two years in November 1999. The agreement is subject to early termination in the event of certain defaults by each party. The funded phase of the collaborative research agreement will expire on December 31, 2001, and the Company expects the agreement will not be renewed.

(h) Solvay

With the acquisition of the assets of Cadus in July 1999, the Company assumed a Collaborative Research and License Agreement effective as of November 1, 1995 which Cadus had with Solvay. The collaboration is directed toward GPCR drug discovery in differing fields of use. The Company's fields of use include cancer, asthma and inflammatory diseases. Solvay's fields of use include cardiovascular, central nervous system disorders and gastrointestinal diseases. In exchange for milestone and royalty payments, Solvay maintains sole responsibility for pre-clinical and clinical development as well as marketing and commercialization of any lead compound it discovers from its use of the screens developed as part of the collaboration. The term of the research program expired on December 31, 2000, and the Company elected not to continue the collaboration with Solvay, but rather to focus its research in cancer in its proprietary programs.

(i) Novartis

On July 2, 2001, the Company received notification from Novartis that it had discontinued the development of TGF-Beta 3, under the Collaborative Agreement as amended in May 1989, for all licensed indications. All licenses theretofore granted to Novartis under the collaborative agreement are terminated and the Company is free to continue development work and to grant licenses to third parties. The Company is also free to use the results of any development work with respect to the discontinued indications carried out by Novartis prior to the date of the discontinuation provided that the Company pays to Novartis royalties and/or certain other agreed-upon amounts with respect to sales of products resulting from any such continued development work by the Company or a licensee thereof. The Company's agreement with Novartis ends upon the expiration of the last of the Company's patents relating to TGF-Beta 3. During fiscal 2001, the Company entered into two license agreements with respect to TGF-Beta 3. Under one agreement, the Company granted

a license to a U.K. venture company for the rights to develop TGF-Beta 3 for the healing of soft tissue wounds including the prevention of scarring. Under the other agreement, the Company granted rights to a company to develop TGF-Beta 3 for the treatment of infertility. Under both agreements, the Company received license fees and will receive milestone payments and royalties upon the successful development of any products.

(j) Helicon

In July 1997, the Company, Cold Spring Harbor Laboratory and Roche formed Helicon Therapeutics, Inc., a new Delaware corporation. In exchange for approximately 30% of Helicon's outstanding capital stock, the Company contributed to Helicon molecular screening services which were completed in fiscal 1998 and a nonexclusive license with respect to certain screening technology. Cold Spring Harbor contributed a royalty-free license to commercialize certain technology relating to genes associated with long-term memory in exchange for a portion of Helicon's outstanding capital stock. Hoffman-La Roche contributed cash for a portion of Helicon's outstanding capital stock. Certain individuals associated with Cold Spring Harbor hold the remaining outstanding capital stock of Helicon.

The parties entered into various collaborative research and license agreements pursuant to which they were to jointly pursue the discovery, development and commercialization of novel drugs for the treatment of long-term memory disorders and other central nervous system dysfunctions. These collaborations terminated in fiscal 1999. However, the Company continued to contribute funds to Helicon on an as-needed basis in amounts required to cover the costs of conducting research activities until the effective date of the new compound screening and technology license agreement described below.

As of September 30, 1998, the Company had capitalized $1.0 million as the cost of the Company's 30% interest in Helicon, which was offset by the Company's equity interest in the losses of Helicon and a reserve for impairment based on the uncertainty of Helicon's future profitability. At September 30, 1999, the Company's net investment was reduced by recognition of its equity interest in Helicon's net losses and the balance of the equity interest was written off in recognition of the impairment of the investment upon the termination of the Hoffman-La Roche research collaboration in fiscal 1999. As of September 30, 2001, the Company's investment in Helicon is fully reserved.

Effective as of August 15, 2001, the Company entered into a new compound screening and technology license agreement to provide molecular screening services to Helicon. Under the terms of the agreement, Helicon retains the right to use the screening data solely for its own internal research purposes. Helicon maintains the right for further development of the selected compound in exchange for royalties and milestone payments to the Company. If Helicon determines to further develop the selected compounds identified by the Company, the Company will grant to Helicon, a worldwide exclusive license, to among other things, use, manufacture and sell these compounds in exchange for milestones and royalties on product sales. If Helicon determines not to further develop any of the identified selected compounds, the selected compounds and all related data shall be returned to the Company.

(k) Sepracor

Pursuant to an Amendatory and Collaborative Agreement dated March 31, 1998, the Company and Sepracor amended their Collaborative Research, Development and Commercialization Agreement dated March 7, 1997, terminating certain provisions contained therein, including, without limitation, provisions establishing the research program. Each party will be free to independently pursue the discovery of new compounds in the anti-infective area without incurring any responsibility to the other party. To the extent Sepracor commercializes certain compounds arising out of the joint venture, however, it will pay royalties to the Company. The Company provided discovery biology and certain other services to Sepracor until September 1, 1998, in exchange for fees.

(l) Bayer

Effective January 1, 1997, the Company and Bayer entered into an agreement to develop serum-based cancer diagnostic products. Bayer owned all the technology, and has the exclusive right to commercialize automated clinical diagnostic products derived from the collaboration. The Company retained rights and was actively selling non-automated or manual, versions of these tests to the clinical research market and retained the right to commercialize automated the manual versions in the clinical diagnostic market. Bayer provided funding for the Company's research under the collaboration in the amount of $1.5 million for each of the first two contract years, and $1 million for each subsequent year. After the first two contract years, the Company was required to provide up to $500,000 in annual funding for the collaboration to the extent the Company derived net revenues from out-licensing any cancer diagnostics technology or the sale of any clinical diagnostic or clinical research products. The agreement was to terminate on December 31, 2002. Upon the sale of the Company's diagnostic business to Bayer, the agreement terminated. See note 16 for sale of the Company's diagnostic business to Bayer on November 30, 1999.

(m) Fujirebio

The Company, through its wholly-owned subsidiary, OSDI, entered into a Research Collaboration and License Agreement with Fujirebio effective April 1, 1998, creating a collaborative program focused on discovering and developing certain proprietary cancer assays and commercializing cancer products. Under the agreement, Fujirebio funded the Company's research and development of cancer assays over a four-year term. The Company provided Fujirebio with antibodies, antigens and other substances necessary to manufacture the diagnostic products derived from the collaboration. Upon the sale of the Company's diagnostics business to Bayer, the agreement was assigned to Bayer. See note 16 for sale of the Company's diagnostic business to Bayer on November 30, 1999.

(n) BioChem

Pursuant to an Agreement, dated March 19, 1999, the Company and BioChem Pharma, Inc. amended their Collaborative Research, Development and Commercialization Agreement, effective as of May 1, 1996, terminating certain provisions contained therein, including, without limitation, provisions establishing the research program. Under the amended agreement, BioChem received from the Company a worldwide, irrevocable, exclusive license, and right to grant sublicenses, in a certain anti-viral target for a license fee of $2 million in cash, which is included in license fee income for fiscal 1999. In addition, each party will be free to independently pursue the discovery of new compounds in the Hepatitis B and HIV areas without incurring any responsibility to the other party. To the extent BioChem completes any clinical trials or pursues any regulatory approvals for any products covered by the license, it will pay milestones to the Company. In addition, to the extent BioChem commercializes certain compounds arising out of the joint venture, it will pay royalties to the Company.

(o) Other

Under the terms of aforementioned collaborative research agreements, certain collaborative partners will pay the Company royalties on net sales of products resulting from these research programs in addition to the research revenues described below. To date, the Company has not received any royalties pursuant to these agreements. The Company or its collaborative partners may terminate each of the collaborative research programs upon the occurrence of certain events.

Total program research revenues under the aforementioned agreements are as follows:

	Years Ended September 30,		
	2001	2000	1999
Related Parties:			
Anaderm	$10,244,539	$10,288,148	$ 6,633,536
Pfizer	1,908,656	3,897,930	4,001,043
Aventis	—	2,995,984	2,420,787
Helicon	9,625	—	641,640
BioChem Pharma	—	—	80,000
Total related parties	12,162,820	17,182,062	13,777,006
Tanabe	4,335,001	2,751,146	—
Sankyo	1,007,184	1,268,156	2,082,570
Solvay	478,973	2,239,487	447,368
Bayer	—	166,750	1,125,000
Other	—	50,000	734,749
Total	$17,983,978	$23,657,601	$18,166,693

(6) Property, Equipment and Leasehold Improvements

Property, equipment and leasehold improvements are recorded at cost and consist of the following:

	Estimated Life (Years)	September 30,	
		2001	2000
Laboratory equipment	5-15	$20,166,048	$14,028,807
Office furniture and equipment	5-10	7,822,019	5,237,505
Capitalized software	3	1,580,004	—
Leasehold improvements	Life of lease	14,772,401	5,617,658
		44,340,472	24,883,970
Less: accumulated depreciation and amortization		18,993,175	15,618,965
Net property, equipment and leasehold improvements		$25,347,297	$ 9,265,005

In fiscal 2001, the Company capitalized $1.6 million of computer software cost of which $263,000 was amortized as of September 30, 2001.

(7) Intangible Assets

The components of intangible assets, net are as follows:

	September 30, 2001	September 30, 2000
Goodwill	—	693,544
License to compound libraries	647,253	—
Acquired work force	3,036,828	88,667
	$3,684,081	$782,211

The above amounts reflect accumulated amortization of $3,572,428 and $2,830,537 at September 30, 2001 and 2000, respectively.

(8) Accounts Payable and Accrued Expenses

Accounts payable and accrued expenses at September 30, 2001 and 2000 are comprised of:

	September 30, 2001	September 30, 2000
Accounts payable	$ 5,332,238	$1,597,184
Accrued future lease escalations	318,679	407,346
Accrued payroll and employee benefits	774,916	999,512
Accrued incentive compensation	1,314,985	950,000
Accrued facility closing costs (see note 15)	5,059,306	102,951
Other accrued expenses	5,263,254	2,260,499
	18,063,378	$6,317,492

(9) Stockholders' Equity

(a) Stock Option Plans

The Company has established six stock option plans for its employees, officers, directors and consultants, including a stock option plan adopted upon the acquisition of Cadus' research business (see note 3(b)). The plans are administered by the Compensation Committee of the Board of Directors, which may grant either non-qualified or incentive stock options. The Committee determines the exercise price and vesting schedule at the time the option is granted. Options vest over various periods and expire no later than 10 years from date of grant. The total authorized shares under these plans is 7,815,000.

On June 23, 1999, the Board of Directors adopted the 1999 Incentive and Non-Qualified Stock Option Plan which was approved by the stockholders at the annual meeting of stockholders on March 15, 2000. Under the plan, the Company may grant incentive stock options and non-qualified stock options. Participation in the plan is limited to directors, officers, employees and consultants of the Company or a parent or subsidiary of the Company. The plan also continues the automatic, formula-based grants of non-qualified stock options to directors who are not employees of the Company.

The following table summarizes changes in the number of common shares subject to options in the six stock option plans, options established for certain outside consultants related to clinical trial operations, options in a plan for employees of OSI-UK, and options in a former plan for directors:

		Exercise Price		
	Shares	Low	High	Weighted Average
Balance of September 30, 1998 — Unexercised	3,921,731	$ 1.75	$ 9.32	$ 5.89
Granted	996,258	2.94	6.00	4.36
Exercised	(92,187)	1.75	4.13	2.93
Forfeited	(251,033)	1.94	9.00	4.38
Balance at September 30, 1999 — Unexercised	4,574,769	$ 1.75	$ 9.32	$ 5.70
Granted	1,242,625	5.38	41.25	23.70
Exercised	(2,370,938)	1.75	9.32	5.49
Forfeited	(139,047)	4.25	23.25	5.90
Balance at September 30, 2000 — Unexercised	3,307,409	$ 3.25	$41.25	$12.68
Granted	1,043,106	33.68	60.06	48.59
Exercised	(537,928)	3.25	23.25	7.05
Forfeited	(54,671)	4.25	51.80	29.03
Balance at September 30, 2001 — Unexercised	3,757,916	$ 3.25	$60.06	$23.20

At September 30, 2001, the Company has reserved 4,011,539 shares of its authorized common stock for all shares issuable under options. At September 30, 2001, 2000, and 1999 options exercisable were 2,147,374, 1,752,084 and 3,077,028, respectively.

Information regarding stock options outstanding as of September 30, 2001, is as follows:

	Options Outstanding			Options Exercisable	
Price Range	Shares (in thousands)	Weighted Average Exercise Price	Weighted Average Remaining Contractual Life	Shares (in thousands)	Weighted Average Exercise Price
$0.00 - $10.00	1,602	$ 6.00	5.7	1,525	$ 6.09
$10.01 - $20.00	100	15.41	8.6	74	15.91
$20.01 - $30.00	879	22.71	8.1	440	22.41
$30.01 - $40.00	260	35.52	9.6	50	36.13
$40.01 - $50.00	190	42.67	9.0	58	41.25
$50.01 - $60.00	600	51.80	8.9	0	0
$60.01 - $70.00	127	60.06	9.2	0	0

Stock option grants are generally set at the closing price of the Company's common stock on the date of grant and the related number of shares granted are fixed at that point in time, except for one grant as noted below. Therefore under the principles of APB Opinion No. 25, the Company does not recognize compensation expense associated with the grant of stock options. SFAS No. 123, "Accounting for Stock-Based Compensation," requires the use of option valuation models to determine the fair value of options granted after 1995. Pro forma information regarding net loss and loss per share shown below was determined as if the Company had

accounted for its employee stock options and shares sold under its stock purchase plan under the fair value method of SFAS No. 123.

The fair value of the options was estimated at the date of grant using a Black-Scholes option pricing model with the following weighted-average assumptions for fiscal 2001, 2000 and 1999, respectively: risk-free interest rates of 3.28%, 5.95% and 5.75%; dividend yields of 0%; volatility factors of the expected market price of the Company's common stock of 81.9%, 84.0% and 60.7%; expected life of the employees' options of 3.0 years, 4.2 years and 3.7 years; and expected life of the consultants' options equal to the remaining contractual life of the options. These assumptions resulted in weighted-average fair values of $25.29, $23.08 and $2.22 per share for stock options granted in fiscal 2001, 2000 and 1999, respectively.

For purposes of pro forma disclosures, the estimated fair value of the options is amortized over the options' vesting periods. The pro forma effect on net loss for the periods presented is not representative of the pro forma effect on net income or loss in future years because it does not take into consideration pro forma compensation expense related to grants made prior to 1997. Pro forma information in future years will reflect the amortization of a larger number of stock options granted in several succeeding years. The Company's pro forma information is as follows (in thousands, except per share information):

	September 30,		
	2001	2000	1999
Pro forma net loss	$(34,223)	$(21,542)	$(12,810)
Pro forma basic and diluted net loss per share	$ (1.01)	$ (0.88)	$ (0.60)

On August 17, 2000, the Board of Directors granted non-qualified options to purchase up to 250,000 shares of common stock to the Company's then new President and Head of Research and Development. The terms of this grant provided for an option to purchase 100,000 shares of common stock with an exercise price equal to 50% of the fair market value on the grant date, vesting immediately upon his employment on September 28, 2000 and an option to purchase 150,000 shares of common stock with an exercise price equal to the fair market value on the grant date, vesting one-third in a year from the effective date of his employment and monthly thereafter for twenty-four months. Compensation expense resulting from these awards was measured as of September 28, 2000, the effective date of employment. The granting of the options at 50% of fair market value resulted in a compensation charge of $4,975,000, which is included in research and development expense in the accompanying consolidated statement of operations for fiscal 2000. The granting of the other options resulted in deferred compensation of approximately $4.4 million which will be recognized as compensation expense on a straight-line basis over the vesting period. In fiscal 2001, approximately $1.5 million was recognized as compensation expense.

On August 17, 2000, one member of the Company's Board of Directors retired as a director but continues to provide consulting services to the Company under an existing consulting arrangement. In connection with his retirement, the Board of Directors declared the then outstanding unvested options held by this director as immediately vested. Absent this acceleration in vesting, the unvested options would have continued to vest pursuant to the original terms of the option award. The modification to the vesting schedule caused a new measurement date for the unvested options which resulted in an incremental intrinsic value of $1.6 million. The incremental intrinsic value has not been reflected as compensation in the accompanying consolidated statement of operations for fiscal 2000 as the individual continues to provide services to the Company. If those services cease before the original vesting period of such options, a compensation charge would then be recognized.

In fiscal 2001, 2000, and 1999, the Company granted options to certain non-employees to purchase 127,000, 80,000 and 10,000 shares of common stock, respectively. Such options vest over a three year period, based upon future service requirements. The Company recorded net deferred compensation of $1.0 million

based on the fair value of such options as of September 30, 2001 as determined using a Black-Scholes option pricing model (see above for weighted average assumptions used). Such compensation cost is amortized to expense using the methodology prescribed in FASB Interpretation No. 28 over the respective vesting periods. In accordance with EITF Issue 96-18, "Accounting For Equity Instruments that Are Issued to Other Than Employees for Acquiring, or In Conjunction with Selling, Goods or Services," the amount of compensation expense to be recorded in future periods related to the non-employee grants is subject to change each reporting period based upon the then fair value of these options, using a Black-Scholes option pricing model, until expiration of the grant vesting period. The Company recorded compensation expense in fiscal 2001 of approximately $1.8 million for shares granted in fiscal 2001, 2000, and 1999. The Company recorded compensation expense in fiscal 2000 of approximately $1.8 million for shares granted in fiscal 2000, 1999 and 1998.

In April 1999, new tax regulations became effective in the UK requiring employers to remit a national insurance contribution (NIC) tax on gains on the exercise of stock options by employees. This NIC tax applies to the Company's grants of options to its UK employees in June 1999, June 2000 and June 2001. On June 12, 2001, the Company obtained Inland Revenue approval to statutorily transfer the employer NIC liability to the employee.

(b) Shareholder Rights Plan

On September 27, 2000, the Board of Directors adopted a shareholder rights plan, declared a dividend distribution of one Series SRPA Junior Participating Preferred Stock Purchase Right on each outstanding share of its common stock, and authorized the redemption of the rights issued pursuant to the Company's then current shareholder rights plan. The Company distributed rights to all shareholders of record at the close of business on September 27, 2000, the record date. These rights entitle the holder to buy one one-thousandth of a share of Series SRP Junior Participating Preferred Stock upon a triggering event as discussed below.

The rights become exercisable upon the occurrence of a triggering event, such as the announcement by a potential acquirer of the intention to initiate a tender offer that would result in the acquisition of 17.5% or more of the outstanding shares of the Company or the actual acquisition of 17.5% or more of the outstanding shares of the Company by any person or group of affiliated or associated persons.

Upon the actual acquisition of 17.5% or more of the outstanding common stock of the Company by a person or group, the rights held by all holders other than the acquiring person or group will be modified automatically to be rights to purchase shares of common stock (instead of rights to purchase preferred stock) at 50% of the then market value of such common stock. Furthermore, such rightholders will have the further right to purchase shares of common stock at the same discount if the Company merges with, or sells 50% or more of its assets or earning power to, the acquiring person or group or any person acting for or with the acquiring person or group. If the transaction takes the form of a merger of the Company into another corporation, these rightholders will have the right to acquire at the same percentage discount shares of common stock of the acquiring person or other ultimate parent of such merger party.

The Company can redeem the rights at any time before (but not after) a person has acquired 17.5% or more of the Company's common stock, with certain exceptions. The rights will expire on August 31, 2010 if not redeemed prior to such date.

The rights issued and outstanding under the Company's shareholder rights plan dated June 23, 1999 at the close of business on September 27, 2000 were redeemed with the redemption price of $0.001 per existing right payable on October 4, 2000. The prior rights plan terminated upon the redemption of the existing rights.

(c) Preferred Stock

During fiscal 1999, the Company adopted certain amendments to its Certificate of Incorporation which included the authorization of 5,000,000 shares of preferred stock with a par value of $.01 per share with such designations, preferences, privileges, and restrictions as may be determined from time to time by the Company's Board of Directors.

(d) Sale of Common Stock and Warrant to Marion Merrell Dow

In December 1992, the Company entered into common stock and common stock warrant purchase agreements with Marion Merrell Dow. The Company issued 1,090,909 shares of common stock at $5.50 per share and a warrant to purchase up to 500,000 additional shares at $5.50 per share which expired December 10, 1999.

(e) Employee Stock Purchase Plan

The Company has an Employee Stock Purchase Plan under which eligible employees may contribute up to 10% of their base earnings toward the quarterly purchase of the Company's common stock. The employee's purchase price is derived from a formula based on the fair market value of the common stock. No compensation expense is recorded in connection with the plan. During fiscal 2001, 2000 and 1999, 3,350, 6,811 and 23,326 shares were issued with 57, 48 and 55 employees participating in the plan, respectively. At September 30, 2001, the Company has reserved 500,000 shares of its authorized common stock in connection with this plan.

(f) Private Placement

On February 28, 2000, the Company sold 3.325 million newly-issued shares of its common stock to a select group of institutional investors for net proceeds of approximately $53 million. The Company filed a registration statement on Form S-3 with the Securities and Exchange Commission which became effective on June 21, 2000.

(g) Public Offering

On November 6, 2000, the Company concluded a public offering of 5.35 million shares of common stock at a price of $70.00 per share. Gross proceeds totaled $374.5 million with net proceeds of approximately $351.4 million after all underwriting and other related fees were deducted. In addition, on November 21, 2000, the underwriters associated with this offering exercised their over-allotment option to purchase an additional 802,500 shares of common stock at a price of $70.00 per share. Gross proceeds from the exercise of the over-allotment option totaled $56.2 million with net proceeds of approximately $52.8 million.

(h) Stock Purchase Agreements

Concurrently with the execution of the collaboration agreements described in note 5(a), the Company entered into separate Stock Purchase Agreements on January 8, 2001 with each of Genentech and Roche Holdings, Inc. for the sale to each of 462,570 newly-issued shares of the Company's common stock. The purchase price was $75.664 per share, or an aggregate purchase price of $35 million each. No underwriters or placement agents were involved in the purchase and sale of the securities. The transactions contemplated under the collaboration agreements and Stock Purchase Agreements closed on January 30, 2001.

(i) Authorized Shares of Common Stock

At a special meeting of stockholders on June 20, 2001, the Company's stockholders approved an amendment to the Company's Certificate of Incorporation to increase the number of authorized shares of common stock, par value $.01 per share, from 50,000,000 shares to 200,000,000 shares.

(10) Income Taxes

There is no provision (benefit) for federal or state income taxes, since the Company has incurred operating losses since inception and has established a valuation allowance equal to the total deferred tax assets.

The tax effect of temporary differences, net operating loss carry forwards and research and development tax credit carry forwards as of September 30 are as follows:

	September 30, 2001	September 30, 2000
Deferred tax assets:		
Net operating loss carry forwards	$ 48,174,241	$ 24,993,288
Research and development credits	1,294,808	886,681
Intangible assets	686,312	655,174
Unearned revenue	9,485,000	—
Other	7,536,293	6,072,712
	67,176,654	32,607,855
Valuation allowance	(67,176,654)	(32,607,855)
	$ —	$ —

As of September 30, 2001, the Company has available federal net operating loss carry forwards of approximately $118 million which will expire in various years from 2003 to 2021, and may be subject to certain annual limitations. The Company's research and development tax credit carry forwards expire in various years from 2005 to 2021.

During fiscal 2001 and 2000, employee stock options were exercised which result in income tax deductions in excess of the related compensation expense recorded for financial statement purposes in the amount of approximately $23 million and $52 million, respectively. Of these amounts, approximately $59 million and $247,000 were recognized as deductions for tax purposes in fiscal 2001 and 2000, respectively, and are included in the Company's available net operating loss carryforwards as of September 30, 2001. It is anticipated that the balance will be recognized as deductions for tax purposes in fiscal 2002. The financial statement tax benefit of the deduction for the exercise of these employee stock options will be credited to additional paid-in capital in the period that such tax benefit is recognized for financial statement purposes.

(11) Commitments and Contingencies

(a) Lease Commitments

The Company leases office, operating and laboratory space under various lease agreements.

Rent expense was approximately $2,087,000, $1,970,000 and $1,533,000 for fiscal 2001, 2000, and 1999, respectively.

In fiscal 2001, the Company negotiated an agreement with the State University of New York (SUNY) to lease 53,000 square feet in the discovery research and headquarters facility located in the Broad Hollow

BioScience Park on the SUNY Farmingdale campus in Farmingdale, New York. SUNY is presently expanding and refurbishing the facility and it is expected that the facility will be ready for occupancy sometime in fiscal 2002.

The following is a schedule by fiscal years of future minimum rental payments required as of September 30, 2001, assuming expiration of the leases for the Tarrytown, New York facility on December 31, 2002, the Birmingham, England facility by February 29, 2004, the Uniondale facility on June 30, 2006, the Melville, New York facility on June 30, 2008, the two Oxford, England facilities on August 24, 2009 and March 31, 2021, respectively, and the Farmingdale facility on May 31, 2022.

2002	$ 4,547,550
2003	4,865,484
2004	4,771,928
2005	4,900,927
2006	4,003,146
2007 and thereafter	54,858,155
	$77,947,190

As of September 30, 2001, the Company has entered into capital commitments of approximately $3.8 million relating to the refurbishment and upgrading of the two Oxford facilities.

(b) Contingencies

The Company has received several letters from other companies and universities advising the Company that various products under research and development by the Company may be infringing on existing patents of such entities. These matters are presently under review by management and outside counsel for the Company. Where valid patents of other parties are found by the Company to be in place, management will consider entering into licensing arrangements with the universities and/or other companies or modify the conduct of its research. The Company's future royalties, if any, may be reduced by up to 50% if its licensees or collaborative partners are required to obtain licenses from third parties whose patent rights are infringed by the Company's products, technology or operations. In addition, should any infringement claims result in a patent infringement lawsuit, the Company could incur substantial costs in defense of such a suit, which could have a material adverse effect on the Company's business, financial condition and results of operations, regardless of whether the Company were successful in the defense.

(c) Borrowings

As of September 30, 2001, the Company had a line of credit with a commercial bank in the amount of $10 million. This line expires annually on March 31st, and its current rate of interest is prime plus ¾. There were no amounts outstanding under the line of credit as of September 30, 2001. In addition, in 1999, the Company obtained a secured loan of $500,000 from the same bank. The loan is payable over a three-year period, with monthly principal payments of $13,888, plus interest at 8.12%. The carrying value of the loan approximates fair value at September 30, 2001, based on borrowing rates currently available for similar loans with similar terms.

(d) Derivative Financial Instruments

The Company, at times, minimizes risk by hedging the foreign currency exposure of the Company's net investment in foreign operations through the purchase of forward foreign exchange contracts. At Septem-

ber 30, 2000, the Company had $1.0 million in such contracts, with remaining terms not exceeding six months. The difference between the foreign currency rate in the contract and such rate as of September 30, 2000 was immaterial to the results of operations for fiscal 2000. The Company did not have any forward foreign exchange contracts at September 30, 2001.

Effective October 1, 2000, the Company adopted the provisions of SFAS No. 133, "Accounting for Derivative Instruments and Hedging Activities," as amended, which establishes new accounting and reporting guidelines for derivative instruments and hedging activities. SFAS No. 133 requires the recognition of all derivative financial instruments as either assets or liabilities in the consolidated balance sheet and measurement of those instruments at fair value. Changes in fair values of those derivatives will be reported in earnings or other comprehensive income depending on the designation of the derivative and whether it qualifies for hedge accounting. The accounting for gains and losses associated with changes in the fair value of a derivative and the effect on the consolidated financial statements will depend on its hedge designation and whether the hedge is highly effective in achieving offsetting changes in the fair value or cash flows of the asset or liability hedged. Under the provisions of SFAS No. 133 the method that will be used for assessing the effectiveness of a hedging derivative, as well as the measurement approach for determining the ineffective aspects of the hedge, must be established at the inception of the hedging relationship. For derivatives designated as cash flow hedges, the change in fair value of the derivative instrument is adjusted to fair value and is reported in other comprehensive income. At September 30, 2001, the Company did not have any forward foreign currency exchange contracts or other derivative instruments. The Company does not enter into derivative instruments for any purpose other than cash flow hedging; the Company does not speculate using derivatives. The impact of adopting SFAS No. 133 did not have any effect on the Company's consolidated financial statements.

(12) Related Party Transactions

The Company has compensated certain directors for services performed pursuant to consultant arrangements. In fiscal 2001, 2000 and 1999, consulting fees in the amounts of approximately $151,000, $292,000 and $465,000, respectively, were paid by the Company pursuant to these arrangements.

One director is a partner in a law firm which represents the Company on its patent and license matters. Fees paid to this firm in fiscal 2001, 2000 and 1999 were approximately $850,000, $482,000 and $525,000, respectively.

One director is a controlling member of Mehta Partners LLC with which the Company has a strategic and financial services arrangement. In fiscal 2001 and 2000, the Company paid Mehta approximately $175,000 and $490,000 for consulting services received. In fiscal 1999, the Company paid Mehta $75,000 in cash and issued 32,452 shares of treasury stock with a fair value of $100,000 in exchange for consulting services received.

A director is an officer of Cold Spring Harbor Laboratory which was a founder of Amplicon (which was acquired by Tularik) and Helicon. The Company's former chairman was a member of the board of directors of Anaderm through September 1999 and is on the board of directors of Helicon. An executive officer of the Company was vice president of Helicon. A director was the chief executive officer of Helicon through December 1999. The Company has a fully reserved investment in Helicon and sold its investment in Tularik in December 1999 (note 4). A director is on the faculty of Vanderbilt with which the Company has a collaborative research agreement, and also has a consulting agreement with the Company. A director is a non-executive director of Genentech and an advisor to Roche.

(13) Employee Savings and Investment Plan

The Company sponsors an Employee Savings and Investment Plan under Section 401(k) of the Internal Revenue Code. The plan allows employees to defer from 2% to 10% of their income on a pre-tax basis through contributions into designated investment funds. For each dollar the employee invests up to 6% of his or her earnings, the Company will contribute an additional 50 cents into the funds. For fiscal 2001, 2000, and 1999, the Company's expenses related to the plan were approximately $350,000, $277,000 and $203,000, respectively.

(14) Employee Retirement Plan

On November 10, 1992, the Company adopted a plan which provides postretirement medical and life insurance benefits to eligible employees, board members and qualified dependents. Eligibility is determined based on age and service requirements. These benefits are subject to deductibles, co-payment provisions and other limitations.

The Company follows SFAS No. 106, "Employer's Accounting for Postretirement Benefits Other Than Pensions" to account for the benefits to be provided by the plan. Under SFAS No. 106 the cost of postretirement medical and life insurance benefits is accrued over the active service periods of employees to the date they attain full eligibility for such benefits.

Net postretirement benefit cost for fiscal 2001, 2000 and 1999 includes the following components:

	2001	2000	1999
Service cost for benefits earned during the period	$159,210	$152,145	$278,219
Interest cost on accumulated postretirement benefit obligation	155,857	156,157	122,122
Amortization of initial benefits attributed to past service	5,774	5,774	19,803
Net postretirement benefit cost	$320,841	$314,076	$420,144

The accrued postretirement benefit cost at September 30, 2001 and 2000 was as follows:

	2001	2000
Accumulated postretirement benefit obligation-fully eligible active plan participants	$2,245,991	$2,141,524
Unrecognized cumulative net loss	(52,393)	(136,138)
Unrecognized transition obligation	(113,344)	(119,118)
Accrued postretirement benefit cost	$2,080,254	$1,886,268

The changes in the accumulated postretirement benefit obligation during fiscals 2001 and 2000 were as follows:

	2001	2000
Balance at beginning of year	$(2,141,524)	$(2,193,325)
Benefit payments	126,856	118,862
Plan amendments/acquisitions	—	311,615
Gain/(loss) experience	83,744	(70,374)
Service cost	(159,210)	(152,145)
Interest cost	(155,857)	(156,157)
Balance at end of year	$(2,245,991)	$(2,141,524)

The accumulated postretirement benefit obligation was determined using a discount rate of 7.5 percent and 7.5 percent in 2001 and in 2000 and a health care cost trend rate of approximately 10 percent in 2001 and 2000 and 5 percent thereafter. Increasing the assumed health care cost trend rates by one percentage point in each year and holding all other assumptions constant would increase the accumulated postretirement benefit obligation as of September 30, 2001 by approximately $369,000 and the net fiscal 2001 postretirement benefit cost by approximately $49,000. Benefits paid during fiscal 2001, 2000 and 1999 were $126,856, $118,862 and $18,357, respectively.

(15) Consolidation of Facilities

(a) Tarrytown

During the fourth quarter of fiscal 2001, the Company announced its strategic decision to close down its Tarrytown, New York facility and consolidate its operations into its Farmingdale, New York facility. This close down is scheduled to occur on July 31, 2002. The fungal extract libraries and certain equipment from the Tarrytown, New York facility will be relocated to the Farmingdale, New York facility. It is also anticipated that 33 research and administrative employees will relocate to the Farmingdale, New York facility. Under the plan for relocating this facility, it is also anticipated that 28 research and administrative employees will not relocate but will receive a severance package, which will include two weeks salary for each year of service. The Company exercised its right to early termination of the lease on December 31, 2002. The Company anticipates it will be able to sublease or assign the lease and therefore has not accrued for any lease or related costs for the period from the anticipated closing of the facility through December 31, 2002. The Company wrote off the value of the leasehold improvements and any furniture and equipment, which are not being relocated.

The estimated cost of closing this facility is approximately $775,000, and has been included in the accompanying balance sheet in accrued expenses as of September 30, 2001, in R&D expense ($673,000) and in selling, general and administrative expenses ($102,000) in the accompanying consolidated statement of operations for fiscal 2001. The charge consists of write down of equipment and leaseholds of $384,000, and severance costs of $391,000.

(b) Birmingham

During the fourth quarter of fiscal 2001, the Company also announced the decision to consolidate its Birmingham, England facility with the newly acquired Oxford, England facility as a result of the acquisition of the British Biotech assets (see note 3(a)). The close of this facility is scheduled for March 31, 2002. It is anticipated that 55 research and administrative employees will relocate to the Oxford facility. Under the plan for relocating this facility, it is also anticipated that 28 research and administrative employees will not relocate but will receive a severance package, which will be based on the number of years of service. The Company

accrued the lease cost for the period from the anticipated closing of the facility through February 2004. The Company wrote off the value of the leasehold improvements and any furniture and equipment, which are not being relocated.

The estimated cost of closing this facility is approximately $4.3 million, and has been included in the accompanying balance sheet in accrued expenses as of September 30, 2001, in R&D expense ($3.8 million) and in selling, general and administrative expenses ($511,000) in the accompanying consolidated statement of operations for fiscal 2001. The charge consists of non-cancelable lease exit costs of $2.0 million, write down of equipment and leaseholds of $2.1 million, and severance costs of $190,000.

(c) North Carolina

During fiscal 1999, the Company made the strategic decision to close down its facilities in North Carolina and consolidate its natural products operations into its Tarrytown, New York facility. This close down occurred on March 31, 2000. The fungal extract libraries and certain equipment were relocated to the Tarrytown facility. None of the employees at the North Carolina facility were relocated. Under the plan for relocating this facility, 16 research and administrative employees received a severance package which included continued payments of four months salary, plus four months of continuous health insurance. The leases in North Carolina expire in 2004. The Company accrued an estimate of a reserve for an expected delay in finalizing a new tenant and its assumption of our existing lease.

The estimated cost of closing this facility was approximately $535,000, and was included in the accompanying consolidated balance sheet in accrued expenses as of September 30, 1999, in R&D expense ($395,000) and in selling, general and administrative expenses ($140,000) in the consolidated statement of operations for fiscal 1999. During fiscal 2000, the Company incurred approximately $432,000 principally in severance and subleasing-related costs, including a $61,000 loss resulting from the assumption of a lease and related leasehold improvements by a third party. At September 30, 2001, the plan was completed and no liability remains.

(16) Sale of Diagnostics Business

On November 30, 1999, the Company sold assets of its diagnostics business to Bayer including the assets of the Company's wholly-owned diagnostics subsidiary, OSDI, based in Cambridge, Massachusetts. The assets sold include certain contracts, equipment and machinery, files and records, intangible assets, intellectual property, inventory, prepaid expenses and other assets primarily related to the operations of the diagnostics business. In connection with the sale, the Company and OSDI entered into certain agreements with Bayer including an Assignment and Assumption of Lease with respect to the OSDI facility located in Cambridge and certain patent assignment and license agreements. Certain employees of the Company and OSDI entered into employment agreements with Bayer. Under the terms of the agreement, the Company received $9.2 million up-front from Bayer with additional contingent payments of $1.0 million to be made to the Company by December 2001.

The Company recorded a gain on the sale of approximately $3.7 million during fiscal 2000. The net gain was calculated as follows (in thousands):

Cash received from Bayer	$9,151
Accrued expenses assumed by Bayer	599
Net book value of fixed assets sold	(611)
Net book value of patent costs (intangibles)	(4,748)
Professional and legal fees incurred	(172)
Commission costs paid	(315)
Other related costs	(158)
Gain on sale of diagnostics business	$3,746

(17) Quarterly Financial Data (unaudited)

The tables below summarize the Company's unaudited quarterly operating results for fiscal 2001 and 2000. Included in the fiscal 2000 table is the pro forma effect assuming the revenue recognition policy resulting from the adoption of SAB No. 101 (see note 1(b)) was applied retroactively.

	Three Months Ended			
	December 31, 2000	March 31, 2001	June 30, 2001	September 30, 2001
Revenues	5,694,954	7,531,425	6,339,113	6,456,424
(Loss) income before cumulative effect of accounting change	(3,021,715)	1,351,084	(4,760,084)	(14,699,719)
Net (loss) income	(5,646,715)	1,351,084	(4,760,084)	(14,699,719)
Basis and diluted net (loss) income per weighted average share of common share outstanding:				
Before cumulative effect of accounting change	(0.10)	0.04	(0.14)	(0.42)
After cumulative effect of accounting change	(0.18)	0.04	(0.14)	(0.42)

	Three Months Ended			
	December 31, 1999	March 31, 2000	June 30, 2000	September 30, 2000
Revenues	9,868,705	6,095,733	6,268,491	6,418,499
Net income (loss)	3,515,726	(4,816,834)	(3,190,627)	(11,855,834)
Basis and diluted net income (loss) per weighted average share of common share outstanding	0.16	(0.21)	(0.12)	(0.50)
Pro forma information:				
Net income (loss), assuming revenue recognition policy is applied retroactively	234,476	(4,598,084)	(2,971,877)	(11,637,084)
Basis and diluted net income (loss) per share	0.01	(0.20)	(0.11)	(0.47)

(18) New Accounting Pronouncements

In July 2001, the Financial Accounting Standards Board issued SFAS No. 141, "Business Combinations," and SFAS No. 142, "Goodwill and Other Intangible Assets." SFAS No. 141 requires that the purchase method of

accounting be used for all future business combinations. It specifies the criteria which intangible assets acquired in a business combination must meet in order to be recognized and reported apart from goodwill. SFAS No. 142 requires that goodwill and intangible assets with indefinite useful lives no longer be amortized, but instead tested for impairment at least annually in accordance with the provisions of SFAS No. 142. Amortization expense relating to goodwill was $693,544 for each of the years ended September 30, 2001, 2000 and 1999. SFAS No. 142 also requires that intangible assets with estimable useful lives be amortized over their respective estimated useful lives, and reviewed for impairment in accordance with SFAS No. 121, "Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to Be Disposed Of." SFAS No. 141 and No. 142 are effective for fiscal years beginning on or after December 15, 2001; however, both of these statements are effective for acquisitions and other intangibles acquired on or after July 1, 2001. The Company adopted the applicable provisions of these statements for the accounting of the British Biotech asset acquisition, which occurred after July 1, 2001 (see note 3(a) to the consolidated financial statements).

Upon adoption, the Company will evaluate its existing intangible assets that were acquired in prior purchase business combinations, and make any necessary reclassifications in order to conform with the new criteria in SFAS No. 141 for recognition apart from goodwill. The Company will be required to reassess the useful lives and residual values of all intangible assets acquired, and make any necessary amortization period adjustments. In addition, the Company will be required to test goodwill and, to the extent an intangible asset is identified as having an indefinite useful life, the intangible asset for impairment in accordance with SFAS No. 142. Any impairment loss will be measured as of the date of adoption and recognized as the cumulative effect of a change in accounting principle. As of September 30, 2001, the Company had goodwill which was fully amortized and unamortized identifiable intangible assets in the amount of $3.7 million. The Company is currently assessing the impact of the adoption of these accounting standards.

In October 2001, the FASB issued SFAS No. 144, "Accounting for the Impairment or Disposal of Long-Lived Assets" which supercedes SFAS No. 121 "Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to Be Disposed Of." SFAS No. 144 requires, among other things, that long-lived assets be measured at the lower of carrying amount or fair value, less cost to sell, whether reported in continuing operations or in discontinued operations. SFAS No. 144 is effective for fiscal years beginning after December 15, 2001 and interim periods within those fiscal years. The Company is currently assessing the impact of adoption SFAS No. 144.

(19) Subsequent Event

In November 2001, the Company signed an agreement with Gilead Sciences, Inc. to acquire a pipeline of clinical candidates in oncology and certain related intellectual property, as well as Gilead's Boulder, Colorado operations, including clinical research and drug development personnel, infrastructure and facilities. In considerations of these assets, the Company will pay Gilead $130 million in cash and $40 million in shares of common stock upon the closing of the transaction. The Company will also pay up to an additional $30 million in either cash or a combination of cash and common stock upon the achievement of certain milestones related to the development of NX211, the most advanced of Gilead's oncology product candidates. In connection with the proposed Gilead acquisition, the Company anticipates it will incur a significant charge in fiscal 2002 relating to the acquired in process research and development. The transaction is expected to close by December 31, 2001, subject to antitrust clearance and satisfaction of other customary conditions.

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

None.

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