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UNITED STATES
SECURITIES AND EXCHANGE COMMISSION

WASHINGTON, D.C. 20549

FORM 10-K

(Mark One)

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

For the fiscal year ended December 31, 2000
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 (State or other jurisdiction of incorporation or organization)	**94-3248524** (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 $.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 /x/ 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. /x/

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, 2001, was $74,954,000.

As of March 15, 2001, there were 36,907,352 shares of the registrant's Common Stock outstanding.

Documents Incorporated by Reference

Certain portions of the registrant's definitive proxy statement, to be filed with the Securities and Exchange Commission pursuant to Rule 14A not later than April 30, 2001 in connection with the registrant's 2001 Annual Meeting of Stockholders, are incorporated by reference into Part III 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 the Company's progress and results of scientific program progress, preclinical studies, nature of product pipelines, 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 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 which may affect the Company under "Risk Factors" below. The Company does not undertake any obligation to update forward-looking statements.

Rigel Pharmaceuticals, Inc. is a drug discovery company that utilizes combinatorial biology to discover novel drug targets and drug candidates which regulate these targets. In only four years of research using our technology, we have initiated twelve programs in which we have identified several new drug targets in eleven of our twelve programs and have generated compounds in six programs, including compounds which are candidates for preclinical testing in two of these programs. We currently have programs in asthma/allergy, autoimmunity, transplant rejection, rheumatoid arthritis, inflammatory bowel disease, chronic bronchitis, cancerous tumor growth and hepatitis C. We have multi-year collaborations with Cell Genesys, Janssen Pharmaceutica, Pfizer and Novartis. In addition, we have collaborated with Neurocrine in order to obtain rights to a library of small chemical compounds.

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 the candidates greatly increases 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 pursing 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 incurring 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 developing them through Phase II clinical trials. We believe that five to seven drugs can be developed through Phase II for about the same cost to take one drug through Phase III and marketing approval.

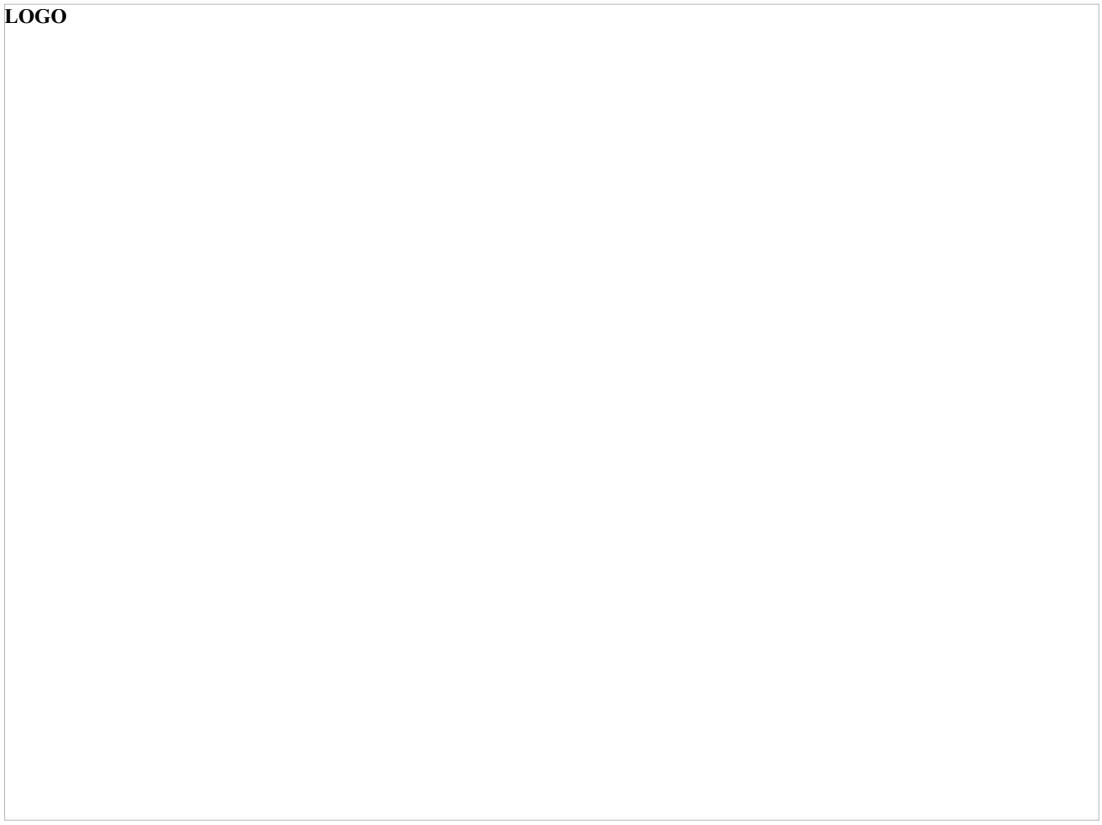
The key elements of our scientific and business strategy are to:

- expand, enhance and protect our technology;
- focus on diseases that represent large medical markets with significant populations that are currently under served;
- structure corporate partnering agreements to permit multiple collaborations in each disease area by focusing on disease pathways and targets;

- establish strategic collaborations with pharmaceutical and biotechnology companies to enhance product development and commercialization and to partner our future research programs in the later stages of drug development; and
- develop small molecule drugs, which can be delivered to intracellular targets.

Product Development

The following table summarizes key information in the twelve 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 which 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 FDA.

Immune Disorders

Many diseases and disorders result from defects in the immune system. Over 50 million people in the United States suffered from allergic and asthmatic disorders in 1999. Anti-asthmatic and allergy relief medications exceeded $5 billion in worldwide sales in 1997 and have been growing at a 5% annual growth rate. In 1999, another 3 million to 5 million patients in the United States were treated for other immune disorders. We currently have eight programs in immunology focused on asthma/allergy (three programs), autoimmunity, transplant rejection, rheumatoid arthritis, inflammatory bowel disease and chronic bronchitis.

Asthma/Allergy

IgE receptor 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. IgE is one of several immunoglobulins produced by the body's immune system. Currently, we have identified preclinical candidate compounds. 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 from binding to mast cells can reduce allergic symptoms in multiple species, including humans. However, most programs in development today are intravenous therapeutic antibodies. We believe that small molecule inhibitors of IgE signaling pathways could play an important role in treatment of such chronic disorders.

IgE production in B cells. In this program, we have been working with our partner, Pfizer, since January 1999 to identify and validate intracellular drug targets that control the production of IgE in B cells. We have identified, not as part of the Pfizer collaboration, but separately through our own research efforts, a protein target that appears to regulate a key event in this pathway that leads to allergic and asthmatic symptoms and compounds in this program.

Autoimmunity and 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 which 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.

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 and have initiated high throughput screening. This program has been partnered with Novartis since August 1999.

T cell activation. The goal of our T cell 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 using our post-genomics combinatorial biology technology and have initiated high throughput screening. This program has been partnered with Novartis since May 1999.

Rheumatoid Arthritis and Inflammatory Bowel Disease

We have programs directed at two different cellular pathways for these inflammatory diseases:

E-3 ubiquitin ligase. This program is focused on characterizing and developing specific inhibitors of protein-degrading enzymes, named E-3 ubiquitin ligases, in inflammation. The levels of 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 also anticipate that, as the field of E-3 ubiquitin ligase biology evolves, inhibitors can be identified which will have clinical utility in metabolic diseases and possibly in neurodegenerative processes. We have screened over 100,000 small molecules against several members of the E-3 ubiquitin ligase family, and have identified several small molecule compounds which, based on preliminary data, appear to be potent and specific inhibitors.

Selected TNF pathway targets. This second program focuses on blocking the inflammatory signals of the Tumor Necrosis Factor, or TNF pathway, a pathway validated by existing antibody therapies as an important site for therapeutic intervention. We have identified and validated several novel members of this signaling pathway which are moving into both biochemical and cell based high throughput compound screens. Our preliminary results suggest that the targets we have identified in the TNF pathway regulate inflammatory responses in specific cell types, thus potentially making small molecule compounds directed at these targets more disease specific. In addition, these small molecules will be less likely to exhibit the side effects of chronic administration of anti-TNF antibodies or antibodies directed at the TNF receptor.

Additionally, our scientists have identified potential drug targets in the TNF pathway that protect T cells from apoptotic signals, and have used those interactions to identify a protective protein termed Toso. When T cells are activated, Toso production is activated and in turn causes other intracellular proteins to block apoptotic signals. Thus Toso may protect activated T cells from apoptosis. We are investigating Toso inhibition as a method of selectively killing activated disease-causing T cells.

Chronic Bronchitis

Chronic Bronchitis. Using Rigel's technology, Novartis is pursuing a program whose goal is to inhibit epithelial cell activation for the possible treatment of chronic bronchitis. This program is in the target screening stage. Chronic bronchitis is a condition characterized by excessive mucus production which 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

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 1999 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 1999, an estimated 1.2 million people were diagnosed with cancer, and more than 500,000 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.

We are currently pursuing three important pathways directed against tumor growth:

Cell cycle inhibition. This program is directed toward the cell cycle checkpoint pathway. 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 percent 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 Janssen Pharmaceutica since December 1998 to identify intracellular drug targets involved in cell cycle control. We have identified several novel drug targets in this program, one of which has been accepted by Janssen Pharmaceutica as validated and has entered small molecule screens.

E-3 ubiquitin ligase. Our second antitumor program is focused on the E-3 ubiquitin ligase pathway unique to malignancies. The goal of this program is to examine specific inhibitors of ubiquitin ligases implicated in regulating mitosis, or cell division, in a number of transformed cell lines and normal cells. We also have identified a preclinical candidate compound in this program.

Angiogenesis. Our third 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 anti-tumor therapy. We have established and initiated two screens in human capillary endothelial cells using our post-genomics combinatorial biology technology in order to identify

targets in the angiogenesis pathway.

Infectious Diseases

Hepatitis C. 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 mechanism of the hepatitis C virus. We are attempting to discover and develop a highly specific inhibitor of IRES translation in the form of a small molecule compound. Targets have been identified and validated, a high throughput screen has been established and initial compounds have been identified as part of this program.

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.

Background

We were incorporated in the State of Delaware on June 14, 1996. Our results of operations from June 14, 1996 to December 31, 1996 were immaterial. We matured from a development stage to an operating company in 1998. The company has funded its 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 to 40,000 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 which 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 which 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) which 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 look at the genetic basis for 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 to 40,000 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 which 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 which 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 drug 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 which 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 which 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 which 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 which 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 which 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.

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.

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.

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 which 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.

Our Discovery Progress: 1997 - 2000

Since 1997, we have detected more than 500 million protein-protein interactions in cells. We have also discovered more than 10,000 signaling pathway members which modify cellular function. We have mapped the protein interactions of over 150 disease modifying protein targets in nine disease relevant pathways. We have identified several new targets in our programs suitable for screening compounds for drugs: asthma/allergy, autoimmunity, transplant rejection, rheumatoid arthritis (both E-3 ubiquitin ligase and tumor necrosis factor (TNF) pathway), inflammatory bowel disease, chronic bronchitis, cancerous tumor growth (both cell cycle inhibition, E-3 ubiquitin ligase and angiogenesis inhibition) and hepatitis C. We have identified small molecule compounds in six of our programs, including compounds which are candidates for preclinical testing in two of these programs.

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 industry. We have a library of approximately 165,000 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.

Proteomics

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.

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.

Research and Development Expenses

Our research and development expenses were $32.0 million in 2000, $17.1 million in 1999 and $8.3 million in 1998.

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 six of our twelve research programs, including one with Janssen Pharmaceutica relating to oncology therapeutics and diagnostics, one with Pfizer relating to asthma and allergy therapeutics, three with Novartis relating to immunology and one with Cell Genesys relating to

angiogenesis. In addition, we have collaborated with Neurocrine in order to obtain rights to small chemical compounds.

As of December 31, 2000, we had received a total of $44.8 million from our collaborators. Included in this amount is $20.0 million from the sale of both private and public equity securities and $24.8 million for technology access and research funding, of which $2.8 million has been deferred at December 31, 2000. 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.

Janssen Pharmaceutica

Effective December 1998, we entered into a three-year research collaboration, ending December 4, 2001, with Janssen Pharmaceutica, a Johnson & Johnson company, to identify, discover and validate novel drug targets that regulate cell cycle, and, specifically, the identification of drug targets and the active peptides that bind to them that can restore a mutated cell's ability to stop uncontrolled cell division. Under the agreement, we will provide certain assays and associated technology to Janssen Pharmaceutica for the assessment of the alteration or normalization of the dysfunctional cell cycles of cancer cells for Janssen Pharmaceutica's internal research purposes. Subsequently, in an amendment to the collaboration in July 2000, Janssen Pharmeceutica expanded the collaboration whereby we will be performing compound screening and medicinal chemistry on validated targets accepted by Janssen Pharmeceutica.

Janssen Pharmaceutica has accepted the first target identified during the collaboration as fully validated. Rigel and Janssen Pharmaceutica each has commenced high throughput screening of its respective compound libraries.

Under the collaboration, Janssen Pharmaceutica 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 which are either a component of a drug target and associated active peptide, identified by or on behalf of us or Janssen Pharmaceutica in an assay developed during the collaboration, or identified in a Janssen Pharmaceutica screening assay as a result of Janssen Pharmaceutica's internal research;
- products identified by or on behalf of Janssen Pharmaceutica as a result of Janssen Pharmaceutica's internal research;
- products identified by or on behalf of either us or Janssen Pharmaceutica in an assay which incorporates a drug target and associated active peptide delivered to Janssen Pharmaceutica by us; and
- products which contain a component of a drug target and associated active peptide, or the functional equivalent of a component.

Janssen Pharmaceutica 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 Janssen Pharmaceutica for internal research. Janssen Pharmaceutica'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, as described above.

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

The research collaboration will terminate December 4, 2001 (three years after the effective date of the agreement) and it may be extended for up to two additional one year periods at Janssen Pharmaceutica's option.

The Johnson & Johnson Development Corporation, the investment entity affiliated with Janssen Pharmaceutica, 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 in February 2000, 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.

Pfizer

Effective January 1999, we entered into a two-year research collaboration with Pfizer, ending January 31, 2001. On January 25, 2001, Pfizer notified us that it was electing to exercise its option to extend the collaboration one additional year to January 31, 2002. The goal of the collaboration is to identify intracellular drug targets that control the

production of IgE, a key mediator in allergic reactions and asthma in B cells. We will provide the following technology developed or identified during and pursuant to the research collaboration to 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 identified 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. During the research collaboration, we may not conduct research within the scope of the research collaboration by ourselves or with any third party except in connection with the research collaboration with Pfizer.

We and Pfizer each have the non-exclusive right to use for research purposes the technology of the other which is 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 which 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 provide research funding to us for a minimum of two years, as well as cash for equity, technology access payments, research milestones, and royalties on the sales of these products.

In addition to typical termination events, Pfizer may terminate this agreement if Dr. Donald Payan's association with us as our chief scientific officer or similar role ends and we and Pfizer cannot agree on a successor acceptable to Pfizer.

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.

Novartis

In May 1999, we signed an agreement for the establishment of a broad collaboration with Novartis, whereby the two companies will work on 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 will be conducted jointly by Novartis and us, and the other three research projects will 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 pulmonary inflammation. Novartis will select the remaining two projects by May 2001.

Once a drug target from any of the five 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 post-genomics combinatorial biology technology and two hybrid protein interaction technology for confirmational and similar uses relating to validated drug targets, including uses necessary for the further development, registration, and commercialization of products whose 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, to pay milestone payments and technology access payments to us, and to 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 post-genomics combinatorial biology technology and two hybrid protein interaction technology developed during a research project on a royalty-free and worldwide basis.

Novartis may terminate the joint research projects two years after the applicable commencement date, or three and one half years after the applicable commencement date if Novartis gives six months prior notice of its termination. Novartis has notified us that it will not exercise its right to terminate either of the two joint research projects after two years. Novartis may terminate the research projects 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.

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.

Neurocrine Biosciences

In addition to our nine programs focusing on specific disease mechanisms, effective December 1997, we conducted a research collaboration with Neurocrine to discover novel molecular targets involved in glial cell activation.

Under the terms of the agreement, Neurocrine has the exclusive, royalty-free right to utilize our technology and technology developed during the research collaboration to develop, make and commercialize on a worldwide basis, products which incorporate or are discovered using a drug target involved in glial cell activation or a peptide identified or produced by us which binds to this type of drug target. We have the exclusive, royalty-free right to utilize Neurocrine technology and technology developed during the research collaboration to develop, make and commercialize on a worldwide basis, products which incorporate or are discovered using a drug target not involved in glial cell activation or a peptide identified or produced by Neurocrine which does not bind to this type of drug target. Each company will assign to the other company its rights in proprietary technology and technology developed during the research collaboration which is related to the other company's products described above.

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 are effectively maintained as trade secrets. Accordingly, patents or other proprietary rights are an essential element of our business. We have 55 pending patent applications and six 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 which 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.

M&E Biotech A/S ("M&E"), a Danish biotechnology company, has notified us that it has received patent protection in some European countries and Australia for a process similar to certain aspects of one of our technologies. M&E has also notified us of its belief that we have infringed, and are contributorily infringing, certain claims of that European patent. In addition, M&E has commenced an opposition proceeding to our Australian accepted patent. We are currently reviewing these matters and evaluating the appropriate course of action. 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 M&E

patent. We are also aware that M&E 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 M&E were to receive such patent protection, it might conflict with or overlap with the patent rights we are pursuing. We currently do not, and do not plan to, operate in any country outside the United States.

Competition

We face, and will continue to face, intense competition from pharmaceutical and biotechnology companies, as well as 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 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 us.

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 which 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. 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 do not know when or if clinical trials will begin and, 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 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.

Because we moved to a new facility in March 1999 designed to comply with all applicable federal, state and local environmental and hazardous waste regulations, we expect no additional substantial expenditures for this purpose. The facility was also designed to comply with current earthquake design criteria.

Employees

As of December 31, 2000, we employed 107 persons, of whom 36 hold PhD or MD degrees and 7 hold other advanced degrees. Approximately 86 employees are engaged in research and development, and 21 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 Advisory Board

We utilize scientists and physicians to advise us on scientific and medical matters as part of our Scientific Advisory Board 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. The following is a list of our Scientific Advisory Board members:

Garry P. Nolan, PhD, our co-founder and Chairman of the Scientific Advisory Board, is Associate Professor in the Department of Molecular Pharmacology and Department of Microbiology and Immunology at Stanford University Medical Center.

Robin G. Cooper, DSc, PhD is a former Research Advisor at Eli Lilly and Co., and presently President of Cooper Consulting Inc.

Charles S. Craik, PhD is Professor of Pharmaceutical Chemistry and Pharmacology, Biochemistry and Biophysics, and Director of the Chemistry and Chemical Biology Graduate Group at the University of California San Francisco.

Daniel R. Littman, MD, PhD is the Coordinator of the Molecular Pathogenesis Program, Skirball Institute of Biomolecular Medicine and Professor of Microbiology and Pathology at the New York University School of Medicine and Investigator, Howard Hughes Medical Institute.

Richard M. Locksley, MD is Professor, Departments of Medicine and Microbiology/Immunology, Chief of the Division of Infectious Diseases and Investigator, Howard Hughes Medical Institute, at the University of California San Francisco.

Richard Scheller, PhD is Professor of Molecular and Cellular Physiology and Investigator, Howard Hughes Medical Institute at Stanford University.

Kevan M. Shokat, PhD is Associate Professor of Cellular and Molecular Pharmacology at the University of California San Francisco and Associate Professor, Department of Chemistry at University of California Berkeley.

John B. Taylor, DSc, PhD is the former Sr. Vice President for WW Pharmaceutical Discovery Operations with Rhone Poulenc Rorer (Aventis) and presently a Pharmaceutical R&D Consultant.

Richard Ulevitch, PhD is Chairman of the Department of Immunology at the Scripps Research Institute.

Matthias Wabl, PhD is Professor of Microbiology and Immunology in the Department of Microbiology and Immunology at the University of California San Francisco.

Clinical Advisory Board

In addition to our Scientific Advisory Board, we utilize a number of scientists and physicians to advise us on the scientific and medical matters associated with clinical trials. This group is known as our Clinical Advisory Board. The following is a list of our Clinical Advisory Board members:

Thomas A. Raffin, MD, our co-founder and Chairman of our Clinical Advisory Board, is the Colleen and Robert Haas Professor of Medicine and Biomedical Ethics, Chief of the Division of Pulmonary and Critical Care Medicine and Co-Director of the Center for Biomedical Ethics at Stanford University Medical Center.

Dennis A. Carson, MD is Professor of Medicine in the Department of Medicine at the University of California San Diego and Director of the Sam and Rose Stein Institute on Aging.

Alan R. Leff, MD is Professor of Medicine, Neurobiology, Pharmacology and Physiology, Pediatrics, Anesthesia and Critical Care, Clinical Pharmacology and Cell Physiology, and Senior Director for Research and Development for the Biological Science Division at the University of Chicago, Chicago, Illinois.

Robert S. Munford, MD is Professor of Internal Medicine and Microbiology at the University of Texas Southwestern Medicine Center in Dallas, Texas.

Glenn D. Rosen, MD is Associate Professor of Medicine in the Division of Pulmonary and Critical Care Medicine at Stanford University Medical Center.

Risk Factors

Rigel's business faces significant risks. These risks include those described below and may include additional risks of which Rigel is not currently aware or which Rigel currently does not believe are material. If any of the following risks actually occurs, our business could be harmed. In addition, the risks that we now foresee might affect us to a greater or different degree than we currently expect. These risks should be read in conjunction with the other information set forth in this report.

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, 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, 2000, we had an accumulated deficit of approximately $54.0 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 possibly 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. To date, all of our revenue has been related to the research phase of each of our collaborative agreements, which revenue is for specified periods and 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 contingent funding 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. 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.

Our business plan contemplates that we will need to generate meaningful revenues 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 preclinical or 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 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 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. 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.

We will require additional financing in the future to fund our operations. Our operations require significant additional funding in large part due to our research and development expenses, future preclinical and clinical-testing costs, the possibility of expanding 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 the our existing capital resources, together with the proceeds from future and current collaborations, will be sufficient to support our current operating for at least the next 18 months. Nonetheless, our future funding requirements will depend on many factors, including, but not limited to:

- any changes in the breadth of our research and development programs;
- the results of research and development, preclinical studies and clinical trials conducted by us or our collaborative partners or licensees, if any;
- the acquisition or licensing of technologies or compounds, if any;
- our ability to maintain and establish new corporate relationships and research collaborations;
- our ability to manage growth;
- competing technological and market developments;
- the time and costs involved in filing, prosecuting, defending and enforcing patent and intellectual property claims;
- the receipt of contingent licensing or milestone fees from our current or future collaborative and license arrangements, if established; and
- the timing of regulatory approvals.

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. Six patents have been issued to us as of March 15, 2001, and we have numerous applications 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 which 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.

For additional information concerning our intellectual property, see "Intellectual Property," above.

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 on 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 which may be costly, whether we win or lose.

M&E has notified us that they have received patent protection in some European countries and Australia for a process similar to certain aspects of our technologies. M&E has notified us of its belief that we have infringed, and are contributorily infringing, certain claims of that European patent. In addition, M&E has commenced an opposition proceeding against our Australian accepted patent. We are currently reviewing these matters and evaluating the appropriate course of action. 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 M&E patent. Currently, we are not in discussions with M&E with respect to a license of its patented technology. In addition, any license or other transfer of rights to the patent by M&E 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 M&E 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 M&E were to receive such patent protection, it might conflict with or overlap with the patent rights we are pursuing. We currently do not, and do not plan to, operate in any country outside the United States.

We are aware of the existence of a United States patent directed towards a general cloning system. It is possible that this patent could be construed to cover certain aspects of our technologies. If legal action were initiated on this patent, it could have the effects discussed above.

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 Investigational New Drug application, or 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.

For additional information concerning the regulatory approval process, see "Government Regulation," above.

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 107 at December 31, 2000. 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 which are being developed by us or which 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 approvals for drug candidates more rapidly than us or our strategic partners. 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 107 employees as of December 31, 2000, 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 and other scientists. If we lose the services of any of our personnel, including, in particular, Donald Payan, 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 our scientific advisors for the success and continuation of our research efforts.

We are dependent on the members of our Scientific Advisory Board (SAB) and Clinical Advisory Board (CAB) who conduct research and provide us with access to technology developed by them. The potential success of our drug discovery programs depends in part on continued collaborations with these advisors. We and various members of our management and research staff rely heavily on members of the SAB and CAB for expertise in screening research. 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. All members of the SAB and CAB have entered into scientific advisory agreements with us. These agreements provide for indefinite terms of service on the SAB and CAB and are generally terminable at any time by written notice by either us or the advisor. Certain members of the SAB and CAB also have entered into separate consulting agreements with 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 any 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.

All of our operations are located in an area experiencing power shortages and we face the risk of power loss, which could affect our research operations.

All of our operations are located in South San Francisco, California. California is in the midst of a power crisis and has recently experienced significant power shortages. A sustained or frequent power failure could disrupt our research and development efforts, which could delay the progress of our research efforts or cause the loss of critical supplies or scientific equipment.

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 30.0% of our common stock, based on their beneficial ownership as of December 31, 2000. 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 of 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 may discourage, delay or prevent a third party from acquiring us.

Item 2. Properties

Our facilities consist of approximately 61,000 square feet of research and office space located at 240 East Grand Avenue, South San Francisco, California that is leased to us until 2016. We have options to renew this lease for two additional periods of five years each. We believe our facility will meet our space requirements for research and development and administration functions through the year 2001.

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:

	Common Stock Price	
	High	Low
Year Ended December 31, 2000 (commencing November 29, 2000)	$ 10.00	$ 6.95

On March 15, 2001, the last reported sale price for our common stock on the Nasdaq National Market was $4.50 per share.

Holders

As of March 15, 2001 there were approximately 189 stockholders of record of the Company's Common Stock.

Dividends

The Company has not paid dividends on its common stock and currently does not plan to pay any cash dividends in the foreseeable future.

Sale of Unregistered Securities

During 2000, Rigel sold and issued unregistered securities to a limited number of persons, as described below. None of these transactions involved any underwriters, underwriting discounts or commissions, or any public offering, and Rigel believes that each transaction was exempt from the registration requirements of the Securities Act by virtue of Section 4(2) thereof, Regulation D promulgated thereunder or Rule 701 pursuant to compensatory benefit plans and contracts relating to compensation as provided under Rule 701. The recipients of securities in each such transaction represented their intention to acquire the securities for investment only and not with a view to or for sale in connection with any distribution thereof, and appropriate legends were affixed to the share certificates and instruments issued in such transactions. We believe that all recipients had adequate access to information about Rigel, through their relationships with Rigel.

1. From January 1, 2000 to December 4, 2000, we granted incentive stock options and nonstatutory stock options to purchase an aggregate of 2,593,609 shares of Rigel's

common stock at exercise prices ranging from $4.50 to $11.00 per share and an aggregate of 100,000 shares in stock awards to a director and a consultant under the Company's equity incentive plan. Of these stock options granted, 267,492 shares have been canceled without being exercised, 2,193 shares have been exercised and 2,323,924 shares remain outstanding.

2. On February 3, 2000, we sold an aggregate of 2,508,330 shares of our Series E preferred stock to 13 purchasers at a purchase price of $6.00 per share, and issued 50,000 shares of Series E preferred stock to one entity for a license for technology.

3. On August 31, 2000, we sold 33,333 shares of our Series E preferred stock to Thomas S. Volpe, one of our directors, at a purchase price of $6.00 per share.

4. On September 28, 2000, we issued 83,333 shares of our Series E preferred stock to Questcor Pharmaceuticals, Inc. in exchange for a transfer of technology.

5. On December 4, 2000, we sold 1,428,571 shares of our common stock in a private placement to Novartis at a purchase price of $7.00 per share concurrent with the closing of our initial public offering.

6. On December 4, 2000, we issued 59,614 shares of our common stock to Lombard Odier & Cie upon the conversion of a warrant.

Use of Proceeds from the Sale of Registered Securities

The Company's Registration Statement on Form S-1 (Registration No. 333-45864), as amended, with respect to our initial public offering was declared effective by the SEC on November 28, 2000. The managing underwriters in the offering were Morgan Stanley Dean Witter, Lehman Brothers and Robertson Stephens. On December 27, 2000 we completed our initial public offering of 5,650,000 shares of our common stock, including 650,000 shares pursuant to the underwriters' over-allotment option, at an initial public offering price of $7.00 per share for an aggregate offering of approximately $39,550,000.

The Company received net proceeds of approximately $35,708,000 after deducting offering expenses of $3,842,000, including underwriting discounts and commissions of $2,768,000 and other offering expenses of $1,074,000.

None of the offering expenses represented direct or indirect payments to directors, officers or general partners of the Company or their associates, to persons owning 10% or more of any class of equity securities of the Company or to affiliates of the Company.

The Company intends to use the net proceeds of the offering for research and development, general corporate purposes and working capital and capital lease obligations. The Company is consistently assessing the specific uses and allocations for these funds. None of the net proceeds of the offering is expected to be paid directly or indirectly to directors, officers or general partners of the Company or their associates, to persons owning 10% or more of any class of equity securities of the Company or to affiliates of Company.

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.

	Period From Inception (June 14, 1996) Through December 31, 1996	Fiscal Years Ended December 31,			
		1997	1998	1999	2000
	(unaudited)				
		(in thousands, except per share amounts)			
Statements of Operations Data:					
Revenues:					
Contract revenues	$ —	$ —	$ 28	$ 8,984	$ 13,218
Costs and expenses:					
Research and development (see Note A)	—	4,568	8,305	17,112	32,034
General and administrative (see Note A)	133	1,033	2,217	3,952	6,689
	133	5,601	10,522	21,064	38,723
Loss from operations	(133)	(5,601)	(10,494)	(12,080)	(25,505)
Interest income	—	203	246	311	1,078
Interest expense	—	(118)	(356)	(597)	(933)
Net loss	$ (133)	$ (5,516)	$ (10,604)	$ (12,366)	$ (25,360)
Deemed dividend to Series E preferred stockholders	—	—	—	—	(10,133)
Net loss allocable to common stockholders	$ (133)	$ (5,516)	$ (10,604)	$ (12,366)	$ (35,493)

Net loss per share, basic and diluted	$ (0.12)	$ (2.20)	$ (4.01)	$ (4.39)	$ (4.89)
Weighted average shares used in computing net loss per share, basic and diluted	1,089	2,512	2,643	2,818	7,263
Pro forma net loss per share, basic and diluted				$ (0.52)	$ (0.86)
Shares used in computing pro forma net loss per share, basic and diluted (unaudited)				23,996	29,543
Note A:					
Includes charges for stock-based compensation as follows:					
Research and development	$ —	$ —	$ 6	$ 2,321	$ 9,184
General and administrative	—	—	—	254	976
Total stock-based compensation	$ —	$ —	$ 6	$ 2,575	$ 10,160

	As of December 31,				
	1996	1997	1998	1999	2000
	(unaudited)				
Balance Sheet Data:					
Cash, cash equivalents and available for sale securities	$ 2	$ 9,144	$ 9,493	$ 5,836	$ 52,994
Working capital (deficiency)	(71)	8,109	4,547	(990)	46,627
Total assets	2	11,330	12,956	17,169	64,262
Capital lease obligations, less current portion	—	1,172	1,652	5,478	5,761
Deferred stock compensation	—	—	—	(5,814)	(5,792)
Accumulated deficit	(133)	(5,649)	(16,253)	(28,619)	(53,979)
Total stockholders' equity/(net capital deficiency)	(71)	8,819	5,445	756	49,010

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 company that utilizes combinatorial biology to discover novel drug targets and drug candidates which regulate these targets. Our technology provides a new and rapid way to find novel drug targets and to validate the role of those targets in disease. We intend to develop a portfolio of novel drug candidates and commercialize the resulting drug products in partnership with corporate collaborators. We have incurred net losses since inception and expect to incur substantial and increasing losses for the next several years as we expand our research and development activities and move our pre-clinical drug candidates into later stages of development. 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. Including both research funding and equity investments, we received an aggregate of $6.5 million, $14.9 million and $23.4 million in 1998, 1999 and 2000, respectively, from our collaborative partners. As of December 31, 2000, our accumulated deficit was approximately $54.0 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 three collaborations with major pharmaceutical companies that are currently contributing to our revenues. A summary of these partnerships is as follows:

Partner	Research Program	Commencement Date
Janssen Pharmaceutica	Tumor Growth—Cell Cycle Inhibition	December 4, 1998
Pfizer	Asthma/Allergies—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

Under the terms of the existing collaborations identified above, our partners have agreed to provide future research funding up to approximately $27.0 million over the next four years, $10.0 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 addressing several alternatives, including the exploration of new opportunities with existing and new potential collaborators. All of our partnerships to date have generally focused on the early stages of drug discovery, specifically target discovery and validation. 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 any future collaborative partnerships will have an expanded focus and could include cell pathway mapping, high throughput screening, combinatorial chemistry and/or pre-clinical evaluations. 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. Specifically, our collaboration with Janssen Pharmaceutica is a three-year agreement terminating on December 4, 2001 and our two-year collaboration with Pfizer, which has been extended one additional year, will terminate on January 31, 2002. Our Novartis programs are five-year agreements terminating in 2004 and 2005. As our collaborations reach termination, our partners and we may evaluate the status of the collaboration and, if appropriate, seek to extend the collaboration agreement or negotiate alternative terms.

We recognize revenues from our research collaboration agreements as earned upon the achievement of performance requirements of the agreements. In addition, these agreements provide for research funding for a specified number of full time researchers working on their associated projects. Payments received that are related to future performance are deferred and recognized as revenue as the related work is performed. As of December 31, 2000, we had deferred revenues of approximately $2.7 million.

In December 2000, we completed our initial public offering of 5,650,000 shares of common stock at $7.00 per share with net proceeds to us of approximately $35.8 million. Concurrent with the closing of the initial public offering in December, we issued an additional 1,428,571 shares of common stock at $7.00 per share to Novartis in a private placement for net proceeds of $10.0 million. Upon the closing of the Company's initial public offering in December 2000, all outstanding shares of preferred stock converted into 24,895,957 shares of common stock.

In February 2000, we 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, we believed the per share price of $6.00 represented the fair value of the preferred stock. Subsequent to the commencement of our initial public offering process, we re-evaluated the fair value of our 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. We 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 for the year ended December 31, 2000. Also in February 2000, we issued 50,000 shares of Series E preferred stock for a license of technology. We valued the license at $500,000 and have expensed this amount in 2000 as the useful life is deemed to be less than one year.

In August 2000, we issued 33,333 shares of Series E preferred stock to one of our directors. We recorded a deemed dividend of approximately $100,000 at the time of issuance.

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 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 grant. We have 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.

Deferred Stock Compensation

We recorded deferred stock compensation with respect to options granted to employees of approximately $7.1 million and $4.9 million in the years ended December 31, 1999 and 2000, 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 $1.3 million in 1999, with $1.0 million recorded as a research and development expense and $.3 million as a general and administration expense. In the year ended December 31, 2000, we amortized deferred stock compensation of $4.9 million, with $3.9 million recorded as research and development expense and $1.0 million as a general and administration expense. At December 31, 2000, we had a total of $5.8 million remaining to be amortized over the vesting periods of the stock options. We expect to record deferred stock compensation of $285,000 for options granted to purchase 120,000 shares of common stock to a new hire who has commenced employment with us on January 1, 2001. The deferred stock compensation has been calculated will be recorded based on the fair value of our common stock at the date employment commences and amortized in accordance with our policy.

Years Ended December 31, 2000, 1999 and 1998

Revenues. Contract revenues from collaborations were $13.2 million in 2000, compared to $9.0 million in 1999 and $28 thousand in 1998. Revenues in 2000 consisted of research support and amortization of upfront fees from the continuation of our collaborations with Pfizer, Janssen Pharmaceutica and Novartis. Revenues in 1998 and 1999 were due to the initiation of three of our corporate collaborations. The collaboration with Janssen Pharmaceutica was signed in December 1998 with research support beginning on January 1, 1999, while the Pfizer collaboration was initiated on January 31, 1999. The Novartis collaboration, which was signed on May 26, 1999, consists of five research programs. Of these five programs, one was started on May 26, 1999, a second program initiated on August 1, 1999 and a third program initiated on January 1, 2000. We expect contract revenue from collaborations to be a significant component of our total revenues for the foreseeable future.

Research and Development. Research and development expenses increased to $32.0 million in 2000 from $17.1 million in 1999 and $8.30 million in 1998, an increase of $14.9 million and $8.8 million, respectively. These increases were primarily attributable to increases in employee costs as our science headcount increased to 86 individuals in 2000 from 66 in 1999 and 41 in 1998 and the higher occupancy costs associated with our new building in South San Francisco, California, which we occupied in March 1999. Research and development expenses in 2000 included $9.2 million in stock related compensation, $3.9 million related to the amortization of deferred stock compensation in connection with options granted to employees and $5.3 million related to compensation on options granted to consultants and the issuance of stock for consultant services. In order to advance all of our non-partnered programs, we expect research and development expenses to increase in future periods in

connection with the addition of increased staffing and scientific program costs. In addition, our costs will increase with the advancement of our non-partnered programs into later stages of development. We also anticipate research and development expenses will increase with the addition of new collaborations.

General and Administrative Expenses. General and administrative expenses were $6.7 million in 2000, compared to $4.0 million in 1999 and $2.2 million in 1998, an increase of $2.7 million and $1.8 million, respectively. These increases were primarily attributable to higher employee costs, infrastructure costs to support the growing research and development activities and increased occupancy costs. The general and administrative expenses in 2000 included $1.0 million related to the amortization of deferred stock in connection with options granted to employees. We expect that general and administrative expenses will increase in the future to support the continued growth of our research and development efforts and to accommodate the new demands associated with operating as a public company.

Net Interest Expense. Net interest income was $145,000 in 2000, compared with a net interest expense of $286,000 in 1999 and net interest expense of $110,000 in 1998. Interest income results from our interest bearing balances while interest expense is the result of our capital lease obligations associated with fixed asset purchases.

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, 2000, we had received $92.6 million in gross proceeds from the sale of equity securities, including $20.0 million from collaborators, and received $24.8 million in research funding from collaborators. In addition, as of December 31, 2000, we had financed through leases and loans the purchase of equipment and leasehold improvements totaling approximately $13.4 million.

As of December 31, 2000, we had $53.0 million in cash, cash equivalents and available-for-sale securities as compared to $5.8 million as of December 31, 1999, an increase of $47.2 million. The increase in cash balances was due primarily to the successful completion of two private placements and Rigel's initial public offering during 2000, which in the aggregate provided approximately $61.3 million in net proceeds to the Company. In addition, we received $3.5 million from our equipment financing arrangements. These proceeds are offset by our usage of $11.6 million for the funding of operations and the investment of $3.6 million in capital equipment and leasehold improvements and $2.4 million in payments associated with our equipment financing arrangements.

As of December 31, 2000, we had $8.7 million in capitalized lease obligations in association with our financed purchase of equipment and leasehold improvements. In early 2000, we had fully exhausted the existing equipment financing agreements available to us at the beginning of the year and on August 22, 2000, entered into a new equipment financing agreement that will provide an incremental $5.0 million of equipment financing proceeds to be utilized over the next 12 to 18 months. Of this latest lease, $2.4 million had been utilized as of December 31, 2000, with $2.6 million available for utilization in 2001. All four 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 December 2000, we received approximately $35.8 million, net of issuance costs, in connection with our initial public offering at $7.00 per share and $10.0 million from the exercise of our right within the Novartis collaboration agreement to have Novartis purchase shares of our common stock in a private placement concurrent with the initial public offering at $7.00 per share. In February 2000, we

received approximately $15.1 million, net of issuance costs, in a private placement at $6.00 per share. We believe that our existing capital resources, together with the proceeds from future and current collaborations, will be sufficient to support our current operating plan for at lease the next 18 months. In the uncertain markets at the time of our initial public offering, the net proceeds to the Company from the initial public offering were less than originally intended. We therefore do anticipate efforts to raise additional equity capital within the next 12 months. Our future capital uses and requirements depend on numerous forward-looking factors. These factors include and are not limited to the following:

- our ability to maintain our existing collaboration partnerships;
- our ability to establish and the scope of our new collaborations;
- the progress and number of research programs carried out at Rigel;
- the progress of the development efforts of our collaborators;
- our ability to meet the milestones identified in our collaborative agreements which trigger payments;
- the progress and success of preclinical and clinical trials of our drug candidates;
- 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, 2000, we had federal net operating loss carryforwards of approximately $39.0 million to offset future taxable income. We also had federal research and

development tax credit carryforwards of approximately $1.6 million. If not utilized, net operating loss and credit carryforwards will begin to expire in 2011. 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 notes to 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 that we invest in 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, government and non-government debt securities. In 1998, 1999 and 2000, 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, 1999 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, 2000. 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, 1999 and 2000, and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2000, in conformity with accounting principles generally accepted in the United States.

/s/ ERNST & YOUNG LLP

Palo Alto, California
February 6, 2001

Rigel Pharmaceuticals, Inc.

BALANCE SHEETS

(in thousands, except share and per share amounts)

	December 31,	
	1999	2000
Assets		
Current assets:		
Cash and cash equivalents	$ 5,836	$ 49,030
Available for sale securities	—	3,964
Accounts receivable	2,348	663
Prepaid expenses and other current assets	346	1,026
Total current assets	8,530	54,683
Property and equipment, net	8,398	9,338
Other assets	241	241
	$ 17,169	$ 64,262

Liabilities and stockholders' equity		
Current liabilities:		
Accounts payable	$ 883	$ 1,314
Accrued compensation	288	724
Accrued liabilities	1,403	696
Deferred revenue	4,770	2,370
Capital lease obligations	2,176	2,952
Total current liabilities	9,520	8,056
Capital lease obligations	5,478	5,761
Long-term portion of deferred revenue	956	400
Other long-term liabilities	459	1,035
Commitments		
Stockholders' equity:		
Convertible preferred stock, $0.001 par value; 26,750,000 and 10,000,000 shares authorized in 1999 and 2000, respectively, issuable in series, 22,053,887 shares issued and outstanding in 1999 and none in 2000	22	—
Common stock, $0.001 par value; 37,500,000, and 100,000,000 shares authorized in 1999 and 2000, respectively, 3,095,834 and 36,804,186 shares issued and outstanding in 1999 and 2000, respectively	3	37
Additional paid-in capital	35,164	108,742
Deferred stock compensation	(5,814)	(5,792)
Accumulated other comprehensive income	—	2
Accumulated deficit	(28,619)	(53,979)
Total stockholders' equity	756	49,010
	$ 17,169	$ 64,262

See accompanying notes.

Rigel Pharmaceuticals, Inc.

STATEMENT OF OPERATIONS

(in thousands, except per share amounts)

	Years ended December 31,		
	1998	**1999**	**2000**
Revenues:			
Contract revenues from collaborations	$ 28	$ 8,984	$ 13,218
Costs and expenses:			
Research and development (see Note A)	8,305	17,112	32,034
General and administrative (see Note A)	2,217	3,952	6,689
	10,522	21,064	38,723
Loss from operations	(10,494)	(12,080)	(25,505)
Interest income	246	311	1,078
Interest expense	(356)	(597)	(933)
Net loss	$ (10,604)	$ (12,366)	$ (25,360)
Deemed dividend to Series E preferred stockholders	—	—	(10,133)
Net loss allocable to common stockholders	$ (10,604)	$ (12,366)	$ (35,493)
Net loss per share, basic and diluted	$ (4.01)	$ (4.39)	$ (4.89)
Weighted average shares used in computing net loss per common share, basic and diluted	2,643	2,818	7,263

Note A:			
Includes charges for stock-based compensation as follows:			
Research and development	$ 6	$ 2,321	$ 9,184
General and administrative	—	254	976
	$ 6	$ 2,575	$ 10,160

See accompanying notes.

Rigel Pharmaceuticals, Inc.
STATEMENT OF STOCKHOLDERS' EQUITY
(in thousands, except 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 Stockholders' Equity
Balance at December 31, 1997	15,551,843	$ 16	2,556,667	$ 3	$ 14,449	$ —	$ —	$ (5,649)	$ 8,819
Issuance of warrants to purchase Series C preferred stock for financing arrangement	—	—	—	—	86	—	—	—	86
Issuance of Series D preferred stock at $2.00 per share in December 1998 for cash, net of issuance costs	3,481,864	3	—	—	6,938	—	—	—	6,941
Issuance of warrants to purchase Series D preferred stock for financing arrangement	—	—	—	—	185	—	—	—	185
Compensation expense related to options granted to consultants	—	—	—	—	6	—	—	—	6
Issuance of common stock upon exercise of options	—	—	118,666	—	12	—	—	—	12
Net loss and comprehensive loss	—	—	—	—	—	—	—	(10,604)	(10,604)
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 up 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

See accompanying notes.

Rigel Pharmaceuticals, Inc.

STATEMENT OF CASH FLOWS

(in thousands)

	Years ended December 31, 1998	1999	2000
Operating activities			
Net loss	$ (10,604)	$ (12,366)	$ (25,360)
Adjustments to reconcile net loss to net cash used in Operating activities:			
Depreciation and amortization	1,103	1,906	2,677
Amortization of deferred stock compensation	—	1,269	4,880
Noncash stock compensation	—	406	5,280
Issuances of equity instruments for noncash benefits	192	23	2,150
Changes in assets and liabilities:			
Accounts receivable	—	(2,348)	1,685
Prepaid expenses and other current assets	(9)	(234)	(680)

Other assets	17	(108)	—
Accounts payable	234	399	431
Accrued compensation	60	184	436
Accrued liabilities	503	487	(707)
Deferred revenue	3,472	2,254	(2,956)
Long-term liabilities	(39)	297	576
Net cash used in operating activities	(5,071)	(7,831)	(11,588)
Investing activities			
Purchase of available-for-sale securities	—	—	(3,962)
Capital expenditures	(2,389)	(7,086)	(3,617)
Net cash used in investing activities	(2,389)	(7,086)	(7,579)
Financing activities			
Proceeds from capital lease financing	1,427	6,696	3,471
Principal payments on capital lease obligations	(571)	(1,415)	(2,412)
Net proceeds from issuances of common stock	12	51	45,837
Net proceeds from issuances of convertible preferred stock	6,941	5,928	15,465
Net cash provided by financing activities	7,809	11,260	62,361
Net increase in cash and cash equivalents	349	(3,657)	43,194
Cash and cash equivalents at beginning of period	9,144	9,493	5,836
Cash and cash equivalents at end of period	$ 9,493	$ 5,836	$ 49,030
Supplemental disclosure of cash flow information			
Interest paid	$ 161	$ 597	$ 933
Schedule of non cash transactions			
Deferred stock compensation	$ —	$ 7,083	$ 4,858

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.

The Company's current operating plan anticipates that the Company will require additional capital to fund its operations and continue its research and development programs. Since inception, the Company has funded its operations primarily through the sale of private and public equity securities, payments from corporate collaborators and capital asset lease financings. The Company plans to seek additional funding in the future through public or private financing arrangements with third parties.

On December 4, 2000, the Company completed its initial public offering shares of common stock at $7.00 per share and all outstanding shares of preferred stock were converted into 24,895,957 shares of common stock. In connection with the initial public offering, the Company amended its certificate of incorporation to decrease the number of authorized shares of preferred stock to 10,000,000 and increase the number of authorized shares of common stock to 100,000,000.

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 and exclude demand deposits. The Company's short-term investments include obligations of governmental agencies, corporate debt securities with original maturities ranging between 3 and 12 months and one equity investment resulting from a private investment that converted into publicly traded common shares. 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, 1999 and 2000. Unrealized gains (losses) are reported in stockholders' equity and included in other comprehensive income (loss). 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, 1999 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, 1999 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, which management believes approximates fair value.

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 specified in the agreement, generally the research term.

Revenue 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 under each respective agreement 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 when the Company does not incur the required level of effort during a specific period in comparison to funds received under the respective contracts. Milestone and royalty payments, if any, will be recognized pursuant to collaborative agreements upon the achievement of specified milestones.

Research and development

Research and development expenditures, including direct and allocated expenses, are charged to 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 discounted cash flows. Through December 31, 2000, 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") establishes 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 in accounting for its employee stock option grants ("APB 25") and to disclose the pro forma effect of SFAS 123 (see Note 7). 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.

Net loss per share

Net loss per share has been computed according to the 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 November 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 beginning of each of the following years (in thousands except per share information):

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

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):

	Years Ended December 31,		
	1998	1999	2000
Convertible preferred stock	19,034	22,054	—
Outstanding options	3,354	5,242	5,700
Warrants	648	647	457
Weighted average exercise price of options	$ 0.14	$ 0.19	$ 2.70
Weighted average exercise price of warrants	$ 1.30	$ 1.30	$ 1.01

Recent accounting pronouncements

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 does not have an impact on the Company's results of operations of financial condition when adopted as the Company holds no derivative financial instruments and does not currently engage in hedging activities.

In March 2000, the FASB issued No. 44 ("FIN 44"), "Accounting for Certain Transactions Involving Stock Compensation—an Interpretation of APB 25." This Interpretation clarifies (a) the definition of employee for purposes of applying Opinion 25, (b) the criteria for determining whether a plan qualifies as a non-compensatory plan, (c) the accounting consequence of various modifications to the terms of a previously fixed stock option or award, and (d) the accounting for an exchange of stock compensation awards in a business combination. This Interpretation is effective July 1, 2000, but certain conclusions in this Interpretation cover specific events that occur after either December 15, 1998, or January 12, 2000. To the extent that this interpretation covers events occurring during the period after December 15, 1998, or January 12, 2000, but before the effective date of July 1, 2000, the effects of applying this Interpretation are recognized on a prospective basis from July 1, 2000. The adoption of FIN 44 did not have a material impact on the Company's financial statements.

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS

Research agreements

On December 4, 1998, the Company entered into a research collaboration agreement with Janssen Pharmaceutica NV ("Janssen") to research and identify novel targets for drug discovery. Under the terms of the contract, Janssen paid an one time fee and will provide support for research activities during the three-year research period, as well as various milestones and royalties. As part of this collaborative research agreement, Johnson & Johnson ("J&J"), a related company to Janssen, participated in the Company's Series D and E preferred stock financings. J&J 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 J&J 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 royalty if certain conditions are met. 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 five different research programs to identify various targets for drug development. Two of the five programs were initiated in 1999, with the third program initiated on January 1, 2000. The remaining two programs are scheduled to commence by May 28, 2001. 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 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, 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.

At December 31, 2000, the Company's aggregate minimum commitment under all its research and license agreements is approximately $3.1 million. The minimum commitment is $0.4 million in 2001, $0.3 million in 2002, $0.3 million in 2003, $0.3 million in 2004, $0.3 million in 2005, and $1.5 million thereafter.

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 to issue 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

In 1998, Janssen represented 100% of total revenues. For the year ended December 31, 1999, Pfizer, Janssen and Novartis accounted for 34%, 32% and 34% of total revenues, respectively. For the year ended December 31, 2000, Pfizer, Janssen and Novartis accounted for 22%, 25% and 52% 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,	
	1999	2000
Money market funds	$ 5,836	$ 49,030
Corporate commercial paper	—	3,964
	$ 5,836	$ 52,994
Reported as:		
Cash equivalents	$ 5,836	$ 49,030
Available-for-sale securities	—	3,964
	$ 5,836	$ 52,994

At December 31, 2000, the average maturity of the available-for-sale securities was approximately one month.

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

5. PROPERTY AND EQUIPMENT

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

	Years Ended December 31,	
	1999	2000
Laboratory and office equipment	$ 8,589	$ 12,107
Leasehold improvements	2,993	3,092
	11,582	15,199
Less accumulated depreciation and amortization	(3,184)	(5,861)
Property and equipment, net	$ 8,398	$ 9,338

At December 31, 1999 and 2000 equipment under capital leases was approximately $9,936,000 and $13,407,000, respectively with accumulated amortization of approximately $2,736,000 and $5,170,000, respectively.

6. LONG-TERM OBLIGATIONS

At December 31, 2000 future minimum lease payments under all noncancelable leases are as follows (in thousands):

	Capital Leases	Operating Leases
2001	$ 3,738	$ 2,018
2002	3,258	2,263
2003	2,678	2,333
2004	696	2,353

2005	—	2,398
2006 and thereafter	—	20,637
Total minimum payment required	10,370	$ 32,002
Less amount representing interest	(1,657)	
Present value of future lease payments	8,713	
Less current portion	(2,952)	
Noncurrent obligations under capital leases	$ 5,761	

The Company leases its South San Francisco office and research facility under a noncancelable operating lease which expires in February 2016. In addition, the Company has the option to extend the lease for up to two additional five-year periods. Rent expense under all operating leases amounted to approximately $381,000, $1,756,000, $2,252,000 for the years ended December 31, 1998, 1999 and 2000, 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 has the ability to draw down on this facility up to August 2001. At December 31, 2000, the Company has utilized approximately $2.4 million of this facility.

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. The warrant automatically converts upon the earlier of April 30, 2004 or a merger or reorganization of the Company.

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. The warrant expires on June 30, 2005.

In conjunction with the facilities lease entered into in June 1998, the Company issued three warrants to purchase 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.

2000 stock option plan

In January 2000, the Company adopted the 2000 Equity Incentive Plan (the "2000 Plan"), which was approved in March 2000 by stockholders. The 2000 Equity Incentive 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, directors of, or consultants to, the Company and its affiliates.

Options granted under the Stock Plan expire no later than 10 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.

As of December 31, 2000, a total of 9,525,000 shares of common stock have been authorized for issuance under the 2000 Plan.

Activity under the 2000 Plan through December 31, 2000 is as follows:

	Shares Available For Grant	Number of Options	Weighted-Average Exercise Price
Outstanding at December 31, 1997	803,333	1,475,000	$ 0.10
Authorized for grant	3,000,000	—	
Granted	(2,157,500)	2,157,500	0.16
Exercised	—	(118,666)	0.10
Cancelled	159,584	(159,584)	0.12
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
Shares granted out of the Plan	(100,000)	—	—
Granted	(2,593,609)	2,693,609	6.09
Exercised	—	(1,733,824)	0.16
Cancelled	501,991	(501,991)	3.47
Options outstanding at December 31, 2000	1,503,044	5,699,798	$ 2.70

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 - $ 0.30	3,384,007	8.05	$ 0.21	902,542	$ 0.20
$ 4.50 - $ 7.65	1,625,606	9.29	$ 5.11	186,287	$ 4.73
$ 9.00 - $11.00	690,185	9.58	$ 9.25	28,957	$ 9.64
$ 0.10 - $11.00	5,699,798	8.59	$ 2.70	1,117,786	$ 1.20

The weighted-average fair value of the options granted in 1998, 1999, and 2000, was $0.03, $0.06 and $3.32, respectively.

Options outstanding includes options to purchase 120,000 shares granted to new hires who will commence employment with the Company at a later date. The stock compensation with respect to these options will be recorded based on the fair value of the Company's common stock at the date employment commences and amortized in accordance with the Company's policy.

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 under the fair value method prescribed by the Statement. The fair value for these options was estimated at the date of grant using the minimum value method with the following weighted-average assumptions for the years ended December 31, 1998, 1999, 2000: risk-free interest rates of 5.5%, 6.0% and 4.8%, respectively; volatility of 0.65, 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,		
	1998	1999	2000
Net loss allocable to common stockholders:			
As reported	$ (10,604)	$ (12,366)	$ (35,493)
Pro forma	(10,604)	(12,413)	(37,309)
Basic and diluted net loss per common share:			
As reported	$ (4.01)	$ (4.39)	$ (4.89)
Pro forma	(4.01)	(4.40)	(5.14)

The effects of applying SFAS 123 for pro forma disclosures are not likely to be representative of the effects as reported net loss for future years.

The Company granted 621,500, 334,000, and 358,563 common stock options to consultants in exchange for services in 1998, 1999 and 2000, respectively. The Company has recorded compensation expense related to these options. 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 $7.1 million and $4.9 million in the years ended December 31, 1999 and 2000, respectively, representing the difference between the exercise price of the options and the deemed fair value of the common stock. 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 and $4.9 million for the years ended December 31, 1999 and 2000, respectively and is expected to be approximately $3.3 million in 2001, $1.8 million in 2002, $0.8 million in 2003 and $0.2 million in 2004.

Reserved shares

As of December 31, 2000, the Company has reserved shares of common stock for future issuance as follows:

	December 31, 2000
Warrants.	456,578
Incentive stock plans	7,902,842
	8,359,420

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 shareholders. A total of 400,000 shares of the

Company's common stock have been reserved for issuance under the Purchase Plan. In addition, 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. 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.

2000 non-employee directors' stock option plan

In August 2000, the Company adopted the 2000 Non-Employee Directors Stock Option Plan, which was approved in September 2000 by stockholders, with a total of 300,000 shares of common stock for issuance thereunder. 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 stockholders meeting, beginning with the first board meeting after 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.

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,	
	1999	2000
Deferred tax assets		
Net operating loss carryforwards	$ 8,300	$ 13,600
Research and development credits	1,000	1,900
Capitalized research and development expenses	1,100	1,600
FAS 123 amortization on non-qual stock options	0	3,600
Other, net	400	300
Total deferred tax assets	10,800	21,000
Valuation allowance	(10,800)	(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 $3.9M, $4.4M, and $10.2M during 1998, 1999, and 2000, respectively.

As of December 31, 2000, the Company had net operating loss carryforwards for federal income tax purposes of approximately $39.0M, which expire in the years 2011 through 2020 and federal research and development tax credits of approximately $1.6M, which expire in the years 2012 through 2020.

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.

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

	Year Ended December 31, 1999				Year Ended December 31, 2000			
	Q1	Q2	Q3	Q4	Q1	Q2	Q3	Q4
Revenue	$ 1,267	$ 1,802	$ 2,829	$ 3,086	$ 3,648	$ 3,149	$ 3,210	$ 3,211
Loss from operations	$ (2,266)	$ (3,191)	$ (2,472)	$ (4,437)	$ (7,913)	$ (4,409)	$ (7,272)	$ (5,766)
Deemed dividend to Series E preferred stockholders	—	—	—	—	(10,133)	—	—	—
Net loss applicable to common stockholders	$ (2,266)	$ (3,191)	$ (2,472)	$ (4,437)	$ (18,046)	$ (4,409)	$ (7,272)	$ (5,766)
Earnings per share to common stockholders, basic & diluted	$ (0.84)	$ (1.17)	$ (0.86)	$ (1.49)	$ (4.62)	$ (1.00)	$ (1.59)	$ (0.36)
Weighted average shares used in computing net loss per common share, basic & diluted	2,685	2,721	2,883	2,976	3,904	4,420	4,561	16,064
Pro forma net loss per share, basic & diluted	$ (0.10)	$ (0.14)	$ (0.10)	$ (0.18)	$ (0.65)	$ (0.15)	$ (0.25)	$ (0.18)
Weighted average shares used in computing pro forma net loss per share, basic & diluted	22,427	23,544	24,937	25,030	27,640	29,140	29,295	31,992

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

None.

PART III

Item 10. Directors and Executive Officers of the Registrant

The information required by this item will be contained in our definitive Proxy Statement with respect to our 2001 Annual Meeting of Stockholders, under the captions "Election of Directors—Nominees," and "Security Ownership of Certain Beneficial Owners and Management—Compliance with the Reporting Requirement of Section 16(a)," and is incorporated by reference thereto.

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, 2001.

Name	Age	Position
James M. Gower	52	President, Chief Executive Officer and Director
Donald G. Payan, MD	52	Executive Vice President and Chief Scientific Officer and Director
Brian C. Cunningham	57	Senior Vice President, Chief Operating Officer, Chief Financial Officer and Secretary
James H. Welch	43	Vice President, Finance and Administration and Assistant Secretary
Raul R. Rodriguez	40	Vice President, Business Development
Jean Deleage, PhD(1)	60	Director
Alan D. Frazier(2)	48	Director
Walter H. Moos, PhD(2)	46	Director
Stephen A. Sherwin, MD(1)	52	Director
Thomas S. Volpe(1)	49	Director

(1) Member of the audit committee.

(2) Member of the compensation committee.

James M. 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.

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.

Brian C. Cunningham has been our Secretary since July 1996. In July 1998, he joined us as Senior Vice President and Chief Operating Officer, and in February 1999, he also became 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.

James H. 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 College, an MPH from the University of Illinois and an MBA from Stanford University.

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. From 1979 to 1996, Dr. Deleage was a managing partner of Burr, Egan, Deleage & Co., a venture capital firm. 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., Flamel Technologies S.A., 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 President, Chief Executive Officer and director of Cell Genesys, Inc. and as Chairman of the Board of Cell Genesys since March 1994. From 1983 to 1990, Dr. Sherwin held various positions at Genentech Inc., most recently as Vice President, Clinical Research. He received his MD from Harvard Medical School and his BA from Yale University. Dr. Sherwin also currently serves as a director of Abgenix, Inc. and Neurocrine Biosciences, Inc.

Thomas S. Volpe joined as a director in August 2000. Since December 1999, he has served as the Chairman of Prudential Volpe Technology Group. Mr. Volpe also serves on the board of directors of Linear Technology Corporation. From 1986 to 1999, Mr. Volpe was President, CEO 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, CEO 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 holds an AB in Economics from Harvard University, a 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.

Item 11. Executive Compensation

The following table sets forth information concerning the compensation that we paid during the fiscal years ended December 31, 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 2000. As permitted by the rules promulgated by the SEC, no amounts are shown for 1998.

		Annual Compensation		Long Term Compensation	
Name and Principal Position	Year	Salary	Bonus	Securities Underlying Options/SARS(1)	All other Compensation
James M. Gower	2000	$ 267,800	—	—	—
President, Chief Executive Officer and Director	1999	255,000	—	450,000	—
Donald G. Payan	2000	247,200	—	—	—
Executive Vice President and Chief Scientific Officer and Director	1999	235,417	—	150,000	—
Brian C. Cunningham	2000	257,500	—	200,000	—
Senior Vice President, Chief Operating Officer, Chief Financial Officer and Secretary	1999	250,000	—	—	—
James H. Welch	2000	154,500	—	50,000	—
Vice President, Finance and Administration and Assistant Secretary	1999	100,000	$ 25,000	150,000	—
Raul Rodriguez(2)	2000	165,000	—	245,000	$ 12,226(3)
Vice President, Business Development	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. Rodriquez began employment effective April 3, 2000.

(3)

Other compensation consists of relocation costs incurred by the Company on behalf of Mr. Rodriguez.

The following table sets forth summary information regarding the option grants made to our Chief Executive Officer and each of our four other most highly paid executive officers during 2000. Options granted to purchase shares of our common stock under our 2000 Equity Incentive Plan generally vest over a four-year period. The exercise price per share is equal to the fair market value of our common stock on the date of grant as determined by our board of directors. For grants of stock options prior the Company's initial public offering, the fair market value of our common stock on the date of grant our board of directors considered many factors, including:

- the fact that option grants involved illiquid securities in a nonpublic company;
- prices of preferred stock issued by Rigel to outside investors in arm's-length transactions, and the rights, preferences and privileges of the preferred stock over the common stock;
- Rigel's performance and operating results at the time of grant;
- the status of Rigel's research and development efforts;
- Rigel's stage of development and business strategy; and
- the likelihood of achieving a liquidity event for the shares of common stock underlying these options, such as an initial public offering or a sale of Rigel.

The potential realizable value is calculated based on the ten-year term of the option at the time of grant. Stock price appreciation of 5% and 10% is assumed pursuant to rules promulgated by the Securities and Exchange Commission and does not represent our prediction of our stock price performance. The potential realizable values at 5% and 10% appreciation are calculated by:

- multiplying the number of shares of common stock under the option by the closing price of the Company's stock on December 31, 2000 at a price of $10.00 per share;
- assuming that the aggregate stock value derived from that calculation compounds at the annual 5% or 10% rate shown in the table until the expiration of the options; and
- subtracting from that result the aggregate option exercise price.

Percentages shown under "Percentage of Total Options Granted to Employees in 2000" are based on an aggregate of 2,375,046 options granted to employees under our 2000 Equity Incentive Plan during 2000.

Option Grants in Last Fiscal Year Ended December 31, 2000

	Individual Grants				Potential Realizable Value at Assumed Annual Rates of Appreciation of Stock Price for Option Term	
Name	Number of Securities Underlying Options Granted	% of Total Options Granted to Employees in 2000	Exercise Price $/Sh	Expiration Date	5%	10%
James M. Gower	—	—	—	—	—	—
Donald G. Payan	—	—	—	—	—	—
Brian C. Cunningham	200,000	8.4%	$ 4.50	1/27/10	$ 2,357,789	$ 4,287,485
James H. Welch	50,000	2.1%	4.50	1/27/10	589,447	1,071,871
Raul Rodriguez	245,000	10.3%	4.50	2/01/10	2,888,292	5,252,169

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, 2000 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, 2000" column are based on the closing market price on December 29, 2000 of $10.00 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, 2000		Value of Unexercised In-the-Money Options at December 31, 2000	
			Vested	Unvested	Vested	Unvested
James M. Gower	—	—	165,000	235,000	$ 1,617,000	$ 2,303,000
Donald G. Payan.	—	—	55,000	95,000	539,000	931,000
Brian C. Cunningham	200,000	1,970,000	87,499	412,501	410,410	2,544,590
James H. Welch	37,500	161,250	21,458	141,042	98,000	1,004,500
Raul Rodriguez	—	—	—	245,000	—	1,347,500

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, 2001, 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 2000;
- 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, 2001 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 36,900,758 shares of common stock outstanding

as of February 15, 2001. 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, 2001	Percent of Total Outstanding Shares Beneficially Owned
Five percent stockholders			
Entities affiliated with Lombard Odier & Cie(1) 11, rue de la Corraterie 1204 Geneve 11 Switzerland	6,269,538	—	17.0%
Entities affiliated with Alta Partners(2) One Embarcadero Center, Suite 4050 San Francisco, CA 94111	4,683,923	—	12.7
Entities affiliated with Frazier and Company, Inc.(3) 601 Union Street, Suite 2110 Seattle, WA 98101	4,347,719	—	11.8
Novartis Pharma AG Head Financial Investments CH-4002 Basil, Switzerland	3,428,571	—	9.3
Directors and named executive officers			
James M. Gower	500,000	195,000	1.9
Donald G. Payan	750,000	65,000	2.2
Brian C. Cunningham	200,000	124,999	*
James H. Welch	37,500	35,584	*
Raul Rodriguez	300	71,458	*
Jean Deleage(2)	4,683,923	—	12.7
Alan D. Frazier(3)	4,347,719	—	11.8
Walter H. Moos	—	16,110	*
Stephen A. Sherwin	—	14,267	*
Thomas S. Volpe	33,333	5,833	*
All executive officers and directors as a group (10 people)	10,552,775	528,251	30.0%

* Less than one percent (1%).

(1)

Includes shares held by Lombard Odier & Cie as custodian for the Lombard Odier Immunology Fund, over which Lombard Odier & Cie has sole voting and dispositive power, and shares held for the benefit of private and institutional clients, over which Lombard Odier & Cie shares dispositive power. Lombard Odier & Cie is also the record holder of 1,004,750 shares, over which it has no voting or dispositive power and for which it is not the beneficial owner. Shares held by Lombard Odier & Cie are managed by Lombard Odier Fund Managers S.A.

(2)

Includes 4,579,305 shares held by Alta California Partners, L.P. and 104,618 shares held by Alta Embarcadero Partners, LLC. 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.

Item 13. Certain Relationships and Related Transactions

From January 1, 2000 through December 31, 2000, the following executive officers, directors and holders of more than 5% of our voting securities purchased securities in the amounts and as of the dates set forth below.

Executive Officers, Directors and 5% Stockholders(2)	Common Stock	Series E Preferred Stock(1)
Directors		
Tak W. Mak(3)	50,000	—
Thomas S. Volpe	—	33,333
Five Percent Stockholders		
Entities affiliated with Alta Partners(4)	—	166,667
Entities affiliated with Frazier and Company, Inc.(5)	—	125,000
Johnson & Johnson Development Corporation	—	166,666
Entities affiliated with Lombard Odier & Cie	—	500,000
Novartis Pharma AG	1,428,571	—
Price Per Share		$6.00
Date(s) of Purchase		2/00-8/00

(1)

All preferred stock converted to common stock on a 1-for-1 basis upon the closing of the Company's initial public offering.

(2)

See "Security Ownership of Certain Beneficial Owners and Management" for more detail on shares held by these purchasers.

(3)

Dr. Mak resigned as a director on March 8, 2000.

(4)

Dr. Deleage, one of our directors, is the managing general partner of Alta Partners.

(5)

Mr. Frazier, one of our directors, is the managing principal of Frazier and Company, Inc.

(6)

Dr. Mak was granted 50,000 shares at an estimated price of $9.00 per share in January 2000 and Novartis purchased 1,428,571 shares at $7.00 per share concurrent with the closing of the initial public offering in December 2000.

We had entered into an Amended and Restated Registration Rights Agreement with each of the purchasers of preferred stock set forth above, pursuant to which these and other stockholders will have registration rights with respect to their shares of common stock that issued upon conversion of their preferred stock at the closing of the Company's initial public offering on December 4, 2000.

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 President, 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 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.

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.
3. Exhibits:

3.1*	Amended and Restated Certificate of Incorporation.
3.2*	Amended and Restated Bylaws.
4.1*	Specimen Common Stock Certificate.
4.2*	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*	Form of warrant to purchase shares of common stock.
4.4*	Warrant issued to Lighthouse Capital Partners II, L.P. for purchase of shares of Series B preferred stock.
4.5*	Warrant issued to Lighthouse Capital Partners II, L.P. for purchase of shares of Series C preferred stock.
4.6*	Form of warrant to purchase shares of Series D preferred stock.
10.1*	Form of Indemnity Agreement.
10.2††*	Equity Incentive Plan.
10.3*	Form of Stock Option Agreement pursuant to 2000 Equity Incentive Plan.
10.4††	2000 Employee Stock Purchase Plan.
10.5*	2000 Non-Employee Directors' Stock Option Plan.
10.6*	Collaboration Agreement between Rigel and Janssen Pharmaceutica N.V., dated December 4, 1998.
10.7*	Collaborative Research and License Agreement between Rigel and Pfizer Inc., dated January 31, 1999.
10.8*	Collaboration Agreement between Rigel and Novartis Pharma AG, dated May 26, 1999.
10.9†*	License and Research Agreement between Rigel and Cell Genesys, Inc., dated September 2, 1999.
10.10*	Collaborative Research and Development Agreement between Rigel and Neurocrine Biosciences, Inc., dated December 1997.
10.11††*	Employment Agreement between Rigel and Donald Payan, dated January 16, 1997.
10.12*	Lease between Rigel and Britannia Pointe Grand Limited Partnership, dated June 2, 1998.
10.13*	Technology Transfer Agreement between Rigel and Questcor Pharmaceuticals, Inc., dated September 22, 2000.
23.1**	Consent of Ernst & Young LLP, Independent Auditors.
24.1**	Power of Attorney. (see page 65)

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

** Filed herewith.

† Confidential treatment requested as to specific portions, which portions are omitted and filed separately with the Securitiesand Exchange Commission.

†† Management contract or compensatory plan.

(b)

The Company did not file any reports on Form 8-K during the fourth quarter of 2000.

(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, 2001.

RIGEL PHARMACEUTICALS, INC.

By: /s/ JAMES M. GOWER

James M. Gower
Chief Executive Officer

By: /s/ BRIAN C. CUNNINGHAM

Brian C. Cunningham
Senior Vice President, Chief Financial Officer

POWER OF ATTORNEY

KNOW ALL PERSONS BY THESE PRESENT, that the persons whose signatures appear below each severally constitutes and appoints James Gower and Brian Cunningham, 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	President, Chief Executive Officer and Director *(Principal Executive Officer)*	March 29, 2001
/s/ BRIAN C. CUNNINGHAM Brian C. Cunningham	Senior Vice President, Chief Financial Officer, Chief Operating Officer and Secretary *(Principal Finance and Accounting Officer)*	March 29, 2001

/s/ DONALD G. PAYAN Donald G. Payan	Executive Vice President, Chief Scientific Officer and Director	March 29, 2001
/s/ JEAN DELEAGE Jean Deleage	Director	March 29, 2001
/s/ ALAN D. FRAZIER Alan D. Frazier	Director	March 29, 2001
/s/ WALTER H. MOOS Walter H. Moos	Director	March 29, 2001
/s/ STEPHEN A. SHERWIN Stephen A. Sherwin	Director	March 29, 2001
/s/ THOMAS S. VOLPE Thomas S. Volpe	Director	March 29, 2001

EXHIBIT INDEX

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†† Management contract or compensatory plan.

QuickLinks

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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-8 No. 333-51184) pertaining to the 2000 Equity Incentive Plan, 2000 Employee Stock Purchase Plan and 2000 Non-Employee Directors' Stock Option Plan of Rigel Pharmaceuticals, Inc., of our report dated February 6, 2001, with respect to the financial statements of Rigel Pharmaceuticals, Inc., included in the Annual Report (Form 10-K) for the year ended December 31, 2000.

/s/ ERNST & YOUNG LLP

Palo Alto, California

March 26, 2001

QuickLinks

CONSENT OF ERNST & YOUNG LLP, INDEPENDENT AUDITORS