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

FORM 10-K

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

For the fiscal year ended December 31, 2001
or

☐ **TRANSITION REPORT PURSUANT TO SECTION 13 OR 15(d) OF THE SECURITIES EXCHANGE ACT OF 1934**

Commission file number 0-29889

RIGEL PHARMACEUTICALS, INC.
(Exact name of registrant as specified in its charter)

Delaware	**94-3248524**
(State or other jurisdiction of incorporation or organization)	(IRS Employer Identification Number)
240 East Grand Avenue **South San Francisco, California** (Address of principal executive offices)	**94080** (Zip Code)

(650) 624-1100
(Registrant's telephone number, including area code)

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

Securities registered pursuant to Section 12(g) of the Act:
Common Stock, par value $0.001 per share
(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. ☒

The approximate aggregate market value of the Common Stock held by non-affiliates of the registrant, based upon the closing price of the Common Stock as reported on the Nasdaq National Market on March 15, 2002, was $101,033,428.

As of March 15, 2002, there were 45,298,663 shares of the registrant's Common Stock outstanding.

Documents Incorporated by Reference

Certain exhibits filed with the Registrant's prior registration statements and periodic reports under the Securities Exchange Act of 1934 are incorporated herein by reference into Part IV of this Report.

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PART I

Item 1. Business

Overview

Statements made in this document other than statements of historical fact, including statements about Rigel's scientific programs, preclinical studies, product pipeline, corporate partnerships, licenses and intellectual property, are forward-looking statements within the meaning of Section 27A of the Securities Act of 1933 and Section 21E of the Securities Exchange Act of 1934 and are subject to a number of uncertainties that could cause actual results to differ materially from the statements made, including risks associated with the success of research and product development programs, results achieved in future preclinical studies and clinical trials, the regulatory approval process, competitive technologies and products, the scope and validity of patents, proprietary technology and corporate partnerships. Reference is made to discussion about risks associated with product development programs, intellectual property and other risks that may affect our business under "Risk Factors" below. We do not undertake any obligation to update forward-looking statements.

Rigel Pharmaceuticals, Inc. is a drug discovery and development company that uses advanced functional genomics tools to discover novel drug targets that can be used to develop orally administered small molecule drugs. Our technology is designed to identify molecules that play an important role in regulating a human cell's response to disease by testing a very large number of proteins in a very large number of cells to determine which proteins will change a cell's response to the disease. We currently have ten product development programs underway at Rigel, with five programs being proprietary programs in the product development areas of asthma/allergy, rheumatoid arthritis and inflammatory bowel disease, cancerous tumor growth and hepatitis C. We expect to begin clinical trials during 2002 with one or more drug candidates from these five programs. In addition to the Rigel-owned programs, we have five programs in connection with our corporate partners in the product development areas of asthma/allergy, autoimmunity, transplant rejection and two programs in cancerous tumor growth. With our support, one of our partners is conducting an additional program in chronic bronchitis at its premises. Rigel has multi-year collaborations with Pfizer Inc., Cell Genesys, Inc., Johnson & Johnson Pharmaceutical Research & Development, L.L.C. and Novartis Pharma A.G. Rigel is based in South San Francisco, California.

Our Strategy

Our strategy is to develop a large portfolio of drug candidates that may be developed into small molecule therapeutics. We believe that producing a portfolio of many drug candidates and working in conjunction with pharmaceutical companies to further develop those candidates greatly increase our probability of commercial success. By utilizing our technology to rapidly discover and validate new targets and drug candidates in a wide range of applications, we believe that our portfolio approach allows us to minimize the risk of failure by pursuing many drugs at once, while concurrently being well positioned to help fill a continuing product pipeline gap of major pharmaceutical companies.

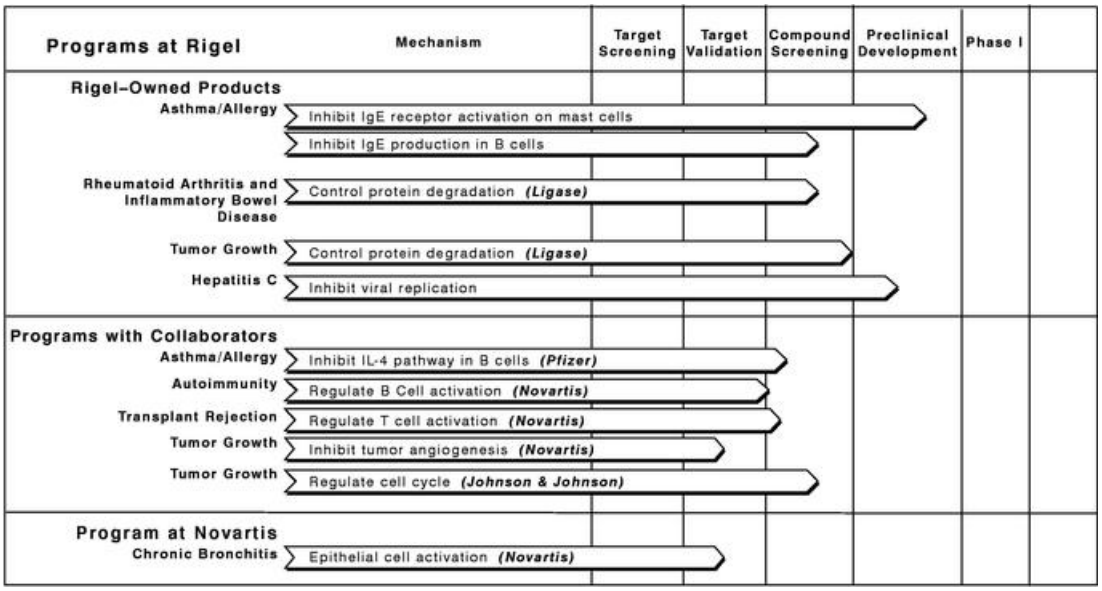
The drug development process is one that is subject to both high costs and high risk of failures. Rather than incur the costs of taking drugs all the way through the drug approval process and exposing ourselves to the risk of failure associated with Phase III clinical trials, we intend to identify a portfolio of new drug compounds across a broad range of diseases and develop them through Phase II clinical trials only. We believe that approximately five drugs can be developed through Phase II clinical trials for approximately the same cost as would be required to take one drug through Phase III clinical trials and marketing approval.

The key elements of our scientific and business strategy are to:

- develop a portfolio of small molecule drugs that can be delivered to intracellular targets;
- focus on diseases that represent large medical markets with significant populations that are currently under served;
- establish strategic collaborations with pharmaceutical and biotechnology companies to enhance product development and commercialization and to partner our research programs in the later stages of drug development;
- structure corporate partnering agreements to permit multiple collaborations in each disease area by focusing on disease pathways and targets; and
- expand, enhance and protect our technology.

Product Development

The following table summarizes key information in the 11 programs being conducted by Rigel and its partners that focus on specific disease mechanisms:



(1) "Target screening": Disease-modeled screening in cells using our post-genomics combinatorial biology technology.

(2) "Target validation": Testing to establish a causal link between an intracellular protein target and a cellular response important in a disease process.

(3) "Compound screening": Screening of small molecule compounds in biochemical and cell-based assays to identify a compound that binds to a functionally active site of a validated target.

(4) "Preclinical development": Pharmacology and toxicology testing in animal models to gather data necessary to comply with applicable regulatory protocols prior to submission of an Investigational New Drug application to the United States Food and Drug Administration.

(5) "Phase I": Clinical testing in humans to determine toxicity.

Immune Disorders

Many diseases and disorders result from defects in the immune system. Over 40 million people in the United States suffered from allergic disorders and over 20 million from asthmatic disorders in 2001. Anti-asthmatic and allergy relief medications exceeded $5 billion in worldwide sales in 2001. In 2001, another 3 million to 5 million patients in the United States were treated for other immune disorders. We currently have seven programs in immunology focused on asthma/allergy (three programs), autoimmunity, transplant rejection, rheumatoid arthritis/inflammatory bowel disease and chronic bronchitis.

Asthma/Allergy

Rigel-Owned Asthma/Allergy Programs

Inhibit IgE receptor activation on mast cells. The goal of this program is to identify compounds that inhibit the secretion of inflammatory factors resulting from IgE binding to its receptor on mast cells. Currently, we have identified several candidate compound series for development. Preliminary studies demonstrate that these compounds inhibit the ability of IgE to activate its receptor on mast cells. There is evidence in animal models and early clinical studies that blocking IgE mediated activation of mast cells can reduce allergic symptoms in multiple species, including humans. However, the only IgE programs in development today are intravenous therapeutic antibodies. We believe that small molecule inhibitors of IgE signaling pathways could play an important role in the treatment of such chronic disorders. We expect to file an investigational new drug, or IND, application with the United States Food and Drug Administration, or FDA, in 2002 for the compound currently in the most advanced stage of preclinical development.

Inhibit IgE production in B cells. In this program, we are evaluating a compound that appears to prevent the production and secretion of IgE in B Cells. This compound regulates a key event in the IL-4 pathway preventing the production of IgE.

Asthma/Allergy Program with Pfizer

Inhibit IL-4 production in B Cells. In this program with Pfizer that began in 1999, we have been seeking to identify and validate intracellular drug targets that control and inhibit the production of IgE in B Cells. The program has generated several targets that have been accepted by Pfizer, and these targets are now entering the drug discovery phase of the collaboration at Pfizer.

Autoimmunity/Transplant Rejection

Autoimmunity disorders and organ transplant rejection are the result of inappropriate activation of the immune system. Most existing therapies for inflammatory diseases also have toxic side effects. A challenge facing all research groups in this field has been the design of selective and specific immune system therapeutics that affect only the pathological activities without negatively affecting the protective activities of the immune system.

Our programs are designed to identify and validate novel molecules that specifically signal cell activation and cell death, or apoptosis, of T cells and B cells. Activation and apoptosis determine the quality, magnitude and duration of immune responses. Activation pathways are initiated by the binding of antigen (foreign protein) to specific surface receptors on T cells or B cells. This sets off an intracellular cascade of signals, resulting in changes in gene expression and the production of proteins that drive the immune response or lead to antibody production and secretion in B cells. The apoptosis signals prevent self activation, overactivation or prolonged activation of the T and B cells, which can lead to auto-immune disease or organ rejection. We are identifying T cell- and B cell-specific drug targets that are effective in modulating immune-mediated processes.

Autoimmunity/Transplant Rejection Programs with Novartis

Regulate B cell activation. The goal of the B cell activation program is to prevent antibody secretion by activated B cells, an important mechanism in autoimmunity transplantation rejection. We have identified novel drug targets using our post-genomics combinatorial biology technology. This program has been partnered with Novartis since August 1999.

Regulate T cell activation. The goal of our T cell activation program is to identify early steps in the process of T cell activation. T cells are responsible for cell-mediated inflammatory and humoral responses, both of which are important mechanisms of transplant rejection and autoimmune diseases. We have identified novel drug targets in this program that have been partnered with Novartis since May 1999.

Rheumatoid Arthritis/Inflammatory Bowel Disease

Rigel-Owned Rheumatoid Arthritis/Inflammatory Bowel Disease Program

Control protein degradation (ligase). This program is focused on characterizing and developing specific inhibitors of protein-degrading enzymes referred to as ubiquitin ligases. Many intracellular proteins that play a critical role in signaling pathways are regulated by this protein-degrading process. Many signaling proteins control cell function through active intermediates whose levels vary rapidly during different phases of a physiologic response. Disease processes can be treated by up-regulating or down-regulating these key signaling proteins as a way to enhance or dampen specific cellular responses. This principle has been successfully used in the design of a number of therapeutics for the treatment of inflammation. We have screened our library of small molecules against several members of the ubiquitin ligase family, and have identified several small molecule compounds which, based on preliminary data, appear to be potent and specific inhibitors.

Chronic Bronchitis

Chronic Bronchitis Program with Novartis

Epithelial cell activation. Using Rigel's technology, Novartis is pursuing a program for which the goal is to inhibit epithelial cell activation for the possible treatment of chronic bronchitis. This program is in the target screening and validation stage. Chronic bronchitis is a condition characterized by excessive mucus production that causes cough. It is associated with hyperplasia and hypertrophy of the mucus-producing glands found in the submucosa of large cartilaginous airways. Chronic bronchitis affects an estimated 5% of the U.S. population.

Cancer (Tumor Growth)

Cancer is a group of diseases characterized by the uncontrolled growth and proliferation of cells. This growth invades vital organs and often results in death. The United States market for branded cancer drugs totaled approximately $7.0 billion in 2001 and is projected to grow at an 11% annual growth rate. Cancer is the second leading cause of death in the United States, exceeded only by cardiovascular disease. In 2001, an estimated 1.3 million people were diagnosed with cancer, and more than 550,000 patients died of cancer in the United States. Although there have been improvements in cancer therapies over the last decade, there remains a significant medical need for the development of both more effective and less toxic drugs for these diseases.

Rigel-Owned Cancer Program

Control protein degradation. This antitumor program is focused on the ubiquitin ligase pathway unique to malignancies. The goal of this program is to use specific inhibitors of ubiquitin ligases that regulate mitosis, or cell division, to stop growth and induce aptosis in transformed cancer cell lines. We

completed high-throughput screening and have identified several preclinical candidate compounds in this program.

Cancer Programs with Collaborators

Regulate cell cycle (Johnson & Johnson). This program is directed at finding new targets that regulate the cell cycle and the cell cycle checkpoint pathways. The proliferation of normal cells is controlled by built-in safety mechanisms in the cell cycle, termed checkpoints, that ensure that only cells with normal genetic material can progress through the cell cycle and divide. Cells with genetic mutations are recognized and shunted into the apoptosis pathway to protect the organism from cancer and other genetic disorders. It is estimated that more than 50% of all human tumors contain cancer cells that have lost one or more crucial checkpoint genes. Cancer cells also can carry mutations in another group of normal cell genes that mimic extracellular proliferation signals, causing tumor cells to continue to divide even in the absence of normal cell growth signals. The net result of these genetic mutations is uncontrolled cell division and disease. We have collaborated with our partner since December 1998 to identify intracellular drug targets involved in cell cycle control. We have identified several novel drug targets in this program, two of which have been accepted by Johnson & Johnson as validated. These targets have completed high-throughput screening at Rigel and compounds have been identified that are in the lead profiling stage.

Inhibit tumor angiogenesis (Novartis). This antitumor program is directed toward the angiogenesis pathway. Angiogenesis is defined as the growth of new blood vessels. In diseased circumstances or in oxygen deficient conditions, angiogenesis is stimulated by the synthesis and release of specific pro-angiogenic factors. In contrast to normal angiogenesis, tumor angiogenesis is a continuous process. As a significant proportion of tumors are dependent on continued angiogenesis, inhibition of this process blocks tumor growth which often leads to complete tumor deterioration. Thus, we believe therapeutic intervention of tumor-promoted angiogenesis represents an important form of antitumor therapy. We have established and initiated two screens in human capillary endothelial cells using our post-genomics combinatorial biology technology and have identified several potential targets in the angiogenesis pathway. This drug discovery program for finding new targets for the development of small molecule inhibitors has been ongoing for approximately two years, and our collaboration with Novartis was initiated in July 2001.

Hepatitis C (Infectious Diseases)

Rigel-Owned Hepatitis C Program

Inhibit viral replication. We have initiated a viral research program based upon technology acquired from Questcor in September 2000. Hepatitis C is a major cause of chronic hepatitis, cirrhosis and hepatocellular carcinoma. The goal of this program is to interfere with the IRES translation and replication mechanism of the hepatitis C virus. We are attempting to discover and develop a highly specific inhibitor of the viral replicon in the form of a small molecule compound. A set of high-throughput cell-based screens has been established and initial compounds have been identified as part of this program. These compounds are currently being evaluated in preclinical studies as candidates for development.

Under the terms of our agreement with Questcor, we are obligated to assign back to Questcor all of our rights in the technology and intellectual property to which we are entitled pursuant to the agreement if we commit a material breach of the agreement and if Questcor follows certain procedures set forth in the agreement.

Ligase Initiative

The goal of the Ligase Initiative is to identify and determine the function of all ubiquitin ligases and ubiquitin proteases. These ligases and proteases are a very large family of enzymes that regulate the destruction of specific proteins in cells. Inappropriate activity of these enzymes has been implicated in cancer and autoimmunity, metabolic, cardiovascular and neurodegenerative diseases. It is believed that disease processes may be treated by either up-regulating or down-regulating these key signaling enzymes as a means of developing multiple therapeutic solutions.

Background

We were incorporated in the State of Delaware on June 14, 1996. We matured from a development stage to an operating company in 1998. We have funded our operations primarily through the sale of private and public equity securities, payments from corporate collaborators and capital asset lease financings. We have no subsidiaries.

Pharmaceutical Industry Need for New Drugs and Novel Targets

In order to sustain growth, each major pharmaceutical company needs to bring approximately two or more new drugs to market each year. However, it is currently estimated that, using traditional drug discovery and development methodologies, each major pharmaceutical company is bringing to market, on average, less than one new drug per year. As a result, major pharmaceutical companies have a discovery and product pipeline gap. In addition, we believe this demand for new products will be increased by the expiration in coming years of patents on numerous significant revenue-generating drugs. Increasingly, pharmaceutical companies are turning to biotechnology companies to supplement their own research in the efforts to fill their product pipelines and are willing to pay higher prices for those products.

We believe that several thousand of the approximately 30,000 genes in the human genome will provide potential drug targets directed at specific diseases. Despite this potential, researchers have only identified and validated approximately 500 distinct targets for existing drug interventions that serve as the basis for many pharmaceutical products today. We feel that the existing, relatively small, pool of potential targets limits pharmaceutical companies' opportunities to develop new drug candidates to satisfy their growth objectives. Moreover, we believe this situation creates a critical need for tools directed at novel ways to expand the pool of targets by rapidly identifying and successfully validating new targets that lead to new chemical entities.

Traditional Drug Discovery

The traditional drug discovery process involves testing or screening compounds in disease models. The process is often undertaken with little knowledge of the intracellular processes underlying the disease or the specific drug target within the cell. Consequently, it is necessary to screen a very large number of arbitrarily-selected compounds in order to obtain a desired change in a disease model. While this approach sometimes successfully produces drugs, it has a number of disadvantages:

- *inefficiency*: it is labor intensive, time consuming and inefficient at identifying and validating targets;
- *lack of productivity*: it results in relatively few new drug candidates, or "hits";
- *lack of information*: it produces limited information about the intracellular processes or targets to guide target selection and subsequent drug development; and
- *risk of side effects*: it often produces drug candidates with a high risk of serious side effects, including toxicity.

Subsequent Biological Advances and Genomics

Beginning in the mid 1970s, pharmaceutical companies began to use a growing knowledge of cellular and molecular biology to enlarge their understanding of biochemical interactions within and between cells in order to understand the cellular basis for disease processes. For example, researchers equipped with a more thorough understanding of cellular mechanisms relating to blood pressure regulation were able to identify proteins called angiotensin converting enzymes (ACE) that regulate molecules causing high blood pressure. By identifying compounds that act as ACE inhibitors, the researchers developed a family of highly specific drugs that lower blood pressure without causing serious side effects.

More recently, pharmaceutical companies have begun to identify specific genes involved in disease. For example, the Human Genome Project was undertaken to identify the DNA sequence of all the genes in the human genome, with the hope that knowledge of the human genome would enable a comprehensive understanding of the molecular causes of all diseases, and therefore provide a source of targets for drug discovery. However, merely developing sequence data with respect to genes does not, on its own, provide information about the cellular function of the proteins encoded by the genes expressed in a particular tissue at a particular time under particular disease circumstances. In addition, it fails to tell us which proteins might make useful targets for compound screening to identify drug candidates to modulate any of these functions. With approximately 30,000 genes in the human genome, the number of possible combinations of expressed proteins in a cell and the number of possible interactions of those proteins produce a volume of information that often obscures rather than illuminates the functional role of any particular gene in a disease process.

Later efforts to link genes to disease, or functional genomics, have focused on the genes that are responsible for changes in the behavior of cells under disease conditions. However, the functional connection between particular genes and their expressed proteins on the one hand, and cellular behavior seen in disease conditions on the other hand, has remained unknown in the majority of diseases. For this reason, pharmaceutical companies have sought better means to identify the genes that are important to cellular behavior and to understand their role in causing or preventing disease. Whether through gene sequencing or functional genomics, understanding the functional role of a gene is critical to understanding, identifying and validating a gene's expressed protein as a target for compound screening. We believe that there remains a critical need for research methods that will be able to utilize the information currently available to identify protein targets quickly and systematically, with increased probability of discovering new drug candidates.

Role of Target Validation

The identification of intracellular protein targets is an important step in the process of identifying potential drugs. Most drugs are discovered today by screening collections of libraries of chemical compounds against protein targets that are part of signaling, or information-transmitting, pathways within cells. These signaling pathways participate in the regulation of cell behavior in both normal and diseased cells. However, drug discovery and development often occurs without first validating the drug target and mechanism of action. If pharmaceutical companies were to validate a target's role in a disease at an early stage, they would reduce risks involved in the drug development process, such as

the pursuit of unsuccessful discovery pathways, regulatory delay and mechanism-based side effects.

A target is regarded as validated if a causal link is established between an intracellular protein target and a cellular response important in a disease process. Each drug discovery company has its own standards for deciding whether a target has been sufficiently validated.

Our Solution

Our drug target discovery process bypasses the need to know the identity or sequence of the genes. We have developed two core technologies that we believe provide us with an enhanced ability to simultaneously identify and initially validate new drug targets for further development.

Our technologies are designed to identify protein targets for compound screening and validate the role of those targets in the disease process. Unlike genomics-based approaches, which begin by identifying genes and then search for their functions, our approach identifies proteins that are demonstrated to have an important role in a disease pathway. By understanding the disease pathway, we attempt to avoid studying genes that will not make good drug targets and focus only on the sub-set of expressed proteins of genes that we believe are specifically implicated in the disease process.

We begin by developing assays that model the key events in a disease process at the cellular level. We then efficiently search hundreds of millions of cells to identify potential protein targets. In addition, we identify the proteins involved in the intracellular process and prepare a map of their interactions, thus giving us a comprehensive picture of the intracellular disease pathway. We believe that our approach has a number of advantages:

- *improved target identification*: it focuses only on the sub-set of expressed proteins of genes believed to be specifically implicated in the disease process;
- *rapid validation of protein targets*: it produces validated protein targets more quickly because it uses key events in the disease process as the basis to design the functional, disease-based screen;
- *improved disease pathway mapping*: it produces a comprehensive map of the intracellular disease pathway enabling the identification of a larger number of potential protein targets;
- *better informed target selection*: it provides a variety of different types of targets and information concerning the role each plays to better select targets more susceptible to pharmaceutical intervention;
- *more efficient compound screening*: it increases the probability and speed that compound screening will identify "hits" because it provides more detailed knowledge of the target that can be used to guide the design of the compound screen; and
- *risk reduction*: it may reduce the risk of failure in the drug development process due to serious side effects, including toxicity or other reasons, by selecting only targets that are specific to the disease in question and that have no apparent role in other cell types or signaling pathways.

Because of the very large number of cells and proteins employed, our technology is labor intensive. The complexity of our technology requires a high degree of skill and diligence to perform successfully. In addition, successful application of our technology depends on a highly diverse collection of proteins to test in cells. We believe we have been able to and will continue to meet these challenges successfully. Although one or more other companies may utilize technologies similar to certain aspects of our technology, we are unaware of any other company that employs the same combination of technologies as we do.

Technology

Our retroviral and pathway mapping technologies enable us to identify and validate new protein targets and establish a map of the intracellular proteins that define a specific signaling pathway controlling cellular responses. We believe that, together, these technologies allow for rapid pathway mapping of complex biological processes and increase our ability to identify targets for drug discovery.

Retroviral Functional Screening. Our retroviral technology introduces up to 100 million different peptides, or proteins, into an equal number of normal or diseased cells. Each retrovirus delivers a

specific gene into an individual cell, causing the cell to produce a specific protein. Then, we stimulate the cells in a manner known to produce a disease-like behavioral response or phenotype of the disease process. Once in the cell, the expressed protein interacts with potential protein targets in the cell. Then, we sort the cells at a rate of up to 60,000 cells/second to collect data on up to five different parameters, which means that a sort of 100 million cells can be completed in approximately half an hour. By analyzing the approximately 500 million resulting data points, we can rapidly identify those few cells containing an expressed protein that has interacted with a protein target in a way that causes the cell to change its behavior from diseased back to normal. Using this method, we believe that we can identify the relatively few targets that are validated in the context of a disease-specific cellular response.

Pathway Mapping. Our pathway mapping technology identifies specific proteins that bind with other proteins that are known to be part of a signaling pathway, either because we identified them using our retroviral technology or because the proteins have been described in the scientific literature. This pathway mapping technology is directed at:

- mapping an entire protein-protein intracellular functional pathway in disease-relevant cells;
- finding new proteins interacting with other new and known proteins; and
- eliminating potential targets rapidly because they interact with multiple signaling pathways, thus identifying the protein as a less desirable target.

Using our pathway mapping technology, we split a protein that gives a detectable signal (reporter protein), such as fluorescence, into two inactive parts. One part of the reporter protein is fused with a specific protein known to be involved in a signaling disease-relevant pathway (bait protein). Multiple copies of the other part of the reporter protein are fused one by one with all the proteins known to be present in the cell type being studied (library protein). When the bait protein binds to a specific library protein, the two parts of the reporter protein reunite and become active again, thereby generating a detectable signal. We employ an improved version of the two hybrid protein interaction method in yeast cells. In addition, we have developed a patented method of employing the two hybrid protein interaction technology in mammalian cells. Mammalian cells offer the opportunity to monitor protein-protein interactions in a potentially more relevant cellular environment.

Our proteomics program is an integral part of our target discovery and validation effort. In contrast to our retroviral and pathway mapping technologies, which can be used to find single protein-protein interactions, proteomics techniques can be used to find protein complexes comprised of several protein targets and to study protein-protein interactions in order to map active interaction sites on potential protein targets. To this end, we believe our protein chemistry group uses the most advanced proteomic technologies, including high resolution two dimensional gel electrophoresis in conjunction with in-gel tryptic digests followed by mass spectrometry, in order to identify specific drug targets.

We also use this pathway mapping technology to screen identified protein targets against a library of peptides in order to identify each active interaction site on the target. This information is useful in directing our chemistry efforts to identify compounds specifically designed to bind to the interaction site on the target.

Target Validation

The first step of our target validation occurs when we use our retroviral technology to identify targets. We design a screen that reflects a key event in a disease process so that when one of our proteins changes the behavior of a specific cell, this indicates a causal relationship between the protein-target interaction and the specific disease response. This approach saves time and enhances the probability that those targets that are identified and pursued are disease relevant. It also tells us that

the protein interacts with a functional site on the target since the interaction results in a change in the behavior of the cell. We further validate the function of specific targets by:

- using technology to knock out the target from specific cells and seeing if the loss of the target from the cell alters the cell's responses to disease-causing stimuli;
- altering the structure of the target in order to identify which part of the target is functionally important; and
- using peptides that attach to specific sites on the target to change the way the target works inside the cell.

Other Technologies

Our drug discovery technologies utilize the following additional technologies:

High-Throughput Compound Screening

Using our cell sorter system, we conduct screening of small molecule compounds in the same cell-based disease-specific screens that we use to identify the protein targets. This enables us to screen thousands of compounds in a matter of a few hours, while simultaneously examining multiple physiological parameters. In addition, we have established conventional high-throughput screens of small molecule compounds using biochemical methods similar to those widely used in the biotechnology and pharmaceutical industries. We have a library of approximately 210,000 synthetic small molecule compounds having highly diverse molecular structures for our compound screening activities.

We select for compound screening only those protein drug targets we judge to meet several criteria:

- the target's causal relationship to the disease of interest is established;
- the target's activity is determined to be specific to the disease of interest;
- the target is of a protein type, such as an enzyme, for which there is experience indicating that intervention by a synthetic small molecule compound would be an effective therapeutic; and
- the target is novel and provides us freedom of action to pursue drug discovery without interference from the rights of third parties.

Medicinal and Combinatorial Chemistries

Our medicinal chemistry group carries out traditional structure-activity relationship studies of potential lead compounds and makes improvements to those compounds by utilizing chemistry techniques to synthesize new analogs of a lead compound with improved properties. Our chemistry group synthesizes compounds incorporating desirable molecular features. We also utilize outside contract research organizations such as Albany Molecular Research, Emerald Biostructures and Evotec OAI to supplement our internal chemistry resources.

Pharmacology and Pre-clinical Development

We believe that the rapid characterization and optimization of lead compounds identified in high-throughput screening will generate high-quality pre-clinical development candidates. Our pharmacology and pre-clinical development group facilitates lead optimization by characterizing lead compounds with respect to pharmacokinetics, potency, efficacy and selectivity. The generation of proof-of-principle data in animals and the establishment of standard pharmacological models with which to assess lead compounds represent integral components of lead optimization. As programs move through the lead optimization stage, our pharmacology and pre-clinical development group supports

our chemists and biologists by performing the necessary studies, including toxicology, for IND application submissions.

Clinical Development

We have assembled a team of experts in drug development to design and implement clinical trials and to analyze the data derived from these studies. The clinical development group possesses expertise in project management and regulatory affairs.

Research and Development Expenses

Our research and development expenses were $32.3 million in 2001, $32.0 million in 2000 and $17.1 million in 1999.

Corporate Collaborations

To fund a wide array of research and development programs, we have established and will continue to pursue corporate collaborations with pharmaceutical and biotechnology companies. We currently have collaborations on five of our ten research programs, including one with Johnson & Johnson relating to oncology therapeutics and diagnostics, one with Pfizer relating to asthma and allergy therapeutics, and three programs with Novartis relating to immunology and oncology. Novartis is conducting an additional program in chronic bronchitis with our support.

As of December 31, 2001, we had received a total of $62.1 million from our collaborators. Included in this amount is $20.0 million from the sale of both private and public equity securities and $42.1 million from the receipt of technology access fees, research funding and milestone payments, of which $5.5 million had been deferred at December 31, 2001. In addition, we have a number of scientific collaborations with academic institutions and biotechnology companies under which we have in-licensed technology. We intend to pursue further collaborations as appropriate.

In most of our collaborations, inventions are intended to be owned by the employer of the inventor or inventors thereof in accordance with United States patent law, subject to licenses or assignments granted in the agreements.

Johnson & Johnson

Effective December 1998, we entered into a three-year research collaboration, ending on December 4, 2001, with Janssen Pharmaceutica N.V., a division of Johnson & Johnson, to identify, discover and validate novel drug targets that regulate cell cycle, and, specifically, to identify drug targets and the active peptides that bind to them that can restore a mutated cell's ability to stop uncontrolled cell division. In December 2001, Johnson & Johnson extended this research collaboration for an additional two years. Under the agreement, we will provide certain assays and associated technology to Johnson & Johnson for the assessment of the alteration or normalization of the dysfunctional cell cycles of cancer cells for Johnson & Johnson's internal research purposes. Furthermore, in an amendment to the collaboration in July 2000, Johnson & Johnson expanded the collaboration whereby we are also now performing compound screening and medicinal chemistry on validated targets accepted by Johnson & Johnson. Through January 2002, Johnson & Johnson had accepted two validated targets, both of which have undergone high-throughput screening.

Under the collaboration, Johnson & Johnson has the exclusive right to utilize our technology, and technology developed during the collaboration, to discover, develop, identify, make and commercialize certain products on a worldwide basis. These products are:

- diagnostic products that are either a component of a drug target and associated active peptide, identified by or on behalf of us or Johnson & Johnson in an assay developed during the

collaboration or identified in a Johnson & Johnson screening assay as a result of Johnson & Johnson's internal research;

- products identified by or on behalf of Johnson & Johnson as a result of Johnson & Johnson's internal research;
- products identified by or on behalf of either us or Johnson & Johnson in an assay that incorporates a drug target and associated active peptide delivered to Johnson & Johnson by us; and
- products that contain a component of a drug target and associated active peptide, or the functional equivalent of a component.

Johnson & Johnson also has a non-exclusive right to use our technology, and technology developed during the research collaboration, to the extent necessary to use the assays we transfer to Johnson & Johnson for internal research. Johnson & Johnson's rights are subject to its obligation to provide research funding for the collaboration, make milestone payments and technology access payments to us and pay royalties to us on the sales of products.

We will have the non-exclusive right to use any technology developed by Johnson & Johnson during the research collaboration, and any improvements to our technology developed by Johnson & Johnson during its internal research, on a royalty-free and worldwide basis.

The Johnson & Johnson Development Corporation purchased 1,500,000 shares of our Series D preferred stock at a price per share of $2.00 in connection with our Series D financing and purchased 166,666 shares of our Series E preferred stock at a price per share of $6.00 in connection with our Series E financing. The 1,666,666 shares of preferred stock converted into 1,666,666 shares of common stock upon completion of our initial public offering in December 2000.

Pfizer

Effective January 1999, we entered into a research collaboration with Pfizer, with the research phase of the collaboration scheduled to end on January 31, 2001. In January 2001, Pfizer notified us of its election to exercise its option to extend the funded research portion of the collaboration one additional year to January 31, 2002. During the research phase at Rigel, the collaboration was successful in identifying several intracellular drug targets that control the production of IgE, a key mediator in allergic reactions and asthma in B cells. Through the conclusion of the research phase of the collaboration in January 2002, Pfizer accepted a number of validated targets. We believe that Pfizer has plans to move the validated targets forward through its drug discovery process. We have provided the following technology developed or identified during and pursuant to the research portion of the collaboration with Pfizer:

- drug targets;
- technology associated with identified drug targets;
- technology necessary for Pfizer's performance of its research collaboration obligations; and
- technology necessary for Pfizer's performance of high-throughput screening, or HTS, on delivered drug targets.

Pfizer will exclusively own drug targets for which it has initiated HTS. We will have no obligation to Pfizer with regard to any drug target Pfizer does not select for HTS.

We and Pfizer each have the non-exclusive right to use for research purposes the technology of the other which was disclosed or developed during the research collaboration, excluding our peptide libraries and proprietary cell lines. Under the collaboration, Pfizer also has the exclusive, worldwide

right to develop and market diagnostic and therapeutic products for humans and animals that were identified by Pfizer in HTS and modulate the activity of a drug target identified in the research collaboration. Pfizer's rights to develop and market such products are subject to its obligation to continue to pay research milestones and pay

subsequent royalties on the sales of these products.

Pfizer purchased 1,000,000 shares of Series D preferred stock at a price per share of $2.00 in connection with our Series D financing, which converted into 1,000,000 shares of our common stock upon completion of our initial public offering in December 2000.

Novartis

In May 1999, we signed an agreement for the establishment of a broad collaboration with Novartis, whereby the two companies agreed to work on up to five different five-year research projects to identify drug targets for products that can treat, prevent or diagnose the effects of human disease. Two of the research projects would be conducted jointly by Novartis and us, and the other three research projects were to be conducted at Novartis. The first research project, a joint research project, is focused on identifying small molecule drug targets that regulate T cells. The second research project, also a joint research project, relates to the identification and validation of small molecule drug targets that can mediate specific functions of B cells. The third research project, a project carried out at Novartis, is focused on identifying small molecule drug targets that regulate chronic bronchitis. In July 2001, Novartis and Rigel amended the agreement to add a three-year joint project at Rigel in the area of angiogenesis in lieu of a project at Novartis. This resulted in both funded research at Rigel and an additional upfront payment of $4.0 million, which were terms not previously included in the project at Novartis. In January 2002, Novartis chose not to exercise its option to add a second project to be conducted at Novartis.

Once a drug target from any of the four research projects has been identified and validated, Novartis shall have the right to conduct compound screening on such drug target on an exclusive basis for two years thereafter. Novartis will have the option to extend this exclusive right for up to five additional one-year periods so long as Novartis pays us an annual fee for such right and satisfies certain diligence conditions. Upon the expiration or termination of this right, both we and Novartis shall have the non-exclusive right to use, and allow others to use, such drug target for compound screening.

Under the agreement, Novartis has the non-exclusive right to utilize our retroviral technology and pathway mapping technology for confirmational and similar uses relating to validated drug targets, including uses necessary for the further development, registration and commercialization of products for which the principal mechanism of action is based upon, derived or discovered from, or discovered with the use of, a drug target. Novartis also has the exclusive right to utilize other of our technology, and technology developed during the collaboration, to make and commercialize these products. Novartis' rights are subject to its obligation to provide research funding for the joint research projects, pay milestone payments and technology access payments to us and pay third-party royalties associated with Novartis' use of certain of our technology.

Under the agreement, we will have the non-exclusive right to use any improvements to our retroviral technology and pathway mapping technology developed during a research project on a royalty-free and worldwide basis.

Novartis may terminate the initial joint research projects three and one half years after the applicable commencement date if Novartis gives six months prior notice of its termination. Novartis may terminate the research project to be conducted at Novartis at any time.

Novartis purchased 2,000,000 shares of our Series D preferred stock at a per share purchase price of $2.00 in connection with our Series D financing and purchased 1,428,571 shares of our common stock in a private placement concurrent with the closing of our initial public offering at a price of $7.00

per share. The 2,000,000 shares of preferred stock converted into 2,000,000 shares of our common stock in conjunction with our initial public offering in December 2000.

Cell Genesys

In September 1999, we established a research collaboration and license agreement with Cell Genesys. The goal of the research collaboration is to use our post-genomics combinatorial biology technology to identify novel therapeutic peptide, protein and gene products in the field of gene therapy. Cell Genesys also will be granted exclusive, royalty-free, worldwide rights to make, use and commercialize therapeutic peptide, protein and gene products in the field of gene therapy. Cell Genesys also will be granted the right to make and use the intracellular drug targets with which their gene therapy products bind for the sole purpose of the research and development of gene therapy products. Cell Genesys also has the option to obtain rights under some of our cell lines and associated technology to make and commercialize gene therapy products.

In exchange for our performance of the research and the license granted to Cell Genesys, we were granted a royalty-free, worldwide right to some Cell Genesys patents and technology pertaining to retroviral gene delivery technology for use in the field of our post-genomics combinatorial biology. Each company will pay to the other company third-party sublicensing fees and royalties associated with the grant of the licenses discussed above, and fund their own research.

Intellectual Property

We will be able to protect our technology from unauthorized use by third parties only to the extent that it is covered by valid and enforceable patents or is effectively maintained as trade secret. Accordingly, patents or other proprietary rights are an essential element of our business. We have 90 pending patent applications and seven issued patents in the United States as well as corresponding foreign patent applications. At least six patent applications have been filed in the United States by or on behalf of universities that have granted us exclusive license rights to the technology. Our policy is to file patent applications to protect technology, inventions and improvements to inventions that are commercially important to the development of our business. We seek United States and international patent protection for a variety of technologies, including: new screening methodologies and other research tools; target molecules that are associated with disease states identified in our screens; and lead compounds that can affect disease pathways. We also intend to seek patent protection or rely upon trade secret rights to protect other technologies that may be used to discover and validate targets and that may be used to identify and develop novel drugs. We seek protection, in part, through confidentiality and proprietary information agreements. We are a party to various other license agreements that give us rights to use technologies in our research and development.

Pharmexa (formerly M&E Biotech) has notified us that they have received patent protection in some European countries and Australia for a process that they assert is similar to certain aspects of our technologies. Pharmexa has notified us of its belief that we have infringed, and are contributorily infringing, certain claims of that European patent. In June 2001, we commenced administrative proceedings to oppose Pharmexa's European patent. Earlier in 2001, Pharmexa commenced an administrative proceeding to oppose our Australian patent. Legal proceedings with respect to these patents could be lengthy, costly and require significant management time and other resources, which could adversely affect the pursuit of scientific and business goals. In addition, any such legal action could result in the award of damages or a court order preventing us from using the technology covered by the Pharmexa patent. In addition, any license or other transfer of rights to the patent by Pharmexa to a third party could adversely impact our ability to obtain a license to the patent. In the event we desire to seek a license to the patent, we may not be able to obtain a license on acceptable terms. Furthermore, such failure might adversely impact our collaborations with European partners or may materially adversely affect our business in the jurisdictions that may be covered by the patent

protection. We are also aware that Pharmexa has sought patent protection in other countries, including the U.S., and has the option to seek patent protection in other parts of the world. If Pharmexa were to receive such patent protection, it might conflict with or overlap with the patent rights we have under U.S. Patent No. 6,153,380 and others we are

pursuing. We currently do not, and do not plan to, operate in any country other than the United States.

Competition

We face, and will continue to face, intense competition from pharmaceutical and biotechnology companies, as well as from academic and research institutions and government agencies, both in the United States and abroad. Some of these competitors are pursuing the development of pharmaceuticals that target the same diseases and conditions as our research programs. Our major competitors include fully integrated pharmaceutical companies that have extensive drug discovery efforts and are developing novel small molecule pharmaceuticals. We also face significant competition from organizations that are pursuing the same or similar technologies, including the discovery of targets that are useful in compound screening, as the technologies used by us in our drug discovery efforts. Our competitors or their collaborative partners may utilize discovery technologies and techniques or partner more rapidly or successfully than we or our collaborators are able to do.

Many of these companies and institutions, either alone or together with their collaborative partners, have substantially greater financial resources and larger research and development staffs than we do. In addition, many of these competitors, either alone or together with their collaborative partners, have significantly greater experience than we do in:

- identifying and validating targets;
- screening compounds against targets; and
- undertaking preclinical testing and clinical trials.

Accordingly, our competitors may succeed in obtaining patent protection, identifying or validating new targets or discovering new drug compounds before we do.

Competition may also arise from:

- new or better methods of target identification or validation;
- other drug development technologies and methods of preventing or reducing the incidence of disease;
- new small molecules; or
- other classes of therapeutic agents.

Developments by others may render our product candidates or technologies obsolete or noncompetitive. We face and will continue to face intense competition from other companies for collaborative arrangements with pharmaceutical and biotechnology companies, for establishing relationships with academic and research institutions and for licenses to additional technologies. These competitors, either alone or with their collaborative partners, may succeed in developing technologies or products that are more effective than ours.

Our ability to compete successfully will depend, in part, on our ability to:

- identify and validate targets;
- discover candidate drug compounds that interact with the targets we identify;
- attract and retain scientific and product development personnel;

- obtain patent or other proprietary protection for our new drug compounds and technologies; and
- enter commercialization agreements for our new drug compounds.

Government Regulation

If our potential preclinical compounds become ready to enter clinical testing, our ongoing development activities will be subject to extensive regulation by numerous governmental authorities in the United States and other countries, including the FDA under the Federal Food, Drug and Cosmetic Act. The regulatory review and approval process is expensive and uncertain. Securing FDA approval requires the submission of extensive preclinical and clinical data and supporting information to the FDA for each indication to establish a product candidate's safety and efficacy. The approval process takes many years, requires the expenditure of substantial resources and may involve ongoing requirements for post-marketing studies. Before commencing clinical investigations in humans, we must submit to, and receive approval from, the FDA of an IND. We expect to file our first IND with the FDA in 2002. Clinical trials are subject to oversight by institutional review boards and the FDA and:

- must be conducted in conformance with the FDA's IND regulations;
- must meet requirements for institutional review board oversight;
- must meet requirements for informed consent;
- must meet requirements for good clinical practices;
- are subject to continuing FDA oversight;
- may require large numbers of participants; and
- may be suspended by us, our strategic partners or the FDA at any time if it is believed that the subjects participating in these trials are being exposed to unacceptable health risks or if the FDA finds deficiencies in the IND or the conduct of these trials.

Even if we are able to achieve success in our clinical testing, we, or our collaborative partners, must provide the FDA and foreign regulatory authorities with clinical data that demonstrates the safety and efficacy of our products in humans before they can be approved for commercial sale. None of the product candidates that we have internally developed has advanced to the stage of human testing designed to determine safety, known as Phase I clinical trials. We anticipate beginning Phase I trials in 2002, but once

begun, will not know whether any such clinical trials will be successful or if such trials will be completed on schedule or at all. We also do not know whether any future clinical trials will demonstrate sufficient safety and efficacy necessary to obtain the requisite regulatory approvals or will result in marketable products. Our failure, or the failure of our strategic partners, to adequately demonstrate the safety and efficacy of our products under development will prevent receipt of FDA and similar foreign regulatory approval and, ultimately, commercialization of our products.

Any clinical trial may fail to produce results satisfactory to the FDA. Preclinical and clinical data can be interpreted in different ways, which could delay, limit or prevent regulatory approval. Negative or inconclusive results or adverse medical events during a clinical trial could cause a clinical trial to be repeated or a program to be terminated. In addition, delays or rejections may be encountered based upon additional government regulation from future legislation or administrative action or changes in FDA policy or interpretation during the period of product development, clinical trials and FDA regulatory review. Failure to comply with applicable FDA or other applicable regulatory requirements may result in criminal prosecution, civil penalties, recall or seizure of products, total or partial suspension of production or injunction, as well as other regulatory action against our potential

products, collaborative partners or us. Additionally, we have no experience in working with our partners in conducting and managing the clinical trials necessary to obtain regulatory approval.

Outside the United States, our ability to market a product is contingent upon receiving a marketing authorization from the appropriate regulatory authorities. The requirements governing the conduct of clinical trials, marketing authorization, pricing and reimbursement vary widely from country to country. At present, foreign marketing authorizations are applied for at a national level, although within the European Union, or EU, registration procedures are available to companies wishing to market a product in more than one EU member state. If the regulatory authority is satisfied that adequate evidence of safety, quality and efficacy has been presented, a marketing authorization will be granted. This foreign regulatory approval process involves all of the risks associated with FDA clearance.

Employees

As of December 31, 2001, we employed 147 persons, of whom 46 hold Ph.D. or MD degrees and 20 hold other advanced degrees. Approximately 119 employees are engaged in research and development and 28 support administration, finance, management information systems, facilities and human resources. None of our employees is represented by a collective bargaining agreement, nor have we experienced work stoppages. We believe that our relations with our employees are good.

Scientific Advisors

We utilize scientists and physicians to advise us on scientific and medical matters as part of our ongoing research and drug development efforts, including experts in human genetics, mouse genetics, molecular biology, biochemistry, cell biology, chemistry, infectious diseases, immunology and structural biology. Generally, each of our scientific and medical advisors and consultants receives an option to purchase our common stock and an honorarium for time spent assisting us.

Risk Factors

An investment in our securities is risky. Prior to making a decision about investing in our securities you should carefully consider the following risks, as well as the other information contained in this annual report on Form 10-K. If any of the following risks actually occurs, our business could be harmed. In that case, the trading price of our securities could decline, and you might lose all or part of your investment. The risks and uncertainties described below are not the only ones facing us. Additional risks and uncertainties not presently known to us, or that we currently see as immaterial, may also harm our business. If any of these additional risks or uncertainties occur, the trading price of our securities could decline, and you might lose all or part of your investment.

Our success as a company is uncertain due to our limited operating history, our history of operating losses and the uncertainty of future profitability.

Due in large part to the significant research and development expenditures required to identify and validate new drug candidates and advance our programs toward later stages of development, we have not been profitable and have generated operating losses since we were incorporated in June 1996. Currently, our revenues are generated solely from research payments from our collaboration agreements and licenses and are insufficient to generate profitable operations. As of December 31, 2001, we had an accumulated deficit of approximately $77.8 million. We expect to incur losses for at least the next several years and expect that these losses will actually increase as we expand our research and development activities, incur significant clinical and testing costs and expand our facilities. Moreover, our losses are expected to continue even if our current research projects are able to successfully identify potential drug targets. If the time required to generate revenues and achieve profitability is longer than anticipated or if we are unable to obtain necessary capital, we may not be able to fund and continue our operations.

Because most of our expected future revenues are contingent upon collaborative and license agreements, we might not meet our strategic objectives.

Our ability to generate revenues in the near term depends on our ability to enter into additional collaborative agreements with third parties and to maintain the agreements we currently have in place. Our ability to enter into new collaborations and the revenue, if any, that may be recognized under these collaborations is highly uncertain. If we are unable to enter into new collaborations, our business prospects could be harmed, which could have an immediate adverse effect on the trading price of our stock.

To date, most of our revenues have been related to the research phase of each of our collaborative agreements. Such revenues are for specified periods and the impact of such revenues on our results of operations is partially offset by corresponding research costs. Following the completion of the research phase of each collaborative agreement, additional revenue may come only from milestone payments and royalties, which may not be paid, if at all, until some time well into the future. The risk is heightened due to the fact that unsuccessful research efforts may preclude us from receiving any milestone payments under these agreements. Our receipt of revenue from collaborative arrangements is also significantly affected by the timing of efforts expended by us and our collaborators and the timing of lead compound identification. In late 2001, we recorded the first revenue from achievement of milestones in both the Pfizer and Johnson & Johnson collaborations. Under many agreements, milestone payments may not be earned until the collaborator has advanced products into clinical testing, which may never occur or may not occur until some time well into the future. We may not recognize revenue under our collaborations when and in accordance with our expectations or the expectations of industry analysts, which could harm our business and have an immediate adverse effect on the trading price of our stock.

Our business plan contemplates that we will need to generate meaningful revenue from royalties and licensing agreements. To date, we have not yet received any revenue

from royalties for the sale of commercial drugs, and we do not know when we will receive any such revenue, if at all. Likewise, we have not licensed any lead compounds or drug development candidates to third parties, and we do not know whether any such license will be entered into on acceptable terms in the future, if at all.

We are unable to predict when, or if, we will become profitable, and even if we are able to achieve profitability at any point in time, we do not know if our operations will be able to maintain profitability during any future periods.

There is a high risk that early-stage drug discovery and development might not successfully generate good drug candidates.

At the present time, our operations are in the early stages of drug identification and development. To date, we have only identified a few potential drug compounds, all of which are still in very early stages of development and have not yet been put into clinical testing. It is statistically unlikely that the few compounds that we have identified as potential drug candidates will actually lead to successful drug development efforts, and we do not expect any drugs resulting from our research to be commercially available for several years, if at all. Our leads for potential drug compounds will be subject to the risks and failures inherent in the development of pharmaceutical products based on new technologies. These risks include, but are not limited to, the inherent difficulty in selecting the right drug target and avoiding unwanted side effects as well as the unanticipated problems relating to product development, testing, regulatory compliance, manufacturing, marketing and competition and additional costs and expenses that may exceed current estimates.

We might not be able to commercialize our drug candidates successfully if problems arise in the testing and approval process.

Commercialization of our product candidates depends upon successful completion of preclinical studies and clinical trials. Preclinical testing and clinical development are long, expensive and uncertain processes, and we do not know whether we, or any of our collaborative partners, will be permitted to undertake clinical trials of any potential products. It may take us or our collaborative partners several years to complete any such testing, and failure can occur at any stage of testing. Interim results of trials do not necessarily predict final results, and acceptable results in early trials may not be repeated in later trials. A number of companies in the pharmaceutical industry, including biotechnology companies, have suffered significant setbacks in advanced clinical trials, even after achieving promising results in earlier trials. Moreover, if and when our projects reach clinical trials, we or our collaborative partners may decide to discontinue development of any or all of these projects at any time for commercial, scientific or other reasons. There is also a risk that competitors and third parties may develop similar or superior products or have proprietary rights that preclude us from ultimately marketing our products, as well as the potential risk that our products may not be accepted by the marketplace.

If our current corporate collaborations or license agreements are unsuccessful or if conflicts develop with these relationships, our research and development efforts could be delayed.

Our strategy depends upon the formation and sustainability of multiple collaborative arrangements and license agreements with third parties in the future. We rely on these arrangements for not only financial resources, but also for expertise that we expect to need in the future relating to clinical trials, manufacturing, sales and marketing, and for licenses to technology rights. To date, we have entered into several such arrangements with corporate collaborators; however, we do not know if such third parties will dedicate sufficient resources or if any such development or commercialization efforts by third parties will be successful. Should a collaborative partner fail to develop or commercialize a compound or product to which it has rights from us, we may not receive any future milestone payments and will

not receive any royalties associated with such compound or product. In addition, the continuation of some of our partnered drug discovery and development programs may be dependent on the periodic renewal of our corporate collaborations. For example, the funded research phase of our collaboration with Pfizer has been completed and the development portion of our collaboration is ongoing at Pfizer. More generally, our corporate collaboration agreements may terminate before the full term of the collaborations or upon a breach or a change of control. We may not be able to renew these collaborations on acceptable terms, if at all, or negotiate additional corporate collaborations on acceptable terms, if at all.

We are also a party to various license agreements that give us rights to use specified technologies in our research and development processes. The agreements, pursuant to which we have in-licensed technology, permit our licensors to terminate the agreements under certain circumstances. If we are not able to continue to license these and future technologies on commercially reasonable terms, our product development and research may be delayed.

Conflicts might also arise with respect to our various relationships with third parties. If any of our corporate collaborators were to breach or terminate their agreement with us or otherwise fail to conduct the collaborative activities successfully and in a timely manner, the preclinical or clinical development or commercialization of the affected product candidates or research programs could be delayed or terminated. We generally do not control the amount and timing of resources that our corporate collaborators devote to our programs or potential products. We do not know whether current or future collaborative partners, if any, might pursue alternative technologies or develop alternative products either on their own or in collaboration with others, including our competitors, as a means for developing treatments for the diseases targeted by collaborative arrangements with us. Conflicts also might arise with collaborative partners concerning proprietary rights to particular compounds. While our existing collaborative agreements typically provide that we retain milestone payments and royalty rights with respect to drugs developed from certain derivative compounds, any such payments or royalty rights may be at reduced rates, and disputes may arise over the application of derivative payment provisions to such drugs, and we may not be successful in such disputes.

If we fail to enter into new collaborative arrangements in the future, our business and operations would be negatively impacted.

Although we have established several collaborative arrangements and various license agreements, we do not know if we will be able to establish additional arrangements, or whether current or any future collaborative arrangements will ultimately be successful. For example, there have been, and may continue to be, a significant number of recent business combinations among large pharmaceutical companies that have resulted, and may continue to result, in a reduced number of potential future corporate collaborators, which may limit our ability to find partners who will work with us in developing and commercializing our drug targets. If business combinations involving our existing corporate collaborators were to occur, the effect could be to diminish, terminate or cause delays in one or more of our corporate collaborations.

We will need additional capital in the future to sufficiently fund our operations and research.

Our operations require significant additional funding in large part due to our research and development expenses, future preclinical and clinical-testing costs, the expansion of our facilities and the absence of any meaningful revenues over the foreseeable future. The amount of future funds needed will depend largely on the success of our collaborations and our research activities, and we do not know whether additional financing will be available when needed, or that, if available, we will obtain financing on terms favorable to our stockholders or us. We have consumed substantial amounts of capital to date, and operating expenditures are expected to increase over the next several years as we expand our infrastructure and research and development activities.

We believe that our existing capital resources, including the funds received in the January and February 2002 offerings, together with the proceeds from current and future collaborations and tenant improvement financings, will be sufficient to support our current operating plan for at least the next 18 months. We will require additional financing in the future to fund our operations. Our future funding requirements will depend on many factors, including, but not limited to:

- our ability to maintain our existing collaboration partnerships;
- our ability to establish and the scope of new collaborations;
- the progress and number of research programs carried out at Rigel;
- the progress of the research and development efforts of our collaborators;
- any changes in the breadth of our research and development programs;
- our ability to secure, on acceptable terms, adequate financing for the tenant improvement costs of our new facility;
- our ability to meet the milestones identified in our collaborative agreements that trigger payments;
- our ability to maintain and establish new corporate relationships and research collaborations;
- our ability to acquire or license other technologies or compounds, if any;
- the progress and success of preclinical studies and clinical trials of our drug candidates conducted by us or our collaborative partners or licensees;
- our ability to manage our growth;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and intellectual rights;
- the costs and timing of regulatory approvals; and
- expenses associated with unforeseen litigation.

To the extent we raise additional capital by issuing equity securities, our stockholders may experience substantial dilution. To the extent that we raise additional funds through collaboration and licensing arrangements, we may be required to relinquish some rights to our technologies or product candidates, or grant licenses on terms that are not favorable to us. If adequate funds are not available, we will not be able to continue developing our products.

Our success is dependent on intellectual property rights held by us and third parties, and our interest in such rights is complex and uncertain.

Our success will depend to a large part on our own, our licensees' and our licensors' ability to obtain and defend patents for each party's respective technologies and the compounds and other products, if any, resulting from the application of such technologies. Seven U.S. patents have been issued to us as of December 31, 2001, and we have numerous applications in the U.S. and abroad awaiting approval. In the future, our patent position might be highly uncertain and involve complex legal and factual questions. No consistent policy regarding the breadth of claims allowed in biotechnology patents has emerged to date. Accordingly, we cannot predict the breadth of claims allowed in our or other companies' patents.

The degree of future protection for our proprietary rights is uncertain, and we cannot ensure that:

- we were the first to make the inventions covered by each of our pending patent applications;

- we were the first to file patent applications for these inventions;
- others will not independently develop similar or alternative technologies or duplicate any of our technologies;
- any of our pending patent applications will result in issued patents;
- any patents issued to us or our collaborators will provide a basis for commercially viable products or will provide us with any competitive advantages or will not be challenged by third parties;
- we will develop additional proprietary technologies that are patentable; or
- the patents of others will not have a negative effect on our ability to do business.

We rely on trade secrets to protect technology where we believe patent protection is not appropriate or obtainable. However, trade secrets are difficult to protect. While we require employees, collaborators and consultants to enter into confidentiality agreements, we may not be able to adequately protect our trade secrets or other proprietary information in the event of any unauthorized use or disclosure or the lawful development by others of such information.

We are a party to certain in-license agreements that are important to our business, and we generally do not control the prosecution of in-licensed technology. Accordingly, we are unable to exercise the same degree of control over this intellectual property as we exercise over our internally-developed technology. Moreover, some of our academic institution licensors, research collaborators and scientific advisors have rights to publish data and information in which we have rights. If we cannot maintain the confidentiality of our technology and other confidential information in connection with our collaborations, then our ability to receive patent protection or protect our proprietary information will be impaired. In addition, some of the technology we have licensed relies on patented inventions developed using U.S. government resources. The U.S. government retains certain rights, as defined by law, in such patents, and may choose to exercise such rights.

If a dispute arises regarding the infringement or misappropriation of the proprietary rights of others, such dispute could be costly and result in delays in our research and development activities.

Our success will also depend, in part, on our ability to operate without infringing or misappropriating the proprietary rights of others. There are many issued patents and patent applications filed by third parties relating to products or processes that are similar or identical to ours or our licensors, and others may be filed in the future. There can be no assurance that our activities, or those of our licensors, will not infringe patents owned by others. We believe that there may be significant litigation in the industry regarding patent and other intellectual property rights, and we do not know if we or our collaborators would be successful in any such litigation. Any legal action against our collaborators

or us claiming damages or seeking to enjoin commercial activities relating to the affected products, our methods or processes could:

- require our collaborators or us to obtain a license to continue to use, manufacture or market the affected products, methods or processes, which may not be available on commercially reasonable terms, if at all;
- prevent us from using the subject matter claimed in the patents held by others;
- subject us to potential liability for damages;
- consume a substantial portion of our managerial and financial resources; and
- result in litigation or administrative proceedings that may be costly, whether we win or lose.

Pharmexa (formerly M&E Biotech) has notified us that they have received patent protection in some European countries and Australia for a process they assert is similar to certain aspects of our technologies. Pharmexa has notified us of its belief that we have infringed, and are contributorily infringing, certain claims of that European patent. In June 2001, we commenced administrative proceedings to oppose Pharmexa's European patent. Earlier in the year, Pharmexa commenced an administrative proceeding to oppose our Australian patent. Legal proceedings with respect to these patents could be lengthy, costly and require significant management time and other resources, which could adversely affect the pursuit of scientific and business goals. In addition, any such legal action could result in the award of damages or a court order preventing us from using the technology covered by the Pharmexa patent. In addition, any license or other transfer of rights to the patent by Pharmexa to a third party could adversely impact our ability to obtain a license to the patent. In the event we desire to seek a license to the patent, we may not be able to obtain a license on acceptable terms. Furthermore, such failure might adversely impact our collaborations with European partners or may materially adversely affect our business in the jurisdictions that may be covered by the patent protection. We are also aware that Pharmexa has sought patent protection in other countries, including the U.S., and has the option to seek patent protection in other parts of the world. If Pharmexa were to receive such patent protection, it might conflict with or overlap with the patent rights we have under U.S. Patent No. 6,153,380 and others we are pursuing. We currently do not, and do not plan to, operate in any country other than the United States.

If we are unable to obtain regulatory approval to market products in the United States and foreign jurisdictions, we might not be permitted to commercialize products from our research.

Due, in part, to the early stage of our drug candidate research and development process, we cannot predict whether regulatory clearance will be obtained for any product we, or our collaborative partners, hope to develop. Satisfaction of regulatory requirements typically takes many years, is dependent upon the type, complexity and novelty of the product and requires the expenditure of substantial resources. Of particular significance to us are the requirements covering research and development and testing.

Before commencing clinical trials in humans, we, or our collaborative partners, will need to submit and receive approval from the FDA of an IND. If regulatory clearance of a product is granted, this clearance will be limited to those disease states and conditions for which the product is demonstrated through clinical trials to be safe and efficacious. We cannot ensure that any compound developed by us, alone or with others, will prove to be safe and efficacious in clinical trials and will meet all of the applicable regulatory requirements needed to receive marketing clearance.

Outside the United States, our ability, or that of our collaborative partners, to market a product is contingent upon receiving a marketing authorization from the appropriate regulatory authorities. This foreign regulatory approval process typically includes all of the risks associated with FDA clearance described above and may also include additional risks.

We may encounter difficulties in managing our growth, and these difficulties could increase our losses.

We have experienced a period of rapid and substantial growth that has placed, and will continue to place, a strain on our human and capital resources. The number of our employees increased from 31 at December 31, 1997 to 147 at December 31, 2001. Our ability to manage our operations and growth effectively requires us to continue to use funds to improve our operational, financial and management controls, reporting systems and procedures and to attract and retain sufficient numbers of talented employees. If we are unable to manage this growth effectively, our losses will increase.

If our competitors develop technologies that are more effective than ours, our commercial opportunity will be reduced or eliminated.

The biotechnology and pharmaceutical industries are intensely competitive and subject to rapid and significant technological change. Many of the drugs that we are attempting to discover will be competing with existing therapies. In addition, a number of companies are pursuing the development of pharmaceuticals that target the same diseases and conditions that we are targeting. We face competition from pharmaceutical and biotechnology companies both in the United States and abroad.

Our competitors may utilize discovery technologies and techniques or partner with collaborators in order to develop products more rapidly or successfully than we, or our collaborators, are able to do. Many of our competitors, particularly large pharmaceutical companies, have substantially greater financial, technical and human resources than we do. In addition, academic institutions, government agencies and other public and private organizations conducting research may seek patent protection with respect to potentially competitive products or technologies and may establish exclusive collaborative or licensing relationships with our competitors.

We believe that our ability to compete is dependent, in part, upon our ability to create, maintain and license scientifically-advanced technology and upon our and our strategic partners' ability to develop and commercialize pharmaceutical products based on this technology, as well as our ability to attract and retain qualified personnel, obtain patent protection or otherwise develop proprietary technology or processes and secure sufficient capital resources for the expected substantial time period between technological conception and commercial sales of products based upon our technology. The failure by us or any of our collaborators in any of those areas may prevent the successful commercialization of our potential drug targets.

Our competitors might develop technologies and drugs that are more effective or less costly than any that are being developed by us or that would render our technology and potential drugs obsolete and noncompetitive. In addition, our competitors may succeed in obtaining the approval of the FDA or other regulatory agencies for drug candidates more rapidly. Companies that complete clinical trials, obtain required regulatory agency approvals and commence commercial sale of their drugs before their competitors may achieve a significant competitive advantage, including certain patent and FDA marketing exclusivity rights that would delay or prevent our ability to market certain products. Any drugs resulting from our research and development efforts, or from our joint efforts with our existing or future collaborative partners, might not be able to compete successfully with competitors' existing or future products or products under development or obtain regulatory approval in the United States or elsewhere.

Our ability to generate revenues will be diminished if our collaborative partners fail to obtain acceptable prices or an adequate level of reimbursement for products from third-party payors.

The drugs we hope to develop may be rejected by the marketplace due to many factors, including cost. Our ability to commercially exploit a drug may be limited due to the continuing efforts of government and third-party payors to contain or reduce the costs of health care through various means. For example, in some foreign markets, pricing and profitability of prescription pharmaceuticals are subject to government control. In the United States, we expect that there will continue to be a number of federal and state proposals to implement similar government control. In addition, increasing emphasis on managed care in the United States will likely continue to put pressure on the pricing of pharmaceutical products. Cost control initiatives could decrease the price that any of our collaborators would receive for any products in the future. Further, cost control initiatives could adversely affect our collaborators' ability to commercialize our products and our ability to realize royalties from this commercialization.

Our ability to commercialize pharmaceutical products with collaborators may depend, in part, on the extent to which reimbursement for the products will be available from:

- government and health administration authorities;
- private health insurers; and
- other third-party payors.

Significant uncertainty exists as to the reimbursement status of newly-approved healthcare products. Third-party payors, including Medicare, are challenging the prices charged for medical products and services. Government and other third-party payors increasingly are attempting to contain healthcare costs by limiting both coverage and the level of reimbursement for new drugs and by refusing, in some cases, to provide coverage for uses of approved products for disease indications for which the FDA has not granted labeling approval. Third-party insurance coverage may not be available to patients for any products we discover and develop, alone or with collaborators. If government and other third-party payors do not provide adequate coverage and reimbursement levels for our products, the market acceptance of these products may be reduced.

If conflicts arise between our collaborators or advisors and us, any of them may act in their self-interest, which may be adverse to your interests.

If conflicts arise between us and our corporate collaborators or scientific advisors, the other party may act in its self-interest and not in the interest of our stockholders. Some of our corporate collaborators are conducting multiple product development efforts within each disease area that is the subject of the collaboration with us. In some of our collaborations, we have agreed not to conduct, independently or with any third party, any research that is competitive with the research conducted under our collaborations. Our collaborators, however, may develop, either alone or with others, products in related fields that are competitive with the products or potential products that are the subject of these collaborations. Competing products, either developed by our collaborators or to which our collaborators have rights, may result in their withdrawal of support for our product candidates.

If product liability lawsuits are successfully brought against us, we may incur substantial liabilities and may be required to limit commercialization of our products.

The testing and marketing of medical products entail an inherent risk of product liability. If we cannot successfully defend ourselves against product liability claims, we may incur substantial liabilities or be required to limit commercialization of our products. We currently do not have product liability insurance, and our inability to obtain sufficient product liability insurance at an acceptable cost to protect against potential product liability claims could prevent or inhibit the commercialization of pharmaceutical products we develop, alone or with corporate collaborators. We, or our corporate collaborators, might not be able to obtain insurance at a reasonable cost, if at all. While under various circumstances we are entitled to be indemnified against losses by our corporate collaborators, indemnification may not be available or adequate should any claim arise.

Our research and development efforts will be seriously jeopardized, if we are unable to attract and retain key employees and relationships.

Being a small company with only 147 employees as of December 31, 2001, our success depends on the continued contributions of our principal management and scientific personnel and on our ability to develop and maintain important relationships with leading academic institutions, scientists and companies in the face of intense competition for such personnel. In particular, our research programs depend on our ability to attract and retain highly skilled chemists, other scientists, and regulatory and clinical personnel. If we lose the services of any of our personnel, our research and development efforts

could be seriously and adversely affected. Although we generally have not experienced problems retaining key employees, our employees can terminate their employment with us at any time. We also expect to encounter increasing difficulty in attracting enough qualified personnel as our operations expand and the demand for these professionals increases, and this difficulty could impede significantly the achievement of our research and development objectives.

We depend on various scientific consultants and advisors for the success and continuation of our research efforts.

We work extensively with various scientific consultants and advisors. The potential success of our drug discovery programs depends, in part, on continued collaborations with certain of these consultants and advisors. We, and various members of our management and research staff, rely on certain of these consultants and advisors for expertise in our research, regulatory and clinical efforts. Our scientific advisors are not employees of ours and may have commitments to, or consulting or advisory contracts with, other entities that may limit their availability to us. We do not know if we will be able to maintain such consulting agreements or that such scientific advisors will not enter into consulting arrangements, exclusive or otherwise, with competing pharmaceutical or biotechnology companies, any of which would have a detrimental impact on our research objectives and could have a material adverse effect on our business, financial condition and results of operations.

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

Our research and development activities involve the controlled use of potentially harmful biological materials as well as hazardous materials, chemicals and various radioactive compounds. We cannot completely eliminate the risk of accidental contamination or injury from the use, storage, handling or disposal of these materials. In the event of contamination or injury, we could be held liable for damages that result, and such liability could exceed our resources. We are subject to federal, state and local laws and regulations governing the use, storage, handling and disposal of these materials and specified waste products. The cost of compliance with, or any potential violation of, these laws and regulations could be significant.

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

Our facilities are located in the San Francisco Bay Area near known earthquake fault zones and are vulnerable to significant damage from earthquakes. We are also vulnerable to damage from other types of disasters, including fires, floods, power loss, communications failures and similar events. If any disaster were to occur, our ability to operate our business at our facilities would be seriously, or potentially completely, impaired, and our research could be lost or destroyed. In addition, the unique nature of our research activities and of much of our equipment could make it difficult for us to recover from a disaster. The insurance we maintain may not be adequate to cover or losses

resulting from disasters or other business interruptions.

If our officers, directors and largest stockholders choose to act together, they may be able to significantly affect our management and operations, acting in their best interests and not necessarily those of other stockholders.

Our directors, executive officers and principal stockholders and their affiliates beneficially own approximately 40% of our common stock, based on their beneficial ownership as of February 15, 2002. Accordingly, they collectively will have the ability to significantly affect the election of all of our directors and the outcome of most corporate actions requiring stockholder approval. They may exercise

this ability in a manner that advances their best interests and not necessarily those of other stockholders.

Our stock price may be volatile, and your investment in our stock could decline in value.

The market prices for our securities and those of other biotechnology companies have been highly volatile and may continue to be highly volatile in the future. The following factors, in addition to other risk factors described in this section, may have a significant impact on the market price of our common stock:

- announcements of technological innovations or new commercial products by our competitors or us;
- developments concerning proprietary rights, including patents;
- developments concerning our collaborations;
- publicity regarding actual or potential medical results relating to products under development by our competitors or us;
- regulatory developments in the United States and foreign countries;
- litigation;
- economic and other external factors or other disaster or crisis; and
- period-to-period fluctuations in financial results.

Anti-takeover provisions in our charter documents and under Delaware law may make an acquisition of us, which may be beneficial to our stockholders, more difficult.

Provisions of our amended and restated certificate of incorporation and bylaws, as well as provisions of Delaware law, could make it more difficult for a third party to acquire us, even if doing so would benefit our stockholders. These provisions:

- establish that members of the board of directors may be removed only for cause upon the affirmative vote of stockholders owning at least two-thirds of our capital stock;
- authorize the issuance of "blank check" preferred stock that could be issued by our board of directors to increase the number of outstanding shares and thwart a takeover attempt;
- limit who may call a special meeting of stockholders;
- prohibit stockholder action by written consent, thereby requiring all stockholder actions to be taken at a meeting of our stockholders;
- establish advance notice requirements for nominations for election to the board of directors or for proposing matters that can be acted upon at stockholder meetings; and
- provide for a board of directors with staggered terms.

In addition, Section 203 of the Delaware General Corporation Law, which imposes certain restrictions relating to transactions with major stockholders, may discourage, delay or prevent a third party from acquiring us.

Item 2. Properties

Our current facilities consist of approximately 61,000 square feet of research and office space located at 240 East Grand Avenue, South San Francisco, California. In May of 2001, we entered into a 15-year non-cancelable lease for our future research and office facilities consisting of approximately

147,000 square feet in South San Francisco, California. Under the terms of this new lease, we will occupy these new facilities in late 2002 and will concurrently terminate our lease of our current facilities at 240 East Grand Avenue in South San Francisco. The future research and office facilities are currently under construction as a build-to-suit facility. We believe our new facility will meet our space requirements for research and development and administration functions through the year 2005.

Item 3. Legal Proceedings

None.

Item 4. Submission of Matters to a Vote of Security Holders

None.

PART II

Item 5. Market for the Registrant's Common Equity and Related Stockholder Matters

Our common stock has traded on the Nasdaq National Market under the symbol "RIGL" since November 29, 2000. The following table sets forth, for the period indicated, the high and low sales prices for the common stock as reported by the Nasdaq National Market:

	High	Low
Year Ended December 31, 2000		
Fourth Quarter (commencing November 29, 2000)	$ 10.00	$ 6.95
Year Ended December 31, 2001		
First Quarter	$ 12.75	$ 3.38
Second Quarter	$ 8.50	$ 3.25
Third Quarter	$ 8.75	$ 4.00
Fourth Quarter	$ 6.42	$ 4.00

On March 15, 2002, the last reported sale price for our common stock on the Nasdaq National Market was $3.70 per share.

Holders

As of March 15, 2002, there were approximately 252 stockholders of record of our common stock.

Dividends

We have not paid dividends on our common stock and currently do not plan to pay any cash dividends in the foreseeable future.

Item 6. Selected Financial Data

The following selected financial data should be read in conjunction with "Item 7. Management's Discussion and Analysis of Financial Condition and Results of Operations" and "Item 8. Financial Statements and Supplementary Data" included elsewhere in the annual report on Form 10-K.

	Fiscal Years Ended December 31,				
	2001	2000	1999	1998	1997
	(in thousands, except per share amounts)				
Statements of Operations Data:					
Revenues:					
Contract revenues	$ 15,303	$ 13,218	$ 8,984	$ 28	$ —
Costs and expenses:					
Research and development (see Note A)	32,313	32,034	17,112	8,305	4,568
General and administrative (see Note A)	7,950	6,689	3,952	2,217	1,033
	40,263	38,723	21,064	10,522	5,601
Loss from operations	(24,960)	(25,505)	(12,080)	(10,494)	(5,601)
Interest income	1,957	1,078	311	246	203
Interest expense	(802)	(933)	(597)	(356)	(118)
Net loss	(23,805)	(25,360)	(12,366)	(10,604)	(5,516)
Deemed dividend to Series E preferred stockholders	—	(10,133)	—	—	—
Net loss allocable to common stockholders	$ (23,805)	$ (35,493)	$ (12,366)	$ (10,604)	$ (5,516)
Net loss per share, basic and diluted	$ (0.64)	$ (4.89)	$ (4.39)	$ (4.01)	$ (2.20)
Weighted average shares used in computing net loss per share, basic and diluted	37,287	7,263	2,818	2,643	2,512
Pro forma net loss per share, basic and diluted		$ (0.86)	$ (0.52)		
Shares used in computing pro forma net loss per share, basic and diluted (unaudited)		29,543	23,996		
Note A:					
Includes charges for stock-based compensation as follows:					

Research and development	$ 1,596	$ 9,184	$ 2,321	$ 6	$ —
General and administrative	527	976	254	—	—
Total stock-based compensation	$ 2,123	$ 10,160	$ 2,575	$ 6	$ —

	As of December 31,				
	2001	2000	1999	1998	1997
	(in thousands)				
Balance Sheet Data:					
Cash, cash equivalents and available-for-sale securities	$ 33,415	$ 52,994	$ 5,836	$ 9,493	$ 9,144
Working capital (deficiency)	26,371	46,627	(990)	4,547	8,109
Total assets	46,448	64,262	17,169	12,956	11,330
Capital lease obligations, less current portion	4,243	5,761	5,478	1,652	1,172
Deferred stock compensation	(2,452)	(5,792)	(5,814)	—	—
Accumulated deficit	(77,784)	(53,979)	(28,619)	(16,253)	(5,649)
Total stockholders' equity	28,941	49,010	756	5,445	8,819

See Notes to the Financial Statements for description of the number of shares used in the computation of basic and diluted and pro forma basic and diluted net loss per common share.

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

The following discussion and analysis contains forward-looking statements that are based upon current expectations. Forward-looking statements involve risks and uncertainties. Our actual results and the timing of events may differ significantly from the results discussed in the forward-looking statements. Factors that might cause such a difference include, but are not limited to, those discussed in "Business—Risk Factors" as well as those discussed elsewhere in this annual report on Form 10-K. Historical operating results are not necessarily indicative of results that may occur in future periods. You should read the following discussion and analysis in conjunction with "Item 6. Selected Financial Data," and "Item 8. Financial Statements and Supplementary Data" included elsewhere in this annual report on Form 10-K.

Overview

We are a drug discovery and development company that uses advanced functional genomics tools to discover novel drug targets that can be used to develop orally administered small molecule drugs. Our technology is designed to identify molecules that play an important role in regulating a human cell's response to disease by testing a very large number of proteins in a very large number of cells to determine which proteins will change a cell's response to the disease. Rigel has ten product development programs underway at Rigel with five programs being our proprietary programs in the product development areas of asthma/allergy, rheumatoid arthritis and inflammatory bowel disease, cancerous tumor growth and hepatitis C. We expect to begin clinical trials during 2002 with one or more drug candidates from these five programs. In addition to the Rigel-owned programs, we have five programs in connection with our corporate partners in the product development areas of asthma/allergy, autoimmunity, transplant rejection and two programs in cancerous tumor growth. With our support, one of our partners is conducting an additional program in chronic bronchitis at their location. We have incurred net losses since inception and expect to incur substantial and increasing losses for the next several years as we begin to move drug candidates into and through preclinical and clinical stages of drug development and expand our research and development activities. To date, we have funded our operations primarily through the sale of equity securities, non-equity payments from collaborative partners and capital asset lease financings. We received our first funding from our collaborative partners in December 1998. As of December 31, 2001, including both research funding and equity investments, we had received an aggregate of $62.1 million from our collaborative partners, including $17.3 million in the fiscal year ended December 31, 2001. As of December 31, 2001, our accumulated deficit was approximately $77.8 million.

We expect our sources of revenue for the next several years to consist primarily of payments under our current and future corporate collaborations. Under these arrangements, sources of revenue may include up-front payments, funded research, milestone payments and royalties. The process of carrying out our research programs for our collaborative partners and the development of our own non-partnered products to the later stages of development will require significant additional research and development expenditures, including preclinical testing and clinical trials. These activities, together with our general and administrative expenses, are expected to result in substantial operating losses for the foreseeable future. We will not receive product revenue unless we or our collaborative partners complete clinical trials, obtain regulatory approval and successfully commercialize one or more of our products.

To date, we have entered into collaborations with three major pharmaceutical companies: Johnson & Johnson, Pfizer and Novartis. These three collaborations have contributed nearly all of our revenues over the last three years.

On July 6, 2001, we expanded our collaboration with Novartis with the initiation of our angiogensis program, the fourth and final program in our Novartis collaboration. Pursuant to the expanded Novartis collaboration, we received a $4.0 million upfront payment from Novartis, which will be recognized as revenue ratably over the life of the contract. In addition, the expanded collaboration

provides that the angiogensis research program will be carried out at Rigel, and provides for research reimbursement over the next three years and includes potential future milestones and royalty payments to Rigel. In conjunction with the original collaboration, Novartis paid $4.0 million for 2,000,000 shares of our series D preferred stock that converted to 2,000,000 shares of common stock upon the completion of our initial public offering. The original collaboration also allowed for an additional equity investment by Novartis of up to $10.0 million that was callable by us until our initial public offering. We exercised this right and sold to Novartis 1,428,571 shares of common stock at $7.00 per share concurrent with the closing of the our initial public offering. As of February 15, 2002, Novartis still held all 3,428,571 of these shares.

In December 2001, Johnson & Johnson elected to extend the research phase of our collaboration for an additional two years, resulting in additional research reimbursement through the end of 2003 of approximately $5.0 million.

In February 2002, the research phase of our collaboration with Pfizer concluded with Pfizer accepting a total of six validated targets. Under our collaboration with Pfizer, these validated targets will continue through the drug discovery and development process at Pfizer.

A summary of these partnerships is as follows:

Partner	Research Program	Commencement Date
Johnson & Johnson	Tumor Growth—Cell Cycle Inhibition	December 4, 1998
Pfizer	Asthma/Allergy—IgE Production in B Cells	January 31, 1999
Novartis	Transplant Rejection—T Cell Activation	May 26, 1999
	Autoimmunity Disease—B Cell Activation	August 1, 1999
	Chronic Bronchitis (conducted at Novartis)	January 1, 2000
	Tumor Growth—Inhibition of Tumor Angiogensis	July 6, 2001

Under the terms of these collaborations, our partners have agreed to provide future research funding up to approximately $26.5 million over the next three years, $9.8 million of which is subject to possible cancellation. In addition, we may receive additional payments upon the achievement of specific research and development milestones and royalties upon commercialization of any products.

In order to maintain and increase proceeds from collaborations, we are exploring new opportunities with existing and new potential collaborators. Our partnerships to date have generally focused on the early stages of drug discovery, specifically on target discovery and validation, while our collaboration with Johnson & Johnson has been expanded to also include both chemistry and compound high-throughput screening. We expect to continue to engage in collaborations focused on the early stages of drug discovery. In addition, we currently anticipate that we will self-fund, at an increased rate of spending, our own research programs to later stages of development prior to partnering with collaborative partners. Therefore, it is expected that future collaborative partnerships will have an expanded focus and could include cell pathway mapping, high-throughput screening, combinatorial and medicinal chemistry, pre-clinical evaluations and/or clinical development. For some programs, we may also seek to enter into collaborations for the development of compounds that we have discovered. The timing, the amount of funds received and the scope of any new collaboration are uncertain, and any compound collaboration will depend on the successful progress of clinical trials. New, expanded or larger collaborations will also be necessary to offset any decrease in proceeds as collaborations come to the end of their terms. Our Novartis programs are multiple-year agreements with the research phases terminating in 2004 and 2005, while the Johnson & Johnson collaboration concludes its research phase in 2004. As each collaboration reaches termination, the parties may evaluate the status of the collaboration and, if appropriate, seek to extend the research phase of the collaboration agreement or negotiate alternative terms.

In September 2000, we entered into a Technology Transfer Agreement with Questcor Pharmaceuticals, Inc. and acquired the license and technology to a hepatitis C research program. Under the terms of this agreement, we have paid a nonrefundable and noncreditable fee of $500,000, have issued to Questcor 83,333 shares of Series E preferred stock and will be responsible for satisfying certain milestones and royalties. We are also committed to invest a total of $2.0 million in research and development expenses over a two-year period through 2002. The agreement terminates upon the expiration of the last patent within the agreement. We have accounted for the Series E preferred stock at $9.00 per share based on the deemed fair value of our common stock at the date of sale, and we expensed the aggregate value of approximately $1.2 million in September 2000, as the acquired technology was not yet fully developed and had no alternative use.

Critical Accounting Policies and the Use of Estimates

Our discussion and analysis of our financial condition and results of operations are based upon our financial statements, which have been prepared in accordance with accounting principles generally accepted in the United States. The preparation of these financial statements requires us to make estimates and judgments that affect the reported amounts of assets, liabilities, revenues and expenses, and related disclosure of contingent assets and liabilities. On an on-going basis, we evaluate our estimates, including those related to terms of the research collaborations, investments, stock compensation, impairment issues, the estimated useful life of assets, income taxes, financing operations and contingencies. We base our estimates on historical experience and on various other assumptions that we believe to be reasonable under the circumstances, the results of which form the basis for making judgments about the carrying values of assets and liabilities that are not readily apparent from other sources. Actual results may differ from these estimates under different assumptions or conditions. We believe the following critical accounting policies affect our more significant judgments and estimates used in the preparation of our financial statements.

Revenue Recognition

Non-refundable, up-front payments received in connection with research and development collaboration agreements, including technology access fees, are deferred and recognized on a straight-line basis over the relevant periods of continuing involvement, generally the research term.

Revenues related to collaborative research with our corporate collaborators are recognized as research services are performed over the related funding periods for each contract. Under these agreements, we are required to perform research and development activities as specified in each respective agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Research and development expenses under the collaborative research agreements approximate or exceed the revenue recognized under such agreements over the term of the respective agreements. Deferred revenue may result if we were not to incur the required level of effort during a specific period in comparison to funds received under the respective contracts.

Milestones are recognized pursuant to collaborative agreements upon the achievement of these specified at risk milestones.

Royalties will be recognized as earned in accordance with the contract terms when the third party results are reliably measurable and collectibility is reasonably assured.

Stock-based Compensation

We recorded deferred stock compensation with respect to options granted to employees of approximately $0.3 million, $4.9 million and $7.1 million in the years ended December 31, 2001, 2000 and 1999, respectively, representing the difference between the deemed fair value of our common stock for financial reporting purposes on the date these options were granted and the exercise price. These amounts have been reflected as components of stockholders' equity, and the deferred expense is being amortized to operations over the vesting period of the options, generally four to five years, using the graded vesting method. We amortized deferred stock compensation of $2.5 million, $4.9 million and $1.3 million for the years ended December 31, 2001, 2000 and 1999, respectively. At December 31, 2001, we had a total of $2.6 million remaining to be amortized over the remaining vesting periods of the stock options.

In addition to the amortization of the deferred stock compensation, we also record charges associated with options granted to consultants in accordance with accounting principles generally accepted in the United States that involve the periodic revaluation of outstanding unvested consultant options based upon the current market value of our common stock and other assumptions, including the expected future volatility of our stock price. We recognized stock-based compensation recovery for revaluation of consultant options of $0.5 million for the year ended December 31, 2001. We recognized stock-based compensation expense for revaluation of consultant options of $5.3 million and $0.4 million for the years ended December 31, 2000 and 1999, respectively. Even though the number of unvested outstanding options issued to consultants continues to decline, we expect to see continued fluctuations in the future as a portion of these options are revalued based on the current market price of our common stock

through the application of the graded vesting method.

Years Ended December 31, 2001, 2000 and 1999

Revenues. Contract revenues from collaborations were $15.3 million in 2001, compared to $13.2 million in 2000 and $9.0 million in 1999. Revenues in 2001, 2000 and 1999 consisted primarily of research support and amortization of upfront fees from the continuation of our collaborations with Pfizer, Johnson & Johnson and Novartis. In 2001, revenues also included milestone payments for targets delivered and accepted in both the Johnson & Johnson and Pfizer collaborations. The increase in revenues of $2.1 million from 2000 to 2001 was primarily due to the commencement of the angiogenesis program with Novartis in July 2001 and milestones achieved in the Johnson & Johnson and Pfizer programs. The increase in revenues of $4.2 million from 1999 to 2000 was due to the addition of the Novartis collaboration programs in May and August of 1999. We expect contract revenues from collaborations to be a significant component of our total revenues for the foreseeable future.

Research and Development. Research and development expenses were $32.3 million in 2001, compared to $32.0 million in 2000 and $17.1 million in 1999. Excluding stock-based compensation, research and development expenses were $30.7 million in 2001, compared to $22.9 million in 2000 and $14.8 million in 1999. The increase in 2001 of $7.8 million was primarily due to the increase in our scientific headcount from 86 individuals in 2000, to 119 in 2001 and costs, such as our contract chemistry agreements, related to the increase of our drug discovery and development capabilities in preparation for our anticipated commencement of clinical trials in 2002. The increase in 2000 of $8.1 million was primarily due to the increase in our scientific headcount from 66 individuals in 1999 to 86 in 2000 as well as higher occupancy costs associated with the new building that was occupied in March of 1999. We expect research and development expenses to increase significantly in future periods, particularly as we move our solely-owned product candidates toward and into clinical trials.

The scope and magnitude of future research and development expenses are difficult to predict at this time given the number of studies that will need to be conducted for any of our potential products.

In general, biopharmaceutical-development involves a series of steps—beginning with identification of a potential target and including, among others, proof of concept in animals and Phase I, II and III clinical studies in humans—each of which is typically more expensive than the previous step. Success in development therefore results in increasing expenditures. Our research and development expenditures currently include costs for scientific personnel, supplies, equipment, consultants, patent filings, sponsored research, and allocated facility costs. Future research and development expenses will also include costs related to clinical trials.

Because of the number of research projects we have ongoing at any one time, and the ability to utilize resources across several projects, the majority of our research and development costs are not directly tied to any individual project and are allocated among multiple projects. Our project management is based primarily on scientific data and supplemented by these cost allocations, which are based primarily on human resource time incurred on each project. The costs allocated to a project as a result do not necessarily reflect the actual costs of the project. Accordingly, we do not maintain actual cost incurred information for our projects on a project-by-project basis.

General and Administrative Expenses. General and administrative expenses were $8.0 million in 2001, compared to $6.7 million in 2000 and $4.0 million in 1999. Excluding stock-based compensation, general and administrative expenses were $7.4 million in 2001, compared to $5.7 million in 2000 and $3.7 million in 1999. The increases in both 2001 and 2000 of $1.7 million and $2.0 million, respectively, were primarily attributable to higher employee costs, greater infrastructure costs to support the growing research and development activities and increased occupancy costs. We expect that general and administrative expenses will increase in the future to support the continued growth of our research and development efforts as our products move into clinical trials.

Net Interest Expense. Net interest income was $1.2 million in 2001, compared with net interest income of $0.1 million in 2000 and net interest expense of $0.3 million in 1999. Interest income results from our interest-bearing balances, whereas interest expense is the result of our capital lease obligations associated with fixed asset purchases. The increase in net interest income in 2001 is directly related to the investment interest earned from the proceeds of our initial public offering in December of 2000.

Deemed Dividend to Series E Preferred Stockholders. In February 2000, the Company completed a private placement of 2,508,330 shares of series E preferred stock at $6.00 per share for net proceeds of approximately $15.1 million. At the date of issuance, the Company believed the per share price of $6.00 represented the fair value of the preferred stock. Subsequent to the commencement of the Company's initial public offering process, the Company re-evaluated the fair value of its common stock as of February 2000 and determined it to be $9.00 per share. Accordingly, the increase in fair value has resulted in a beneficial conversion feature of $10.0 million that has been recorded as a deemed dividend to the preferred stockholders in 2000. In August 2000, the Company issued 33,333 shares of series E preferred stock to a director of the Company. The Company recorded a deemed dividend of approximately $100,000 at the time of issuance.

Effect of New Accounting Standards

In June 2001, the Financial Accounting Standards Board issued Statements of Financial Accounting Standards No. 141, Business Combinations, and No. 142, Goodwill and Other Assets, effective for fiscal years beginning after December 15, 2001. Goodwill and intangible assets deemed to have indefinite lives will no longer be amortized but will be subject to annual impairment tests in accordance with these new rules. Other intangible assets will continue to be amortized over their useful lives. We will apply the new rules on accounting for goodwill and other intangible assets beginning in the first quarter of 2002. Adoption of these new rules is not expected to have a significant impact on

our financial position, or results of operations, since we currently do not carry any goodwill or intangible assets.

In October 2001, the Financial Accounting Standards Board issued Statement of Financial Accounting Standards No. 144, Impairment of long-lived Assets. FAS 144 supercedes Statement of Financial Accounting Standards No. 121, Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to be Disposed Of. This new rule retains the requirements of the old rule to (a) recognize an impairment loss only if the carrying amount of a long-lived asset is not recoverable from its undiscounted cash flows and (b) measure an impairment loss as the difference between the carrying amount and the fair value of the asset. This new rule removes goodwill from its scope. It is applicable to financial statements issued for fiscal years beginning after December 15, 2001, or for our fiscal year ended December 31, 2002. The adoption of this new rule is not expected to have any material adverse impact on our financial position or results of our operations.

Liquidity and Capital Resources

We have financed our operations from inception primarily through sales of equity securities, contract payments payable to us under our collaboration agreements and equipment financing arrangements. As of December 31, 2001, we had received $92.6 million in gross proceeds from the sale of equity securities, including $20.0 million from collaborators, and had received $42.1 million in research funding from collaborators. In addition, as of December 31, 2001, we had financed, through leases and loans, the purchase of equipment and leasehold improvements totaling approximately $15.2 million.

As of December 31, 2001, we had $33.4 million in cash, cash equivalents and available-for-sale securities, as compared to $53.0 million as of December 31, 2000, a decrease of $19.6 million. The decrease was primarily attributable to cash used in operating activities of approximately $16.0 million. We also invested $3.2 million in capital equipment and had debt service payments of $3.0 million in conjunction with our equipment financing arrangements. These payments were offset by $1.8 million of proceeds from lease financing and $0.9 million from the sale of our stock through incentive stock option plans.

During January and February of 2002, we raised a total of $31.2 million, net of commissions and offering costs, from the sale of 7,465,117 shares of our common stock to certain institutional investors in two offerings under our shelf registration statement.

As of December 31, 2001, we had $7.4 million in capital lease obligations associated with our financed purchase of equipment and leasehold improvements. Also, as of December 31, 2001, we had no available amounts for drawdown on any of our financing arrangements. All equipment financing agreements are secured by the equipment financed, bear interest rates in a range of 7% to 15% and are due in monthly installments through 2004. In addition, three of these agreements have balloon payments at the end of each loan term, while the fourth agreement allows us to purchase the assets financed at the fair market value or 20% of the original acquisition cost at the end of the financing term. In January 2002, we secured an additional $2.0 million of equipment financing, which can be drawn down through December 31, 2002.

In May 2001, we entered into a 15-year non-cancelable lease for future research and office facilities, consisting of approximately 147,000 square feet in South San Francisco, California. Under the terms of this lease, we expect to occupy these new facilities in late 2002 and will concurrently terminate our lease of the current facilities at 240 East Grand Avenue in South San Francisco. The future research and office facilities are currently under construction as a build-to-suit facility. We are obligated to fund approximately $18.0 million of the total tenant improvement obligations. Of this amount, we have incurred approximately $0.9 million in pre-construction costs associated with our new facility through December 31, 2001. These costs are currently being capitalized on our balance sheet as

construction-in-progress, a component of property and equipment. These leasehold improvements will be amortized ratably over the term of the lease, which is fifteen years, upon occupation of the buildings. We are in the process of securing additional debt financing to meet the majority of our tenant improvement obligations for the new facility.

The following are our contractual commitments as of December 31, 2001 associated with debt obligations, lease obligations, contracted research obligations and tenant improvement obligations.

	Total	1 Year	2-3 Years	4-5 Years	6-16 Years
			(in thousands)		
Capital leases	$ 8,506	$ 3,829	$ 4,566	$ 111	$ —
Facilities leases	161,152	3,494	14,995	17,310	125,353
Contracted research	2,265	1,931	334	—	—
Tenant improvement	18,005	13,902	4,103	—	—
Total	$ 189,928	$ 23,156	$ 23,998	$ 17,421	$ 125,353

We believe that our existing capital resources, including the funds received in the January and February 2002 offerings, together with the proceeds from current and future collaborations and tenant improvement financings, will be sufficient to support our current operating plan for at least the next 18 months. We will require additional financing in the future to fund our operations. Our future funding requirements will depend on many factors, including, but not limited to:

- our ability to maintain our existing collaboration partnerships;
- our ability to establish and the scope of new collaborations;
- the progress and number of research programs carried out at Rigel;
- the progress of the research and development efforts of our collaborators;
- any changes in the breadth of our research and development programs;
- our ability to secure, on acceptable terms, adequate financing for the tenant improvement costs of our new facility;
- our ability to meet the milestones identified in our collaborative agreements that trigger payments;
- our ability to maintain and establish new corporate relationships and research collaborations;
- our ability to acquire or license other technologies or compounds, if any;
- the progress and success of preclinical studies and clinical trials of our drug candidates conducted by us or our collaborative partners or licensees;
- our ability to manage our growth;
- competing technological and market developments;
- the costs and timing of obtaining, enforcing and defending our patent and intellectual rights;
- the costs and timing of regulatory approvals; and
- expenses associated with unforeseen litigation.

In addition, we are constantly reviewing potential opportunities to expand our technologies or add to our portfolio of drug candidates. In the future, we may need further capital in order to acquire or invest in technologies, products or businesses. For the next several years, we do not expect the cash generated from our operations to generate the amount of cash required by our future cash needs. We

expect to finance future cash needs through strategic collaborations, debt financing and the sale of equity securities. We cannot assure you that additional financing or collaboration and licensing arrangements will be available when needed or that, if available, this financing will be obtained on terms favorable to us or our stockholders. Insufficient funds may require us to delay, scale back or eliminate some or all of our research or development programs, to lose rights under existing licenses or to relinquish greater or all rights to product candidates at an earlier stage of development or on less favorable terms than we would otherwise choose or may adversely affect our ability to operate as a going concern. If additional funds are obtained by issuing equity securities, substantial dilution to existing stockholders may result.

As of December 31, 2001, we had federal net operating loss carryforwards of approximately $53.0 million to offset future taxable income. We also had federal research and development tax credit carryforwards of approximately $2.1 million. If not utilized, net operating loss and credit carryforwards will begin to expire in 2010. Utilization of the net operating losses and credits may be subject to a substantial annual limitation due to ownership change limitations provided by the Internal Revenue Code of 1986. The annual limitation may result in the expiration of our net operating losses and credits before they can be used. You should read Note 8 of the notes to our financial statements.

Item 7A. Quantitative and Qualitative Disclosures about Market Risk

The primary objective of our investment activities is to preserve principal while at the same time maximizing the income we receive from our investments without significantly increasing risk. Some of the securities in which we invest may have market risk. This means that a change in prevailing interest rates may cause the fair value amount of the investment to fluctuate. For example, if we hold a security that was issued with a fixed interest rate at the then-prevailing rate and the prevailing interest rate later rises, the market value amount of our investment will decline. To minimize this risk in the future, we intend to maintain our portfolio of cash equivalents and short-term investments in a variety of securities, including commercial paper, money market funds and government and non-government debt securities. In 2001, 2000 and 1999, we maintained an investment portfolio primarily in depository accounts and corporate commercial paper. Due to the short-term nature of these investments, we believe we do not have a material exposure to interest rate risk arising from our investments. Therefore, no quantitative tabular disclosure is provided.

We have operated primarily in the United States, and all funding activities with our collaborators to date have been made in U.S. dollars. Accordingly, we have not had any exposure to foreign currency rate fluctuations.

Item 8. Financial Statements and Supplementary Data

Report of Ernst & Young LLP, Independent Auditors

The Board of Directors and Stockholders
Rigel Pharmaceuticals, Inc.

We have audited the accompanying balance sheets of Rigel Pharmaceuticals, Inc. as of December 31, 2001 and 2000, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 2001. These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these financial statements based on our audits.

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

In our opinion, the financial statements referred to above present fairly, in all material respects, the financial position of Rigel Pharmaceuticals, Inc. at December 31, 2001 and 2000, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2001, in conformity with accounting principles generally accepted in the United States.

/S/ ERNST & YOUNG LLP

Palo Alto, California
January 25, 2002,
except for Note 9 as to which the date is
February 20, 2002

RIGEL PHARMACEUTICALS, INC.

BALANCE SHEETS
(In thousands, except share and per share amounts)

	December 31,	
	2001	2000
Assets		
Current assets:		
Cash and cash equivalents	$ 11,488	$ 49,030
Available-for-sale securities	21,927	3,964
Accounts receivable	1,153	663
Prepaid expenses and other current assets	1,965	1,026
Total current assets	36,533	54,683

Property and equipment, net	8,440	9,338
Other assets	1,475	241
	$ 46,448	$ 64,262
Liabilities and stockholders' equity		
Current liabilities:		
Accounts payable	$ 1,952	$ 1,314
Accrued compensation	671	724
Accrued liabilities	1,104	696
Deferred revenue	3,264	2,370
Capital lease obligations	3,171	2,952
Total current liabilities	10,162	8,056
Capital lease obligations	4,243	5,761
Long-term portion of deferred revenue	2,240	400
Other long-term liabilities	862	1,035
Commitments		
Stockholders' equity:		
Common stock, $0.001 par value; 100,000,000 shares authorized; 37,732,209 and 36,804,186 shares issued and outstanding in 2001 and 2000, respectively	38	37
Additional paid-in capital	109,095	108,742
Deferred stock compensation	(2,452)	(5,792)
Accumulated other comprehensive income	44	2
Accumulated deficit	(77,784)	(53,979)
Total stockholders' equity	28,941	49,010
	$ 46,448	$ 64,262

See accompanying notes.

RIGEL PHARMACEUTICALS, INC.

STATEMENT OF OPERATIONS
(In thousands, except per share amounts)

	Years ended December 31,		
	2001	2000	1999
Revenues:			
Contract revenues from collaborations	$ 15,303	$ 13,218	$ 8,984
Costs and expenses:			
Research and development (See Note A)	32,313	32,034	17,112
General and administrative (See Note A)	7,950	6,689	3,952
	40,263	38,723	21,064
Loss from operations	(24,960)	(25,505)	(12,080)
Interest income	1,957	1,078	311
Interest expense	(802)	(933)	(597)
Net loss	(23,805)	(25,360)	(12,366)
Deemed dividend to Series E preferred stockholders	—	(10,133)	—
Net loss allocable to common stockholders	$ (23,805)	$ (35,493)	$ (12,366)
Net loss per common share, basic and diluted	$ (0.64)	$ (4.89)	$ (4.39)
Weighted average shares used in computing net loss per common share, basic and diluted	37,287	7,263	2,818

Note A:

Includes charges for stock-based compensation as follows:

Research and development	$ 1,596	$ 9,184	$ 2,321
General and administrative	527	976	254
	$ 2,123	$ 10,160	$ 2,575

See accompanying notes.

RIGEL PHARMACEUTICALS, INC.

STATEMENT OF STOCKHOLDERS' EQUITY
(In thousands, except per share and per share amounts)

	Convertible Shares	Preferred Stock Amount	Common Stock Shares	Common Stock Amount	Additional Paid-in Capital	Deferred Stock Compensation	Accumulated Other Comprehensive Income	Accumulated Deficit	Total Stock-holders Equity
Balance at December 31, 1998	19,033,707	$ 19	2,675,333	$ 3	$ 21,676	$ —	$ —	$ (16,253)	$ 5,445
Issuance of Series C preferred stock at $1.14 per share for financing arrangement	20,000	—	—	—	23	—	—	—	23
Issuance of Series D preferred stock at $2.00 per share for cash, net of issuance cost	3,000,000	3	—	—	5,925	—	—	—	5,928
Issuance of Series D preferred stock upon exercise of warrant at $2.00 per share	180	—	—	—	—	—	—	—	—
Issuance of common stock upon exercise of options	—	—	420,501	—	51	—	—	—	51
Compensation expense related to options granted to consultants	—	—	—	—	406	—	—	—	406
Deferred stock compensation	—	—	—	—	7,083	(7,083)	—	—	—
Amortization of deferred stock compensation	—	—	—	—	—	1,269	—	—	1,269
Net loss and comprehensive loss	—	—	—	—	—	—	—	(12,366)	(12,366)
Balance at December 31, 1999	22,053,887	22	3,095,834	3	35,164	(5,814)	—	(28,619)	756
Issuance of Series E preferred stock at $6.00 per share for cash, net of issuance cost	2,541,663	3	—	—	15,247	—	—	—	15,250
Issuance of Series E preferred stock in exchange for a technology license	133,333	—	—	—	1,250	—	—	—	1,250
Issuance of Series D preferred stock upon exercise of warrant at $2.00 per share	167,074	—	—	—	215	—	—	—	215
Conversion of preferred stock to common stock upon closing of initial public offering	(24,895,957)	(25)	24,895,957	25	—	—	—	—	—
Issuance of common stock at $7.00 per share for cash, net of issuance costs	—	—	7,078,571	7	45,553	—	—	—	45,560
Issuance of common stock upon exercise of options	—	—	1,633,824	2	275	—	—	—	277
Issuance of common stock for services	—	—	100,000	—	900	—	—	—	900
Compensation expense related to options granted to consultants	—	—	—	—	5,280	—	—	—	5,280
Deferred stock compensation	—	—	—	—	4,858	(4,858)	—	—	—
Amortization of deferred stock compensation	—	—	—	—	—	4,880	—	—	4,880
Net loss and comprehensive loss	—	—	—	—	—	—	2	(25,360)	(25,358)
Balance at December 31, 2000	—	—	36,804,186	37	108,742	(5,792)	2	(53,979)	49,010
Issuance of common stock upon exercise of options and participation in Purchase Plan	—	—	928,023	1	887	—	—	—	888
Issuance of warrant to purchase common stock for services	—	—	—	—	683	—	—	—	683
Compensation recovery related to options granted to consultants	—	—	—	—	(510)	—	—	—	(510)
Deferred stock compensation	—	—	—	—	285	(285)	—	—	—
Amortization of deferred stock compensation, net of cancellations	—	—	—	—	(992)	3,625	—	—	2,633
Net loss and comprehensive loss	—	—	—	—	—	—	42	(23,805)	(23,763)
Balance at December 31, 2001	—	$ —	37,732,209	$ 38	$ 109,095	$ (2,452)	$ 44	$ (77,784)	$ 28,941

See accompanying notes

RIGEL PHARMACEUTICALS, INC.

STATEMENT OF CASH FLOWS
(In thousands)

	Years ended December 31, 2001	2000	1999
Operating activities			
Net loss	$ (23,805)	$ (25,360)	$ (12,366)

Adjustments to reconcile net loss to net cash used in Operating activities:			
Depreciation and amortization	4,127	2,677	1,906
Amortization of deferred stock compensation, net	2,633	4,880	1,269
Noncash stock (recovery) compensation	(510)	5,280	406
Issuances of equity instruments for noncash benefits	—	2,150	23
Changes in assets and liabilities:			
Accounts receivable	(490)	1,685	(2,348)
Prepaid expenses and other current assets	(939)	(680)	(234)
Other assets	(551)	—	(108)
Accounts payable	638	431	399
Accrued compensation	(53)	436	184
Accrued liabilities	408	(707)	487
Deferred revenue	2,734	(2,956)	2,254
Long-term liabilities	(173)	576	297
Net cash used in operating activities	(15,981)	(11,588)	(7,831)
Investing activities			
Purchase of available-for-sale securities	(47,511)	(3,962)	—
Maturities of available-for-sale securities	29,590	—	—
Capital expenditures	(3,229)	(3,617)	(7,086)
Net cash used in investing activities	(21,150)	(7,579)	(7,086)
Financing activities			
Proceeds from capital lease financing	1,748	3,471	6,696
Principal payments on capital lease obligations	(3,047)	(2,412)	(1,415)
Net proceeds from issuances of common stock	888	45,837	51
Net proceeds from issuances of convertible preferred stock	—	15,465	5,928
Net cash (used in) provided by financing activities	(411)	62,361	11,260
Net (decrease) increase in cash and cash equivalents	(37,542)	43,194	(3,657)
Cash and cash equivalents at beginning of period	49,030	5,836	9,493
Cash and cash equivalents at end of period	$ 11,488	$ 49,030	$ 5,836
Supplemental disclosure of cash flow information			
Interest paid	$ 802	$ 933	$ 597
Schedule of non cash transactions			
Deferred stock compensation	$ 285	$ 4,858	$ 7,083
Issuance of warrants for future services	$ 683	$ —	$ —
Series E deemed dividend	$ —	$ 10,133	$ —

See accompanying notes.

Rigel Pharmaceuticals, Inc.
NOTES TO FINANCIAL STATEMENTS

1. SUMMARY OF SIGNIFICANT ACCOUNTING POLICIES

Nature of operations and basis of presentation

Rigel Pharmaceuticals, Inc. ("Rigel" or the "Company") was incorporated in the state of Delaware on June 14, 1996. The Company is engaged in the discovery and development of a broad range of new small molecule drug candidates.

Use of estimates

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

Cash, cash equivalents and available-for-sale securities

The Company considers all highly liquid investments in debt securities with a remaining maturity from the date of purchase of 90 days or less to be cash equivalents. Cash equivalents consist of money market funds and corporate debt securities. The Company's short-term investments include obligations of governmental agencies and corporate debt securities. By policy, the Company limits concentration of credit risk by diversifying its investments among a variety of high credit-quality issuers.

All cash equivalents and short-term investments are classified as available-for-sale. Available-for-sale securities are carried at amortized cost, and approximated their fair value at December 31, 2001 and 2000. Unrealized gains (losses) are reported in stockholders' equity and included in other comprehensive income. Fair value is estimated based on available market information. The cost of securities sold is based on the specific identification method. For the years ended December 31, 2001 and 2000, gross realized gains and losses on available-for-sale securities were immaterial. See Note 4 for a summary of available-for-sale securities at December 31, 2001 and 2000.

Fair value of financial instruments

Financial instruments, including cash and cash equivalents, accounts receivable, accounts payable, accrued liabilities and accrued compensation are carried at cost or amortized cost, which management believes approximates fair value.

Derivative financial instruments and hedging activities

In June 1998, the Financial Accounting Standards Board ("FASB") issued Statement of Financial Accounting Standards No. 133, "Accounting for Derivative Financial Instruments and for Hedging Activities" ("SFAS 133"), which provides a comprehensive and consistent standard for the recognition and measurement of derivatives and hedging activities. In June 1999, FASB issued Financial Accounting Standards No. 137, which deferred the effective date of SFAS 133 to fiscal years beginning after June 15, 2000. The adoption of SFAS 133 in 2001 did not have an impact on the Company's results of operations or financial condition upon adoption as the Company held and continues to hold no derivative financial instruments and does not currently engage in hedging activities.

Property and equipment

Property and equipment are stated at cost. Depreciation is calculated using the straight-line method over the estimated useful lives of the assets, which range from three to seven years. Leasehold improvements are amortized using the straight-line method over the estimated useful lives of the assets or the term of the lease, whichever is shorter.

Revenue recognition

Non-refundable, up-front payments received in connection with research and development collaboration agreements, including technology access fees, are deferred and recognized on a straight-line basis over the relevant periods of continuing involvement, generally the research term.

Revenues related to collaborative research with the Company's corporate collaborators are recognized as research services are performed over the related funding periods for each contract. Under these agreements, the Company is required to perform research and development activities as specified in each respective agreement. The payments received are not refundable and are generally based on a contractual cost per full-time equivalent employee working on the project. Research and development expenses under the collaborative research agreements approximate or exceed the revenue recognized under such agreements over the term of the respective agreements. Deferred revenue may result if the Company were not to incur the required level of effort during a specific period in comparison to funds received under the respective contracts.

Milestone are recognized pursuant to collaborative agreements upon the achievement of these specified at risk milestones.

Royalties will be recognized as earned in accordance with the contract terms when the third party results are reliably measurable and collectibility is reasonably assured.

Research and development

Research and development expenses include costs for scientific personnel, supplies, equipment, consultants, patent filings, research sponsored by the Company, and allocated facility costs. All such costs are charge to research and development expense as incurred. Collaboration agreements generally specify minimum levels of research effort required to be performed by the Company.

Impairment of 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 121"), the Company reviews long-lived assets, including property and equipment, for impairment whenever events or changes in business circumstances indicate that the carrying amount of the assets may not be fully recoverable. Under SFAS 121, an impairment loss would be recognized when estimated undiscounted future cash flows expected to result from the use of the asset and its eventual disposition is less than its carrying amount. Impairment, if any, is assessed using estimates of fair value, such as determined through the use of discounted cash flows. Through December 31, 2001, there have been no such losses.

Segment reporting

Statement of Financial Accounting Standards No. 131, "Disclosure about Segments of an Enterprise and Related Information" ("SFAS 131") prescribes annual and interim reporting standards

for an enterprise's operating segments and related disclosures about its products, services, geographic areas and major customers. The Company has determined that it operates in only one segment.

Accounting for stock-based compensation

As permitted by Statement of Financial Accounting Standards No. 123, "Accounting for Stock-Based Compensation" ("SFAS 123"), the Company has elected to follow Accounting Principles Board Opinion No. 25, "Accounting for Stock Issued to Employees" and related interpretations ("APB 25") in accounting for its employee stock option grants and to disclose the pro forma effect of SFAS 123. Pro forma net loss information, as required by SFAS 123 is included in Note 7. Options granted to consultants are accounted for using the Black-Scholes method prescribed by SFAS 123, and in accordance with Emerging Issues Task Force Consensus No. 96-18 ("EITF 96-18"), the options are subject to periodic re-valuation over their vesting terms.

Contingencies

We are subject to claims related to the patent protection of certain of our technologies. We are required to assess the likelihood of any adverse judgments or outcomes to these matters as well as potential ranges of probable losses. A determination of the amount of reserves required, if any, for these contingencies is made after careful analysis of each individual issue. The required reserves may change in the future due to new developments in each matter or changes in approach such as a change in settlement strategy in

dealing with these matters.

Net loss per share

Net loss per share has been computed according to Financial Accounting Standards Board Statement No. 128, "Earnings Per Share," which requires disclosure of basic and diluted earnings per share. Basic earnings per share excludes any dilutive effects of options, shares subject to repurchase, warrants and convertible securities. Diluted earnings per share includes the impact of potentially dilutive securities.

The Company's preferred stock converted into common stock upon the closing of the Company's initial public offering in December 2000. For informational purposes, the following unaudited pro forma net loss per share data reflects the assumed conversion of the Company's preferred stock into common stock at the earlier of the beginning of each of the following years or the date of issuance (in thousands except per share information):

	Years Ended December 31,	
	2000	1999
Net loss to common stockholders before deemed dividend	$ (25,360)	$ (12,366)
Weighted-average shares of common stock outstanding	7,263	2,818
Pro forma adjustment to reflect weighted average effect of assumed conversion of preferred stock	22,280	21,178
Total weighted average shares outstanding pro forma	29,543	23,996
Basic and diluted pro forma loss per share	$ (0.86)	$ (0.52)

During all periods presented, the Company had securities outstanding which could potentially dilute basic earnings per share in the future, but were excluded from the computation of diluted net

loss per share, as their effect would have been antidilutive. These outstanding securities consist of the following (in thousands, except per share information):

	December 31,		
	2001	2000	1999
Convertible preferred stock	—	—	22,054
Outstanding options	5,761	5,700	5,242
Warrants	300	457	647
Weighted average exercise price of options	$ 3.48	$ 2.70	$ 0.19
Weighted average exercise price of warrants	$ 5.03	$ 1.01	$ 1.30

Recent accounting pronouncements

In June 2001, the Financial Accounting Standards Board issued Statements of Financial Accounting Standards No. 141, Business Combinations ("FAS 141"), and No. 142, Goodwill and Other Intangible Assets ("FAS 142"), effective for fiscal years beginning after December 15, 2001. Under the new rules, goodwill and intangible assets deemed to have indefinite lives will no longer be amortized but will be subject to annual impairment tests in accordance with FAS 141 and FAS 142. Other intangible assets will continue to be amortized over their useful lives. The Company will apply the new rules on accounting for goodwill and other intangible assets beginning in the first quarter of 2002. Adoption of FAS 141 and FAS 142 are not expected to have a significant impact on the Company's financial position, or results of operations, since it currently does not carry any goodwill or intangible assets.

In October 2001, the Financial Accounting Standards Board issued Statement of Financial Accounting Standards No. 144, Impairment of long-lived Assets ("FAS 144"). FAS 144 supercedes Statement of Financial Accounting Standards No. 121, Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to be Disposed Of ("FAS 121"). FAS 144 retains the requirements of FAS 121 to (a) recognize an impairment loss only if the carrying amount of a long-lived asset is not recoverable from its undiscounted cash flows and (b) measure an impairment loss as the difference between the carrying amount and the fair value of the asset. FAS 144 removes goodwill from its scope. FAS 144 is applicable to financial statements issued for fiscal years beginning after December 15, 2001, or for the Company's fiscal year ended December 31, 2002. The adoption of FAS 144 is not expected to have any material adverse impact on the Company's financial position or results of its operations.

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS

Research agreements

On December 4, 1998, the Company entered into a research collaboration agreement with Johnson and Johnson Pharmaceutical and Development, LLC ("Johnson & Johnson") to research and identify novel targets for drug discovery. Under the terms of the contract, Johnson & Johnson paid a one-time non-refundable, non-creditable fee and will provide support for research activities during the three-year research period, as well as various milestones and royalties. In December of 2001, Johnson & Johnson extended the funded research portion of the collaboration for an additional two years. As part of this collaborative research agreement, Johnson & Johnson participated in the Company's series D and E preferred stock financings. Johnson & Johnson contributed $3,000,000 for 1,500,000 shares of series D preferred stock and contributed $1,000,000 for 166,666 shares of series E preferred stock. The preferred stock purchased by Johnson & Johnson automatically converted to 1,666,666 shares of common stock upon completion of the Company's initial public offering.

On January 31, 1999, the Company entered into a two-year collaborative research agreement with Pfizer Inc. to discover and develop various molecular targets. Upon signing of the agreement, Pfizer was obligated to pay a one-time, nonrefundable, noncreditable fee. Under the terms of the contract, Pfizer will provide support for research for two years, as well as payment for various milestones and royalties if certain conditions are met. On January 25, 2001, Pfizer notified us that it was electing to exercise its option to extend the funded research portion of the collaboration one additional year to January 31, 2002. In conjunction with the agreement, Pfizer contributed an additional $2,000,000 in exchange for 1,000,000 shares of series D preferred stock that subsequently converted to 1,000,000 shares of common stock upon completion of the Company's initial public offering.

On May 28, 1999, the Company entered into a broad collaboration with Novartis Pharma AG (Novartis), whereby the Company and Novartis agreed to work on up to five different research programs to identify various targets for drug development. Two programs were initiated in 1999 while the third program to be conducted at Novartis was

initiated on January 1, 2000. In July of 2001, the Company amended its collaboration with Novartis and initiated the fourth program. This program will be carried out at the Company and provided for an up-front payment of $4.0 million. Novartis notified the Company that it has chosen not to exercise its option for a second program of research that would have been carried out at Novartis. Upon the initiation of each research program, Novartis is obligated to pay a one-time, non-refundable, noncreditable fee. For each of the first two programs, Novartis will provide support for research activities for a period of five years. For all programs, Novartis will provide payment for various milestones and royalties if certain conditions, as denoted in the collaboration agreement, are met. In conjunction with the agreement, Novartis contributed an additional $4,000,000 in exchange for 2,000,000 shares of series D preferred stock that converted to 2,000,000 shares of common stock upon the completion of the Company's initial public offering. The agreement also allowed for an additional equity investment of up to $10,000,000, which was callable by the Company up through an initial public offering. The Company exercised this right and sold to Novartis 1,428,571 shares of common stock at $7.00 per share concurrent with the closing of the Company's initial public offering.

In September 1999, the Company entered into a collaborative research and technology agreement with Cell Genesys, Inc. (Cell Genesys). Cell Genesys granted the Company rights to some of its patents and technology. In exchange, the Company granted Cell Genesys the right to utilize the Company's technology to discover targets in certain therapeutic areas. Both companies will fund their own research. The Company's chief executive officer and president is a member of the Board of Directors of Cell Genesys and the chief executive officer of Cell Genesys is a member of the Company's Board of Directors.

License agreements

In October 1996, Rigel entered into a license agreement with Stanford University for certain patent rights and other know-how relating to the use of retrovirally produced peptide and protein libraries. Under the terms of this agreement, Rigel was required to pay a nonrefundable license fee and minimum royalties and to issue Stanford 65,000 shares of series A preferred stock. The agreement terminates at the earlier of 20 years or 10 years after the date of the first commercial sale. In August 1997, Rigel signed a three-year agreement relating to the 1996 agreement to provide the Company with exclusivity to these patents. Under this agreement, Rigel paid a nonrefundable fee and an exclusivity fee over the next three years and issued Stanford 150,000 shares of series C preferred stock. The preferred stock owned by Stanford converted to 215,000 shares of common stock upon completion of the Company's initial public offering.

At December 31, 2001, the Company's aggregate minimum commitment under all its research agreements is approximately $2.3 million. The minimum commitment is $1.9 million in 2002 and $0.4 million in 2003.

Technology transfer agreement

In September 2000, the Company entered into a technology transfer agreement with Questcor Pharmaceuticals, Inc. and acquired the license and technology to a hepatitis C research program. Under the terms of this agreement, the Company paid a nonrefundable and noncreditable fee of $500,000, and is required to pay future milestones and royalties, and issued to Questcor 83,333 shares of series E preferred stock, which converted to 83,333 of common stock upon the completion of the Company's initial public offering. The Company is also committed to invest a total of $2 million in research and development expenses over a two-year period through 2002. The agreement terminates upon the expiration of the last patent within the agreement. The Company has accounted for the series E preferred stock at $9.00 per share based on the deemed fair value of its common stock at the date of grant. The Company has expensed the aggregate value of approximately $1.2 million in September 2000 as the acquired technology is not yet fully developed and has no alternative use.

3. SIGNIFICANT CONCENTRATIONS

For the year ended December 31, 2001, Pfizer, Janssen and Novartis accounted for 17%, 27% and 56% of total revenues, respectively. For the year ended December 31, 2000, Pfizer, Johnson & Johnson and Novartis accounted for 22%, 25% and 52% of total revenues, respectively. For the year ended December 31, 1999, Pfizer, Janssen and Novartis accounted for 34%, 32% and 34% of total revenues, respectively. Accounts receivable relate mainly to these three collaborative partners. The Company does not require collateral or other security for accounts receivable.

4. AVAILABLE-FOR-SALE SECURITIES

Available-for-sale securities consist of the following (in thousands):

	Amortized Cost and Fair Value at December 31,	
	2001	2000
Money market funds	$ 11,488	$ 49,030
Corporate commercial paper	21,927	3,964
	$ 33,415	$ 52,994
Reported as:		
Cash and cash equivalents	$ 11,488	$ 49,030
Available-for-sale securities	21,927	3,964
	$ 33,415	$ 52,994

At December 31, 2001, the available-for-sale securities had maturities of less than one year, with an average maturity of approximately 137 days.

There were no material gross realized gains or losses from sales of securities in the periods presented. Recorded unrealized gains and losses on available-for-sale securities were not material at December 31, 2001 and 2000.

5. PROPERTY AND EQUIPMENT

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

	Years Ended December 31,	
	2001	2000
Laboratory and office equipment	$ 14,667	$ 12,107
Leasehold improvements	3,169	3,092
Construction in progress	592	—
Total property and equipment	18,428	15,199
Less accumulated depreciation and amortization	(9,988)	(5,861)
Property and equipment, net	$ 8,440	$ 9,338

At December 31, 2001 and 2000, equipment under capital leases was approximately $15,155,000 and $13,407,000, respectively with accumulated depreciation and amortization of approximately $8,487,000 and $5,170,000, respectively. Amortization expense was $1,042,000, $253,000, and 177,000 for the years ended December 31, 2001, 2000, and 1999, respectively.

6. LONG-TERM OBLIGATIONS

At December 31, 2001, future minimum lease payments and obligations under all noncancelable leases were as follows (in thousands):

	Capital Leases	Facilities Leases	Improvements Leasehold
2002	$ 3,829	$ 3,494	$ 13,902
2003	3,248	7,388	4,103
2004	1,318	7,607	—
2005	111	8,159	—
2006	—	9,151	—
2007 and thereafter	—	125,353	—
Total minimum payment required	8,506	$ 161,152	$ 18,005
Less amount representing interest	(1,092)		
Present value of future lease payments	7,414		
Less current portion	(3,171)		
Noncurrent obligations under capital leases	$ 4,243		

The Company currently leases its South San Francisco office and research facility under a noncancelable operating lease which would expire in February 2015. However, in May of 2001, the Company entered into a 15-year non-cancelable lease for its future office and research facilities in South San Francisco, California. The lease can be extended for two five year extension periods. Under the terms of this lease, the Company will occupy these new facilities in late 2002 and will concurrently terminate its lease of the current facilities at Britannia Pointe Grand in South San Francisco. In connection with the termination of the current Britannia Pointe Grand lease, the Company has

accelerated the amortization of tenant improvements and accrued rent charges over the expected remaining life of the lease and expects to incur minimal costs in connection with the terminated lease.

The future research and office facilities are currently under construction as a build-to-suit facility. The Company is obligated to fund approximately $18.0 million of the total tenant improvement obligations. Of this amount, the Company has incurred approximately $0.9 million in pre-construction costs associated with the new facility through December 31, 2001. These costs are currently being capitalized on the balance sheet as construction-in-progress. These leasehold improvements will be amortized ratably over the term of the lease, which is fifteen years, upon occupation of the buildings. The Company is currently evaluating the appropriate accounting treatment for the new facility lease as either a capital or operating lease in accordance with FAS 13. Rent expense under all operating leases amounted to approximately $2,167,000, $2,252,000 and $1,756,000 for the years ended December 31, 2001, 2000 and 1999, respectively.

In 1997, the Company entered into an equipment lease line agreement for up to $2,000,000, which was fully utilized in 1998. In June 1998, the Company entered into a second equipment lease line agreement for up to $3,000,000, which was fully utilized in June 1999.

In June 1999 and August 1999, the Company entered into two additional equipment lease line agreements for an aggregate total of $6,000,000, or $3,000,000, each additional lease agreement. These lines were fully utilized in May 2000.

In August 2000, the Company entered into an additional equipment lease line agreement for an aggregate total of $5,000,000. The Company had the ability to draw down on this facility up to August 2001. At December 31, 2001, the Company had utilized $4,148,000 of the facility and therefore had no availability for future draw down under the facility as the remaining amount expired unused.

The lease periods for all equipment leases are for four years. The interest on each lease is fixed at the time of the draw down with the interest rates ranging from 7% to 15%. Obligations under all leases are secured by the assets financed under the leases.

7. STOCKHOLDERS' EQUITY

Preferred and common stock

In February 2000, the Company completed a private placement of 2,508,330 shares of series E preferred stock at $6.00 per share for net proceeds of approximately $15.1 million. At the date of issuance, the Company believed the per share price of $6.00 represented the fair value of the preferred stock. Subsequent to the commencement of the Company's initial public offering process, the Company re-evaluated the fair value of its common stock as of February 2000 and determined it to be $9.00 per share. Accordingly, the increase in fair value has resulted in a beneficial conversion feature of $10.0 million that has been recorded as a deemed dividend to the preferred stockholders in 2000. The Company recorded the deemed dividend at the date of issuance by offsetting charges and credits to additional paid-in-capital without any effect on total stockholders' equity. The preferred stock dividend increases the net loss allocable to common stockholders in the calculation of basic and diluted net loss per common share in 2000. Also in February 2000, the Company issued 50,000 shares of series E preferred stock for a license of technology. The Company valued the license at $500,000 and has expensed this amount in 2000 as the useful life is deemed to be less than one year.

In August 2000, the Company issued 33,333 shares of series E preferred stock to a director of the Company. The Company recorded a deemed dividend of approximately $100,000 at the time of issuance.

Warrants

In conjunction with the equipment lease line executed in April 1997, the Company issued a warrant to purchase 175,000 shares of series B preferred stock at an exercise price of $0.80 per share. Upon the closing the Company's initial public offering, this warrant automatically converted to a warrant to purchase 175,000 shares of common stock at $0.80 per share. These warrants were exercised in June of 2001 and are no longer outstanding as of December 31, 2001.

In conjunction with the equipment lease line executed in June 1998, the Company issued a warrant to purchase 131,578 shares of series C preferred stock at an exercise price of $1.14 per share. Upon the closing the Company's initial public offering, this warrant automatically converted to a warrant to purchase 131,578 shares of common stock at $1.14 per share. These warrants were exercised in June of 2001 and are no longer outstanding as of December 31, 2001.

In conjunction with the facilities lease entered into in June 1998, the Company issued three warrants to purchase an aggregate of 150,000 shares of common stock at an exercise price of $1.14 per share. The warrants are exercisable at any time up to November 28, 2007, the seventh anniversary of the closing of the Company's initial public offering.

In conjunction with the facilities lease entered into in May 2001, the Company issued a warrant to purchase 150,000 shares of its common stock at an exercise price of $8.91 per share, a 15% premium to market at the time of issuance. This warrant will expire on May 16, 2006. The fair market value of this warrant, as determined by the Black-Scholes valuation model, was approximately $683,000. This amount has been capitalized in other long term assets and will be amortized into expense over the life of the lease.

Stock option plans

In January 2000, the Company adopted the 2000 Equity Incentive Plan (the "2000 Plan"), which was approved in March 2000 by the Company's stockholders. The 2000 Plan is an amendment and restatement of the 1997 Stock Option Plan. Under the 2000 Plan, incentive stock options, nonstatutory stock options and shares of common stock may be granted to employees and directors of, or consultants to, the Company and its affiliates. As of December 31, 2001, a total of 6,651,000 shares of common stock have been authorized for issuance under the 2000 Plan.

In July 2001, the Company adopted the 2001 Non-Officer Equity Incentive Plan (the "2001 Plan"). Under the 2001 Plan, nonstatutory stock options may be granted to employees of, or consultants to, the Company and its affiliates. As of December 31, 2001, a total of 3,500,000 shares of common stock have been authorized for issuance under the 2001 Plan.

Options granted under the Company's stock option plans expire no later than ten years from the date of grant. The option price of each incentive stock option shall be at least 100% of the fair value on the date of grant, and the option price for each nonstatutory stock option shall be not less than 85% of the fair value on the date of grant, as determined by the board of directors. Options may be granted with different vesting terms from time to time but not to exceed five years from the date of grant.

In August 2000, the Company adopted the 2000 Non-Employee Directors Stock Option Plan (the "Directors' Plan"), which was approved in September 2000 by the Company's stockholders. Each non-employee director who becomes a director of the Company will be automatically granted a nonstatutory stock option to purchase 20,000 shares of common stock on the date on which such person first becomes a director. At each board meeting immediately following each annual meeting of

stockholders, beginning with the board meeting following the 2001 Annual Stockholders Meeting, each non-employee director will automatically be granted a nonstatutory option to purchase 5,000 shares of common stock. The exercise price of options under the Directors' Plan will be equal to the fair market value of the common stock on the date of grant. The maximum term of the options granted under the Directors' Plan is ten years. All grants under the Directors' Plan will vest monthly over two years from date of grant. The Directors' Plan will terminate in September 2009, unless terminated earlier in accordance with the provisions of the Directors' Plan. As of December 31, 2001, a total of 300,000 shares of common stock have been authorized for issuance under the Directors' Plan.

Activity under all the option plans through December 31, 2001 is as follows:

	Shares Available For Grant	Number of Options	Weighted-Average Exercise Price
Outstanding at December 31, 1998	1,805,417	3,354,250	$ 0.14
Authorized for grant	4,200,000	—	
Granted	(2,783,000)	2,783,000	0.24
Exercised	—	(423,001)	0.25
Cancelled	472,245	(472,245)	0.16
Outstanding at December 31, 1999	3,694,662	5,242,004	0.19
Authorized for grant	300,000	—	—
Shares granted out of the plans	(100,000)	100,000	—

Granted	(2,563,609)	2,563,609	6.09
Exercised	—	(1,733,824)	0.16
Cancelled	501,991	(501,991)	3.47
Options outstanding at December 31, 2000	1,833,044	5,669,798	2.70
Authorized for grant	3,500,000	—	—
Granted	(1,031,901)	1,031,901	6.21
Exercised	—	(552,388)	0.57
Cancelled	388,238	(388,238)	3.05
Options outstanding at December 31, 2001	4,689,381	5,761,073	$ 3.48

Details of the Company's stock options by exercise price is as follows:

	Options Outstanding			Options Exercisable	
Exercise Price	Number of Outstanding Options	Weighted-Average Remaining Contractual Life	Weighted-Average Exercise Price	Number of Options	Weighted-Average Exercise Price
$0.10 - $0.20	2,081,260	6.85	$ 0.18	995,932	$ 0.17
$0.30 - $4.50	1,953,624	8.20	$ 3.13	848,822	$ 3.14
$5.77 - $9.00	1,458,573	9.18	$ 7.51	254,084	$ 7.91
$9.13 - $11.00	267,616	8.32	$ 9.67	124,748	$ 9.79
$0.10 - $11.00	5,761,073	7.97	$ 3.48	2,223,586	$ 2.73

The weighted-average fair value of the options granted in 2001, 2000 and 1999, was $3.57, $3.32 and $0.06 respectively.

Pro forma information regarding net loss and net loss per share is required by SFAS 123 and has been determined as if the Company had accounted for its employee stock options and employee stock purchase plan under the fair value method prescribed by the Statement. The fair value for these options was estimated at the date of grant using the Black-Scholes model in 2001 and the minimum value method in 2000 and 1999 with the following weighted-average assumptions for the years ended December 31, 2001, 2000 and 1999: risk-free interest rates of 3.7%, 4.8% and 6.0%, respectively; volatility of 0.65 in 2001; an expected option life of five years; and no dividend yield.

For purposes of pro forma disclosures, the estimated fair value of the options is amortized to expense over the vesting period of the options. The Company's pro forma information follows (in thousands, except per share amounts):

	Years Ended December 31,		
	2001	2000	1999
Net loss allocable to common stockholders:			
As reported	$ (23,805)	$ (35,493)	$ (12,366)
Pro forma	(26,613)	(37,309)	(12,413)
Basic and diluted net loss per common share:			
As reported	$ (0.64)	$ (4.89)	$ (4.39)
Pro forma	(0.71)	(5.14)	(4.40)

The Company granted 115,000, 358,563, and 334,000 common stock options to consultants in exchange for services in 2001, 2000 and 1999, respectively. The Company has recorded compensation expense related to these options of ($510,000), $5,280,000, and 406,000 for the years ended December 31, 2001, 2000, and 1999, respectively. In accordance with SFAS 123 and EITF 96-18, options granted to consultants are periodically revalued as they vest. In January 2000, the Company recorded an expense of $664,000 related to the accelerated vesting of an option to purchase 75,000 shares of common stock issued to a consultant for services. Also in January 2000, the Company granted a total of 100,000 shares of common stock to two individuals for consulting services performed in 1999. The Company has recorded $900,000 of compensation expense related to these grants in 1999.

The Company has recorded deferred stock compensation with respect to options granted to employees of approximately $0.3 million, $4.9 million and $7.1 million in the years ended December 31, 2001, 2000 and 1999, respectively, representing the difference between the exercise price of the options and the deemed fair value of the common stock on the date of the grant. These amounts are being amortized to operations over the vesting periods of the options using the graded vesting method. Such amortization expense amounted to approximately $1.3 million, $4.9 million and $3.6 million for the years ended December 31, 1999, 2000 and 2001, respectively, and is expected to be approximately $1.7 million in 2002, $0.7 million in 2003 and $0.1 million in 2004.

2000 employee stock purchase plan

In August 2000, the Company adopted its 2000 Employee Stock Purchase Plan (the "Purchase Plan"), which was approved in September 2000 by the Company's stockholders. The Purchase Plan permits eligible employees to purchase common stock at a discount through payroll deductions during defined offering periods. The price at which the stock is purchased is equal to the lower of 85% of the fair market value of the common stock on the first day of the offering or 85% of the fair market value of the Company's common stock on the purchase date. The initial offering period commenced on the effective date of the Company's initial public offering. The Company issued 120,458 shares of common stock during 2001 pursuant to the Purchase Plan at an average price of $4.98 per share. The weighted

average fair value of stock issued under the plan was $2.42. A total of 400,000 shares of the Company's common stock were initially reserved for issuance under the Purchase Plan. The Purchase Plan provides for annual increases in the number of shares available for issuance under the Purchase Plan on each anniversary date of the effective date of the offering. The number of shares reserved automatically is equal to the lesser of 400,000 shares, 1% of the outstanding shares on the date of the annual increase or such amount as may be determined by the board. During 2001, the number of shares reserved for future issuance under the Purchase Plan was increased by 376,587.

Reserved shares

As of December 31, 2001, the Company has reserved shares of common stock for future issuance as follows:

	December 31, 2001
Warrants	300,000
Incentive stock plans.	10,450,454
Purchase Plan	656,129
Total	11,406,583

8. INCOME TAXES

Deferred income taxes reflect the net tax effects of temporary differences between the carrying amounts of assets and liabilities for financial reporting purposes and the amounts used for income tax purposes. Significant components of the Company's deferred tax assets are as follows:

	Years Ended December 31,	
	2001	2000
Deferred tax assets		
Net operating loss carryforwards	$ 18,500	$ 13,600
Research and development credits	3,100	1,900
Capitalized research and development expenses	2,000	1,600
Compensation expense on non-qualified stock options	1,200	3,600
Other, net	2,600	300
Total deferred tax assets	27,400	21,000
Valuation allowance	(27,400)	(21,000)
Net deferred tax assets	$ —	$ —

Realization of deferred tax assets is dependent upon future earnings, if any, the timing and amount of which are uncertain. Accordingly, the net deferred tax assets have been fully offset by a valuation allowance. The valuation allowance increased by $6.4 million,$10.2 million, and $4.4 million during 2001, 2000, and 1999, respectively.

As of December 31, 2001, the Company had net operating loss carryforwards for federal income tax purposes of approximately $53.0 million, which expire in the years 2010 through 2021, and federal research and development tax credits of approximately $2.1 million, which expire in the years 2012 through 2021.

Utilization of the net operating loss and credit may be subject to a substantial annual limitation due to the "change in ownership" provisions of the Internal Revenue Code of 1986 (IRC) and similar

state provisions. The annual limitation may result in the expiration of net operating losses and credits before utilization.

Deferred income taxes reflect the net tax effects of temporary differences between the carrying amounts of assets.

9. SUBSEQUENT EVENTS

Equipment Financing

In January 2002, the Company entered into an additional equipment lease line agreement for an aggregate total of $2,000,000. The Company also issued warrants to purchase 23,810 shares of common stock at an exercise price of $4.20 per share in conjunction with the agreement. The Company will properly account for the fair value of the warrants using the Black-Scholes model. The Company has the ability to draw down on this facility up to August 2002.

Equity Financings

During January 2002, the Company issued 7,000,000 shares of common stock in a registered direct offering to certain institutional investors at a price of $4.50 per share. The Company received net proceeds of approximately $29.4 million after deducting commissions and offering costs.

During February 2002, the Company issued 465,117 shares of common stock in a registered direct offering to a certain institutional investor at a price of $4.30 per share. The Company received net proceeds of approximately $1.8 million after deducting commissions and offering costs.

10. SELECTED QUARTERLY FINANACIAL DATA (unaudited, in thousands, except per share amounts)

	Year Ended December 31, 2001				Year Ended December 31, 2000			
	Q1	Q2	Q3	Q4	Q1	Q2	Q3	Q4
Revenue	$ 3,194	$ 3,123	$ 4,206	$ 4,780	$ 3,648	$ 3,148	$ 3,211	$ 3,211

Loss from operations	$ (4,744)	$ (7,597)	$ (6,416)	$ (6,203)	$ (7,908)	$ (4,448)	$ (7,256)	$ (5,893)
Deemed dividend to Series E preferred stockholders	—	—	—	—	$ (10,033)	—	$ (100)	—
Net loss applicable to common stockholders	$ (4,160)	$ (7,315)	$ (6,219)	$ (6,111)	$ (17,947)	$ (4,410)	$ (7,370)	$ (5,766)
Earnings per share to common stockholders, basic & diluted	$ (0.11)	$ (0.20)	$ (0.17)	$ (0.16)	$ (4.60)	$ (1.00)	$ (1.62)	$ (0.36)
Weighted average shares used in computing net loss per common share, basic & diluted	36,901	37,094	37,516	37,628	3,904	4,419	4,561	16,065
Pro forma net loss per share, basic & diluted				$	(0.65)	$ (0.15)	$ (0.25)	$ (0.18)
Weighted average shares used in computing pro forma net loss per share, basic & diluted					27,640	29,140	29,295	31,992

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

Not applicable.

PART III

Item 10. Directors and Executive Officers of the Registrant

Executive Officers and Directors

Set forth below is the name, age, position and a brief account of the business experience of each of our executive officers and directors as of February 15, 2002.

Name	Age	Position
James M. Gower	53	Chief Executive Officer, Chairman of the Board and Director
Brian C. Cunningham	58	President and Chief Operating Officer
Donald G. Payan, MD	53	Executive Vice President, Chief Scientific Officer and Director
James H. Welch	44	Vice President, Chief Financial Officer and Secretary
Raul R. Rodriguez	41	Vice President, Business Development
Susan Molineaux, PhD	48	Vice President, Biology
Jean Deleage, PhD(1)	61	Director
Alan D. Frazier(2)	49	Director
Walter H. Moos, PhD(2)	47	Director
Stephen A. Sherwin, MD(1)	53	Director
Thomas S. Volpe(1)	50	Director

(1) Member of the audit committee.
(2) Member of the compensation committee.

James M. Gower has been our Chairman of the Board and Chief Executive Officer since October 2001. Mr. Gower joined us as our President, Chief Executive Officer and as a member of our board of directors in January 1997. From 1992 to March 1996, Mr. Gower was President and Chief Executive Officer of Tularik Inc., a biotechnology company developing small-molecule drugs regulating gene expression. Prior to Tularik, Mr. Gower spent ten years at Genentech, Inc., a biopharmaceutical company, where he most recently served as Senior Vice President. During his ten years at Genentech, Mr. Gower was responsible for business development and sales and marketing functions. In addition, he established and managed Genentech's foreign operations in Canada and Japan and served as President of Genentech Development Corporation. Mr. Gower serves on the board of directors of Cell Genesys, Inc. He holds a BS and an MBA in operations research from the University of Tennessee.

Brian C. Cunningham has been our President and Chief Operating Officer since October 2001. Mr. Cunningham was our Secretary from July 1996 to October 2001. In July 1998, he joined us as Senior Vice President and Chief Operating Officer, and from February 1999 until October 2001, he was our Chief Financial Officer. From January 1989 to September 1998, Mr. Cunningham was a partner in the law firm Cooley Godward LLP, where he was head of the Life Sciences Group and the Health Care Group. From May 1982 to December 1989, he served as Vice President, Secretary and General Counsel of Genentech Inc. Mr. Cunningham holds a BS in engineering science and a JD from Washington University.

Donald G. Payan, MD is our co-founder, has been a member of our board of directors since July 1996 and has served as our Executive Vice President and Chief Scientific Officer since January 1997. From January 1997 to July 1998, he also served as our Chief Operating Officer. From July 1996 to January 1997, Dr. Payan served as our President and Chief Executive Officer. From December 1995 to May 1996, Dr. Payan was Vice President of AxyS Pharmaceuticals, Inc., a biopharmaceutical company. From September 1993 to December 1995, Dr. Payan was the founder and Executive Vice President and Chief Scientific Officer of Khepri Pharmaceuticals, Inc., which merged

with AxyS Pharmaceuticals. Dr. Payan continues his association with the University of California, San Francisco, which began in 1982, where he is currently an Adjunct Professor of Medicine and Surgery. Dr. Payan holds a BS and an MD from Stanford University.

James H. Welch has been our Vice President, Chief Financial Officer and Secretary since October 2001. Mr. Welch joined us as our Vice President, Finance and Administration and Assistant Secretary in May 1999. From June 1998 to May 1999, he served as an independent consultant at various companies. From February 1997 to June 1998, Mr. Welch served as Chief Financial Officer of Biocircuits Corporation, a manufacturer of medical diagnostic equipment, and from June 1992 to February 1997, he served as Corporate Controller of Biocircuits. Previously, Mr. Welch held various positions at NeXT Computer, Inc., most recently as Division Controller. Mr. Welch holds a BA in business administration from Whitworth College and an MBA from Washington State University.

Raul R. Rodriguez joined us as our Vice President, Business Development in April 2000. From 1997 to March 2000, he served as Senior Vice President, Business Development and Operations for Ontogeny, Inc., a biotechnology company. From 1994 to 1997, he served as the Executive Director, Business Development and Market Planning for Scios, Inc., a pharmaceutical company. Mr. Rodriguez holds an AB in history and science from Harvard University, an MPH from the University of Illinois and an MBA from Stanford University.

Susan Molineaux, PhD has been our Vice President, Biology since January 2002. Dr. Molineaux joined us as our Senior Director, Combinatorial Biology and Drug Discovery in February, 2000. From 1999 to 2000, Dr. Molineaux has served as Vice President of Biology at Praelux Inc. From 1994 to 1999 she served as Vice President of Drug Development Research at Praecis Pharmaceuticals. From 1989 to 1992, she served as Senior Research Immunologist in the Immunology Department at Merck and Co. Dr. Molineaux holds a PhD in genetics from Johns Hopkins University and a BA in biology from Smith College.

Jean Deleage, PhD joined us as a director in January 1997. Dr. Deleage is a founder and managing director of Alta Partners, a venture capital firm investing in information technologies and life sciences companies. Dr. Deleage is a managing partner of Burr, Egan, Deleage & Co., a venture capital firm that he founded in 1979. Dr. Deleage was a founder of Sofinnova, a venture capital organization in France, and Sofinnova, Inc., the U.S. subsidiary of Sofinnova. Dr. Deleage currently serves on the board of directors of Aclara Biosciences, Inc., Crucell, N.V., Kosan Biosciences, Inc. and Telik, Inc. Dr. Deleage received a Baccalaureate in France, a Masters Degree in electrical engineering from the Ecole Superieure d'Electricite and a PhD in economics from the Sorbonne.

Alan D. Frazier joined us as a director in October 1997. In 1991, Mr. Frazier founded Frazier & Company, a venture capital firm, and has served as the managing principal since its inception. From 1983 to 1991, Mr. Frazier served as Executive Vice President, Chief Financial Officer and Treasurer of Immunex Corporation, a biopharmaceutical company. From 1980 to 1983, Mr. Frazier was a principal in the Audit Department of Arthur Young & Company (now Ernst & Young). He also serves on the board of trustees of the Fred Hutchinson Cancer Research Center, the Technology Alliance of Washington, Voyager Capital's Advisory Board and the Washington Venture Capital Association. Mr. Frazier holds a BA in economics from the University of Washington.

Walter H. Moos, PhD joined us as a director in March 1997. Since 1997, Dr. Moos has served as the Chairman and Chief Executive Officer of MitoKor, a biotechnology company. From 1991 to 1997, he served as Corporate Vice President and Vice President, Research and Development in the Technologies Division of Chiron Corporation, a biotechnology company. From 1982 to 1991, Dr. Moos held several positions at the Parke-Davis Pharmaceutical Research Division of the Warner-Lambert Company, last holding the position of Vice President, Neuroscience and Biological Chemistry. He has been an Adjunct Professor at the University of California, San Francisco, since 1992. Dr. Moos holds an AB from Harvard University and a PhD in chemistry from the University of California, Berkeley.

Stephen A. Sherwin, MD joined us as a director in March 2000. Since March 1990, he has served as Chief Executive Officer and director of Cell Genesys, Inc. and as Chairman of the Board of Cell Genesys since March 1994. From March 1990 to August 2001, Dr. Sherwin held the additional position of President of Cell Genesys. From 1983 to 1990, Dr. Sherwin held various positions at Genentech Inc., most recently as Vice President, Clinical Research. Dr. Sherwin also currently serves as a director of Abgenix, Inc. and Neurocrine Biosciences, Inc. He received his MD from Harvard Medical School and his BA from Yale University.

Thomas S. Volpe joined us as a director in August 2000. Mr. Volpe is the Chairman and Chief Executive Officer of Volpe Investments, LLC a risk capital investment firm. Until May 2001, he was the Chairman of Prudential Volpe Technology Group. From 1986 to 1999, Mr. Volpe was President, Chief Executive Officer and founder of Volpe Brown Whelan & Company, a risk capital and investment banking firm focused on rapidly growing entrepreneurial companies. Prior to forming Volpe Brown Whelan & Company, he was President, Chief Executive Officer and a member of the board of directors and management committee of Hambrecht & Quist Incorporated. Before joining Hambrecht & Quist, Mr. Volpe was Head of the Science and Technology Group of Blyth Eastman PaineWebber. Mr. Volpe also serves on the board of directors of Linear Technology Corporation. Mr. Volpe holds an AB in economics from Harvard University, an MSc in economics from the London School of Economics and an MBA from the Harvard Business School.

Our executive officers are appointed by our board of directors and serve until their successors are elected or appointed. There are no family relationships among any of our directors or executive officers. No director has a contractual right to serve as a member of our board of directors.

Compliance with Section 16(a) of the Exchange Act

Section 16(a) of the Securities Exchange Act of 1934 (the "1934 Act") requires the Company's directors and executive officers, and persons who own more than ten percent of a registered class of the Company's equity securities, to file with the SEC initial reports of ownership and reports of changes in ownership of Common Stock and other equity securities of the Company. Officers, directors and greater than ten percent stockholders are required by SEC regulation to furnish the Company with copies of all Section 16(a) forms they file.

To the Company's knowledge, based solely on a review of the copies of such reports furnished to the Company and written representations that no other reports were required, during the fiscal year ended December 31, 2001, all Section 16(a) filing requirements applicable to its officers, directors and greater than ten percent beneficial owners were complied with.

Item 11. Executive Compensation

The following table sets forth information concerning the compensation that we paid during the fiscal years ended December 31, 2001, 2000 and 1999 to our Chief Executive Officer and each of the four other most highly compensated executive officers who earned more than $100,000 during 2001.

		Annual Compensation		Long Term Compensation	
Name and Principal Position	Year	Salary	Bonus	Securities Underlying Options/SARS(1)	All other Compensation
James M. Gower	2001	$ 288,837	$ 50,000	—	—
Chief Executive Officer, Chairman of the Board and Director	2000	267,800	—	—	—
	1999	255,000	—	450,000	—
Brian C. Cunningham	2001	269,626	50,000	—	—
President and Chief Operating Officer	2000	257,500	—	200,000	—
	1999	250,000	—	—	—
Donald G. Payan	2001	263,833	60,000	—	—
Executive Vice President and Chief Scientific Officer and Director	2000	247,200	—	—	—
	1999	235,417	—	150,000	—

James H. Welch	2001	163,271	30,000	—		—
Vice President, Chief Financial Officer and Secretary	2000	154,500	—	50,000		—
	1999	100,000	25,000	150,000		—
Raul Rodriguez(2)	2001	216,321	15,000	—		—
Vice President, Business Development	2000	165,000	—	245,000	$	12,226(3)
	1999	—	—	—		—

(1) Options granted in 1999 were made under our 1997 Stock Option Plan. Options granted in 2000 were made under our 2000 Equity Incentive Plan.
(2) Mr. Rodriguez began employment effective April 3, 2000.
(3) Other compensation consists of relocation costs incurred by Rigel on behalf of Mr. Rodriguez.

We did not make any option grants to our Chief Executive Officer or any of our four other most highly paid executive officers during 2001.

The following table sets forth summary information regarding the number and value of shares acquired upon exercise of options in 2000 and options held as of December 31, 2001 for our Chief Executive Officer and each of our four most highly compensated executive officers. Amounts shown in the "Value of Unexercised In-the-Money Options at December 31, 2001" column are based on the closing market price on December 31, 2001 of $4.65 per share, without taking into account any taxes that may be payable in connection with the transaction, multiplied by the number of shares underlying the option, less the aggregate exercise price payable for these shares.

Aggregated Option Exercises in Last Fiscal Year and Fiscal Year-End Option Values

Name	Shares Acquired on Exercise(#)	Value Realized	Number of Securities Underlying Unexercised Options at December 31, 2001		Value of Unexercised In-the-Money Options at December 31, 2001	
			Vested	Unvested	Vested	Unvested
James M. Gower	—	—	255,000	195,000	$ 1,134,750	$ 867,750
Donald G. Payan.	—	—	85,000	65,000	378,250	289,250
Brian C. Cunningham	—	—	237,499	262,501	651,872	728,128
James H. Welch	—	—	63,958	98,542	181,594	326,531
Raul Rodriguez	—	—	112,291	132,709	16,844	19,906

Compensation of Directors

Rigel does not provide cash compensation to members of its board of directors for serving on the board of directors or for attendance at committee meetings. The members of the board of directors are eligible for reimbursement for their expenses incurred in connection with attendance at board meetings in accordance with Rigel policy.

Each of our non-employee directors also receives stock option grants under the 2000 Non-Employee Directors' Stock Option Plan, or Directors' Plan. Only non-employee directors or their affiliates are eligible to receive options under the Directors' Plan. Options granted under the Directors' Plan are not intended to qualify as incentive stock options under the Internal Revenue Code of 1986, as amended.

Option grants under the Directors' Plan are non-discretionary. Each person who is elected or appointed for the first time to be a non-employee director automatically receives, upon the date of his or her initial election or appointment to be a non-employee director by the board or Rigel stockholders, an initial grant to purchase 20,000 shares of common stock on the terms and conditions set forth in the plan. In addition, on the day following the annual meeting of stockholders each year, each non-employee director who continues to serve as a non-employee director automatically receives an annual option to purchase 5,000 shares of common stock. No other options may be granted at any time under the Directors' Plan. The exercise price of options granted under the Directors' Plan is 100% of the fair market value of our common stock on the date of the option grant. The options vest over two years in equal monthly installments provided that the non-employee director continues to provide services to Rigel. The term of options granted under the Directors' Plan is ten years. In the event of a merger of Rigel with or into another corporation or a consolidation, acquisition of assets or other change-in-control transaction involving us, each option either will continue in effect, if we are the surviving entity, or if neither assumed nor substituted, will accelerate and the option will terminate if not exercised prior to the consummation of the transaction.

Pursuant to the Directors' Plan, on July 19, 2001, the day after our 2001 annual meeting of stockholders, we granted options covering 5,000 shares of common stock to each of Drs. Deleage, Moos and Sherwin and Mr. Volpe each at an exercise price of $8.17 per share. These options vest in a series of 24 equal monthly installments beginning on the grant date. Mr. Frazier declined the option grant he would have otherwise received under the Directors' Plan.

Employment Contracts and Termination of Employment and Change of Control Arrangements

We have an employment agreement with Dr. Payan, our Executive Vice President and Chief Scientific Officer, dated as of January 16, 1997, and continuing indefinitely. Under the agreement, Dr. Payan is entitled to receive an annualized base salary of $185,000 and was issued 750,000 shares of our common stock. As of January 16, 2000, all such shares were fully vested and not subject to a right of repurchase by us. Either Rigel or Dr. Payan may terminate his employment at any time for any reason. If we terminate Dr. Payan's employment without cause, he will receive a severance payment equal to one year's base salary.

Item 12. Security Ownership of Certain Beneficial Owners and Management

The following table shows information known to us with respect to the beneficial ownership of our common stock as of February 15, 2002, by:

- each person or group who beneficially owns more than 5% of our common stock;
- our chief executive officer;

- each of our four other most highly compensated executive officers whose compensation exceeded $100,000 during 2001;
- each of our directors; and
- all of our directors and executive officers as a group.

Beneficial ownership of shares is determined under the rules of the Securities and Exchange Commission and generally includes any shares over which a person exercises sole or shared voting or investment power. Except as indicated by footnote, and subject to applicable community property laws, each person identified in the table possesses sole voting and investment power with respect to all shares of common stock held by them. Shares of common stock subject to options currently exercisable or exercisable within 60 days of February 15, 2002 and not subject to repurchase as of that date are deemed outstanding for calculating the percentage of outstanding shares of the person holding these options, but are not deemed outstanding for calculating the percentage of any other person. Applicable percentage ownership in the following table is based on 44,807,880 shares of common stock outstanding

as of February 15, 2002. Unless otherwise indicated, the address of each of the named individuals is c/o Rigel Pharmaceuticals, Inc., 240 East Grand Avenue, South San Francisco, California 94080.

Beneficial Owner	Outstanding Shares of Common Stock	Shares Issuable Pursuant to Options Exercisable Within 60 Days of February 15, 2002	Percent of Total Outstanding Shares Beneficially Owned
Five percent stockholders			
Entities affiliated with Lombard Odier & Cie(1) 11, rue de la Corraterie 1204 Geneva 11 Switzerland	6,269,538	—	14%
Entities affiliated with Alta Partners(2) One Embarcadero Center, Suite 4050 San Francisco, CA 94111	5,832,923	—	13%
Entities affiliated with Frazier and Company, Inc.(3) 601 Union Street, Suite 2110 Seattle, WA 98101	4,347,719	—	9.7%
Novartis Pharma AG Head Financial Investments CH-4002 Basil, Switzerland	3,428,571	—	7.7%
Directors and named executive officers			
James M. Gower	507,142	285,000	1.8%
Donald G. Payan, MD	750,000	95,000	1.9%
Brian C. Cunningham	203,159	274,999	1.1%
James H. Welch	40,465	77,083	*
Susan Molineaux PhD	4,772	97,124	*
Raul Rodriguez	3,280	132,708	*
Jean Deleage, PhD(2)	5,832,923	1,666	13%
Alan D. Frazier(3)	4,347,719	1,041	9.7%
Walter H. Moos PhD	—	21,666	*
Stephen A. Sherwin, MD	—	28,007	*
Thomas S. Volpe	33,333	17,499	*
All executive officers and directors as a group (11 people)	11,722,793	1,031,793	29%

* Less than one percent (1%).

(1) Includes 6,250,788 shares held by Lombard Odier & Cie for the benefit of the Lombard Odier Immunology Fund, over which Lombard Odier & Cie has sole voting and dispositive power, and 18,750 shares held for the benefit of private or institutional clients, over which Lombard Odier & Cie shares dispositive power.

(2) Includes 4,578,327 shares held by Alta California Partners, L.P., 104,596 shares held by Alta Embarcadero Partners, LLC, 1,109,196 shares held by Alta BioPharma Partners II, and 40,804 shares held by Alta Embarcadero BioPharma Partners II. Dr. Deleage, a managing general partner of Alta Partners, disclaims beneficial ownership of the shares held by funds affiliated with Alta Partners except to the extent of his proportionate pecuniary interest therein.

(3) Includes 15,144 shares held by Frazier and Company, Inc. and 4,332,575 shares held by Frazier Healthcare II, L.P. Mr. Frazier, a managing principal of Frazier and Company, Inc., disclaims beneficial ownership of the shares held by Frazier and Company, Inc. and Frazier Healthcare II, L.P. except to the extent of his proportionate pecuniary interest therein.

Section 16(a) Beneficial Ownership Reporting Compliance

Section 16(a) of the Securities Exchange Act of 1934 (the "1934 Act") requires the Company's directors and executive officers, and persons who own more than ten percent of a registered class of the Company's equity securities, to file with the SEC initial reports of ownership and reports of changes in ownership of Common Stock and other equity securities of the Company. Officers, directors and greater than ten percent stockholders are required by SEC regulation to furnish the Company with copies of all Section 16(a) forms they file.

Item 13. Certain Relationships and Related Transactions

Lombard Odier & Cie, Alta California Partners, L.P., Alta Embarcadero Partners, LLC, Frazier Healthcare II, L.P., Frazier and Company, Inc., Johnson and Johnson, Novartis and Thomas Volpe are entitled to certain rights with respect to registration under the Securities Act of shares of our common stock that they hold. These rights are provided under an Amended and Restated Investor Rights Agreement, dated February 3, 2000, and under agreements with similar registration rights. If we propose to register any of our securities under the Securities Act, either for our own account or for the account of others, these holders are entitled to notice of the registration and are entitled to include, at our expense, their shares of common stock in the registration and any related underwriting, provided, among other conditions, that the underwriters may limit the number of shares to be included in the registration. In addition, these holders may require us, at our expense and on not more than two occasions, to file a registration statement under the Securities Act with respect to their shares of common stock, and we will be required to use our best efforts to effect the registration. Further, these holders may require us at our expense to register their shares on Form S-3, subject to certain limitations.

We have entered into indemnification agreements with our directors and certain officers for the indemnification and advancement of expenses to these persons to the fullest extent permitted by law. We also intend to enter into those agreements with our future directors and officers.

In September 1999, we established a research collaboration and license agreement with Cell Genesys, Inc. James Gower, our President and Chief Executive Officer, serves on the board of directors of Cell Genesys. Stephen A. Sherwin, MD, who serves on our board of directors, is Chief Executive Officer and Chairman of the Board of Cell Genesys.

We have an employment agreement with Dr. Payan, our Executive Vice President and Chief Scientific Officer, dated as of January 16, 1997, and continuing indefinitely. Under the agreement, Dr. Payan is entitled to receive an annualized base salary of $185,000 and was issued 750,000 shares of our common stock. As of January 16, 2000, all such shares were fully vested and not subject to a right of repurchase by us. Either Rigel or Dr. Payan may terminate his employment at any time for any reason. If we terminate Dr. Payan's employment without cause, he will receive a severance payment equal to one year's base salary.

In May 1999, we signed an agreement for the establishment of a broad collaboration with Novartis, whereby the two companies agreed to work on up to five different five-year research projects to identify drug targets for products that can treat, prevent or diagnose the effects of human disease. According to the terms of the original agreement, two of the research projects were to be conducted jointly by Novartis and us, and the other three research projects were to be conducted at Novartis. Four projects are now underway. The first research project, a joint research project, is focused on identifying small molecule drug targets that regulate T cells. The second research project, also a joint research project, relates to the identification and validation of small molecule drug targets that can mediate specific functions of B cells. The third research project, a project carried out at Novartis, is focused on identifying small molecule drug targets that regulate chronic bronchitis. In July 2001, Novartis and Rigel amended the agreement to add a three-year joint project at Rigel in the area of

angiogenesis in lieu of a project at Novartis. In contrast to the original agreement to conduct an additional project at Novartis, this amendment resulted in both funded research at Rigel and an additional upfront payment to us of $4.0 million.

We believe that all of the transactions set forth above were made on terms no less favorable to us than could have been obtained from unaffiliated third parties. All future transactions, including loans, between us and our officers, directors, principal stockholders and their affiliates will be approved by a majority of our board of directors, including a majority of the independent and disinterested directors, and will be on terms no less favorable to us than could be obtained from unaffiliated third parties.

PART IV

Item 14. Exhibits, Financial Statement Schedules and Reports on Form 8-K

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

1. Financial Statements—Index to Financial Statements in Item 8 of this report on Form 10-K and selected quarterly financial data for the last two years in Note 9.

2. Financial Statement Schedules—None—As all required disclosures have been made in the footnotes to the financial statements.

3. Exhibits:

3.1(1)	Amended and Restated Certificate of Incorporation.
3.2(1)	Amended and Restated Bylaws.
4.1(1)	Specimen Common Stock Certificate.
4.2(1)	Amended and Restated Investor Rights Agreement, dated February 3, 2000, between Rigel and holders of Rigel's Series B, Series C, Series D and Series E preferred stock.
4.3(1)	Form of warrant to purchase shares of common stock.
4.4(1)	Warrant issued to Lighthouse Capital Partners II, L.P. for purchase of shares of Series B preferred stock.
4.5(1)	Warrant issued to Lighthouse Capital Partners II, L.P. for purchase of shares of Series C preferred stock.
4.6(1)	Form of warrant to purchase shares of Series D preferred stock.
4.7(5)	Warrant issued to Kwacker Limited for the purchase of shares of common stock.
10.1(1)	Form of Indemnity Agreement.
10.2(1)(2)	Equity Incentive Plan.
10.3(1)(2)	Form of Stock Option Agreement pursuant to 2000 Equity Incentive Plan.
10.4(1)(2)	2000 Employee Stock Purchase Plan.
10.5(1)(2)	2000 Non-Employee Directors' Stock Option Plan.
10.6(1)	Collaboration Agreement between Rigel and Janssen Pharmaceutica N.V., dated December 4, 1998.
10.7(1)	Collaborative Research and License Agreement between Rigel and Pfizer Inc., dated January 31, 1999.
10.8(1)	Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 26, 1999.
10.9(1)(3)	License and Research Agreement between Rigel and Cell Genesys, Inc., dated September 2, 1999.
10.10(1)	Collaborative Research and Development Agreement between Rigel and Neurocrine Biosciences, Inc., dated December 1997.

10.11(1)(2)	Employment Agreement between Rigel and Donald Payan, dated January 16, 1997.
10.12(1)	Lease between Rigel and Britannia Pointe Grand Limited Partnership, dated June 2, 1998.
10.13(1)	Technology Transfer Agreement between Rigel and Questcor Pharmaceuticals, Inc., dated September 22, 2000.
10.14(3)(4)	License and Research Agreement (Amended and Restated) between Rigel and Cell genesys, Inc., dated September 2, 1999, as amended and restated on March 26, 2001.
10.15(5)	Lease termination agreement between Rigel and Brittannia Pointe Grand Limited Partnership, dated May 6, 2001.

10.16(5)	Build-to-suit lease between Rigel and Slough BTC, LLC, dated May 16, 2001.
10.17(5)	First amendment to the Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 18, 2001.
10.18(3)(6)	Second Amendment, dated July 6, 2001, to the Collaboration Agreement between Rigel and Novartis Pharma AG.
10.19(3)(6)	Second Amendment, dated July 1, 2001, to the Collaboration Agreement between Rigel and Cell Genesys, Inc.
10.20(2)(7)	2001 Non-Officer Equity Incentive Plan.
10.21(2)(7)	Form of Stock Option Agreement pursuant to the 2001 Non-Officer Equity Incentive Plan.
10.22(8)	First Amendment, dated June 30, 2000, to the Collaboration Agreement by and between Rigel and Janssen Pharmaceutica N.V.
10.23(8)	Second Amendment, dated December 4, 2001, to the Collaboration Agreement by and between Rigel and Janssen Pharmaceutica N.V.
23.1(8)	Consent of Ernst & Young LLP, Independent Auditors.
24.1(8)	Power of Attorney. (see page 70)

(1) Filed as an exhibit to Rigel's Registration Statement on Form S-1 (No. 333-45864), as amended, and incorporated herein by reference.

(2) Management contract or compensatory plan.

(3) Confidential treatment requested as to specific portions, which portions are omitted and filed separately with the Securities and Exchange Commission.

(4) Filed as an exhibit to Rigel's Quarterly Report on Form 10Q for the quarter ended March 31, 2001 (No. 000-29889) and incorporated herein by reference.

(5) Filed as an exhibit to Rigel's Quarterly Report on Form 10Q for the quarter ended June 30, 2001 (No. 000-29889) and incorporated herein by reference.

(6) Filed as an exhibit to Rigel's Quarterly Report on Form 10Q for the quarter ended September 30, 2001 (No. 000-29889) and incorporated herein by reference.

(7) Filed as an exhibit to Rigel's Registration Statement on Form S-8 (No. 333-72492), as amended, and incorporated herein by reference.

(8) Filed herewith.

(b) The Company did not file any reports on Form 8-K during the fourth quarter of 2001.

(c) Exhibits

See Item 14(a) above

(d) Financial Data Schedules

See Item 14(a) above

SIGNATURES

Pursuant to the requirements of the Section 13 or 15(d) of the Securities Exchange Act of 1934, the Registrant has duly caused this report on Form 10-K to be signed on its behalf by the undersigned, thereunto duly authorized, in the City of South San Francisco, State of California, on March 29, 2002.

Rigel Pharmaceuticals, Inc.

By: /s/ JAMES M. GOWER

James M. Gower
Chairman of the Board and Chief Executive Officer

By: /s/ JAMES H. WELCH

James H. Welch
Vice President, Chief Financial Officer and Secretary

POWER OF ATTORNEY

KNOW ALL PERSONS BY THESE PRESENT, that the persons whose signatures appear below each severally constitutes and appoints James M. Gower and James H. Welch, and each or any of them, as true and lawful attorneys-in-fact and agents, with full powers of substitution and resubstitution, for them in their name, place and stead, in any and all capacities, to sign any and all amendments to this Report and to file the same, with all exhibits thereto, and other documents in connection therewith, with the Securities and Exchange Commission, granting unto said attorneys-in-fact and agents, and each of them, full power and authority to do and perform each and every act and thing requisite and necessary to be done in and about the premises, as fully to all intents and purposes as they might or could do in person, hereby ratifying and confirming all which said attorneys-in-fact and agents, or any of them, or their substitute or substitutes, may lawfully do, or cause to be done by virtue hereof.

Pursuant to the requirements of the Securities Exchange Act of 1934, this report has been signed by the following persons on behalf of the Registrant and in the capacities

and on the dates indicated.

Signature	Title	Date
/s/ JAMES M. GOWER James M. Gower	Chairman of the Board, Chief Executive Officer and Director *(Principal Executive Officer)*	March 29, 2002
/s/ JAMES H. WELCH James H. Welch	Vice President, Chief Financial Officer, and Secretary *(Principal Finance and Accounting Officer)*	March 29, 2002
/s/ DONALD G. PAYAN Donald G. Payan	Executive Vice President, Chief Scientific Officer and Director	March 29, 2002
/s/ JEAN DELEAGE Jean Deleage	Director	March 29, 2002

/s/ ALAN D. FRAZIER Alan D. Frazier	Director	March 29, 2002
/s/ WALTER H. MOOS Walter H. Moos	Director	March 29, 2002
/s/ STEPHEN A. SHERWIN Stephen A. Sherwin	Director	March 29, 2002
/s/ THOMAS S. VOLPE Thomas S. Volpe	Director	March 29, 2002

EXHIBIT INDEX

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(2) Management contract or compensatory plan.

(3) Confidential treatment requested as to specific portions, which portions are omitted and filed separately with the Securities and Exchange Commission.

(4) Filed as an exhibit to Rigel's Quarterly Report on Form 10Q for the quarter ended March 31, 2001 (No. 000-29889) and incorporated herein by reference.

(5) Filed as an exhibit to Rigel's Quarterly Report on Form 10Q for the quarter ended June 30, 2001 (No. 000-29889) and incorporated herein by reference.

(6) Filed as an exhibit to Rigel's Quarterly Report on Form 10Q for the quarter ended September 30, 2001 (No. 000-29889) and incorporated herein by reference.

(7) Filed as an exhibit to Rigel's Registration Statement on Form S-8 (No. 333-72492), as amended, and incorporated herein by reference.

(8) Filed herewith.

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FIRST AMENDMENT TO THE COLLABORATION AGREEMENT

THIS FIRST AMENDMENT TO THE COLLABORATION AGREEMENT (the "Amendment") is entered into as of June 30, 2000 (the "Amendment Date"), by and between **RIGEL PHARMACEUTICALS, INC.,** a Delaware corporation ("Rigel") with its offices at 240 East Grand Avenue, South San Francisco, CA 94080, and **JANSSEN PHARMACEUTICA N.V.,** a Belgian corporation ("Janssen") with offices at Turnhoutseweg 30, 2340 Beerse, Belgium (Rigel and Janssen individually referred to as "Party", and collectively as "Parties"). This Amendment is an amendment of the original Collaboration Agreement (the "Agreement") entered into as of December 4, 1998 (the "Effective Date"), by and between the Parties.

The Parties hereby agree to the following amendments to the Agreement:

1. As used in this Amendment:

(a) All terms defined in Article 1 of the Agreement shall have the meanings provided in that Article 1 when used in this Amendment.

2. Section 2.7(d) of the Agreement ("RMC Functions and Powers") shall be amended to read as follows:

"(d) coordinate activities and allocate FTEs and other resources committed under Section 3.2(b) of the Agreement to specific tasks required to perform the Research Plan;"

3. Under Article 3 of the Agreement ("Research Activities; Revisions"), New Section 3.2© is added as follows:

"(c) Rigel shall commit, in addition to the ten (10) FTEs required under section 3.2(b), three (3) FTEs to perform high throughput screening and/or medicinal chemistry for the remainder of the Research Period, subject to a different allocation by the RMC as provided in Section 2.7(d) as amended in this Amendment. Of these additional three (3) FTEs, one (1) FTE shall start work pursuant to the Research Plan on or about July 1, 2000 and two (2) FTEs shall start work pursuant to the Research Plan on or about January 1, 2001.

4. Section 6.2 of the Agreement ("Financial Support") shall be amended to read as follows:

"Janssen will provide funding to support Rigel's efforts and FTE commitments under Section 3.2(b) of the Agreement at a rate of $250,000 per FTE committed. Such amount shall be paid quarterly in advance."

IN WITNESS WHEREOF, the Parties hereto have duly executed this Amendment.

RIGEL PHARMACEUTICALS, INC.

By: /s/ JAMES M GOWER

Name: James M. Gower
Title: President and CEO

JANSSEN PHARMACEUTICA, N.V.

By: /s/ ANNE FABSENNE WEZTSEN

Name: Anne Fabsenne Weztsen
Title: Senior Director

By: /s/ DIDIER DE CHAFFOY DE COURCELLES

Name: Didier de Chaffoy de Courcelles
Title: Senior Vice-President,
Discovery Research Worldwide

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Exhibit 10.22

FIRST AMENDMENT TO THE COLLABORATION AGREEMENT

Exhibit 10.23

SECOND AMENDMENT TO COLLABORATION AGREEMENT

THIS SECOND AMENDMENT TO COLLABORATION AGREEMENT (the "Second Amendment") is entered into and made effective as of December 4, 2001 ("Second Amendment Date") by and between **RIGEL PHARMACEUTICALS, INC.,** a Delaware corporation ("Rigel") with its offices at 240 East Grand Avenue, South San Francisco, California, 94080, and **JANSSEN PHARMACEUTICA N.V.,** a Belgian corporation ("Janssen") with offices at Turnhoutseweg 30, 2340 Beerse, Belgium (Rigel and Janssen each individually referred to herein as "Party", and collectively as "Parties").

RECITALS

WHEREAS, Rigel and Janssen are parties to that certain Collaboration Agreement dated December 4, 1998, as once amended effective June 30, 2000 (the "Collaboration Agreement");

WHEREAS, the Collaboration Agreement provided for a three-year term of collaborative research between the Parties (the Research Period, as defined in the Collaboration Agreement), but contemplated that the Parties might wish to extend the term of their collaborative research for an additional two years;

WHEREAS, the Parties have now decided that they wish to amend the Collaboration Agreement to extend the Research Period for an additional two years on the terms set forth herein, and provide a research plan to cover the additional years; and

WHEREAS, the Parties wish additionally in this Second Amendment to integrate with the Collaboration Agreement certain decisions made by the Research Management Committee with respect to "Preliminary Target-Peptide Pairs", "Validated Target-Peptide Pairs" and validation criteria relating thereto;

NOW, THEREFORE, in consideration of the foregoing and the covenants and promises contained in this Second Amendment, the Parties agree as follows:

1. All initially capitalized terms used but not defined in this Second Amendment shall have the meanings given in the Collaboration Agreement. As used herein, the following terms shall have the following meanings:

"Extended Research Period" shall mean the period of time beginning on the third anniversary of the Effective Date and ending on the fifth anniversary of the Effective Date.

"Initial Research Period" shall mean the period of time beginning on the Effective Date and ending on the third anniversary of the Effective Date.

2. Section 3.2(c) of the Collaboration Agreement is hereby amended to replace the phrase "Research Period" with the phrase "Initial Research Period."

3. The Collaboration Agreement is hereby amended to insert a new Section 3.2(d) having the following text:

(d) In each year of the Extended Research Period, Rigel shall commit nine (9) FTEs to conducting the Research Program.

4. Section 3.3 of the Collaboration Agreement is hereby replaced in its entirety with the following:

3.3 Research Period. The Research Program will commence on the Effective Date and terminate five (5) years thereafter unless this Agreement is earlier terminated as provided in Article 10 (the "Research Period").

---

5. Section 6.2 of the Collaboration Agreement is hereby replaced in its entirety with the following:

6.2 Research Support.

(a) Initial Research Period. Janssen will provide funding to support Rigel's efforts and FTE commitments under Sections 3.2(b) and 3.2(c) of this Agreement at a rate of US$250,000 per FTE per year.

(b) Extended Research Period. Janssen will provide funding to support Rigel's efforts under the Research Program and nine (9) FTE's of Rigel in each year of the Extended Research Period at a rate of US$275,000 per FTE per year.

(c) Payment Schedule. The amounts set forth in Sections 6.2(a) and (b) shall be payable quarterly in advance.

6. Section 6.3(a) is amended to add a sixth milestone event and associated payment amount as follows:

	Milestone Event	Amount of Payment
6)	Selection by Janssen of the first Development Candidate discovered by screening a new Validated Target that is accepted pursuant to Section 3.5 as validated during the two-year extension period (the Extended Research Period), but excluding the following list of targets, which were discovered and have undergone validation during the Initial Research Period:	$1,000,000

- -Tankyrase Homologue
- -PP5
- -MRE11: Nuclease
- -SAK: S/T Kinase
- -KAP: Phosphatase
- -SNK: S/T Kinase
- -PRL-2: Phosphatase
- -PP2Cgamma: Phosphatase
- -BAT1 helicase: Helicase
- -KIAA0052: Helicase
- -DDX1 helicase: Helicase
- -PKCZeta: S/T kinase
- -AMP-Activated kinase: S/T kinase
- -UCH-L3: ubiquitin hydrolase
- -TC21: GTPase

7. The Parties hereby agree that the Research Plan shall include the research plan that has been exchanged by the Parties on or prior to the Second Amendment Date, which is intended to set forth the Parties' collaborative activities in the Extended Research Period.

8. In the course of the Parties' activities under the Collaboration Agreement, the Research Management Committee agreed as of November 19, 1999 that the Parties would direct a portion of their collaborative efforts to the discovery of validated targets rather than the validated pairs of interacting peptides for which the Collaboration Agreement originally called. The Research Program has proceeded in part to identify validated targets rather than validated peptide pairs. Certain defined terms and their employment in the Collaboration Agreement are affected by this change. Therefore, for clarity the Parties wish now to reflect such agreement by the RMC in this Second Amendment by formally amending Sections 1.26 and 1.47 of the Collaboration Agreement.

---

9. Section 1.26 of the Collaboration Agreement is hereby replaced with the following:

> **"Preliminary Target-Peptide Pairs"** shall mean (a) a Molecular Target together with an Active Peptide that binds thereto, which pair has been identified or discovered in the course of the Research Program, and which has been Validated Preliminarily; or (b) a Molecular Target or an Active Peptide which has been identified or discovered in the course of the Research Program, and which has been Validated Preliminarily.

10. Section 1.47 of the Collaboration Agreement is hereby replaced with the following:

> **"Validated Target-Peptide Pair"** or **"VTPP"** shall mean (a) a Molecular Target together with an Active Peptide that binds thereto, which pair has been identified or discovered during the course of the Research Program in the Field of Research, that meets the criteria for full validation established by the RMC at the time that the corresponding Preliminary Target Peptide Pair is selected for further validation; or (b) a Molecular Target or an Active Peptide which has been identified or discovered in the course of the Research Program in the Field of Research, that meets the criteria for full validation at the time that the corresponding Preliminary Target-Peptide Pair is selected for further validation.

11. The RMC agreed, in its meeting minutes dated November, 1999, to Validation Criteria, which the Parties wish now to formally include as part of the Collaboration Agreement along with more detail as to determination of when the Validation Criteria are met. Therefore, Section 3.5(a) of the Collaboration Agreement is hereby replaced with the following:

> (a) During the Research Period, the RMC shall select Preliminary Target-Peptide Pairs to be further evaluated to determine whether they meet the criteria for a Preliminary Target-Peptide Pair to qualify as a Validated Target-Peptide Pair ("Validation Criteria"), which are as follows:
>
> ¤ Dominant negatives ("DN") and/or antisense oligonucleotides ("AS") corresponding to the Preliminary Target-Peptide Pair induce an antiproliferative effect -or- such DN or AS sensitizes cells to chemotherapeutic agents;
>
> ¤ DN and/or AS corresponding to the Preliminary Target-Peptide Pair affects preferentially tumor cells relative to normal cells; and
>
> ¤ An HTS-format biochemical assay for compound screening involving such Preliminary Target-Peptide Pair has been established; and
>
> ¤ The RMC has determined freedom-to-operate for the Preliminary Target-Peptide Pair.
>
> If a Preliminary Target-Peptide Pair meets all of the Validation Criteria, the RMC shall promptly determine that such Preliminary Target-Peptide Pair qualifies as a Validated Target-Peptide Pair.

Additionally, to reflect that the Validation Criteria have been agreed, Section 2.7(f) is hereby amended to delete the phrase "or a Validated Target-Peptide Pair."

12. Section 3.6(a) is hereby amended to replace the phrase "three (3) years" with the phrase "twenty-four (24) months."

13. The Parties recognize that it may be advantageous to both Parties to conduct a collaborative research relationship between themselves after the Extended Research Term. The Parties hereby agree that, at the request of either Party no later than six (6) months prior to the end of the Extended Research Term, the Parties shall negotiate in good faith, for a period of no less than six (6) months, the terms of a collaborative research relationship between the Parties to commence upon or after the expiration of the Extended Research Term.

14. Articles 12 and 14 of the Collaboration Agreement shall apply to this Second Amendment as if set forth herein in their entireties.

IN WITNESS WHEREOF, the Parties hereto have duly executed this Second Amendment.

RIGEL PHARMACEUTICALS, INC. **JANSSEN PHARMACEUTICA, N.V.**

By:	/s/ RAUL RODRIGUEZ	By:	/s/ PER PETERSON
Name:	Raul Rodriguez	Name:	Dr. Per Peterson
Title:	V.P. Business Development	Title:	Chairman, R&D Pharmaceuticals

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SECOND AMENDMENT TO COLLABORATION AGREEMENT
RECITALS

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Exhibit 23.1

CONSENT OF ERNST & YOUNG LLP, INDEPENDENT AUDITORS

We consent to the incorporation by reference in the Registration Statement (Form S-3 No. 333-74906) of Rigel Pharmaceuticals, Inc. and in the related Prospectuses, and in the Registration Statements (Forms S-8 No. 333-51184 and No. 333-72492) pertaining to the 2000 Equity Incentive Plan, 2000 Employee Stock Purchase Plan, 2000 Non-Employee Directors' Stock Option Plan and 2001 Non-Officer Equity Incentive Plan of Rigel Pharmaceuticals, Inc., of our report dated January 25, 2002, except for Note 9 as to which the date is February 20, 2002, with respect to the financial statements of Rigel Pharmaceuticals, Inc., included in the Annual Report (Form 10-K) for the year ended December 31, 2001.

/s/ ERNST & YOUNG LLP

Palo Alto, California
March 27, 2002

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Exhibit 23.1

CONSENT OF ERNST & YOUNG LLP, INDEPENDENT AUDITORS