REGISTRATION NO. 333-96127

SECURITIES AND EXCHANGE COMMISSION WASHINGTON, D.C. 20549

AMENDMENT NO. 5 TO FORM S-1

REGISTRATION STATEMENT UNDER THE SECURITIES ACT OF 1933

RIGEL PHARMACEUTICALS, INC.

(Exact name of registrant as specified in its charter)

<TABLE>

DELAWARE 8731 94-3248524
(State or other jurisdiction (Primary Standard (I.R.S. Employer of incorporation or Industrial Classification organization) Code Number)

</TABLE>

240 EAST GRAND AVENUE SOUTH SAN FRANCISCO, CALIFORNIA 94080 (650) 624-1100

(Address, including zip code, and telephone number, including area code, of registrant's principal executive offices)

JAMES M. GOWER PRESIDENT AND CHIEF EXECUTIVE OFFICER RIGEL PHARMACEUTICALS, INC. 240 EAST GRAND AVENUE SOUTH SAN FRANCISCO, CALIFORNIA 94080 (650) 624-1100

(Name, address, including zip code, and telephone number, including area code, of agent for service)

<C>

COPIES TO:

<TABLE>

</TABLE>

<S> MATTHEW W. SONSINI, ESQ.

JOHN F. WICKS, ESQ. COOLEY GODWARD LLP FIVE PALO ALTO SQUARE 3000 EL CAMINO REAL PALO ALTO, CA 94306-2155 (650) 843-5000

RICHARD R. PLUMRIDGE, ESQ. JEFF T. HARRIS, ESQ. ARUN JHA, ESQ. BROBECK, PHLEGER & HARRISON LLP

370 INTERLOCKEN BLVD., SUITE 500 BROOMFIELD, CO 80021

(303) 410-2000

APPROXIMATE DATE OF PROPOSED SALE TO THE PUBLIC: AS SOON AS PRACTICABLE AFTER THE REGISTRATION STATEMENT BECOMES EFFECTIVE.

If any of the securities being registered on this Form are to be offered on a delayed or continuous basis pursuant to Rule 415 under the Securities Act of 1933, as amended (the "Securities Act"), check the following box. / /

If this Form is filed to register additional securities for an offering pursuant to Rule 462(b) under the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement for the same offering. / /

If this Form is a post-effective amendment filed pursuant to Rule 462(c) under

the Securities Act, check the following box and list the Securities Act registration statement number of the earlier effective registration statement number for the same offering. / /

If this Form is a post-effective amendment filed pursuant to Rule 462(d) under the Securities Act, check the following box and list the Securities Act registration number of the earlier effective registration statement for the same offering. / /

If delivery of the prospectus is expected to be made pursuant to Rule 434, check the following box. / /

THE REGISTRANT HEREBY AMENDS THIS REGISTRATION STATEMENT ON SUCH DATE OR DATES AS MAY BE NECESSARY TO DELAY ITS EFFECTIVE DATE UNTIL THE REGISTRANT SHALL FILE A FURTHER AMENDMENT WHICH SPECIFICALLY STATES THAT THIS REGISTRATION STATEMENT SHALL THEREAFTER BECOME EFFECTIVE IN ACCORDANCE WITH SECTION 8(a) OF THE SECURITIES ACT OF 1933, AS AMENDED, OR UNTIL THE REGISTRATION STATEMENT SHALL BECOME EFFECTIVE ON SUCH DATE AS THE COMMISSION, ACTING PURSUANT TO SAID SECTION 8(a), MAY DETERMINE.

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THE INFORMATION IN THIS PROSPECTUS IS NOT COMPLETE AND MAY BE CHANGED. WE MAY NOT SELL THESE SECURITIES UNTIL THE REGISTRATION STATEMENT FILED WITH THE SECURITIES AND EXCHANGE COMMISSION IS EFFECTIVE. THIS PROSPECTUS IS NOT AN OFFER TO SELL THESE SECURITIES AND IT IS NOT SOLICITING AN OFFER TO BUY THESE SECURITIES IN ANY STATE WHERE THE OFFER OR SALE IS NOT PERMITTED.

PRELIMINARY PROSPECTUS

SUBJECT TO COMPLETION

APRIL 11, 2000

9,000,000 SHARES

[LOGO]

RIGEL PHARMACEUTICALS, INC.

COMMON STOCK

_ _______

This is an initial public offering of shares of our common stock. No public market currently exists for our common stock. We expect the public offering price to be between \$8.00 and \$10.00 per share.

We applied to have our common stock listed on the Nasdaq National Market under the symbol "RIGL."

BEFORE BUYING ANY SHARES YOU SHOULD READ THE DISCUSSION OF MATERIAL RISKS OF INVESTING IN OUR COMMON STOCK IN "RISK FACTORS" BEGINNING ON PAGE 5.

Neither the Securities and Exchange Commission nor any state securities commission has approved or disapproved of these securities or determined if this prospectus is truthful or complete. Any representation to the contrary is a criminal offense.

<TABLE> <CAPTION>

PER SHARE TOTAL <C> PUBLIC OFFERING PRICE Ś UNDERWRITING DISCOUNT AND COMMISSIONS _ -----______ PROCEEDS, BEFORE EXPENSES, TO RIGEL \$ \$ _ _____ ______

</TABLE>

The underwriters may also purchase up to 1,350,000 shares of common stock from us at the public offering price, less the underwriting discounts and commissions, within 30 days from the date of this prospectus. This option may be exercised only to cover over-allotments, if any. If the option is exercised in full, the total underwriting discounts and commissions will be \$, and the total proceeds, before expenses, to Rigel will be \$

Delivery of the shares will be made on or about

WARBURG DILLON READ LLC

PRUDENTIAL VECTOR HEALTHCARE A UNIT OF PRUDENTIAL SECURITIES

THE DATE OF THIS PROSPECTUS IS , 2000

Inside Front Cover Graphic

Description: Rigel logo.

Inside Gatefold Graphic

Title: Rigel Technology: Rigel's technologies identify and validate the causal role of protein molecules which regulate disease processes in cells and can lead to the development of drugs.

Description: A schematic diagram of the drug discovery process using Rigel technologies.

_ ______

You should rely only on the information contained in this prospectus. We have not authorized anyone to provide you with information different from that contained in this prospectus. We are offering to sell, and seeking offers to buy, shares of common stock only in jurisdictions where offers and sales are permitted. The information contained in this prospectus is accurate only as of the date of this prospectus, regardless of the time of delivery of the prospectus or of any sale of the common stock.

, 2000 (25 days after the date of this prospectus), all dealers selling shares of our common stock, whether or not participating in this offering, may be required to deliver a prospectus. This delivery requirement is in addition to the obligation of dealers to deliver a prospectus when acting as underwriters and with respect to their unsold allotments or subscriptions.

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"Rigel" and the Rigel logo are trademarks of Rigel Pharmaceuticals, Inc. Other trademarks and trade names appearing in this prospectus are the property of their holders.

PROSPECTUS SUMMARY

This summary highlights information contained elsewhere in this prospectus. You should read the entire prospectus carefully, especially the risks of investing in our common stock discussed under "Risk factors." Our principal executive offices are located at 240 East Grand Avenue, South San Francisco, California 94080. Our telephone number is (650) 624-1100. Our website is http://www.rigel.com. The information found on our website is not intended to be a part of this prospectus.

OUR BUSINESS

We are a post-genomics combinatorial biology company. A post-genomics combinatorial biology company is one which tries to identify molecules which play an important role in regulating a human cell's response to disease by testing a very large number of proteins in a very large number of cells to determine which will change the cell's response to the disease. Our approach provides a new and faster way to find those molecules and to confirm or validate the role of those molecules in disease without first knowing the identity or order of occurrence of the genes involved. We can identify those protein molecules that may be targeted for finding drugs by creating a disease-like setting that can detect a change in the cellular response. By creating a map of these protein molecules and their interactions in cells that are involved in a disease process, we can select protein targets for drug development that are specific to the diseases we study and reduce the probability of selecting protein targets leading to drugs that produce side effects. After selecting these targets, we continue drug development with the goal of developing small molecule drugs. Small molecule drugs are small chemical compounds which provide the advantage that they can generally be administered orally. In our first three years of research, we have succeeded in identifying 15 new drug targets in seven of our nine programs and have generated compounds which are candidates for preclinical trials in three of our programs. We currently have programs in asthma/allergy, autoimmune disease, transplant rejection, rheumatoid arthritis/ inflammatory bowel disease, and tumor growth. We have multi-year collaborations with Cell Genesys, Inc., Janssen Pharmaceutica N.V., Novartis Pharma AG and Pfizer Inc. In addition we have collaborated with Neurocrine Biosciences, Inc. in order to obtain rights to small chemical compounds.

THE PROBLEM

Pharmaceutical companies face enormous pressure to develop drugs that act on previously unknown targets within cells. Despite revolutionary advances made in molecular biology and genomics, only approximately 500 out of thousands of possible targets have been identified, and there has been no efficient way to identify additional appropriate targets for drug development. Efforts to identify the order of occurrence of the complete set of human genes have generated huge amounts of fundamentally important genetic information, and these efforts have provided interesting information about which particular genes are associated with particular disease conditions. However, neither has been able to utilize this information to identify protein drug targets quickly and systematically, or to increase the probability of discovering new drug candidates. The result is a shortage of possible drug targets with limited tools to determine which new targets should be pursued.

OUR SOLUTION

We bypass the need to know the identity or order of occurrence of genes in order to discover new drug targets. We have developed two technologies which we believe provide us with an enhanced ability to identify new drug targets for drug discovery rapidly and efficiently.

Our technology uses retroviruses to introduce up to 100 million different proteins into normal or diseased cells, stimulates the cells to induce a disease-like behavioral response, and sorts the cells at a rate of up to 60,000 cells per second to collect data on up to 5 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 a protein that interacts with a protein target in a way that causes a cell to change its behavior from diseased back to normal. We believe we can identify the relatively few targets useful for identifying new drugs and initially validate them in the context of a disease-specific cellular response.

Our technology also enables us to map interactions between proteins, identify

specific proteins which bind with other proteins, and select targets for drug development that are specific to the disease we are seeking to affect, avoiding targets that have a role in other diseases or cells. As a result of mapping the interactions of proteins in cells, we establish comprehensive sets of these interactions, referred to as pathways, which carry the information or signals necessary to regulate both diseased and normal cells.

We believe that our technology has a number of advantages: improved target identification; rapid validation of protein targets; improved pathway mapping; better informed target selection; more efficient compound screening; and reduced risk of failure in the drug development process.

OUR STRATEGY

Our strategy is to develop a portfolio of many drug candidates, out-license drug candidates at a relatively late stage of development, and focus on diseases that represent large unmet medical needs. Also, we will focus on developing small molecule drugs delivered to protein targets within cells and establish strategic collaborations with pharmaceutical and biotechnology companies to enhance product development and commercialization. We structure our collaboration agreements to permit multiple collaborations in each disease area by focusing on disease pathways and targets.

PRODUCT DEVELOPMENT PROGRAMS

We currently have six product development programs in immune disorders and three in cancer:

IMMUNE DISORDERS

ASTHMA/ALLERGY. IgE is a class of antibody which plays an important role in the body's immune system. We have identified compounds that inhibit the role IgE plays in the secretion from mast cells of factors that cause inflammation. This program has entered preclinical studies in animal models. In our second program we have identified a novel drug target that regulates the production of IgE in B cells and a preclinical compound in this program.

AUTOIMMUNITY & TRANSPLANT REJECTION. These programs seek selective and specific immune system therapeutics which do not negatively affect the protective activities of the immune system. We have identified novel drug targets in T cells and B cells.

RHEUMATOID ARTHRITIS & INFLAMMATORY BOWEL DISEASE. We are characterizing and developing specific inhibitors of protein-degrading enzymes, named E-3 ubiquitin ligases, and have identified preclinical compounds for preclinical testing. We also seek to block the inflammatory signals associated with tumor necrosis factor-alpha pathway. We have identified and validated several novel members of this signaling pathway.

CANCER

TUMOR GROWTH. We have identified and validated two targets which will enter small molecule compound screening for compounds in our program for cell cycle checkpoint control, a process which regulates cell proliferation. We have also identified several compounds which are potent and non-toxic inhibitors of E-3 ubiquitin ligases. We are also identifying drug targets in the pathway associated with angiogenesis, a process of blood vessel formation.

THE OFFERING

<TABLE>

Common Stock to be outstanding after the

offering..... 37,819,162 shares

Proposed Nasdag National Market symbol..... RIGL

Use of proceeds...... For research and development activities, for

financing possible acquisitions and investments in technology, for possibly expanding our facilities as well as for working capital and general corporate

purposes.

</TABLE>

Except as otherwise indicated, information in this prospectus:

- excludes 5,242,004 shares issuable upon the exercise of options outstanding

as of December 31, 1999, at a weighted average exercise price of \$0.19 per share:

- -- excludes 647,498 shares issuable upon the exercise of warrants as of December 31, 1999, at a weighted average exercise price of \$1.30 per share;
- excludes 3,694,662 additional shares available for future grant as of December 31, 1999, of which options to purchase 1,011,599 shares of common stock were granted in January 2000 and on February 1, 2000 under our equity incentive plan; 100,000 shares of common stock issued in January 2000 under our equity incentive plan; an additional 400,000 shares made available under our employee stock purchase plan; and 300,000 shares made available under our non-employee directors' stock option plan;
- assumes the automatic conversion of all outstanding shares of our preferred stock into common stock on a one-to-one basis, including both the conversion of 2,508,330 outstanding shares of our preferred stock sold on February 3, 2000, at a price of \$6.00 per share, and the conversion of 50,000 shares of our preferred stock issued in exchange for a license for technology, upon the closing of this offering; and
- assumes the sale of 1,111,111 shares of common stock in a private placement concurrent with closing of this initial public offering at an assumed price of \$9.00 per share.

The number of shares of common stock outstanding after this offering is based on shares outstanding as of December 31, 1999.

SUMMARY FINANCIAL DATA

The following tables summarize our financial data. The pro forma information contained in the statements of operations data gives effect to the automatic conversion of all convertible preferred stock into common stock upon the completion of this offering. The pro forma balance sheet data reflects the sale of 2,508,330 shares of preferred stock on February 3, 2000 at a price of \$6.00 per share, less expenses, the issuance of 50,000 shares of preferred stock for a technology license, the automatic conversion of all outstanding shares of preferred stock into common stock on a one-to-one basis upon the closing of this offering, and the sale of 1,111,111 shares of common stock concurrent with the closing of this initial public offering at an assumed price of \$9.00 per share. Although we believed the per share price of \$6.00 represented the fair value of the preferred stock at the time of issuance, as part of our IPO process, we re-evaluated the deemed fair market value of our common stock and determined this to be \$10.00 per share. Accordingly, the incremental fair value in connection with the sale of 2,508,330 shares of preferred stock is deemed to be equivalent to a preferred stock dividend. We expect to record an aggregate deemed dividend of approximately \$10.0 million at the date of issuance by offsetting charges and credits to additional paid-in capital without any effect on total stockholders equity. Consistent with this treatment, we will account for the 50,000 shares issued for a technology license based on the deemed fair value of our common stock, determined to be \$10.00 per share, on the date of issuance. The pro forma as adjusted balance sheet data reflects the automatic conversion of our preferred stock into common stock on a one-to-one basis and the sale of 9,000,000 shares of our common stock at an assumed price to the public of \$9.00 per share, after deducting the underwriting discounts, commissions and estimated offering expenses payable by us.

<TABLE>

PERIOD FROM INCEPTION (JUNE 14, 1996) TO DECEMBER 31,

(UNAUDITED) \$8,984 \$--\$--10,522 Contract revenues from collaborations..... 5,601 10,522 Total operating expenses..... 133 21,064 _____ (5,516) (10,604) Net loss..... (133) (12.366)_____ Net loss per share, basic and diluted..... \$(0.12) \$(2.20) \$(4.01) \$(4.39) Weighted average shares used in computing net 1,089 2,512 2,643 loss per share, basic and diluted..... 2,818

3

ro	forma	net	loss	per	share,	basic	and	
di	luted.	· • • •						

\$(0.52)

DECEMBER 31, 1999

Shares used in computing pro forma net loss per
 share, basic and diluted......

23,996

<TABLE> <CAPTION>

				PRO FORMA AS
		ACTUAL	PRO FORMA	ADJUSTED
<\$>	<c></c>		<c></c>	<c></c>
BALANCE SHEET DATA		(I	N THOUSANDS)	
			420 026	0104 064
Cash and cash equivalents		\$5 , 836	\$30 , 836	\$104 , 964
Working capital (deficit)		(990)	24,010	98 , 138
Total assets		17,169	42,169	116,297
Capital lease obligations, less current portion		5,478	5,478	5,478
Deferred stock compensation		(5,814)	(5,814)	(5,814)
Accumulated deficit		(28,619)	(29,119)	(29,119)
Total stockholders' equity				

 | 756 | 25,756 | 99,884 |4

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RISK FACTORS

AN INVESTMENT IN OUR COMMON STOCK IS RISKY. YOU SHOULD CAREFULLY CONSIDER THE FOLLOWING RISKS, AS WELL AS THE OTHER INFORMATION CONTAINED IN THIS PROSPECTUS. IF ANY OF THE FOLLOWING RISKS ACTUALLY OCCURS, OUR BUSINESS COULD BE HARMED. IN THAT CASE, THE TRADING PRICE OF OUR COMMON STOCK COULD DECLINE AND YOU MIGHT LOSE ALL OR PART OF YOUR INVESTMENT.

RISKS RELATED TO OUR BUSINESS

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, 1999, we had an accumulated deficit of approximately \$28.6 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 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 not 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.

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RISK FACTORS

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 five 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. Our corporate collaboration

RISK FACTORS

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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.

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RISK FACTORS

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We believe that the net proceeds from this offering will be sufficient to support our current operating plan through 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. Although no patents have been issued to us as of the date of this prospectus, 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

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RISK FACTORS

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-- the patents of others will not have a negative effect on our ability to do

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 "Business--Intellectual Property."

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 Biotech A/S, a Danish biotechnology company, has notified us that it expects to receive patent protection in European countries for a process similar to certain aspects of our technologies. M&E has indicated a willingness to license their intellectual property to us but has not specified the terms for the license. We are currently reviewing their patent file and evaluating whether or not to seek a license. In the event we desire to seek a license from M&E, we cannot assure you that we could obtain a license on acceptable terms. Furthermore, such failure might adversely impact our collaborations with

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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 the option to seek patent protection in other parts of the world, including the U.S., for the technology of its European patent protection. 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.

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 "Business--Government Regulation."

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 83 at December 31, 1999. 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

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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;

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- - 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, ADVISORS OR DIRECTORS 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 the collaborators or to which the 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 approximately 83 employees, 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, 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,

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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.

WE MAY INCUR SIGNIFICANT COSTS IF YEAR 2000 COMPLIANCE ISSUES ARE NOT PROPERLY ADDRESSED.

We use and rely on a wide variety of information technologies, computer systems and scientific equipment containing computer chips dedicated to a specific task. Some of our older computer software programs and equipment might be unable to distinguish between the year 1900 and the year 2000. While we have not experienced difficulties to date, time-sensitive functions of those software programs and equipment may misinterpret dates after January 1, 2000 to refer to the twentieth century rather than the twenty-first century. This could cause system or equipment shutdowns, failures or miscalculations resulting in inaccuracies in computer output or disruptions of operations, including inaccurate processing of financial information and/or temporary inabilities to engage in normal business activities. In addition to risks associated with our own computer systems and equipment, we have relationships with, and are to varying degrees dependent upon, a large number of third parties

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that provide information, goods and services to us. These include financial institutions, suppliers, vendors, research partners and governmental entities. Year 2000 issues, if any, affecting our business, if not adequately addressed by us, our significant suppliers and our significant service providers could have a number of "worst case" consequences. These might include the loss of historical data, interruption of our research efforts and our inability to continue our research efforts, any of which could materially disrupt our business, financial condition and results of operations.

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 our losses resulting from disasters or other business interruptions.

RISKS RELATED TO THIS OFFERING

WE MAY ALLOCATE THE NET PROCEEDS FROM THIS OFFERING IN WAYS THAT YOU AND OTHER STOCKHOLDERS MAY NOT APPROVE.

Management will have significant flexibility in applying the net proceeds of this offering and could use these proceeds for purposes other than those contemplated at the time of the offering.

IF OUR OFFICERS, DIRECTORS AND LARGEST STOCKHOLDERS CHOOSE TO ACT TOGETHER, THEY MAY BE ABLE TO CONTROL OUR MANAGEMENT AND OPERATIONS, ACTING IN THEIR BEST INTERESTS AND NOT NECESSARILY THOSE OF OTHER STOCKHOLDERS.

Following completion of the offering, our directors, executive officers and principal stockholders and their affiliates will beneficially own approximately 57.6% of our common stock, based on their beneficial ownership as of March 31, 2000. Accordingly, they collectively will have the ability to determine the election of all of our directors and to determine 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.

THERE MAY NOT BE AN ACTIVE, LIQUID TRADING MARKET FOR OUR COMMON STOCK.

An active trading market for our common stock may not develop following this offering. You may not be able to sell your stock quickly or at the market price if trading in our stock is not active. The initial public offering price will be determined by negotiations between us and the representatives of the underwriters based upon a number of factors. The initial public offering price may not be indicative of prices that will prevail in the trading market.

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OUR STOCK PRICE MAY BE VOLATILE AND YOUR INVESTMENT IN OUR STOCK COULD DECLINE IN VALUE.

Prior to this offering, there has been no public market for our common stock and an active public market for our common stock may not develop or be sustained after the offering. The initial public offering price will be determined by negotiations between the representatives of the underwriters and us and may not be indicative of future market prices. Among the factors to be considered in determining the initial public offering price of the common stock, in addition to prevailing market conditions, will be:

- estimates of our business potential and earnings prospects;
- - an assessment of our management; and
- - the consideration of the above factors in relation to market valuations of companies in related businesses.

The market prices for securities of biotechnology companies in general 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; or
- - period-to-period fluctuations in financial results.

IF OUR STOCKHOLDERS SELL SUBSTANTIAL AMOUNTS OF OUR COMMON STOCK AFTER THE OFFERING, THE MARKET PRICE OF OUR COMMON STOCK MAY FALL.

If our stockholders sell substantial amounts of our common stock, including shares issued upon the exercise of outstanding options and warrants, the market price of our common stock may fall. These sales also might make it more difficult for us to sell equity or equity-related securities in the future at a time and price that we deem appropriate. After completion of the offering, we will have 39,053,064 outstanding shares of common stock, which assumes no exercise of outstanding options or warrants after March 31, 2000 and no exercise of the underwriters' over-allotment options.

We intend to file a registration statement on Form S-8 covering an aggregate of 8,502,764 shares issuable upon exercise of options to purchase common stock and common stock reserved for issuance under our stock plans within 90 days after the effective date of the Registration Statement of which this prospectus is a part.

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RISK FACTORS

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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.

THE OFFERING WILL CAUSE DILUTION IN NET TANGIBLE BOOK VALUE.

Purchasers in the public offering will experience immediate and substantial dilution in the net tangible book value of the common stock from the initial public offering price. Additional dilution is likely to occur upon exercise of options and warrants granted by us.

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FORWARD-LOOKING INFORMATION

You should rely only on the information contained in this prospectus. We have not authorized anyone to provide you with information different from that contained in this prospectus. This prospectus is not an offer to sell or a solicitation of an offer to buy our common stock in any jurisdiction where it is unlawful. The information contained in this prospectus is accurate only as of the date of this prospectus, regardless of the time of delivery of this prospectus or of any sale of common stock. This preliminary prospectus is subject to completion prior to this offering.

Some of the statements under the captions "Prospectus summary," "Risk factors,"
"Use of proceeds," "Management's discussion and analysis of financial condition
and results of operations" and "Business" and elsewhere in this prospectus are
forward-looking statements. These forward-looking statements include, but are
not limited to, statements about our plans, objectives, expectations and
intentions and other statements contained in the prospectus that are not
historical facts. When used in this prospectus, the words "anticipates,"
"believes," "continue," "could," "estimates," "expects," "intends," "may,"
"plans," "seeks," "should", "will" or "would" or the negative of these terms or
similar expressions are generally intended to identify forward-looking
statements. Because these forward-looking statements involve risks and
uncertainties, there are important factors that could cause actual results to
differ materially from those expressed or implied by these forward-looking
statements, including our plans, objectives, expectations and intentions and
other factors discussed under "Risk factors."

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USE OF PROCEEDS

We estimate that the net proceeds from the sale of the 9,000,000 shares of common stock that we are selling in the offering will be approximately \$74.1 million, or approximately \$85.4 million if the underwriters' over-allotment option is exercised in full, based on an assumed initial public offering price of \$9.00 per share and after deducting the estimated underwriting discount, commissions and estimated offering expenses payable by us.

We intend to use approximately 65% of the net proceeds for research and development activities, approximately 20% for general corporate purposes, approximately 15% for working capital and capital leasing obligations and the balance, if any, for financing possible acquisitions and investments in technology and for our facilities. We may also use a portion of the net proceeds

to acquire or invest in businesses, products and technologies that are complementary to our own, although no acquisitions are planned or being negotiated as of the date of this prospectus, and no portion of the net proceeds has been allocated for any specific acquisition. Pending these uses, the net proceeds will be invested in investment-grade, interest-bearing securities.

The principal purposes of this offering are to increase our capitalization and financial flexibility, to provide a public market for our common stock and to facilitate access to public equity markets. As of the date of this prospectus, we cannot specify with certainty all of the particular uses for the net proceeds we will have upon completion of the offering. Accordingly, our management will have broad discretion in the application of net proceeds.

DIVIDEND POLICY

We have never declared or paid any cash dividends on our capital stock. We currently intend to retain earnings, if any, to support the development of our business and do not anticipate paying cash dividends for the foreseeable future.

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CAPITALIZATION

The following table shows our capitalization as of December 31, 1999:

- - on an actual basis; and
- on a pro forma basis to give effect to the sale of 2,508,330 shares of preferred stock on February 3, 2000 at a price of \$6.00 per share, less expenses, the issuance of 50,000 shares of preferred stock for a technology license and after reflecting the conversion of all outstanding shares of preferred stock into common stock upon the closing of this offering. Although we believed the per share price of \$6.00 represented the fair value of the preferred stock at the time of issuance, as part of our IPO process, we re-evaluated the deemed fair market value of its common stock and determined this to be \$10.00 per share. Accordingly, the incremental fair value in connection with the sale of 2,508,330 shares of preferred stock is deemed to be equivalent to a preferred stock dividend. We expect to record an aggregate deemed dividend of approximately \$10.0 million at the date of issuance by offsetting charges and credits to additional paid-in capital without any effect on total stockholders equity. Consistent with this treatment, we will account for the 50,000 shares issued for a technology license based on the deemed fair value of our common stock, determined to be \$10.00 per share, on the date of issuance;
- on a pro forma basis to give effect to the sale of 1,111,111 shares of common stock as of the date of the closing of this initial public offering at an assumed price of \$9.00 per share; and
- on a pro forma as adjusted basis to give effect to the sale of 9,000,000 shares of common stock by us in this offering at an assumed price of \$9.00 per share less the estimated underwriting discounts and offering expenses.

<TABLE>

<s></s>	<c> (IN THOUSA</c>	PRO FORMA C> NDS, EXCEPT SF	PRO FORMA AS ADJUSTED <c> HARE DATA)</c>
Capital lease obligations, less current portion Stockholders' equity: Convertible preferred stock, \$0.001 par value; 24,000,000 authorized, 22,053,887, shares issued and outstanding, actual, none issued pro forma and pro forma as			
adjusted	22		
outstanding, pro forma as adjusted	3	29	
Deferred compensation		(5,814)	
Additional paid-in capital	•	60,660	•
Accumulated deficit and accumulated comprehensive loss	(28,619)		
Total stockholders' equity	756 	25 , 756	99,884

AS OF DECEMBER 31, 1999

</TABLE>

This table above excludes:

- - 5,242,004 shares issuable upon the exercise of options outstanding as of December 31, 1999 at a weighted average exercise price of \$0.19 per share;

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- - 647,498 shares issuable upon the exercise of warrants outstanding as of December 31, 1999 at a weighted average exercise price of \$1.30 per share;
- 3,694,662 additional shares available for future grant under our equity incentive plan, of which options to purchase 1,011,599 shares of common stock were granted in January 2000 and on February 1, 2000; 100,000 shares of common stock issued in January 2000 under our equity incentive plan; an additional 400,000 shares made available for future grant under our employee stock purchase plan; and 300,000 shares made available for future grant under our non-employee directors' stock option plan;
- - 1,350,000 shares issuable upon exercise of the underwriters' over-allotment option; and
- - the amendment to our certificate of incorporation upon completion of this offering to increase our authorized common stock.

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The pro forma net tangible book value of our common stock on December 31, 1999, giving effect to the conversion of all shares of preferred stock outstanding at that date into shares of common stock upon the closing of this offering, was approximately \$0.8 million, or approximately \$0.03 per share. Pro forma net tangible book value, giving effect to the sale of Series E preferred stock, the issuance of Series E preferred stock for a technology license, and the sale of shares of common stock in a private placement, subsequent to December 31, 1999, was approximately \$25.8 million, or approximately \$0.89 per share. Pro forma net tangible book value per share represents the amount of our total tangible assets less total liabilities divided by the number of shares of common stock outstanding. Dilution in pro forma net tangible book value per share represents the difference between the amount per share paid by purchasers of shares of common stock in this offering and the net tangible book value per share of our common stock immediately afterwards. Assuming our sale of 9,000,000 shares of common stock offered by this prospectus at an assumed initial public offering price of \$9.00 per share, and after deducting estimated underwriting discounts and commissions and estimated offering expenses, our pro forma net tangible book value at December 31, 1999 would have been approximately \$99.9 million or \$2.64 per share. This represents an immediate decrease in net tangible book value of \$6.36 per share to new investors purchasing shares of common stock in this offering. The following table illustrates this per share dilution:

<table></table>		
<\$>	<c></c>	<c></c>
Assumed initial public offering price per share Pro forma net tangible book value per share at December 31,		\$9.00
1999	\$0.03	
Increase per share attributable to pro forma activities		
subsequent to December 31, 1999	0.86	
Increase per share attributable to new investors	1.75	
Pro forma net tangible book value per share after this		
offering		2.64
Dilution per share to new investors		\$6.36

</TABLE>

The following table summarizes, on a pro forma basis as of December 31, 1999, the differences between the total consideration paid and the average price per share paid by the existing stockholders, including Novartis, and the new investors with respect to the number of shares of common stock purchased from us. We have assumed an initial public offering price of \$9.00 per share and have

not deducted estimated underwriting discounts and commissions and estimated offering expenses in our calculations.

<TABLE>

	SHARES PUI NUMBER	RCHASED PERCENT	TOTAL CONSIDI AMOUNT	ERATION PERCENT	AVERAGE PRICE PER SHARE
<pre><s> Existing investors</s></pre>			<c> \$52,398,000 81,000,000</c>	<c> 39.3% 60.7</c>	<c> 1.82 9.00</c>
Total	37,819,162	100.0%	\$133,398,000 ======	100.0%	

</TABLE>

The foregoing discussion and tables assume no exercise of any outstanding stock options or warrants. The exercise of all options and warrants outstanding as of December 31, 1999 having an exercise price less than the offering price would increase the dilutive effect to new investors to \$6.67 per share.

If the underwriters exercise their over-allotment option in full, the following will occur:

- the pro forma net tangible book value per share after the offerings would be \$2.84 per share, the increase in net tangible book value per share to existing stockholders would be \$1.95 per share and the dilution in net tangible book value to new investors would be \$6.16 per share;

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- - the number of shares of common stock held by existing stockholders will decrease to approximately 73.6% of the total number of shares of our common stock outstanding; and
- the number of shares held by new investors will increase to 10,350,000 shares, or approximately 26.4% of the total number of our common stock outstanding after this offering.

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SELECTED FINANCIAL DATA

The following selected financial data should be read in conjunction with the financial statements and the notes to such statements and "Management's discussion and analysis of financial condition and results of operations." The selected data in this section is not intended to replace the financial statements.

The statement of operations data from the period from inception (June 14, 1996) to December 31, 1996 are derived from our unaudited financial statements but have been prepared on a basis consistant with our audited financial statements and notes thereto and include all adjustments that we consider necessary for fair presentation of the information. The statements of operations data for the years ended December 31, 1997, 1998 and 1999 have been derived from our audited financial statements included elsewhere in this prospectus which have been audited by Ernst & Young LLP, our independent auditors. Historical results are not necessarily indicative of future results. See notes to the financial statements for an explanation of the method used to determine the number of shares used in computing pro forma basic and diluted loss per share.

<TABLE>

PERIOD FROM INCEPTION (JUNE 14, 1996) THROUGH DECEMBER 31,

YEARS ENDED

1999 <s> STATEMENTS OF OPERATIONS DATA:</s>		<c></c>	(IN THOUS	<c></c>	EXCEPT PE	<c></c>	<c> AMOUNTS)</c>
		(iinai	ıdited)				
Revenue: Contract revenues from collaborations \$8,984		(unac	\$		\$		\$28
Costs and expenses: Research and development (including charge compensation of \$2,321 in 1999)					4,568	8,	305
17,112 General and administrative (including character stock compensation of \$254 in 1999) 3,952	-		133		1,033	2,	217
21,064			133		5,601		522
Loss from operations			(133)		(5,601)	(10,	
(12,080) Interest income (expense), net					85		(110)
 Net loss \$(12,366)			\$(133)	Ş	\$ (5,516)		
Net loss per share, basic and diluted \$(4.39)			\$(0.12)		\$(2.20)		1.01)
		=======		=====	=====	=======	===
Weighted average shares used in computing ne share, basic and diluted			1,089		2,512	2,	643
Pro forma net loss per share, basic and dilu $\$(0.52)$	ted						
Shares used in computing pro forma net loss; basic and diluted	_						
				23			
SELECTED FINANCIAL DATA							
<table> <caption></caption></table>							
BALANCE SHEET DATA:	1996	5	1997		1998		1999
	(UNAUDITED)						
<pre><s> Cash and cash equivalents</s></pre>	<c> \$2 (71 2</c>	L)	\$9,144 8,109 11,330	<c></c>	\$9,493 4,547 12,956		\$5,836 (990) 17,169
Capital lease obligations, less current portion Deferred stock compensation	 (133	-	1,172 (5,649)		1,652 (16,253		5,478 (5,814) (28,619)
Total stockholders' equity/(net capital deficiency)							

 (71 | | 8,819 | | 5,445 | | 756 |24

MANAGEMENT'S DISCUSSION AND ANALYSIS OF FINANCIAL CONDITION AND RESULTS OF OPERATIONS

THE FOLLOWING DISCUSSION AND ANALYSIS SHOULD BE READ WITH "SELECTED FINANCIAL AND OPERATING DATA" AND OUR FINANCIAL STATEMENTS AND NOTES INCLUDED ELSEWHERE IN THIS PROSPECTUS. THE DISCUSSION IN THIS PROSPECTUS CONTAINS FORWARD-LOOKING

STATEMENTS THAT INVOLVE RISKS AND UNCERTAINTIES, SUCH AS STATEMENTS OF OUR PLANS, OBJECTIVES, EXPECTATIONS AND INTENTIONS. THE CAUTIONARY STATEMENTS MADE IN THIS PROSPECTUS SHOULD BE READ AS APPLYING TO ALL RELATED FORWARD-LOOKING STATEMENTS WHEREVER THEY APPEAR IN THIS PROSPECTUS. OUR ACTUAL RESULTS COULD DIFFER MATERIALLY FROM THOSE DISCUSSED HERE. FACTORS THAT COULD CAUSE OR CONTRIBUTE TO THESE DIFFERENCES INCLUDE THOSE DISCUSSED IN "RISK FACTORS," AS WELL AS THOSE DISCUSSED ELSEWHERE IN THIS PROSPECTUS.

We are a post-genomics combinatorial biology company that has developed a new and faster 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 the issuance of equity investments, we received an aggregate of \$6.5 million in 1998 and an aggregate amount of \$14.9 million in 1999 from our collaborative partners. As of December 31, 1999, our accumulated deficit was approximately \$28.6 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 collaborative partnerships with major pharmaceutical companies that are currently contributing to our revenues. A summary of these partnerships is as follows:

<TABLE>

PARTNER	RESEARCH PROGRAM	COMMENCEMENT DATE
<s> Janssen Pharmaceutica</s>	<c> Tumor GrowthCell Cycle Inhibition</c>	<c> December 4, 1998</c>
Pfizer	Asthma/AllergiesIgE Production in B Cells	January 31, 1999
Novartis	Transplant RejectionT Cell Activation Autoimmunity DiseaseB Cell Activation Pulmonary Lung Inflammation	May 26, 1999 August 1, 1999 January 1, 2000

 | |Under the terms of the existing collaborations identified above, our partners have agreed to provide future research funding of up to approximately \$37 million over the next five-years, \$19 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.

MANAGEMENT'S DISCUSSION AND ANALYSIS OF FINANCIAL CONDITION AND RESULTS OF OPERATIONS

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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 focused on the early stages of drug discovery, specifically target discovery and validation. We may continue to engage in collaborations focused on the early stages of drug discovery. In addition, we currently anticipate that we will self-fund some of 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 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 collaborations are uncertain and any compound collaboration will depend on the successful progress of clinical trials. In addition, as our existing collaborations reach termination, we will

evaluate the status of the collaboration and, if appropriate, seek to negotiate extensions as long as an extension is determined to be in our best interest.

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, 1999, we have deferred revenues of approximately \$5.7 million.

DEFERRED COMPENSATION

During the year ended December 31, 1999, in connection with the grant of stock options to employees, we recorded deferred stock compensation totaling \$7.1 million, 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. This amount has been reflected as components of stockholders' equity and the deferred expense is being amortized to operations over the vesting period of the options, generally 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 \$.3million as a general and administration expense. At December 31, 1999, we had a total of \$5.8 million remaining to be amortized over the vesting periods of the stock options. We anticipate that additional deferred compensation will be recorded for options granted after December 31, 1999 and expect to record an amount of approximately \$4.4 million for stock options granted from January 1, 2000 through February 1, 2000. For 2000, the amortization of deferred stock compensation is expected to be approximately \$4.7 million.

RESULTS OF OPERATIONS

YEARS ENDED DECEMBER 31, 1999, 1998 AND 1997

REVENUES

Contract revenues from collaborations were \$9.0 million in 1999 compared to \$28,000 in 1998. 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

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MANAGEMENT'S DISCUSSION AND ANALYSIS OF FINANCIAL CONDITION AND RESULTS OF OPERATIONS

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these five programs, one was started on May 26, 1999 with a second program initiated on August 1, 1999. We expect contract revenue from collaborations to be a significant component of our total revenues for the foreseeable future.

RESEARCH AND DEVELOPMENT EXPENSES

Research and development expenses increased to \$17.1 million in 1999 from \$8.3 million in 1998 and \$4.6 million in 1997, an increase of \$8.8 million and \$3.7 million, respectively. These increases are primarily attributable to increases in employee costs as our scientific headcount increased to 66 individuals from 41 in 1998 and 22 in 1997 and the higher occupancy costs associated with our new building in South San Francisco, California, which we occupied in March 1999. The research and development expense in 1999 included \$1.0 million related to the amortization of deferred stock compensation in connection with options granted to employees and \$1.3 million related to compensation on options granted to consultants and the issuance of stock for consultant services. We expect research and development expenses to increase in future periods in connection with the addition of new collaborative partner research programs. In addition, we anticipate research and development expenses will increase with the advancement of our non-partnered research programs into later stages of development.

GENERAL AND ADMINISTRATIVE EXPENSES

General and administrative expenses were \$4.0 million in 1999, compared with \$2.2 million in 1998 and \$1.0 million in 1997, an increase of \$1.8 million and \$1.2 million, respectively. These increases are 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 1999 included \$0.3 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 expense was \$286,000 in 1999, compared with a net interest expense of \$110,000 in 1998 and net interest income of \$85,000 in 1997. Interest income results from our interest bearing balances while interest expense is the result of our debt associated with fixed asset purchases.

LIOUIDITY AND CAPITAL RESOURCES

We have financed our operations from inception primarily through sales of preferred stock, contract payments payable to us under our collaboration agreements and equipment financing arrangements. As of December 31, 1999, we have received \$27.2 million from the sale of equity securities, including \$9.0 million from collaborators, and received \$12.4 million in research funding from collaborators. In addition, we have financed through leases and loans the purchase of equipment and leasehold improvements totaling approximately \$9.9 million through December 31, 1999.

As of December 31, 1999, we had \$5.8 million in cash and cash equivalents as compared to \$9.5 million as of December 31, 1998, a decrease in cash balances of \$3.7 million. This decline in cash balances is derived from our usage of \$7.8 million for the funding of operations and \$7.1 million investment in capital equipment and leasehold improvements. We made \$1.4 million in payments associated with our equipment financing arrangements offset by the receipt \$6.7 million from our equipment financing arrangements and the receipt \$6.0 million in proceeds from equity securities.

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MANAGEMENT'S DISCUSSION AND ANALYSIS OF FINANCIAL CONDITION AND RESULTS OF OPERATIONS

As of December 31, 1999, we had \$7.7 million in capitalized lease obligations in association with our financed purchase of equipment and leasehold improvements. These obligations are secured by the equipment financed, bear interest rates in a range of 7% to 15%, and are due in monthly installments through September 2003. Under the terms of our three equipment financing agreements, two of these have balloon payments at the end of each loan term while the other 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. As of December 31, 1999 we had \$1.1 million available under equipment financing arrangements which we expect to utilize in early 2000.

On February 3, 2000, we received approximately \$15.0 million, net of issuance costs, in a private placement in which we sold 2,508,330 shares of preferred stock at \$6.00 per share. At the date of issuance, we believed the per share price of \$6.00 represented the fair value of the preferred stock. Subsequently, as part of our IPO process, we re-evaluated the deemed fair market value of our common stock and determined this to be \$10.00 per share. Accordingly, the incremental fair value is deemed to be equivalent to a preferred stock dividend. We expect to record an aggregate deemed dividend of approximately \$10.0 million at the date of issuance.

We will also account for 50,000 shares of preferred stock issued for a technology license based on the deemed fair value of our common stock, determined to be \$10.00 per share, on the date of issuance. In addition, we currently anticipate receiving an additional \$10.0 million after we exercise our right within the Novartis collaboration agreement in which Novartis will purchase shares in a private placement at the IPO price. We expect to exercise this option in a private placement transaction concurrent with this public offering. We believe our existing cash resources, including the proceeds from the private placement and the funds received from the Novartis investment, plus the proceeds of this offering and anticipated proceeds from corporate collaborations will be sufficient to satisfy our anticipated cash requirements through at least 18 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;
- 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 amounts of cash required by our future cash needs. In order to finance our cash needs, we expect to finance future cash needs through the sale of equity securities, strategic collaborations and debt financing. 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

MANAGEMENT'S DISCUSSION AND ANALYSIS OF FINANCIAL CONDITION AND RESULTS OF OPERATIONS

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.

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 principal 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 principal 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 and 1999, we maintained an investment portfolio primarily in depository accounts. Due to the short term nature of these investments, we believe we have no 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.

IMPACT OF THE YEAR 2000

We use and rely on a wide variety of information technologies, computer systems and scientific equipment containing computer chips dedicated to a specific task. Some of our older computer software programs and equipment may not be able to distinguish between the year 1900 and the year 2000. While we have not experienced difficulties to date, time-sensitive functions of those software programs and equipment may misinterpret dates after January 1, 2000 to refer to the twentieth century rather than the twenty-first century. This could cause system or equipment shutdowns, failures or miscalculations resulting in inaccuracies in computer output or disruptions of operations, including inaccurate processing of financial information and/or temporary inabilities to engage in normal business activities. In addition to risks associated with our own computer systems and equipment, we have relationships with, and are to varying degrees dependent upon, a large number of third parties that provide information, goods and services to us. These include financial institutions, suppliers, vendors, research partners and governmental entities. Year 2000 issues affecting our business, if not adequately addressed by us, our significant suppliers and our significant service providers could have a number of "worst case" consequences. These include the loss of historical data, interruption of our research efforts and our inability to continue our research efforts, any of which could materially disrupt our business, financial condition and results of operations.

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 equity securities, payments from corporate collaborators and capital asset lease financings. We have no subsidiaries. In our first three years of research, we have succeeded in identifying 15 new drug targets in seven of our nine programs and have generated compounds which are candidates for clinical trials in three of our programs. We currently have programs in asthma/allergy, autoimmune disease, transplant rejection, rheumatoid arthritis/inflammatory bowel disease and cancerous tumor growth. We have multi-year collaborations with Cell Genesys, Janssen Pharmaceutica, Novartis and Pfizer. In addition we have collaborated with Neurocrine in order to obtain rights to small chemical compounds.

BACKGROUND

PHARMACEUTICAL INDUSTRY NEED FOR NEW DRUGS AND NOVEL TARGETS

In order to sustain growth, major pharmaceutical companies need 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, pharmaceutical companies are 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 compounded by the expiration in coming years of patents on numerous significant revenue-generating drugs.

We believe that several thousand of the more than 100,000 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 1970's, 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 more than 100,000 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 which genes 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 which 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 which 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.

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BUSINESS

OUR SOLUTION

Our technologies address the shortcomings of traditional and genomics-based drug discovery. They are designed to identify protein targets for compound screening and validate the role of those targets in the disease process. Rather than genomics-based approaches, which begin by identifying genes and then search for their functions, we have developed technologies designed to identify 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 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 technologies have 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 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

We have developed two technologies which help us 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 first technology uses retroviruses to introduce 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

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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 5 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 a 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

Our second 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 first technology or because the proteins have been described in the scientific literature. This second technology is directed at:

- - mapping an entire protein-protein intracellular functional pathway in disease relevant cells;

disease-specific cellular response.

- - 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 this second technology, a protein that gives a detectable signal (reporter protein), such as fluorescence, is split 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 proprietary 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 second 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 first 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 see 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.

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BUSINESS

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OUR DISCOVERY PROGRESS: 1997 - 1999

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 seven disease relevant pathways. We have identified 15 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) and tumor growth (both cell cycle inhibition and E-3 ubiquitin ligase). We have identified small molecule lead compounds in three of our programs.

[GRAPHIC OF INVERTED PYRAMID ENTITLED "RIGEL PROGRESS 1997-1999" AND IDENTIFYING THE FIVE STAGES OF THE DRUG DISCOVERY PROCESS]

OTHER TECHNOLOGIES

Our integrated drug discovery platform utilizes 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 120,000 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.

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BUSINESS

PROTEOMICS

Our proteomics program is an integral part of our target discovery and validation effort. In contrast to our other technology 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 activities carry out traditional structure-activity relationship studies of potential lead compounds and makes improvements to those compounds utilizing chemistry techniques to synthesize new analogs of a lead compound with improved properties. Our chemistry activities synthesize compounds incorporating desirable molecular features.

OUR STRATEGY

Our strategy is to employ our technologies to discover a portfolio of many 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 that regulate them, we believe that we are well positioned to help fill the product pipeline gap of major pharmaceutical companies.

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

- expand, enhance and protect our technologies;
- focus on diseases that represent large medical markets with significant populations that are currently underserved;
- 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, delivered to intracellular targets.

PRODUCT DEVELOPMENT

We believe that, with a steadily aging population, the main focus of medicine in the United States and other developed countries is shifting to a greater emphasis on the prevention and treatment of chronic diseases such as asthma and rheumatoid arthritis. The parallel trends of the increasing knowledge of drug targets and the increasing incidence of the diseases treated with small molecule compounds allow us to exploit our technology for large and fast growing segments of the pharmaceutical marketplace on a worldwide basis. Our programs address asthma, allergy, autoimmune disease, transplant rejection, rheumatoid arthritis and inflammatory bowel disease affecting the immune system as well as cancerous tumor growth. These programs offer potential opportunities to develop drugs for many therapeutic indications. We believe that there are significant unmet medical and quality-of-life needs for these diseases that represent large commercial markets.

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BUSINESS

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The following table summarizes key information in our nine programs that focus on specific disease mechanisms:

<TABLE> <CAPTION>

COLLABORATIVE

DISORDER/DISEASE MECHANISM STATUS KEY ACHIEVEMENTS PARTNER <S> <C> <C> <C> <C>

IMMUNE DISORDERS

IgE production in B cells

Target screening (2)

pathway map established
-Preclinical candidate
compounds identified(5)
-HTS underway(5)

Pfizer

-Protein interaction pathway map established(5)

-Novel drug targets identified(5)

		screening (2)	-Novel drug targets identified	
Transplant rejection	T cell activation	Target screening (2)	-Novel drug targets	Novartis
Rheumatoid arthritis/inflammatory bowel	E-3 ubiquitin ligase	Compound screening (3)	-Novel drug targets	
disease	TNF pathway	Target validation (4)	-Preclinical candidate compounds identified -Protein interaction pathway map established -Novel drug targets identified and validated	None
 CANCER				
Tumor growth	Cell cycle inhibition	Target Validation (4)	-Protein interaction pathway map established	Janssen
FNAIMACEULICA	E-3 ubiquitin ligase Angiogenesis	Compound screening (3)	-Novel drug targets identified and validated -Novel drug targets identified and validated -Preclinical candidate compounds identified -HTS underway	None Cell
Genesys	Angrogenesis	rarget screening (2)	-mis underway	cell
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- (1) "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.
- (2) "TARGET SCREENING": DISEASE MODELED SCREENING IN CELLS USING OUR POST-GENOMICS COMBINATORIAL BIOLOGY TECHNOLOGY.
- (3) "COMPOUND SCREENING": SCREENING OF SMALL MOLECULE COMPOUNDS IN BIOCHEMICAL AND FACS ASSAYS TO IDENTIFY A COMPOUND WHICH BINDS TO A FUNCTIONALLY ACTIVE SITE OF A VALIDATED TARGET.
- (4) "TARGET VALIDATION": TESTING TO ESTABLISH A CAUSAL LINK BETWEEN AN INTRACELLULAR PROTEIN TARGET AND A CELLULAR RESPONSE IMPORTANT IN A DISEASE PROCESS.
- (5) THESE KEY ACHIEVEMENTS OCCURRED NOT AS PART OF THE PFIZER COLLABORATION, BUT THROUGH OUR OWN SEPARATE RESEARCH EFFORTS.

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 six programs in immunology focused on asthma/allergy (two programs), autoimmunity, transplant rejection, rheumatoid arthritis and inflammatory bowel disease and three programs in cancer

focused on tumor growth.

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 two preclinical candidate compounds that have entered preclinical studies in animal models. 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 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 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 a preclinical candidate compound in this program.

AUTOIMMUNITY & TRANSPLANT REJECTION

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

Our programs are designed to identify and validate novel molecules 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 overactivation or prolonged activation of the T and B cells, which can lead to 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. We have commenced screening using our post-genomics combinatorial biology technology and have identified novel drug targets. This program has been partnered with Novartis since August 1999.

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T CELL ACTIVATION

The goal of our T cell program is to identify early steps in the process of T cell activation. We have commenced screening using our post-genomics combinatorial biology technology and have identified novel drug targets. This program has been partnered with Novartis since May 1999.

RHEUMATOID ARTHRITIS & 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 60,000 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 non-toxic inhibitors.

TNF PATHWAY

This second program focuses on blocking the inflammatory signals of the 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.

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.

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TUMOR GROWTH

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 validated and is expected to enter small molecule screens.

E-3 UBIQUITIN LIGASE

Our second antitumor program is focused on the E-3 ubiquitin ligase pathway. 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 several small molecule compounds in this program.

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 capillary endothelial cells using our post-genomics combinatorial biology technology in order to identify targets in the angiogenesis pathway.

RESEARCH AND DEVELOPMENT EXPENSES

Our research and development expenses were \$14.8 million in 1999, \$8.3 million in 1998 and \$4.6 million in 1997.

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 nine 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.

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As of December 31, 1999, we had received a total of \$21.4 million, including \$12.4 million in research funding from these collaborators. 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 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.

Once a drug target and the associated active peptide are identified and validated, Janssen Pharmaceutica shall have the exclusive right to conduct compound screening on such drug target and associated active peptide for three years thereafter. If Janssen Pharmaceutica fails to initiate compound screening with the drug target and associated active peptide during this three year period, or if screening is initiated by Janssen Pharmaceutica but Janssen Pharmaceutica fails to pursue such screening in a manner consistent with its normal business practices, Janssen Pharmaceutica will lose its rights to the drug target and associated active peptide, and we shall have an exclusive license to the drug target and associated active peptide on a worldwide, royalty-free basis.

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:

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 up-front payments to us, and pay royalties to us on the sales of products, as described above.

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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. However, during the first 18 months after the signing date of the agreement, we may not enter into a research collaboration with a third party to identify drug targets and the associated active peptides which cause alterations in the cell cycle of human tumor cells.

The research collaboration will terminate (three years after the effective date of the agreement) unless the agreement is terminated, or the research collaboration is 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 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, renewable by Pfizer for an additional year, 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 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, up front 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 together on five different five-year research projects to identify

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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 collaboration, 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 up front payments to us, and to pay third party royalties associated with Novartis' use of certain of our technology.

Under the collaboration, 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. In some circumstances, Novartis also may terminate either of the joint research projects after the expiration of 12 months after the applicable commencement date. Novartis may terminate the research projects to be conducted at Novartis at any time.

Novartis purchased two million shares of our Series D preferred stock at a per share purchase price of \$2.00 in connection with our Series D financing. Novartis agreed, in certain circumstances, to purchase up to \$10.0 million of our stock at our option. We expect to exercise this right to sell \$10.0 million of our common stock in a private placement transaction concurrent with this public offering at the price per share at which our common stock will be sold in this offering.

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

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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 and to discover small molecule inhibitors of protein interactions which are not 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 binds 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 47 pending patent applications in the United States and corresponding foreign patent applications. At least seven patent applications had been filed in the United States by or on behalf of universities which had granted us exclusive license rights to the technology. To date, no patents have issued to us but we have received notification from the United States Patent Office that it intends to allow claims in two of our patent applications. 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 has notified us that it expects to receive patent protection in European countries for a process similar to certain aspects of our technologies. M&E has indicated a willingness to license their

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intellectual property to us but has not specified the terms for the license. We are currently reviewing their patent file and evaluating whether or not to seek

a license. We are also aware that M&E has the option to seek patent protection in other parts of the world, including the U.S., for the technology of its European patent protection. 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

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;

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- 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

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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, 1999, we employed 83 persons, of whom 23 hold PhD or MD degrees and 3 hold other advanced degrees. Approximately 66 employees are

engaged in research and development, and 17 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.

FACILITIES

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 these leases for 2 additional periods of 5 years each. We believe our facility will meet our space requirements for research and development and administration functions through the year 2001.

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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 Assistant Professor in the Department of Molecular Pharmacology and Department of Microbiology and Immunology at Stanford University Medical Center.

ROBIN G. COOPER, DSC, PHD is 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, Professor of Microbiology and Pathology at the New York University School of Medicine and Investigator, Howard Hughes Medical Institute.

RICHARD 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 Department of Chemistry at University of California Berkeley.

BRANIMIR I. SIKIC, MD is Professor of Medicine at Stanford University School of Medicine, Director of the General Clinical Research Center at Stanford, and Director of the Clinical Trials Office of the Stanford Clinical Cancer Center.

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.

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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 Chairman of our Clinical Advisory Board, is 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 Chief of the Division Pulmonary and Critical Care Medicine 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 Assistant Professor in the Division of Pulmonary and Critical Care Medicine at Stanford University Medical Center.

LEGAL PROCEEDINGS

We are not a party to any pending material litigation.

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MANAGEMENT

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.

<TABLE>

NAME	AGE	POSITION
<\$>	<c></c>	<c></c>
James M. Gower	51	President, Chief Executive Officer and Director
Donald G. Payan, MD	51	Executive Vice President and Chief Scientific Officer and Director
Brian C. Cunningham	56	Senior Vice President, Chief Operating Officer, Chief Financial Officer and Secretary
James H. Welch	42	Vice President, Finance and Administration and Assistant Secretary
Raul R. Rodriguez	39	Vice President, Business Development
Jean Deleage, PhD(1)	59	Director
Alan D. Frazier(2)	48	Director
Walter H. Moos, PhD(1)(2)	45	Director
Stephen A. Sherwin, MD(1)	51	Director

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- (1) MEMBER OF THE AUDIT COMMITTEE.
- (2) MEMBER OF THE COMPENSATION COMMITTEE.

JAMES M. GOWER Mr. Gower joined us as our President, Chief Executive Officer and as a member of our board of directors in January 1997. From 1992 to March 1996, Mr. Gower was President and Chief Executive Officer of Tularik, Inc., a biotechnology company developing small-molecule drugs regulating gene expression. Prior to Tularik, Mr. Gower spent 10 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 Dr. Payan is our co-founder, and 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 Mr. 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

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MANAGEMENT

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

RAUL R. RODRIGUEZ Mr. Rodriguez joined us as our Vice President, Business Development, on April 3, 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 Dr. Deleage joined us as a director in January 1997. Mr. Deleage is a founder and managing general partner of Alta Partners, a venture capital partnership investing in information technologies and life science companies. From 1979 to 1996, Dr. Deleage was a managing partner of Burr, Egan, Deleage & Co., a venture capital firm. Dr. Deleage was the 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 Flamel Technologies S.A. 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 Mr. 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 Dr. Moos joined us as a director since 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 Dr. Sherwin 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 since March 1994. From 1983 to 1990, Dr. Sherwin held various positions at Genentech

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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 Chairman of the Board of Abgenix, Inc. and as a director of Neurocrine Biosciences and Calyx Therapeutics, Inc.

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.

BOARD COMMITTEES

AUDIT COMMITTEE

Our audit committee, consisting of Drs. Deleage, Sherwin and Moos, reviews our internal accounting procedures and the services provided by our independent auditors.

COMPENSATION COMMITTEE

Our compensation committee, consisting of Mr. Frazier and Dr. Moos, reviews and recommends to our board of directors the compensation and benefits of all our officers and establishes and reviews general policies relating to compensation and benefits of our employees.

DIRECTOR COMPENSATION

We do not provide cash compensation to members of our board of directors for serving on our board of directors or for attendance at committee meetings. Members of our board of directors are reimbursed for some expenses in connection with attendance at board and committee meetings. In consideration for services as a non-employee director, on November 12, 1998, we granted an option to purchase 20,000 shares of common stock to Dr. Moos at an exercise price of \$0.20 per share. The \$0.20 per share exercise price for these options was equal to the fair market value of the common stock on the date of grant as determined by our board of directors. These options vest in a series of 36 equal monthly installments beginning on the grant date of the option. On March 8, 2000, we granted an option to purchase 20,000 shares of common stock to Dr. Sherwin at an exercise price of \$11.00 per share. The \$11.00 per share exercise price for these options was equal to the fair market of the common stock on the date of grant as determined by our board of directors. These options vest in a series of 24 equal monthly installments beginning on the grant date of the option.

COMPENSATION COMMITTEE INTERLOCKS AND INSIDER PARTICIPATION

Our compensation committee currently consists of Mr. Frazier and Dr. Moos. Mr. Gower served on our compensation committee from February 1998 to January 2000. No current member of the compensation committee has been an officer or employee of ours at any time. None of our executive officers serve as a member of the board of directors or compensation committee of any other company that has one or more executive officers serving as a member of our board of directors or compensation committee. Prior to the formation of a compensation committee in February 1998, the board of directors as a whole made decisions relating to compensation of our executive officers.

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EXECUTIVE COMPENSATION

The following table sets forth information concerning the compensation that we paid during 1999 to our Chief Executive Officer and each of the four other most highly compensated executive officers that earned more than \$100,000 during 1999. All option grants were made under our 1997 Stock Option Plan.

SUMMARY COMPENSATION

<TABLE> <CAPTION>

ANNIJAT. COMPENSATION

LONG TERM COMPENSATION SECURTTIES UNDERLYING

SALARY BONUS OPTIONS

NAME AND PRINCIPAL POSITION <C> <C> <C>

450,000 President, Chief Executive Officer and Director

Donald G. Payan Executive Vice President and Chief Scientific Officer and Director	235,417		150,000
Brian C. Cunningham(1)	250,000		
James H. Welch(2) Vice President, Finance and Administration and Assistant Secretary	100,000	\$25,000	150,000
Donald W. Perryman(3)	140,000		

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- (1) IN JANUARY 2000, WE GRANTED MR. CUNNINGHAM AN OPTION TO PURCHASE 200,000 SHARES OF COMMON STOCK AT AN EXERCISE PRICE OF \$4.50 PER SHARE, WHICH WAS EQUAL TO THE FAIR MARKET VALUE OF THE COMMON STOCK ON THE DATE OF GRANT AS DETERMINED BY THE BOARD OF DIRECTORS. THESE OPTIONS VEST MONTHLY OVER A FOUR-YEAR PERIOD FROM THE DATE OF GRANT.
- (2) MR. WELCH JOINED RIGEL IN MAY 1999. HIS ANNUALIZED 1999 SALARY WAS \$150,000. IN JANUARY 2000, WE GRANTED MR. WELCH AN OPTION TO PURCHASE 50,000 SHARES OF COMMON STOCK AT AN EXERCISE PRICE OF \$4.50 SHARE, WHICH WAS EQUAL TO THE FAIR MARKET VALUE OF THE COMMON STOCK, ON THE DATE OF GRANT AS DETERMINED BY THE BOARD OF DIRECTORS. THESE OPTIONS VEST MONTHLY OVER A FOUR-YEAR PERIOD.
- (3) MR. PERRYMAN RESIGNED AS VICE PRESIDENT, BUSINESS DEVELOPMENT, EFFECTIVE JANUARY 15, 2000.

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 1999. Options granted to purchase shares of our common stock under our 1997 Stock Option Plan generally vest over a four-year or five-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.

The exercise price of each option was equal to the fair market value of our common stock as valued by the board of directors on the date of grant. In determining 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;

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MANAGEMENT

- -- 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 assumed initial public offering price of \$9.00 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 in 1999" are based on an aggregate of 2,449,000 options granted to employees under our stock option plan during 1999.

OPTION GRANTS IN 1999

<TABLE> <CAPTION>

INDIVIDUAL GRANTS

	TINDIVID	OAL GIVANIS				
	NUMBER				POTENTIAL READ	LIZABLE VALUE AT
	OF	% OF TOTA	AL		ASSUMED AND	NUAL RATES OF
	SECURITIES	OPTIO	1S		APPRECIATION	OF STOCK PRICE
	UNDERLYING	GRANTED ?	O EXERCISE		FOR OP:	TION TERM
	OPTIONS	EMPLOYEES :	IN PRICE/PER	EXPIRATION		
NAME	GRANTED	199	99 SHARE	DATE	5%	10%
<s></s>	<c></c>	<c></c>	<c></c>	<c></c>	<c></c>	<c></c>
James M. Gower	450,000	18	.4% \$0.20	2/11/09	6,507,023	10,414,657
Donald G. Payan	150,000	6	.1 0.20	2/11/09	2,169,008	3,471,552
Brian C. Cunningham						
James H. Welch	150,000	6	.1 0.20	5/11/09	2,169,008	3,471,552
Donald W. Perryman						

 | - | | | | |The following table sets forth summary information regarding the number and value of options held as of December 31, 1999 for our Chief Executive Officer and each of our four most highly compensated executive officers. Our Chief Executive Officer and each of our four most highly compensated executive officers did not acquire any shares upon exercise of options in 1999. Amounts shown in the value of unexercised in-the-money options at December 31, 1999 column are based on an initial public offering price of \$9.00 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.

MANAGEMENT

YEAR-END OPTION VALUES

<TABLE> <CAPTION>

	UNDEF UNEXERCISE	SECURITIES RLYING ED OPTIONS ER 31, 1999	VALUE OF UNEXERCISED IN-THE-MONEY OPTIONS DECEMBER 31, 1999		
NAME	VESTED	UNVESTED	VESTED	UNVESTED	
<s></s>	<c></c>	<c></c>	<c></c>	<c></c>	
James M. Gower	75,000	375,000	\$660,000	\$3,300,000	
Donald G. Payan	25,000	125,000	220,000	1,100,000	
Brian C. Cunningham	141,666	358,334	1,253,744	3,171,256	
James H. Welch	0	150,000		1,320,000	
Donald W. Perryman					

 58,333 | 41,667 | 519,164 | 370**,**836 |EMPLOYEE BENEFIT PLANS

2000 EQUITY INCENTIVE PLAN

Our board of directors adopted our 2000 Equity Incentive Plan on January 27, 2000. The 2000 Equity Incentive Plan is an amendment and restatement of our 1997 Stock Option Plan.

SHARE RESERVE

We have reserved a total of 9,525,000 shares of our common stock for issuance under the incentive plan. If the recipient of a stock award does not purchase the shares subject to such stock award before the stock award expires or

otherwise terminates, the shares that are not purchased will again become available for issuance under the incentive plan.

ADMINISTRATION

The board administers the incentive plan unless it delegates administration to a committee. The board has the authority to construe, interpret and amend the incentive plan as well as to determine:

- - who will receive awards under the incentive plan;
- - the dates on which such awards will be granted;
- - the number of shares subject to the awards;
- - the vesting and/or exercisability of the awards;
- - the exercise price of the awards;
- the type of consideration that may be used to satisfy the exercise price;
 and
- - the other terms of the awards.

ELIGIBILITY

The board may grant incentive stock options that qualify under Section 422 of the Internal Revenue Code to our employees and to the employees of our affiliates. The board also may grant nonstatutory stock options, stock bonuses and restricted stock purchase awards to our employees, directors and consultants as well as to the employees, directors and consultants of our affiliates.

Our incentive plan includes the following features:

 - a stock option is a contractual right to purchase a specified number of our shares at a specified price (exercise price) during a specified period of time.

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- an incentive stock option is a stock option that meets the requirements of Section 422 of the Internal Revenue Code. The holder of such an option will not be required to pay tax on either the date of grant or the date of exercise. If two holding period tests are met--more than two years between grant date and sale date and more than one year between exercise date and sale date--the optionholder will be taxed on the profit received on the subsequent disposition of the option stock as long-term capital gain. If the holding periods are not met, there has been a disqualifying disposition, and the difference between the exercise price and the fair market value of the shares on the exercise date will be taxed at ordinary income rates. The difference between the fair market value on date of exercise and the exercise price is an item of adjustment for purposes of the alternative minimum tax unless there is a disqualifying disposition in the year of exercise.
- - a nonstatutory stock option is a stock option that does not meet the Internal Revenue Code criteria for qualifying as an incentive stock option. Upon exercise of a nonstatutory option, the option holder will be required to pay state and federal income tax and, if applicable, federal employment taxes on the difference between the exercise price and the fair market value on the exercise date.
- - a restricted stock purchase award is an offer to purchase shares at a price that is at or near the fair market value of the shares. A stock bonus, on the other hand, is a grant of our shares at no cost to the recipient in consideration for past services rendered. Such awards generally are subject to a vesting schedule pursuant to which we may reacquire the shares subject to either type of award at the original purchase price (which is zero in the case of a stock bonus) if the recipient's service to us and our affiliates terminates before the shares vest.

The board may not grant an incentive stock option to any person who, at the time of the grant, owns or is deemed to own stock possessing more than 10% of our total combined voting power or the total combined voting power of an affiliate of ours, unless the exercise price is at least 110% of the fair market value of the stock on the grant date and the option term is no more than five years.

LIMITS ON OPTION GRANTS

There are limits on the number of shares that the board may grant under an option.

- section 162(m) of the Internal Revenue Code denies a deduction to publicly held corporations for compensation paid to the corporation's chief executive officer and its four highest compensated officers in a taxable year to the extent that the compensation for each such officer exceeds \$1,000,000. When we become subject to Section 162(m), in order to prevent options granted under the incentive plan from being included in such compensation, the incentive plan provides that the board may not grant options under the incentive plan to any employee covering an aggregate of more than 1,500,000 shares in any calendar year.
- an employee may not receive incentive stock options that exceed the \$100,000 per year limitation set forth in Section 422(d) of the Internal Revenue Code. In calculating the \$100,000 per year limitation, we consider the aggregate number of shares under all incentive stock options granted to that employee that will become exercisable for the first time during a calendar year. For this purpose, we include incentive stock options granted under the incentive plan as well as under any other stock plans that we and our affiliates maintain. We then determine the aggregate fair market value of shares subject to all such incentive stock options as of the grant date of the options. Taking the options into account in the order in which they were granted, we treat only the options covering the first \$100,000 worth of stock as incentive stock options. We treat any options covering stock in excess of \$100,000 as nonstatutory stock options.

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MANAGEMENT

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OPTION TERMS

The board may grant incentive stock options with an exercise price of 100% or more of the fair market value of a share of our common stock on the grant date. It may grant nonstatutory stock options with an exercise price as low as 85% of the fair market value of a share on the grant date.

THE MAXIMUM OPTION TERM IS 10 YEARS

The maximum term of options granted under our equity incentive plan is 10 years. The board may provide for exercise periods of any length following an optionholder's termination of service in individual option grants. However, generally options will provide that they terminate three months after the optionholder's service to us and our affiliates terminates. If such termination is due to the optionholder's disability, the exercise period generally is extended 12 months. If such termination is due to the optionholder's death, or if the optionholder dies within three months after his or her service terminates, the exercise period generally is extended to 18 months following the optionholder's death.

The board may provide for the transferability of nonstatutory stock options but not incentive stock options. However, the optionholder may designate a beneficiary to exercise either type of option following the optionholder's death. If the optionholder does not designate a beneficiary, the optionholder's option rights will pass to his or her heirs will or the laws of descent and distribution.

TERMS OF OTHER STOCK AWARDS

The board determines the purchase price of other stock awards, which may not be less than 85% of the fair market value of our common stock on the grant date. However, the board may award stock bonuses in consideration of past services without a cash purchase price. Shares that we sell or award under the incentive plan may, but need not be, restricted and subject to a repurchase option in our favor in accordance with a vesting schedule that the board determines. The board, however, may accelerate the vesting of such awards.

OTHER PROVISIONS

Transactions not involving our receipt of consideration, such as a merger, consolidation, reorganization, stock dividend, or stock split, may change the class and number of shares subject to the incentive plan and to outstanding awards. In that event, the board will appropriately adjust the incentive plan as to the class and the maximum number of shares subject to the incentive plan and to the Section 162 (m) limit. It also will adjust outstanding awards as to the class, number of shares and price per share applicable to such awards.

If we dissolve or liquidate, then outstanding stock awards will terminate immediately prior to such event. However, we treat outstanding stock awards differently in the following situations:

- a sale, lease or other disposition of all or substantially all of our assets;
- -- a merger or consolidation in which we are not the surviving corporation; or

a reverse merger in which we are the surviving corporation but the shares of our common stock outstanding immediately before the merger are converted by virtue of the merger into other property, such as securities or cash.

In these situations, the surviving corporation may either assume all outstanding awards under the incentive plan or substitute other awards for the outstanding awards. If the surviving corporation does not assume or substitute, then, for award holders who are then providing services to us or our affiliates, the vesting and exercisability of the awards will accelerate and the awards will terminate immediately prior to the occurrence of the event described above. The vesting and exercisability of

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MANAGEMENT

awards held by award holders who are no longer providing services to us or one of our affiliates will not accelerate. However, those awards will also terminate immediately prior to the occurrence of the event described above.

STOCK AWARDS GRANTED

As of December 31, 1999, 588,334 shares were issued upon the exercise of options under our equity incentive plan, 2,500 shares of which have been repurchased; options to purchase 5,242,004 shares were outstanding and 3,694,662 shares remained available for grant.

PLAN TERMINATION

The incentive plan will terminate in 2010 unless the board terminates it sooner.

2000 NON-EMPLOYEE DIRECTORS' STOCK OPTION PLAN

We adopted the 2000 Non-Employee Directors' Stock Option Plan on January 27, 2000. The directors' plan will become effective on the effective date of this initial public offering. The directors' plan provides for the automatic grant to our non-employee directors of options to purchase shares of our common stock.

SHARE RESERVE

We have reserved a total of 300,000 shares of our common stock for issuance under the directors' plan.

If an optionholder does not purchase the shares subject to such option before the option expires or otherwise terminates, the shares that are not purchased again become available for issuance under the directors' plan.

ADMINISTRATION

The board administers the directors' plan unless it delegates administration to a committee. The board has the authority to construe, interpret and amend the directors' plan, but the directors' plan specifies the essential terms of the options, including:

- who will receive options under the directors' plan;
- the dates on which such options will be granted;
- the number of shares subject to the options;
- the vesting schedule applicable to the options;
- the exercise price of the options; and
- the type of consideration that may be used to satisfy the exercise price.

ELIGIBILITY

Each non-employee director who is serving on the effective date of this offering will automatically be granted an option to purchase 20,000 shares of common stock. Each person who is elected or appointed to be a non-employee director for the first time after the effective date of this offering will be granted an option to purchase 20,000 shares of common stock upon such election or appointment. In addition, each non-employee director who continues to serve as a non-employee director automatically will be granted an option to purchase 5,000 shares of common stock on the day following each annual meeting of our stockholders. The number of shares subject to the grants to be

made following each annual meeting will be pro-rated for any non-employee director who has not continuously served as a director for the entire 12-month period prior to the date of grant. The options will vest over 2 years in equal monthly installments provided that the non-employee director continues to provide services to us or one of our affiliates.

OPTION TERMS

Options granted under the directors' plan will have an exercise price equal to 100% of the fair market value of the common stock on the grant date and a term of 10 years. As long as a non-employee director continues to serve with us or with an affiliate of ours, whether in the capacity of a director, an employee or a consultant, the non-employee's option will continue. Options will terminate three months after the optionholder's service terminates. However, if such termination is due to the optionholder's disability, the exercise period will be extended to 12 months. If such termination is due to the optionholder's death or if the optionholder dies within three months after his or her service terminates, the exercise period will be extended to 18 months following death.

Optionholders may transfer options granted under the directors' plan by gift to immediate family or, under certain circumstances, to a trust for estate-planning purposes. Optionholders also may designate a beneficiary to exercise their options following the optionholder's death. Otherwise, option exercise rights will pass by the optionholder's will or by the laws of descent and distribution.

OTHER PROVISIONS

Transactions not involving our receipt of consideration, such as a merger, consolidation, reorganization, stock dividend, or stock split, may change the class and number of shares subject to the directors' plan and to outstanding options. In that event, the board will appropriately adjust the directors' plan as to the class and the maximum number of shares subject to the directors' plan. It also will adjust outstanding options as to the class, number of shares and price per share applicable to such options.

If we dissolve or liquidate, then outstanding options will terminate immediately prior to such event. However, we treat outstanding options differently in the following situations:

- a sale, lease or other disposition of all or substantially all of our
- a merger or consolidation in which we are not the surviving corporation; or
- a reverse merger in which we are the surviving corporation but the shares of our common stock outstanding immediately before the merger are converted by virtue of the merger into other property, such as securities or cash.

In these situations, the surviving corporation will either assume the options outstanding under the directors' plan or substitute other options for the outstanding options. If the surviving corporation does not assume or substitute all outstanding options under the directors' plan, then the vesting and exercisability of the options will accelerate and the options will terminate if they are not exercised prior to the event described above.

OPTIONS ISSUED

We have not issued any options under the directors' plan.

PLAN TERMINATION

The directors' plan will terminate in 2010 unless the board terminates it

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MANAGEMENT

2000 EMPLOYEE STOCK PURCHASE PLAN

Our board adopted the 2000 Employee Stock Purchase Plan on January 27, 2000.

SHARE RESERVE

We have authorized the issuance of 400,000 shares of our common stock pursuant to purchase rights granted to eligible employees under the purchase plan. On the anniversary of the effective date of this offering, starting with the anniversary of this offering in 2001, the share reserve will automatically be increased by a number of shares equal to the lesser of:

- - 1% of our then outstanding shares of common stock;

- - 400,000 shares; or
- - a number determined by our board of directors.

ELIGIBILITY

The purchase plan is intended to qualify as an employee stock purchase plan within the meaning of Section 423 of the Internal Revenue Code. The purchase plan provides a means by which eligible employees may purchase our common stock through payroll deductions. We implement the purchase plan by offerings of purchase rights to eligible employees. Generally, all of our full-time employees and full-time employees of our affiliates incorporated in the United States may participate in offerings under the purchase plan. However, no employee may participate in the purchase plan if, immediately after we grant the employee a purchase right, the employee has voting power over 5% or more of our outstanding capital stock. As of the date hereof, no shares of common stock had been purchased under the purchase plan.

ADMINISTRATION

Under the purchase plan, the board may specify offerings of up to 27 months. Unless the board otherwise determines, common stock will be purchased for accounts of participating employees at a price per share equal to the lower of:

- -- 85% of the fair market value of a share on the first day of the offering; or
- - 85% of the fair market value of a share on the purchase date.

For the first offering, which will begin on the effective date of this initial public offering, we will offer shares registered on a Form S-8 registration statement. The fair market value of the shares on the first date of this offering will be the price per share at which our shares are first sold to the public as specified in the final prospectus with respect to our initial public offering. Otherwise, fair market value generally means the closing sales price (rounded up where necessary to the nearest whole cent) for such shares (or the closing bid, if no sales were reported) as quoted on the Nasdaq National Market on the trading day prior to the relevant determination date, as reported in The Wall Street Journal.

The board may provide that employees who become eligible to participate after the offering period begins nevertheless may enroll in the offering. These employees will purchase our stock at the lower of:

- -- 85% of the fair market value of a share on the day they began participating in the purchase plan; or
- -- 85% of the fair market value of a share on the purchase date.

MANAGEMENT

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If authorized by the board, participating employees may authorize payroll deductions of up to 15% of their base compensation for the purchase of stock under the purchase plan. Generally employees may end their participation in the offering at any time up to 10 days before a purchase period ends. Their participation ends automatically on termination of their employment or loss of full-time status.

OTHER PROVISIONS

The board may grant eligible employees purchase rights under the purchase plan only if the purchase rights, together with any other purchase rights granted under other employee stock purchase plans established by us or by our affiliates, if any, do not permit the employee's rights to purchase our stock to accrue at a rate which exceeds \$25,000 of fair market value of our stock for each calendar year in which the purchase rights are outstanding.

Upon a change in control, a surviving corporation may assume outstanding purchase rights or substitute other purchase rights therefor. If the surviving corporation does not assume or substitute the purchase rights, the offering period will be shortened and our stock will be purchased for the participants immediately before the change in control.

DESCRIPTION OF 401(K) PLAN

We maintain a retirement and deferred savings plan for our employees. The retirement and deferred savings plan is intended to qualify as a tax-qualified plan under Section 401 of the Internal Revenue Code. The retirement and deferred savings plan provides that each participant may contribute up to 20% of his or her pre-tax compensation (up to a statutory limit, which is \$10,500 in calendar year 2000). Under the plan, each employee is fully vested in his or her deferred salary contributions. Employee contributions are held and invested by the plan's

trustee. The retirement and deferred savings plan also permits us to make discretionary contributions, subject to established limits and a vesting schedule. To date, we have not made any discretionary contributions to the retirement and deferred savings plan on behalf of participating employees.

LIMITATIONS OF LIABILITY; INDEMNIFICATION OF DIRECTORS AND OFFICERS

In connection with the consummation of this offering, we will adopt and file an amended and restated certificate of incorporation and amended and restated bylaws. As permitted by Delaware law, our amended and restated certificate of incorporation provides that no director will be personally liable to us or our stockholders for monetary damages for breach of fiduciary duty as a director, except for liability:

- - for any breach of duty of loyalty to us or to our stockholders;
- for acts or omissions not in good faith or that involve intentional misconduct or a knowing violation of law;
- - for unlawful payment of dividends or unlawful stock repurchases or redemptions under Section 174 of the Delaware General Corporation Law; or
- for any transaction from which the director derived an improper personal benefit.

Our amended and restated certificate of incorporation further provides that we must indemnify our directors to the fullest extent permitted by Delaware law.

In addition, our amended and restated bylaws provide that:

- we are required to indemnify our directors and officers to the fullest extent permitted by Delaware law, subject to limited exceptions;

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- we may indemnify our other employees and agents to the extent that we indemnify our officers and directors, unless otherwise prohibited by law, our amended and restated certificate of incorporation, our amended and restated bylaws or agreements;
- we are required to advance expenses to our directors and executive officers as incurred in connection with legal proceedings against them for which they may be indemnified; and
- - the rights conferred in the amended and restated bylaws are not exclusive.

We have entered into indemnification agreements with each of our directors and officers. These agreements, among other things, require us to indemnify each director and officer to the fullest extent permitted by Delaware law, including indemnification for expenses such as attorneys' fees, judgments, fines and settlement amounts incurred by the director or officer in any action or proceeding, including any action by or in the right of Rigel, arising out of the person's services as a director or officer of us, any subsidiary of ours or any other company or enterprise to which the person provides services at our request. At present, we are not aware of any pending or threatened litigation or proceeding involving any of our directors, officers, employees or agents in which indemnification would be required or permitted. We believe that our charter provisions and indemnification agreements are necessary to attract and retain qualified persons as directors and officers.

EMPLOYMENT AGREEMENTS AND TERMINATION OF EMPLOYMENT AGREEMENTS

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

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RELATED PARTY TRANSACTIONS

Stock option grants to our executive officers and directors are described in this prospectus under the heading "Management--Compensation of Directors, - --Executive Compensation and --Employment Agreements and Termination of Employment Agreements."

From January 31, 1997, through March 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.

<table> <caption> EXECUTIVE OFFICERS,</caption></table>	COMMON			PREFERR.	ED STOCK	OPPING P	
DIRECTORS AND 5% STOCKHOLDERS(1)	COMMON STOCK	SERIES A	SERIES B	SERIES C	SERIES D	SERIES D WARRANTS	SERIES E
<s> DIRECTOR</s>	<c></c>	<c></c>	<c></c>	<c></c>	<c></c>	<c></c>	<c></c>
Tak W. Mak(2)	50,000						
FIVE PERCENT STOCKHOLDERS Entities affiliated with							
Alta Partners(3) Entities affiliated with Frazier and			2,500,000	1,403,509	558,107	55,640	166,667
Company, Inc.(4) Johnson & Johnson Development				3,649,123	521,596	52,000	125,000
Corporation Entities affiliated with Lombard Odier					1,500,000		166,666
& Cie			3,750,000	2,105,263	837,161	83,460	500,000
Novartis Pharma AG					2,000,000		
Price Per Share Date(s) of	\$4.50		\$0.80	\$1.14	\$2.00	\$2.00	\$6.00
Purchase							

 01/00 | | 1/97 | 11/97 | 12/98-5/99 | 12/98 | 2/00 |

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- (1) SEE "PRINCIPAL STOCKHOLDERS" FOR MORE DETAIL ON SHARES HELD BY THESE PURCHASERS.
- (2) DR. MAK RESIGNED AS A DIRECTOR MARCH 8, 2000.
- (3) MR. DELEAGE, ONE OF OUR DIRECTORS, IS THE MANAGING GENERAL PARTNER OF ALTA PARTNERS.
- (4) MR. FRAZIER, ONE OF OUR DIRECTORS, IS THE MANAGING PRINCIPAL OF FRAZIER AND COMPANY, INC.

Upon the closing of this offering, all shares of our outstanding preferred stock will automatically convert into shares of common stock on a one-for-one basis.

We have 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 issuable upon conversion of their preferred stock following this offering.

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.

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RELATED PARTY TRANSACTIONS

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. PRINCIPAL STOCKHOLDERS The following table shows information known to us with respect to the beneficial ownership of our common stock as of March 31, 2000, and as adjusted to reflect the sale of the shares of common stock offered under this prospectus 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 1999; 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 March 31, 2000 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 28,941,953 shares of common stock outstanding as of March 31, 2000, and the conversion of all outstanding shares of preferred stock into common stock upon the closing of this offering, and 39,053,064 shares of common stock outstanding immediately following the completion of this offering. 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. ______ 64 PRINCIPAL STOCKHOLDERS _ ______ AMOUNT AND NATURE OF SHARES BENEFICIALLY OWNED AS OF MARCH 31, 2000 <TABLE> <CAPTION> SHARES ISSUABLE PURSUANT TO OPTIONS PERCENT OF TOTAL EXERCISABLE OUTSTANDING SHARES OUTSTANDING WITHIN 60 DAYS BENEFICIALLY OWNED SHARES OF OF COMMON MARCH 31, PRIOR THE AFTER THE STOCK 2000 OFFERING OFFERING BENEFICIAL OWNER

<C> <C> <C> <S> FIVE PERCENT STOCKHOLDERS Entities affiliated with Lombard Odier & Cie(1).............. 7,275,884 25.1% 18.6% 11. rue de la Corraterie 1211 Geneve 11 Switzerland 16.2 12.0 One Embarcadero Center, Suite 4050 San Francisco, CA 94111 Entities affiliated with Frazier and Company, Inc.(3)..... 4,347,719 15.0 11.1 601 Union Street, Suite 2110 Seattle, WA 98101 10.3 8.0 Novartis Pharma AG(4)..... 3.111.111

Head Financial Investments CH-4002 Basel, Switzerland				
Johnson & Johnson Plaza One Johnson & Johnson Plaza	1,666,666		5.8	4.3
New Brunswick, NJ 08933 DIRECTORS AND NAMED EXECUTIVE OFFICERS				
James M. Gower	500,000	112,500	2.1	1.6
Donald G. Payan	750,000	37,500	2.7	2.0
Brian C. Cunningham(5)	100,000	100,000	*	*
James H. Welch	, 	34,166	*	*
Donald W. Perryman(6)		66,666	*	*
Jean Deleage(2)	4,683,923	,	16.2	12.0
Alan D. Frazier(3)	4,347,719		15.0	11.1
Walter H. Moos		10,000	*	*
Stephen A. Sherwin(7)		1,666	*	*
All executive officers and directors as a	10,381,642	295,832	36.5%	27.1%
group (8 people) (8)	, ,	•		

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- * LESS THAN ONE PERCENT (1%).
- (1) INCLUDES 5,087,161 SHARES HELD BY LOMBARD ODIER & CIE AND 2,105,263 SHARES HELD BY RYCO AND CO. ALSO INCLUDES 83,460 SHARES ISSUABLE UPON THE EXERCISE OF OUTSTANDING WARRANTS WITHIN 60 DAYS OF MARCH 31, 2000.
- (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.
- (4) INCLUDES THE SALE OF 1,111,111 SHARES OF COMMON STOCK IN A PRIVATE PLACEMENT CONCURRENT WITH THE CLOSING OF THIS INITIAL PUBLIC OFFERING.
- (5) SHARES HELD IN THE CUNNINGHAM REVOCABLE TRUST U/A/D 9/3/98.
- (6) MR. PERRYMAN RESIGNED AS VICE PRESIDENT, BUSINESS DEVELOPMENT, EFFECTIVE JANUARY 15, 2000.
- (7) INCLUDES 83,460 SHARES ISSUABLE UPON THE EXERCISE OF A WARRANT THAT IS EXERCISABLE WITHIN 60 DAYS OF MARCH 31, 2000.

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DESCRIPTION OF SECURITIES

Upon the closing of this offering and the filing of our amended and restated certificate of incorporation, our authorized capital stock will consist of 100,000,000 shares of common stock, \$0.001 par value, and 10,000,000 shares of preferred stock, \$0.001 par value.

COMMON STOCK

As of December 31, 1999, there were 27,708,051 shares of common stock outstanding that were held of record by approximately 65 stockholders after giving effect to the issuance of 2,558,330 shares of Series E preferred stock on February 3, 2000 and the conversion of our preferred stock into common stock at a one-to-one ratio. There will be 37,819,162 shares of common stock outstanding

(assuming no exercise of the underwriters' over-allotment option and no exercise of outstanding options) after giving effect to the sale of the shares of common stock offered by this prospectus.

The holders of common stock are entitled to one vote per share on all matters submitted to a vote of our stockholders and do not have cumulative voting rights. Accordingly, holders of a majority of the shares of common stock entitled to vote in any election of directors may elect all of the directors standing for election. Subject to preferences that may be applicable to any preferred stock outstanding at the time, the holders of outstanding shares of common stock are entitled to receive ratably any dividends out of assets legally available therefor as our board of directors may from time to time determine. Upon liquidation, dissolution or winding up of Rigel, holders of our common stock are entitled to share ratably in all assets remaining after payment of liabilities and the liquidation preference of any then outstanding shares of preferred stock. Holders of common stock have no preemptive or conversion rights or other subscription rights. There are no redemption or sinking fund provisions applicable to the common stock. All outstanding shares of common stock are fully paid and nonassessable.

PREFERRED STOCK

Pursuant to our amended and restated certificate of incorporation, our board of directors will have the authority, without further action by the stockholders, to issue up to 10,000,000 shares of preferred stock, in one or more series. Our board shall determine the rights, preferences, privileges and restrictions of the preferred stock, including dividend rights, conversion rights, voting rights, terms of redemption, liquidation preferences, sinking fund terms and the number of shares constituting any series or the designation of any series. The issuance of preferred stock could adversely affect the voting power of holders of common stock, and the likelihood that holders of preferred stock will receive dividend payments and payments upon liquidation may have the effect of delaying, deferring or preventing a change in control of Rigel, which could depress the market price of our common stock. We have no present plan to issue any shares of preferred stock.

WARRANTS

As of December 31, 1999, three warrants to purchase an aggregate of 150,000 shares of our common stock were outstanding. These warrants shall expire upon the earlier of (i) June 1, 2008, or (ii) seven years after the closing of the initial public offering of our stock and entitle the holders of these warrants to purchase up to 150,000 shares of our common stock at a price of \$1.14 per share, subject to adjustment in the event of a merger, reorganization or sale of Rigel. These warrants give the holders the right of a net issue election.

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DESCRIPTION OF SECURITIES

As of December 31, 1999, one warrant to purchase 175,000 shares of our Series B preferred stock was outstanding. This warrant automatically convert upon the earlier of (i) April 30, 2004, or (ii) a merger or reorganization involving Rigel and entitles the holder of this warrant to purchase up to 175,000 shares of our Series B preferred stock at a price of \$0.80 per share, subject to adjustment in the event of a merger, reorganization or sale of Rigel. This warrant gives the holder the right of a net issue election.

As of December 31, 1999, one warrant to purchase 131,578 shares of our Series C preferred stock was outstanding. This warrant shall expire upon June 30, 2005 and entitles the holder of this warrant to purchase up to 131,578 shares of our Series C preferred stock at a price of \$1.14 per share, subject to adjustment in the event of a merger, reorganization or sale of us. This warrants gives the holder the right of a net issue election.

As of December 31, 1999, four warrants to purchase an aggregate of 190,920 shares of our Series D preferred stock were outstanding. These warrants shall expire upon the earlier of (i) the closing of the initial public offering of our stock, (ii) a reorganization, merger or sale of Rigel, or (iii) December 3, 2003 and entitle the holders of these warrants to purchase up to 190,920 shares of our Series D preferred stock at a price of \$2.00 per share, subject to adjustment in the event of a merger, reorganization or sale of us. These warrants give the holders the right of a net issue election.

REGISTRATION RIGHTS

Upon completion of this offering, holders of an aggregate of 24,054,677 shares of common stock and warrants to purchase an aggregate of 390,038 shares of common stock will be entitled to rights to register these shares under the Securities Act. These rights are provided under an Amended and Restated Registration Rights Agreement, dated February 3, 2000, and under agreements with similar registration rights. If we propose to register any of our securities

under the Securities Act, either for our own account or for the account of others, the holders of these shares are entitled to notice of the registration and are entitled to include, at our expense, their shares of common stock in the registration and any related underwriting, provided, among other conditions, that the underwriters may limit the number of shares to be included in the registration and in some cases, including this offering, exclude these shares entirely. In addition, the holders of these shares may require us, at our expense and on not more than two occasions at any time beginning six months from the date of the closing of this offering, to file a registration statement under the Securities Act with respect to their shares of common stock, and we will be required to use our best efforts to effect the registration. Further, the holders may require us at our expense to register their shares on Form S-3 when this form becomes available.

ANTI-TAKEOVER PROVISIONS OF CERTAIN PROVISIONS OF DELAWARE LAW AND OUR CHARTER CERTIFICATE OF INCORPORATION AND BYLAWS

We are subject to Section 203 of the Delaware General Corporation Law. In general, the statute prohibits a publicly held Delaware corporation from engaging in any business combination with any interested stockholder for a period of three years following the date that the stockholder became an interested stockholder unless:

- prior to the date, our board of directors approved either the business combination or the transaction that resulted in the stockholder becoming an interested stockholder;
- upon consummation of the transaction that resulted in the stockholder's becoming an interested stockholder, the interested stockholder owned at least 85% of the voting stock of the corporation

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DESCRIPTION OF SECURITIES

outstanding at the time the transaction commenced, excluding those shares owned by persons who are directors and also officers, and by employee stock plans in which shares held subject to the plan will be tendered in a tender or exchange offer; or

- on or subsequent to this date, the business combination is approved by our board of directors and authorized at an annual or special meeting of stockholders, and not by written consent, by the affirmative vote of at least two-thirds of the outstanding voting stock that is not owned by the interested stockholder.

Section 203 defines "business combination" to include:

- any merger or consolidation involving the corporation and the interested stockholder;
- - any sale, transfer, pledge or other disposition involving the interested stockholder of 10% or more of the assets of the corporation;
- subject to exceptions, any transaction that results in the issuance or transfer by the corporation of any stock of the corporation to the interested stockholder; and
- the receipt by the interested stockholder of the benefit of any loans, advances, guarantees, pledges or other financial benefits provided by or through the corporation.

In general, Section 203 defines an interested stockholder as any entity or person beneficially owning 15% or more of the outstanding voting stock of the corporation and any entity or person affiliated with or controlling or controlled by the entity or person.

Our amended and restated certificate of incorporation requires that upon completion of the offering, any action required or permitted to be taken by our stockholders must be effected at a duly called annual or special meeting of stockholders and may not be effected by a consent in writing. Additionally, our amended and restated certificate of incorporation:

- substantially limits the use of cumulative voting in the election of directors;
- provides that the authorized number of directors may be changed only by resolution of our board of directors; and
- authorizes our board of directors to issue blank check preferred stock to increase the amount of outstanding shares.

Our amended and restated bylaws provide that candidates for director may be

nominated only by our board of directors or by a stockholder who gives written notice to us no later than 60 days prior nor earlier than 90 days prior to the first anniversary of the last annual meeting of stockholders. The authorized number of directors is fixed in accordance with our amended and restated certificate of incorporation. Our board of directors may appoint new directors to fill vacancies or newly created directorships. Our amended and restated bylaws also limit who may call a special meeting of stockholders.

Upon completion of the offering, the terms of the board of directors will be divided into three classes each with a term of three years: Class I, whose term will expire at the annual meeting of stockholders to be held in 2001; Class II, whose term will expire at the annual meeting of stockholders to be held in 2002; and Class III, whose term will expire at the annual meeting of stockholders to be held in 2003. The Class I directors are Messrs. Frazier and Deleage, the Class II directors are Dr. Moos and Mr. Sherwin, and the Class III directors are Mr. Gower and Dr. Payan. At each annual meeting of

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DESCRIPTION OF SECURITIES

stockholders after the initial classification, the successors to directors whose terms expire will be elected to serve a term of three years. This classification of directors may have the effect of delaying or preventing changes in our control.

Delaware law and these charter provisions may have the effect of deterring hostile takeovers or delaying changes in control of our management, which could depress the market price of our common stock.

TRANSFER AGENT AND REGISTRAR

The transfer agent and registrar for the common stock is Norwest Bank Minnesota, N.A.

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SHARES ELIGIBLE FOR FUTURE SALE

Prior to this offering, there has been no public market for our common stock. Future sales of substantial amounts of our common stock in the public market could adversely affect prevailing market prices. Furthermore, since no shares will be available for sale shortly after this offering because of contractual and legal restrictions on resale as described below, sales of substantial amounts of our common stock in the public market after these restrictions lapse could adversely affect the prevailing market price and our ability to raise equity capital in the future.

Upon completion of this offering, we will have outstanding an aggregate of 39,053,064 shares of common stock, assuming no exercise of the underwriters' over-allotment option and no exercise of outstanding options or warrants after March 31, 2000. Of these shares, all of the shares sold in this offering will be freely tradable without restriction or further registration under the Securities Act, unless these shares are purchased by affiliates. The remaining 30,053,064 shares of common stock held by existing stockholders are restricted securities. Restricted securities may be sold in the public market only if registered or if they qualify for an exemption from registration described below under Rules 144, 144(k) or 701 promulgated under the Securities Act.

As a result of the contractual restrictions described below and the provisions of Rules 144, 144(k) and 701, the restricted shares will be available for sale in the public market as follows:

- - no shares will be eligible for sale upon completion of this offering;
- 26,383,623 shares will be eligible for sale upon the expiration of the lock-up agreements, described below, beginning 180 days after the date of this prospectus;
- - 3,669,441 shares will be eligible for sale at various times after the date of this prospectus; and

- - 1,324,424 shares will be eligible for sale upon the exercise of vested options 180 days after the date of this prospectus.

LOCK-UP AGREEMENTS

All of our officers, directors and some of our stockholders and option holders have agreed not to transfer or dispose of, directly or indirectly, any shares of our common stock or any securities convertible into shares or exercisable or exchangeable for shares of our common stock, for a period of at least 180 days after the date of this prospectus. Transfers or dispositions can be made sooner only with the prior written consent of Warburg Dillon Read LLC.

RULE 144

In general, under Rule 144 as currently in effect, beginning 90 days after the date of this prospectus a person or persons whose shares are aggregated, who has beneficially owned restricted securities for at least one year, including the holding period of any prior owner except an affiliate, would be entitled to sell within any three-month period a number of shares that does not exceed the greater of:

- - 1% of the number of shares of our common stock then outstanding, which will equal approximately 390,531 shares immediately after this offering; or
- the average weekly trading volume of our common stock on the Nasdaq National Market during the four calendar weeks preceding the filing of a notice on Form 144 with respect to the sale.

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SHARES ELIGIBLE FOR FUTURE SALE

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Sales under Rule 144 are also subject to manner of sale provisions and notice requirements and to the availability of current public information about Rigel.

RULE 144(k)

Under Rule 144(k), a person who is not deemed to have been one of our affiliates at any time during the 90 days preceding a sale, and who has beneficially owned the shares proposed to be sold for at least two years, including the holding period of any prior owner except an affiliate, is entitled to sell these shares without complying with the manner of sale, public information, volume limitation or notice provisions of Rule 144. 9,417,044 shares of our common stock will qualify as "144(k) shares" within 180 days after the date of this prospectus.

RULE 701

In general, under Rule 701 of the Securities Act as currently in effect, any of our employees, consultants or advisors, other than affiliates, who purchases or receives shares from us in connection with a compensatory stock purchase plan or option plan or other written agreement will be eligible to resell their shares beginning 90 days after the date of this prospectus, subject only to the manner of sale provisions of Rule 144, and by affiliates under Rule 144 without compliance with its holding period requirements.

REGISTRATION RIGHTS

Upon completion of this offering, the holders of an aggregate of 24,054,677 shares of our common stock and warrants to purchase an aggregate of 390,038 shares of common stock, or their transferees, will be entitled to rights with respect to the registration of their shares under the Securities Act. Registration of their shares under the Securities Act would result in these shares becoming freely tradeable without restriction under the Securities Act, except for shares purchased by affiliates, immediately upon the effectiveness of such registration.

STOCK OPTIONS

Following this offering, we intend to file a registration statement on Form S-8 under the Securities Act covering the shares of common stock reserved for issuance under our 2000 Equity Incentive Plan, 2000 Employee Stock Purchase Plan and 2000 Non-Employee Directors' Stock Option Plan that will become effective upon filing. Accordingly, shares registered under that registration statement will, subject to Rule 144 volume limitations applicable to affiliates, be available for sale in the open market after the filing, except those shares subject to lock-up agreements.

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UNDERWRITING

We and the underwriters of the offering have entered into an underwriting agreement concerning the shares being offered. Subject to conditions, each underwriter has severally agreed to purchase the number of shares indicated in the following table. Warburg Dillon Read LLC, FleetBoston Roberston Stephens Inc. and Prudential Securities Incorporated are the representatives of the underwriters.

</TABLE>

If the underwriters sell more shares than sell more shares than the total number set forth in the table above, the underwriters have a 30-day option to by from us up to an additional shares at the initial public offering prices less the underwriting discounts and commissions to cover these sales. If any shares are purchased under this option, the underwriters will severally purchase shares in approximately the same proportion as set forth in the table above.

The following table shows the per share and total underwriting discounts and commissions we will pay to the underwriters. These amounts are shown assuming both no exercise and full exercise of the underwriters' option to purchase up to an additional shares.

<TABLE>

	NO EXERCISE	FULL EXERCISE
<\$>	<c></c>	<c></c>
Per share	\$	\$
Total	\$	\$

We estimate that the total expenses of the offering payable by us, excluding underwriting discounts and commissions, will be approximately \$.

Shares sold by the underwriters to the public will initially be offered at the initial public offering price set forth on the cover of this prospectus. Any shares sold by the underwriters to securities dealers may be sold at a discount of up to \$ per share from the initial public offering price. Any of these securities dealers may resell any shares purchased from the underwriters to other brokers or dealers at a discount of up to \$ per share from the initial public offering price. If all the shares are not sold at the initial public offering price, the representative may change the offering price and the other selling terms.

The underwriters have informed us that they do not expect discretionary sales to exceed 5% of the shares of common stock to be offered.

We, our directors, officers, stockholders and optionholders have agreed with the underwriters not to offer, sell, contract to sell, hedge or otherwise dispose of, directly or indirectly, or file with the SEC, a registration statement under the Securities Act relating to any of its common stock or securities convertible into or exchangeable for shares of common stock during the period from the date of this prospectus continuing through the date 180 days after the date of this prospectus, without the prior written consent of Warburg Dillon Read LLC.

The underwriters have reserved for sale, at the initial public offering price, up to 450,000 shares of our common stock being offered for sale to our customers and business partners. At the discretion of our management, other parties, including our employees, may participate in the reserved shares

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program. The number of shares available for sale to the general public in the offering will be reduced to the extent these persons purchase reserved shares. Any reserved shares not so purchased will be offered by the underwriters to the general public on the same terms as the other shares.

Prior to this offering, there has been no public market for our common stock. The initial public offering price will be negotiated by us and the representatives. The principal factors to be considered in determining the initial public offering price include:

- the information set forth in this prospectus and otherwise available to the representatives;
- - the history and the prospects for the industry in which we compete;
- the ability of our management;
- our prospects for future earnings, the present state of our development, and our current financial position;
- the general condition of the securities markets at the time of this offering; and
- the recent market prices of, and the demand for, publicly traded common stock of generally comparable companies.

In connection with the offering, the underwriters may purchase and sell shares of common stock in the open market. These transactions may include short sales, stabilizing transactions and purchases to cover positions created by short sales. Short sales involve the sale by the underwriters of a greater number of shares than they are required to purchase in the offering. Stabilizing transactions consist of bids or purchases made for the purpose of preventing or retarding a decline in the market price of the common stock while the offering is in progress.

The underwriters also may impose a penalty bid. This occurs when a particular underwriter repays to the underwriters a portion of the underwriting discount received by it because the representatives have repurchased shares sold by or for the account of that underwriter in stabilizing or short covering transactions.

These activities by the underwriters may stabilize, maintain or otherwise affect the market price of the common stock. As a result, the price of the common stock may be higher than the price that otherwise might exist in the open market. If these activities are commenced, they may be discontinued by the underwriters at any time. These transactions may be effected on the Nasdaq National Market, in the over-the-counter market or otherwise.

We have agreed to indemnify the several underwriters against some liabilities, including liabilities under the Securities Act of 1933, as amended, and to contribute to payments that the underwriters may be required to make in respect thereof.

Prudential Securities Incorporated facilitates the marketing of new issues online through its PrudentialSecurities.com division. Clients of Prudential Advisor(SM), a full service brokerage firm program, may view offering terms and a prospectus online and place orders through their financial advisors.

LEGAL MATTERS

Cooley Godward LLP, Palo Alto, California, will provide us with an opinion as to the validity of the common stock offered under this prospectus. Brobeck, Phleger & Harrison LLP, Broomfield, Colorado, will pass upon certain legal matters related to this offering for the underwriters. As of the date of this prospectus, certain partners and associates of Cooley Godward LLP own an aggregate of 78,860 shares of our common stock through investment partnerships. Brian Cunningham, our Senior Vice President, Chief Operating Officer, Chief Financial Officer and Secretary, is Of Counsel with Cooley Godward and participates in their investment partnerships. Mr. Cunningham currently holds 100,000 shares of our common stock and holds options to purchase 600,000 shares of our common stock.

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EXPERTS

Ernst & Young LLP, independent auditors, have audited our financial statements at December 31, 1998 and December 31, 1999, and for the years ended December 31, 1997, 1998 and 1999, as set forth in their report. We have included our financial statements in this prospectus and elsewhere in the registration statement in reliance on Ernst & Young LLP's report, given on their authority as experts in accounting and auditing.

WHERE YOU CAN FIND MORE INFORMATION

We have filed with the Securities and Exchange Commission (the "SEC") a

registration statement on Form S-1 under the Securities Act with respect to the shares of common stock offered under this prospectus. This prospectus does not contain all of the information in the registration statement and the exhibits and schedule to the registration statement. For further information with respect to us and our common stock, we refer you to the registration statement and to the exhibits and schedule to registration statement. Statements contained in this prospectus as to the contents of any contract or any other document referred to are not necessarily complete, and in each instance, we refer you to the copy of the contract or other document filed as an exhibit to the registration statement. Each of these statements is qualified in all respects by this reference. You may inspect a copy of the registration statement without charge at the SEC's principal office in Washington, D.C., and copies of all or any part of the registration statement may be obtained from the Public Reference Section of the SEC, 450 Fifth Street, N.W., Washington, D.C. 20549, upon payment of fees prescribed by the SEC. The SEC maintains a World Wide Web site that contains reports, proxy and information statements and other information regarding registrants that file electronically with the SEC. The address of the Web site is http://www.sec.gov. The SEC's toll free investor information service can be reached at 1-800-SEC-0330. Information contained on our website does not constitute part of this prospectus.

Upon completion of the offering, we will be subject to the information reporting requirements of the Securities Exchange Act of 1934, as amended, and we will file reports, proxy statements and other information with the SEC.

We intend to furnish our stockholders with annual reports containing financial statements audited by our independent public accountants and quarterly reports for the first three fiscal quarters of each fiscal year containing unaudited interim financial information. Our telephone number is (650) 624-1100.

Rigel Pharmaceuticals, Inc.

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INDEX TO FINANCIAL STATEMENTS

<TABLE> <CAPTION>

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REPORT OF ERNST & YOUNG LLP, INDEPENDENT AUDITORS

The Board of Directors

Rigel Pharmaceuticals, Inc.

We have audited the accompanying balance sheets of Rigel Pharmaceuticals, Inc. as of December 31, 1998 and 1999, and the related statements of operations, stockholders' equity, and cash flows for each of the three years in the period ended December 31, 1999. 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, 1998 and 1999, and the results of its operations and its cash flows

for each of the three years in the period ended December 31, 1999 in conformity with accounting principles generally accepted in the United States.

Palo Alto, California February 25, 2000 /s/ ERNST & YOUNG LLP

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RIGEL PHARMACEUTICALS, INC.

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BALANCE SHEETS

(IN THOUSANDS, EXCEPT SHARE AND PER SHARE AMOUNTS)

<TABLE> <CAPTION>

PRO FORMA STOCKHOLDERS' EQUITY AT DECEMBER 31, DECEMBER 31,

	1998	1999	1999
			(UNAUDITED)
<\$>	<c></c>	<c></c>	(ONAODITED)
ASSETS			
Current assets:			
Cash and cash equivalents	\$9,493	\$5 , 836	
Accounts receivable		2,348	
Prepaid expenses and other current assets	112	346	
Total current assets	9,605	8,530	
Property and equipment, net	3,218	8,398	
Other assets	133	241	
	\$12,956 ======	\$17 , 169	
LIABILITIES AND STOCKHOLDERS' EQUITY			
Current liabilities:	¢ 4 0 4	6003	
Accounts payable	\$484 104	\$883 288	
Accrued liabilities	916	1,403	
Deferred revenue	2,833	4,770	
Capital lease obligations	721	2,176	
Total current liabilities	5,058	9,520	
Capital lease obligations	1,652	5,478	
Long-term portion of deferred revenue	639	956	
Other long-term liabilities	162	459	
Commitments			
Stockholders' equity:			
Convertible preferred stock, \$0.001 par value; 22,000,000			
and 24,000,000 shares authorized in 1998 and 1999			
respectively, (none pro forma) issuable in series,			
19,033,707, and 22,053,887 shares issued and outstanding in 1998 and 1999, respectively (none pro forma)			
(aggregate liquidation preference at December 31, 1999 of			
\$27,475)	19	22	\$
Common stock, \$0.001 par value; 35,000,000, and	10	22	Ψ
37,500,000 shares authorized in 1998 and 1999,			
respectively, (100,000,000 shares pro forma), 2,675,333,			
and 3,095,834 shares issued and outstanding in 1998 and			
1999, respectively, and (25,149,721 shares pro forma)	3	3	25
Additional paid-in capital	21,676	35,164	35,164
Deferred stock compensation		(5,814)	(5,814)
Accumulated deficit	(16,253)	(28,619)	(28,619)
Total stockholders' equity	5,445	756 	\$756
		\$17 , 169	========
	======	======	=========

</TABLE>

See accompanying notes.

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RIGEL PHARMACEUTICALS, INC.

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STATEMENT OF OPERATIONS

(IN THOUSANDS, EXCEPT PER SHARE AMOUNTS)

CAF I I UN>				1997	YEARS ENDE 1998	ED DECEMBER 31, 1999
S>			<c></c>		<c></c>	<c></c>
evenues: Contract revenues from	collaboration	S		\$	\$28	\$8,984
osts and expenses: Research and developmen compensation of \$2,321 General and administrat	in 1999)			4,568	8,305	17,112
compensation of \$254 i				1,033	2,217	3,952
				5,601		,
oss from operations				(5,601) 85	(10,494) (110)	(12,080) (286)
et loss				\$(5,516)		\$(12,366)
et loss per share, basic	and diluted.			\$ (2.20)	\$(4.01)	\$(4.39)
eighted average shares u share, basic and dilute	d		r	2,512		2,818
ro forma net loss per sh (unaudited)						\$(0.52)
eighted average shares u per share, basic and di /TABLE>						======= 23 , 996
ee accompanying notes.						
-4 IGEL PHARMACEUTICALS, IN						
TATEMENT OF STOCKHOLDERS IN THOUSANDS, EXCEPT SHA TABLE>	' EQUITY					
TATEMENT OF STOCKHOLDERS IN THOUSANDS, EXCEPT SHA TABLE> CAPTION>	' EQUITY RE AMOUNTS) CONVE	RTIBLE ED STOCK	COMMON		ADDITIONAL PAID-IN	DEFERRED STOCK
TATEMENT OF STOCKHOLDERS IN THOUSANDS, EXCEPT SHA TABLE> CAPTION> CCUMULATED	' EQUITY RE AMOUNTS) CONVE	RTIBLE			ADDITIONAL	
TATEMENT OF STOCKHOLDERS IN THOUSANDS, EXCEPT SHA TABLE> CAPTION>	' EQUITY RE AMOUNTS) CONVEI PREFERRI SHARES	RTIBLE ED STOCK AMOUNT	COMMON SHARES	STOCK AMOUNT	ADDITIONAL PAID-IN CAPITAL	STOCK
FATEMENT OF STOCKHOLDERS IN THOUSANDS, EXCEPT SHA FABLE> CAPTION> CCUMULATED EFICIT	' EQUITY RE AMOUNTS) CONVEI PREFERRI SHARES	RTIBLE ED STOCK AMOUNT	COMMON SHARES	STOCK AMOUNT	ADDITIONAL PAID-IN CAPITAL	STOCK
TATEMENT OF STOCKHOLDERS IN THOUSANDS, EXCEPT SHA TABLE> CAPTION> CCUMULATED EFICIT	' EQUITY RE AMOUNTS) CONVEY PREFERRI SHARES	RTIBLE ED STOCK AMOUNT	COMMON SHARES	STOCK AMOUNT	ADDITIONAL PAID-IN CAPITAL	STOCK COMPENSATION
CATEMENT OF STOCKHOLDERS ON THOUSANDS, EXCEPT SHA CABLE> CAPTION> CCUMULATED SPICIT S	' EQUITY RE AMOUNTS) CONVEL PREFERRI SHARES <c> 665,000</c>	RTIBLE ED STOCK AMOUNT 	COMMON SHARES <c> 2,400,000</c>	STOCK AMOUNT <c></c>	ADDITIONAL PAID-IN CAPITAL	STOCK COMPENSATION
TATEMENT OF STOCKHOLDERS IN THOUSANDS, EXCEPT SHA TABLE> CAPTION> CCUMULATED EFICIT S> Balance at December 31, 1996	' EQUITY RE AMOUNTS) CONVEL PREFERRI SHARES <c> 665,000</c>	RTIBLE ED STOCK AMOUNT 	COMMON SHARES <c></c>	STOCK AMOUNT <c></c>	ADDITIONAL PAID-IN CAPITAL	STOCK COMPENSATION
CATEMENT OF STOCKHOLDERS ON THOUSANDS, EXCEPT SHA CABLE> CAPTION> CCUMULATED SPICIT S	' EQUITY RE AMOUNTS) CONVEL PREFERRI SHARES <c> 665,000</c>	RTIBLE ED STOCK AMOUNT 	COMMON SHARES <c> 2,400,000</c>	STOCK AMOUNT <c></c>	ADDITIONAL PAID-IN CAPITAL	STOCK COMPENSATION
CATEMENT OF STOCKHOLDERS IN THOUSANDS, EXCEPT SHA CABLE> CAPTION> CCUMULATED SPICIT SPICIT	' EQUITY RE AMOUNTS) CONVEL PREFERRI SHARES <c> 665,000</c>	RTIBLE ED STOCK AMOUNT 	COMMON SHARES <c> 2,400,000</c>	STOCK AMOUNT <c></c>	ADDITIONAL PAID-IN CAPITAL	STOCK COMPENSATION
CATEMENT OF STOCKHOLDERS IN THOUSANDS, EXCEPT SHA CABLE> CAPTION> CCUMULATED SFICIT SPAN STOCK AND STOCKHOLDERS Balance at December 31, 1996	' EQUITY RE AMOUNTS) CONVEI PREFERRI SHARES <c> 665,000</c>	RTIBLE ED STOCK AMOUNT C> 1	COMMON SHARES <c> 2,400,000</c>	STOCK AMOUNT <c></c>	ADDITIONAL PAID-IN CAPITAL <c> 58</c>	STOCK COMPENSATION
CATEMENT OF STOCKHOLDERS IN THOUSANDS, EXCEPT SHA CABLE> CAPTION> CCUMULATED CFICIT SS Balance at December 31, 1996	' EQUITY RE AMOUNTS) CONVEI PREFERRI SHARES <c> 665,000</c>	RTIBLE ED STOCK AMOUNT C> 1	COMMON SHARES <c> 2,400,000</c>	STOCK AMOUNT <c></c>	ADDITIONAL PAID-IN CAPITAL CS 58	STOCK COMPENSATION

Issuance of Series C preferred stock at \$1.14 per share in August 1997 for

	SHARES	AMOUNT	SHARES	AMOUNT	CAPITAL	COMPENSATION	
ACCUMULATED	PREFERRED		COMMON		PAID-IN	STOCK	
<caption></caption>	CONVERTI				ADDITIONAL		
(IN THOUSANDS, EXCEPT SHAF	RE AMOUNTS)						
STATEMENT OF STOCKHOLDERS'	' EQUITY (CONTIN	IUED)					
RIGEL PHARMACEUTICALS, INC							
				F-5			
		(TABLE C	ONTINUED ON FO	LLOWING PAGE.)			
1997	8,819						
Balance at December 31,	(5,516)						
options Net loss and comprehensive loss	(5. 516)						
Issuance of common stock upon exercise of	F						
consultants for services	5						
August 1997 for license rights Issuance of options to	171						
Issuance of Series C preferred stock at \$1.14 per share in							
November 1997 for cash, net of issuance cost	8,209						
arrangement Issuance of Series C preferred stock at \$1.14 per share in	47						
purchase Series B preferred stock for financing	45						
share in January 1997 for cash, net of issuance cost Issuance of warrants to	5 , 969						
January 1997 Issuance of Series B convertible preferred stock at \$0.80 per							
1996 Issuance of common stock at \$0.001 per share for cash in	(71)						
<pre></pre>	<c> (71)</c>						
	EQUITY						
<caption></caption>	TOTAL STOCKHOLDERS'						
Balance at December 31, 1997	15,551,843	16	2,556,667	3	14,449		
Net loss and comprehensive loss (5,516)							_
stock upon exercise of options			46,667		5		
services					5		
 Issuance of options to consultants for							
license rights	150 , 000				171		

<\$>	<c></c>	<c></c>	<c></c>	<c></c>	<c></c>	<c></c>	<c></c>
Issuance of warrants to purchase Series C preferred stock for financing			.0.				101
arrangement					86		
preferred stock at \$2.00 per share in December 1998 for cash, net of issuance							
costs	3,481,864	3			6,938		
Issuance of warrants to purchase Series D preferred stock for financing arrangement					185		
 Compensation expense related to options granted to							
consultants					6		
Issuance of common stock upon exercise of options			118,666		12		
Net loss and comprehensive loss (10,604)							
Balance at December 31, 1998(16,253)	19,033,707	19	2,675,333	3	21,676		
Issuance of Series C preferred stock at \$1.14 per share for financing							
arrangement	20,000				23		
Issuance of Series D preferred stock at \$2.00 per share for cash, net of issuance cost	3,000,000	3			5,925		
Issuance of Series D	3,000,000	3			3,323		
preferred stock upon exercise of warrant at \$2.00 per share	180						
Issuance of common							
stock upon exercise of options			420,501		51		
Compensation expense related to options granted to							
consultants					406		
Deferred stock compensation					7,083	(7,083)	
Amortization of deferred stock compensation						1,269	
Net loss and comprehensive loss (12,366)							
Balance at December 31, 1999(28,619)		\$ 22	3,095,834	\$ 3	\$ 35,164	\$ (5,814)	\$
	=======	=======	=======	========	=======	=========	

	<c></c>	-			
Issuance of warrants to	\C >				
purchase Series C					
preferred stock for					
financing					
arrangement	86				
Issuance of Series D					
preferred stock at					
\$2.00 per share in					
December 1998 for					
cash, net of issuance	C 041				
costs Issuance of warrants to	6,941				
purchase Series D					
preferred stock for					
financing					
arrangement	185				
Compensation expense					
related to options					
granted to					
consultants	6				
Issuance of common					
stock upon exercise of	4.0				
options	12				
Net loss and	(10 (04)				
comprehensive loss	(10,604)				
Balance at December 31,	-				
1998	5,445				
Issuance of Series C	.,				
preferred stock at					
\$1.14 per share for					
financing					
arrangement	23				
Issuance of Series D					
preferred stock at					
\$2.00 per share for					
cash, net of issuance cost	5,928				
Issuance of Series D	3, 320				
preferred stock upon					
exercise of warrant at					
\$2.00 per share					
Issuance of common					
stock upon exercise of					
options	51				
Compensation expense					
related to options					
granted to	100				
consultants	406				
Deferred stock compensation					
Amortization of					
deferred stock					
compensation	1,269				
Net loss and					
comprehensive loss					
Balance at December 31,	6 555				
1999	\$ 756 ======				

 = | | | | || -, 1110110 | | | | | |
See accompanying notes.					
F-6	~				
RIGEL PHARMACEUTICALS, INC					
STATEMENTS OF CASH FLOWS					
2 22 2 2 20.00					
(IN THOUSANDS)					
				VENDO EXTER	DEGENERAL 31
			1007		DECEMBER 31, 1999
OPERATING ACTIVITIES					
Net loss			\$(5,516)	\$(10,604)	\$(12,366)
Adjustments to reconcile	net loss to ne	t cash used in			
operating activities:					

Depreciation and amortization	409	1,103	1,906
Stock compensation expense		100	1,675
Issuances of equity instruments for noncash benefits	230	192	23
Changes in assets and liabilities:			(2.240)
Accounts receivable			(2,348)
Prepaid expenses and other current assets	(104)	(9) 17	(234) (108)
Other assets	(149) 176	234	(108)
Accrued compensation	44	60	184
Accrued liabilities	412	503	184 487
Deferred revenue	412		2,254
		3,472	2 , 254 297
Long-term liabilities	200	(39) 	297
Net cash used in operating activities			(7,831)
INVESTING ACTIVITIES	(0.044)	40.000	(E. 005)
Capital expenditures		(2 , 389)	(7,086)
Net cash used in investing activities		(2,389)	
nee each acea in investing accivicies		· • • •	
FINANCING ACTIVITIES			
Proceeds from capital lease financing	1,847	1,427	6,696
Principal payments on capital lease obligations	(242)	(571)	(1,415)
Net proceeds from issuances of common stock	5	12	51
Net proceeds from issuances of convertible preferred			
stock	14,171	6,941	5 , 928
Well and the little Change to a self this			11 060
Net cash provided by financing activities			11,260
Net increase in cash and cash equivalents		349	(3,657)
Cash and cash equivalents at beginning of period	2	9,144	9,493
outh and outh equivationed at segiming of personition			
Cash and cash equivalents at end of period	\$9,144	\$9,493	\$5 , 836
	=======================================		=======
SUPPLEMENTAL DISCLOSURE OF CASH FLOW INFORMATION			
Interest paid		\$161	\$597
SCHEDULE OF NON CASH TRANSACTIONS			
Deferred stock compensation	\$	S	\$7,083
		т	۶/ , 003

</TABLE>

See accompanying notes.

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RIGEL PHARMACEUTICALS, INC.

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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 matured from its development stage to an operating company in 1998. As such, its financial statements are no longer prepared on a development stage basis. 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. As of December 31, 1999, the Company has funded its operations primarily through the sale of private equity securities, payments from corporate collaborators and capital asset lease financings. The Company plans to seek additional funding through public or private financing arrangements with third parties.

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.

UNAUDITED PRO FORMA INFORMATION

If Rigel's initial public offering ("IPO") as described in Note 8 is consummated, all of the preferred stock outstanding will automatically be converted into common stock. The unaudited pro forma convertible preferred stock and stockholders' equity at December 31, 1999 has been adjusted for the assumed conversion of preferred stock based on the shares of preferred stock outstanding

at December 31, 1999.

CASH AND CASH EQUIVALENTS

The Company considers all highly liquid investments with an original maturity of 90 days or less, when purchased, to be cash equivalents. For the periods presented, cash equivalents consist of money market funds. Rigel has established guidelines regarding diversification of its investments and their maturities that should maintain safety and liquidity.

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.

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RIGEL PHARMACEUTICALS, INC.

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NOTES TO FINANCIAL STATEMENTS (CONTINUED)

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 is 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 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.

COMPREHENSIVE LOSS

Statement of Financial Accounting Standard No. 130, "REPORTING COMPREHENSIVE INCOME" ("SFAS 130") requires components of other comprehensive income, including gains and losses on available-for-sale investments, to be included as part of total comprehensive income. For all periods presented, the comprehensive loss is equal to the net loss and has been disclosed in the statement of stockholders' equity.

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, 1999, 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. Accordingly, the adoption of SFAS 131 had no impact on the Company's financial statements.

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RIGEL PHARMACEUTICALS, INC.

NOTES TO FINANCIAL STATEMENTS (CONTINUED)

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 6). Pro forma net loss information, as required by ("SFAS 123"), is included in Note 6. 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. Following the guidance given by the Securities and Exchange Commission Staff Accounting Bulletin No. 98, common stock and preferred stock that has been issued or granted for nominal consideration prior to the anticipated effective date of the initial public offering must be included in the calculation of basic and diluted net loss per common share as if these shares had been outstanding for all periods presented. To date, the Company has not issued or granted shares for nominal consideration.

Pro forma net loss per share includes shares issuable upon the conversion of outstanding shares of preferred stock (using the as if method) from the original date of issuance.

A reconciliation of shares used in the calculations is as follows (in thousands):

<TABLE>

	1997	YEARS ENDEI 1998	D DECEMBER 31, 1999
<\$>	<c></c>	<c></c>	<c></c>
Basic and diluted:			
Weighted-average shares of common stock outstanding	2,512	2,643	2,818
		=========	
Adjustment to reflect weighted-average effect of assumed conversions of preferred stock			
(unaudited)			21,178
Weighted-average shares used in pro forma net loss per			
share, basic and diluted (unaudited)			23,996
			========

</TABLE>

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):

<TABLE>

<caption></caption>			YEARS ENDE	D DECEMBER 31,
		1997	1998	1999
<\$>	<c></c>		<c></c>	<c></c>
Convertible Preferred Stock		15 , 552	19,034	22,054
Outstanding Options		1,475	3,354	5,242
Warrants		175	648	647

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RIGEL PHARMACEUTICALS, INC.

NOTES TO FINANCIAL STATEMENTS (CONTINUED)

SOFTWARE COSTS

On January 1, 1999, the Company adopted Statement of Position 98-1 ("SOP 98-1"), "ACCOUNTING FOR THE COSTS OF COMPUTER SOFTWARE DEVELOPED OR OBTAINED FOR INTERNAL USE". SOP 98-1 requires the capitalization of direct costs incurred in connection with developing or obtaining software for internal-use, including external direct costs of materials and services and payroll and payroll-related costs for employees who are directly associated with and devote time to an internal use software development project. The Company's policy is to capitalize all such costs and include them as computers and software to be amortized over their estimated useful lives. During 1999, the Company had no costs related to the implementation of internal-use software.

RECENT ACCOUNTING PRONOUNCEMENTS

In June 1998, the Financial Accounting Standards Board 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. SFAS 133 is effective for fiscal years beginning after June 15, 1999 and is not anticipated to 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.

2. SPONSORED RESEARCH AND LICENSE AGREEMENTS

RESEARCH AGREEMENTS

In April 1997, Rigel entered into a two-year sponsored research agreement with Leland Stanford Junior University ("Stanford") for certain patent rights, materials and other know-how relating to the discovery of viral delivery systems. Under the terms of this agreement, Rigel is required to pay research funding fees to be used for salaries and for costs associated with supplies and equipment necessary to perform the research. Stanford retains ownership of all technologies discovered under this agreement, and Rigel has an option to extend the agreement by one year and to acquire all such technologies.

In December 1997, the Company entered into a collaborative agreement with Neurocrine Biosciences, Inc. to discover novel molecular drug targets. The Company granted Neurocrine the right to utilize its technologies in the drug discovery process while Neurocrine granted to the Company the right to utilize various proprietary technologies and compounds. Both companies agreed to fund their own research.

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 preferred stock financing. J&J contributed \$3,000,000 for 1,500,000 shares of Series D preferred stock.

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.

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RIGEL PHARMACEUTICALS, INC.

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NOTES TO FINANCIAL STATEMENTS (CONTINUED)

On May 28, 1999, the Company entered into a broad collaboration with Novartis Pharma AG, 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 will be initiated no later than 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 The agreement also allows for an additional equity investment of up to \$10,000,000 which is callable by the Company up through an IPO. The price of this additional equity investment is to be determined by the most recent private financing price or IPO price.

In September 1999, the Company entered into a collaborative research and technology agreement with Cell Genesys, Inc. Cell Genesys granted the Company rights to some of its patents and technology. In exchange the Company granted Cell Genesys right to utilize the Company's technology to discover targets in certain therapeutic areas. Both companies will fund their own research.

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 is 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 is required to pay a nonrefundable fee and an exclusivity fee over the next three years and issued Stanford 150,000 shares of Series C preferred stock.

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 2000, \$0.3 million in 2001, \$0.3 million in 2002, \$0.3 million in 2003, \$0.3 million in 2004, and \$1.5 million thereafter.

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%, respectively. Accounts receivable relate mainly to these three collaborative partners. The Company does not require collateral or other security for accounts receivable.

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RIGEL PHARMACEUTICALS, INC.

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NOTES TO FINANCIAL STATEMENTS (CONTINUED)

4. PROPERTY AND EQUIPMENT

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

<TABLE> <CAPTION>

	YEARS ENI 1998	DED DECEMBER 31, 1999
<pre><s> Laboratory and office equipment Leasehold improvements</s></pre>	<c> \$4,010 720</c>	<c> \$8,589 2,993</c>
Less accumulated depreciation and amortization	4,730 (1,512)	11,582 (3,184)
Property and equipment, net	\$3,218 ======	\$8,398 ======

5. LONG-TERM OBLIGATIONS

On March 1, 1999, the Company moved its facilities from Sunnyvale, California to South San Francisco. At December 31, 1998, the Company recorded an accrual of \$142,495 for early termination of its Sunnyvale lease.

At December 31, 1999 future minimum lease payments under all noncancelable leases are as follows (in thousands):

<TABLE> <CAPTION>

</TABLE>

DECEMBER 31, 1999	CAPITAL LEASES	0.	PERATING LEASES	
<s> 2000. 2001. 2002.</s>	,	•	1,463 2,018 2,263	

2003	1,501 	2,333 2,353 23,035
Total minimum payment required	9,195	\$33,465
Less amount representing interest	(1,541)	
Present value of future lease payments Less current portion Noncurrent obligations under capital leases	7,654 (2,176) \$5,478	

</TABLE>

The Company leases its South San Francisco office and research facility under a noncancelable operating lease which expires in February 2016. Rent expense under all operating leases amounted to approximately \$385,000, \$381,000 and \$1,756,000 for the period from inception (June 14, 1996) to December 31, 1997, the years ended December 31, 1998 and 1999, respectively.

In 1997, the Company entered into an equipment lease line agreement for up to \$2,000,000. This line 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. As of December 31, 1999, approximately \$1,122,000 was available for future draw downs.

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RIGEL PHARMACEUTICALS, INC.

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NOTES TO FINANCIAL STATEMENTS (CONTINUED)

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.

6. STOCKHOLDERS' EQUITY

All series of preferred stock are convertible at the stockholders' option at any time into common stock on a one-for-one basis, subject to adjustment for antidilution, and carry voting rights equivalent to common stock. Conversion is automatic upon the closing of an underwritten public offering with aggregate offering proceeds exceeding \$15,000,000 and an offering price of at least \$3.50 per share (appropriately adjusted for any stock splits, stock dividends, recapitalization or similar events) or upon agreement of the majority of holders of the outstanding shares.

Holders of Series A, B, C, and D convertible preferred stock are entitled to noncumulative dividends of \$0.008, \$0.064, \$0.0912, and \$0.16 per share, respectively, if and when declared by the board of directors. These dividends are to be paid in advance of any distributions to common stockholders. In addition, dividends are to be paid to Series B, C, and D stockholders in advance of Series A stockholders. No dividends have been declared through December 31, 1999.

In the event of a liquidation or winding up of the Company, holders of Series A, B, C, and D convertible preferred stock shall have a liquidation preference of \$0.10, \$0.80, \$1.14, and \$2.00 per share, respectively, together with any declared but unpaid dividends, over holders of common shares. Preference shall be given to Series B, C, and D stockholders over Series A stockholders.

Preferred stockholders are entitled to the number of votes they would have upon conversion of their preferred shares into common stock.

The authorized, issued and outstanding Series A, B, C, and D shares of convertible preferred stock were as follows:

<TABLE> <CAPTION>

DECEMBER 31, 1998 DECEMBER 31,

AUTHORIZED OUTSTANDING

PREFERENCE

1999
SHARES AGGREGATE SHARES
AGGREGATE

SHARES ISSUED AND LIQUIDATION SHARES ISSUED AND LIQUIDATION

AUTHORIZED OUTSTANDING

REFERENCE

			(IN THO	USANDS)	
<\$>	<c></c>	<c></c>	<c></c>	<c></c>	<c></c>
<c></c>					
Series A	665	665	\$66	665	665
\$66					
Series B	7 , 675	7,500	6,000	7 , 675	7,500
6,000					
Series C	8,000	7,387	8,422	8,000	7,407
8,445					
Series D	5,660	3,482	6,963	7,000	6,482
12,964					
Undesignated				660	
	00.000	10.004	001 451	0.4.000	00.054
407 475	22,000	19,034	\$21,451	24,000	22,054
\$27,475					

</TABLE>

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. The warrant automatically converts upon the earlier of April 30, 2004 or a merger or reorganization of the Company. The fair value of this warrant, as determined using the Black-Scholes valuation model, was approximately \$47,000. The amount was expensed in 1997.

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RIGEL PHARMACEUTICALS, INC.

NOTES TO FINANCIAL STATEMENTS (CONTINUED)

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. The warrant expires on June 30, 2005. The fair value assigned to this warrant, as determined using the Black-Scholes valuation model, was approximately \$86,000. The amount was expensed in 1998.

In conjunction with the Series D preferred stock financing in December 1998, the Company issued five warrants to purchase 191,100 shares of Series D preferred stock at an exercise price of \$2.00 per share. These warrants expire at the earlier of the closing of an IPO or December 2003. As of December 31, 1999, warrants to purchase 190,920 shares of Series D preferred stock are outstanding. The fair value assigned to this warrant, as determined using the Black-Scholes valuation model, was approximately \$185,000. The amount was expensed in 1998.

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 the earlier of June 1, 2008 or the seventh anniversary of the closing of an initial public offering. The fair value of these warrants was deemed to be immaterial and is not recorded in the financial statements.

1997 STOCK OPTION PLAN

On March 5, 1997, the board adopted the Rigel Pharmaceuticals, Inc. Stock Option Plan (the "Stock Plan") under which incentive stock options and nonstatutory stock options 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, 1999, a total of 9,525,000 shares of common stock have been authorized for issuance under the Stock Plan.

Activity under the Stock Plan through December 31, 1999 is as follows:

<TABLE> <CAPTION>

NUMBER OF WEIGHTED-AVERAGE OPTIONS EXERCISE PRICE

Options outstanding at December 31, 1996	1,545,000 (46,667) (23,333)	\$0.10 0.10 0.10
Options outstanding at December 31, 1997	1,475,000 2,157,500 (118,666) (159,584)	0.10 0.16 0.10 0.12
Options outstanding at December 31, 1998	3,354,250 2,783,000 (423,001) (472,245)	0.14 0.24 0.25 0.16
Options outstanding at December 31, 1999	5,242,004	\$0.19

</TABLE>

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RIGEL PHARMACEUTICALS, INC.

NOTES TO FINANCIAL STATEMENTS (CONTINUED)

The shares available for future grant are 803,333,1,805,417, and 3,694,662 as of December 31,1997,1998 and 1999, respectively.

The weighted-average fair value of the options granted in 1997, 1998 and 1999, was \$0.02, \$0.03, and \$0.06, respectively.

At December 31, 1998 and December 31, 1999, the weighted-average remaining contractual life of outstanding options was 9.18 years and 8.84 years, respectively. Options exercisable at December 31, 1998 were 612,687 at a weighted-average exercise price of \$0.11 per share and at December 31, 1999 were 1,233,294 at a weighted average exercise price of \$0.15 per share.

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 period from inception (June 14, 1996) to December 31, 1997, for the year ended December 31, 1998 and December 31, 1999: risk-free interest rates of 4.5%, 5.5% and 6.0%, respectively; 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):

<TABLE>

		1997	YEARS 1 1998	ENDED DECEMBER 31, 1999
<\$>	<c></c>	<(:>	<c></c>
Net loss:				
As reported		\$(5,516)	\$(10,604)	\$(12,366)
Pro forma		(5,516)	(10,604)	(12,413)
Basic and diluted net loss per share:				
As reported		\$(2.20)	\$(4.01)	\$(4.39)
Pro forma				

 | (2.20) | (4.01) | (4.40) |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 and 334,000 common stock options to consultants in exchange for services for the year ended December 31, 1998 and 1999. 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. On January 27, 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 accrued compensation expense related to these grants.

The Company has recorded deferred stock compensation with respect to options granted to employees of approximately \$7.1 million in the year ended December 31, 1999, 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 for the year ended December 31, 1999 and is expected to be approximately \$2.9 million in 2000; \$1.5 million in 2001, \$0.9 million in 2002, \$0.4 million in 2003 and \$0.1 million in 2004.

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RIGEL PHARMACEUTICALS, INC.

NOTES TO FINANCIAL STATEMENTS (CONTINUED)

RESERVED SHARES

As of December 31, 1999, the Company has reserved shares of common stock for future issuance as follows:

<TABLE>

<CAPTION>

	DECEMBER 31, 1999
<s> Warrants Incentive stock plan Convertible preferred stock</s>	•
	31,638,051

</TABLE>

In addition, the Company has reserved the following preferred stock for future issuance upon exercise of warrants:

<TABLE>

S> CS> Series B. 175,000
Series C. 131,578
Series D. 190,920

7. INCOME TAXES

As of December 31, 1999, the Company had federal and state net operating loss carryforwards of approximately \$23.6 million and \$4.1 million, respectively. The Company also had federal and California research and development tax credit carryforwards of approximately \$700,000 and \$500,000. The federal net operating loss and credit carryforwards will expire at various dates beginning in the year 2011 through 2019, if not utilized. The state of California net operating losses will expire beginning in 2005 if not utilized.

Utilization of the federal and state net operating loss and credit carryforwards may be subject to a substantial annual limitation due to the "change in ownership" provisions of the Internal Revenue Code of 1986 (IRC). The annual limitation may result in the expiration of net operating losses and credits before utilization. As of December 31, 1999, an IRC section 382 analysis has not been undertaken to determine the effects of the limitation.

Deferred income taxes reflect the net tax effects of temporary differences between the carrying amounts of assets for financial reporting and the amount used for income tax purposes. Significant components of the Company's deferred tax assets for federal and state income taxes as of December 31 are as follows (in thousands):

<TABLE> <CAPTION>

	1998	1999
<\$>	<c></c>	<c></c>
Deferred Tax Assets		
Net operating loss carryforwards	\$5,100	\$ 8,300
Research and development credits	400	1,000
Capitalized research and development expenses	700	1,100
Other, net	200	400
Total Deferred Tax Assets	6,400	10,800
Valuation Allowance	(6,400)	(10,800)
Net Deferred Taxes		
	=======	======
/ MADIES		

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RIGEL PHARMACEUTICALS, INC.

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NOTES TO FINANCIAL STATEMENTS (CONTINUED)

Due to the Company's lack of earnings history, the net deferred tax assets have been fully offset by a valuation allowance. The valuation allowance increased by \$2.5 million, \$3.9 million and \$4.4 million during the years ended December 31, 1997, 1998 and 1999, respectively.

8. SUBSEQUENT EVENTS (UNAUDITED)

SERIES E FINANCING

On February 3, 2000, the Company closed a private placement in which it sold 2,508,330 shares of Series E Preferred stock at \$6.00 per share for net proceeds of approximately \$15 million. Series E Preferred stock is convertible to common stock at any time into common stock on a one-for-one basis and conversion is automatic if the IPO (see below) is consummated. At the date of issuance, the Company believed the per share price of \$6.00 represented the fair value of the preferred stock. Subsequently, as part of the Company's IPO process, the Company re-evaluated the deemed fair market value of its common stock and determined this to be \$10.00 per share. Accordingly, the incremental fair value is deemed to be equivalent to a preferred stock dividend. The Company expects to record an aggregate deemed dividend of approximately \$10.00 million at the date of issuance by offsetting charges and credits to additional paid-in capital without any effect on total stockholders equity. In addition, the Company issued 50,000 shares of Series E preferred stock for a license of technology. The Company will account for these shares based on the deemed fair value of its common stock, determined to be \$10.00 per share, on the date of issuance.

INITIAL PUBLIC OFFERING

In February 2000, the board of directors authorized the filing of a registration statement with the Securities and Exchange Commission to register shares of its common stock in connection with a proposed Initial Public Offering. If the offering contemplated by this prospectus is consummated, the preferred stock outstanding as of the closing date will automatically be converted into shares of the Company's common stock.

In addition, at the closing of the Initial Public Offering, the Company expects to exercise its put option to Novartis Pharma AG for the sale of \$10 million of common stock.

ADDITIONAL DEFERRED COMPENSATION

From January 1, 2000 through February 1, 2000, options to purchase 921,599 shares were granted to employees pursuant to the 1997 Stock Option Plan with a weighted average price of \$4.50 per share. The company estimates that additional deferred compensation of approximately \$4.4 million will be recorded as a result of these options and amortized to compensation expense in accordance with Rigel's policy. Incremental compensation expense from these grants are expected to be approximately \$1.8 million in 2000, \$1.3 million in 2001, \$0.7 million in 2002, \$0.4 million in 2003 and \$0.2 million in 2004. In addition, in the same period, the Company granted options to purchase 90,000 shares to consultants. Compensation expense related to these options will be recorded in accordance with SFAS 123 and EITF 96-18 as they vest.

In addition, in January 2000, the Company fully vested an option to purchase 75,000 shares of common stock to a consultant for services. The company estimates that compensation expense with respect to these options will be approximately \$664,000 and will be recorded in January 2000.

2000 EMPLOYEE STOCK PURCHASE PLAN

In January 2000, subject to stockholder approval, the Company adopted its 2000 Employee Stock Purchase Plan (the "Purchase Plan"). A total of 400,000 shares of the Company's common stock have

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RIGEL PHARMACEUTICALS, INC.

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NOTES TO FINANCIAL STATEMENTS (CONTINUED)

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 will commence on the effective date of the offering.

2000 NON-EMPLOYEE DIRECTORS' STOCK OPTION PLAN

In January 2000, subject to stockholder approval, the Company adopted the 2000 Non-Employee Directors Stock Option Plan and reserved 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 terminate in September 2009, unless terminated earlier in accordance with the provisions of the Directors' Plan.

2000 EQUITY INCENTIVE PLAN

In January 2000, subject to stockholder approval, the Company adopted the 2000 Equity Incentive Plan. The 2000 Equity Incentive Plan is an amendment and restatement of the 1997 Stock Option Plan.

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PART II

INFORMATION NOT REQUIRED IN PROSPECTUS

TTEM 13. OTHER EXPENSES OF ISSUANCE AND DISTRIBUTION

The following table sets forth the costs and expenses, other than the underwriting discounts payable by us, in connection with the sale of common stock being registered. All amounts are estimates except the SEC registration fee, the NASD filing fee and the Nasdaq National Market listing fee.

<TABLE>

<\$>	<c></c>	>
SEC registration fee	\$	32,789
NASD filing fee	\$	1,000
Nasdaq National Market listing fee	\$	95,000
Blue Sky Fees and Expenses	\$	15,000
Transfer Agent and Registrar fees	\$	3,500
Accounting fees and expenses	\$	320,000
Legal fees and expenses	\$	550,000
Printing and engraving costs	\$	180,000
Miscellaneous expenses	\$	4,711
Total	\$1,	202,000

</TABLE>

ITEM 14. INDEMNIFICATION OF DIRECTORS AND OFFICERS

As permitted by Delaware law, our amended and restated certificate of incorporation provides that no director of ours will be personally liable to us or our stockholders for monetary damages for breach of fiduciary duty as a director, except for liability:

- - for any breach of duty of loyalty to us or to our stockholders;
- for acts or omissions not in good faith or that involve intentional misconduct or a knowing violation of law;
- - for unlawful payment of dividends or unlawful stock repurchases or redemptions under Section 174 of the Delaware General Corporation Law; or
- for any transaction from which the director derived an improper personal benefit.

Our amended and restated certificate of incorporation further provides that we must indemnify our directors and executive officers and may indemnify our other

officers and employees and agents to the fullest extent permitted by Delaware law. We believe that indemnification under our amended and restated certificate of incorporation covers negligence and gross negligence on the part of indemnified parties.

We have entered into indemnification agreements with each of our directors and certain officers. These agreements, among other things, require us to indemnify each director and officer for certain expenses including attorneys' fees, judgments, fines and settlement amounts incurred by any such person in any action or proceeding, including any action by or in the right of Rigel, arising out of the person's services as our director or officer, any subsidiary of ours or any other company or enterprise to which the person provides services at our request.

The underwriting agreement (Exhibit 1.1) will provide for indemnification by the underwriters of Rigel, our directors, our officers who sign the registration statement, and our controlling persons for some liabilities, including liabilities arising under the Securities Act.

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PART II

ITEM 15. RECENT SALES OF UNREGISTERED SECURITIES

Since July 15, 1996, Rigel has 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.

Since July 15, 1996, Rigel has sold and issued the following unregistered securities:

- (1) From July 15, 1996 to April 10, 2000, we granted incentive stock options and nonstatutory stock options to purchase an aggregate of 7,954,099 shares of Rigel's common stock at exercise prices ranging from \$0.10 to \$11.00 per share and an aggregate of 100,000 stock awards to employees, directors and consultants under the Plan. Of these stock options 701,562 shares have been canceled without being exercised, 1,722,236 shares have been exercised, 2,500 shares have been repurchased and 5,530,301 shares remain outstanding.
- (2) In July 1996 and January 1997, we sold an aggregate of 2,860,000 shares of our common stock to five purchasers at a purchase price of \$0.001 per share, 350,000 shares of which we repurchased.
- (3) From July 1996 to January 1997, we sold an aggregate of 665,000 shares of our Series A preferred stock to four purchasers at a purchase price of \$0.10 per share.
- (4) In January 1997, we sold an aggregate of 7,500,000 shares of our Series B preferred stock to nine purchasers at a purchase price of \$0.80 per share.
- (5) In May 1997, we issued a warrant to purchase 175,000 shares of our Series B preferred stock at a purchase price of \$0.80 per share.
- (6) From November 1997 to January 1998, we sold an aggregate of 7,406,843 shares of our Series C preferred stock to twelve purchasers at a purchase price of \$1.14 per share.
- (7) In June 1998, we issued a warrant to purchase 131,578 shares of Series C preferred stock at an exercise price of \$1.14 per share.
- (8) From December 1998 to May 1999, we sold an aggregate of 6,481,864 shares of our Series D preferred stock to ten purchasers at a purchase price of \$2.00 per share.
- (9) In December 1998, we issued five warrants to purchase an aggregate of 191,100 shares of Series D preferred stock at an exercise price of \$2.00 per share, of which 180 shares have been exercised.
- (10) On February 3, 2000, we sold an aggregate of 2,508,330 shares of our Series E preferred stock to thirteen purchasers at a purchase price of \$6.00 per share, and issued 50,000 shares of Series E preferred stock to one

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II-2 PART II

ITEM 16. EXHIBITS AND FINANCIAL STATEMENT SCHEDULES

<table></table>	
<c></c>	<\$>
1.1*	Form of Underwriting Agreement.
3.1*	Amended and Restated Certificate of Incorporation of Rigel
	to be filed upon the closing of the offering made pursuant
	to this Registration Statement.
3.2*	Amended and Restated Bylaws of Rigel to be filed upon the
	closing of the offering made pursuant to this Registration
	Statement.
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.
5.1*	Opinion of Cooley Godward LLP.
10.1*	Form of Indemnity Agreement.
10.2*	2000 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
10.3	Genesys, Inc., dated September 2, 1999.
10.10*	Collaborative Research and Development Agreement between
10.10	Rigel and Neurocrine Biosciences, Inc., dated
	December 1997.
10.11*	Employment agreement between Rigel and Donald Payan, dated
10.11	January 16, 1997.
10.12*	Lease between Rigel and Britannia Pointe Grand Limited
10.12	Partnership, dated June 2, 1998.
23.1	Consent of Ernst & Young LLP, Independent Auditors.
23.2*	Consent of Cooley Godward LLP (included in Exhibit 5.1).
24.1*	Power of Attorney
27.1*	Financial Data Schedule.

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- * Previously filed.
- ++ Confidential treatment requested as to specific portions, which portions are omitted and filed separately with the Securities and Exchange Commission.

ITEM 17. UNDERTAKINGS

The registrant hereby undertakes to provide to the Underwriters at the closing specified in the Underwriting Agreement certificates in such denominations and registered in such names as required by the Underwriters to permit prompt delivery to each purchaser.

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PART II

Insofar as indemnification by the registrant for liabilities arising under the Securities Act may be permitted to directors, officers and controlling persons of the registrant pursuant to the provisions referenced in Item 14 of this Registration Statement or otherwise, the registrant has been advised that in the opinion of the Securities and Exchange Commission such indemnification is

against public policy as expressed in the Securities Act, and is, therefore, unenforceable. In the event that a claim for indemnification against such liabilities (other than the payment by the registrant of expenses incurred or paid by a director, officer, or controlling person of the registrant in the successful defense of any action, suit or proceeding) is asserted by such director, officer or controlling person in connection with the securities being registered hereunder, the registrant will, unless in the opinion of its counsel the matter has been settled by controlling precedent, submit to a court of appropriate jurisdiction the question whether such indemnification by it is against public policy as expressed in the Securities Act and will be governed by the final adjudication of such issue.

The registrant hereby undertakes that:

- (1) For purposes of determining any liability under the Securities Act, the information omitted from the form of Prospectus filed as part of this Registration Statement in reliance upon Rule 430A and contained in a form of Prospectus filed by the registrant pursuant to Rule 424(b)(1) or (4) or 497(h) under the Securities Act shall be deemed to be part of this Registration Statement as of the time it was declared effective.
- (2) For the purpose of determining any liability under the Securities Act, each post-effective amendment that contains a form of Prospectus shall be deemed to be a new registration statement relating to the securities offered therein, and the offering of such securities at that time shall be deemed to be the initial bona fide offering thereof.

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SIGNATURES

Pursuant to the requirements of the Securities Act of 1933, as amended, the registrant has duly caused this Amendment No. 5 to the Registration Statement to be signed on its behalf by the undersigned, thereunto duly authorized, in the City of South San Francisco, State of California, on the 11th day of April, 2000.

<TABLE>

<S> <C>

RIGEL PHARMACEUTICALS, INC.

By: /s/ JAMES M. GOWER

James M. Gower
CHIEF EXECUTIVE OFFICER

</TABLE>

Stephen A. Sherwin

<table> <caption> SIGNATURE</caption></table>	TITLE	DATE
<\$>	<c></c>	<c></c>
/s/ JAMES M. GOWER James M. Gower	President, Chief Executive Officer and Director (Principal Executive Officer)	April 11, 2000
/s/ BRIAN C. CUNNINGHAM	Senior Vice President, Chief Financial Officer, Chief Operating Officer and Secretary (Principal Finance and Accounting Officer)	April 11, 2000
/s/ DONALD G. PAYAN	Executive Vice President, Chief	April 11, 2000
Donald G. Payan	Scientific Officer and Director	
/s/ JEAN DELEAGE	Director	April 11, 2000
Jean Deleage	Director	APIII II, 2000
/s/ ALAN D. FRAZIERAlan D. Frazier	Director	April 11, 2000
/s/ WALTER H. MOOS	Director	April 11, 2000
Walter H. Moos	2120001	1.p111 11, 2000
/s/ STEPHEN A. SHERWIN	Director	April 11, 2000

EXHIBIT INDEX

<TABLE>

<caption></caption>	EXHIBIT	
	NUMBER	
<c> 1.1*</c>		<s> Form of Underwriting Agreement.</s>
3.1*		Amended and Restated Certificate of Incorporation of Rigel to be filed upon the closing of the offering made pursuant to this Registration Statement.
3.2*		Amended and Restated Bylaws of Rigel to be filed upon the closing of the offering made pursuant to this Registration Statement.
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.
5.1*		Opinion of Cooley Godward LLP.
10.1*		Form of Indemnity Agreement.
10.2*		2000 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.
23.1		Consent of Ernst & Young LLP, Independent Auditors.
23.2*		Consent of Cooley Godward LLP (included in Exhibit 5.1).
24.1*		Power of Attorney
27.1*		Financial Data Schedule.

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- * Previously filed.
- ++ Confidential treatment requested as to specific portions, which portions are omitted and filed separately with the Securities and Exchange Commission.

CONSENT OF ERNST AND YOUNG, LLP INDEPENDENT AUDITORS

We consent to the reference to our firm under the caption "Experts" and to the use of our report dated February 25, 2000 included in amendment No. 5 to the Registration Statement (Form S-1 No. 333-96127) and related Prospectus of Rigel Pharmaceuticals, Inc. for the registration of 10,350,000 shares of its common stock.

/s/ ERNST & YOUNG LLP

Palo Alto, California April 10, 2000