

PROSPECTUS SUPPLEMENT NO. 1
(To Prospectus dated December 20, 2001)

Rigel Pharmaceuticals, Inc.

Up to 7,000,000 Shares Common Stock

Rigel is offering up to 7,000,000 shares of its common stock, par value \$.001 per share, to selected institutional investors pursuant to this prospectus supplement and the accompanying prospectus. The common stock will be purchased at the negotiated purchase price of \$4.50 per share.

Our common stock is listed on the Nasdaq National Market under the symbol "RIGL." On January 15, 2002, the last reported sale price of our common stock on the Nasdaq National Market was \$4.96 per share.

**Investing in our common stock involves a high degree of risk.
See "Risk Factors" beginning on page S-5.**

Robertson Stephens, Inc. has been retained to act as agent for us in connection with the arrangement of this transaction. We have agreed to pay Robertson Stephens, Inc. the placement agent fees set forth in the table below. The placement agent is not required to sell any specific number or dollar amount of shares, but will use reasonable efforts to arrange for the sale of all 7,000,000 of the shares. See "Plan of Distribution" in this prospectus supplement.

	Per Share	Maximum Offering
Public offering price	\$ 4.50	\$ 31,500,000
Placement agent fees	\$ 0.27	\$ 1,890,000
Proceeds, before expenses, to Rigel	\$ 4.23	\$ 29,610,000

We expect the total offering expenses, excluding placement agent fees, to be approximately \$200,000 for all sales pursuant to the prospectus supplemented by this prospectus supplement. Because there is no minimum offering amount required as a condition to closing in this offering, the actual public offering amount, placement fees, and proceeds to Rigel, if any, are not presently determinable and may be substantially less than the maximum amounts set forth above.

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

Robertson Stephens

As Placement Agent

The date of this prospectus supplement is January 16, 2002

ABOUT THIS PROSPECTUS SUPPLEMENT

In this prospectus supplement and the accompanying prospectus, the terms "Rigel," "we," "us" and "our" refer to Rigel Pharmaceuticals, Inc.

We provide information to you about the common stock in two separate documents: (a) the accompanying prospectus, which provides general information and (b) this prospectus supplement, which describes the specific details regarding this offering. If information in this prospectus supplement is inconsistent with the prospectus, you should rely on this prospectus supplement.

You should also read and consider the information in the documents we have referred you to in "Where You Can Find More Information" on page 28 of the accompanying prospectus. The information incorporated by reference is considered to be part of this prospectus, and information that we file later with the SEC will automatically update and supersede this information, except for any information superseded by information contained directly in the prospectus or this prospectus supplement.

This prospectus supplement is part of a registration statement we filed with the Securities and Exchange Commission. You should rely only on the information we have provided or incorporated by reference in this prospectus supplement and the accompanying prospectus. We have not authorized, and Robertson Stephens, Inc., as the placement agent, has not authorized, anyone to provide you with information different from that contained in this prospectus supplement and the accompanying prospectus. No dealer, salesperson or other person is authorized to give any information or to represent anything not contained in this prospectus supplement and the accompanying prospectus. You must not rely on any unauthorized information or representation. This prospectus supplement is an offer to sell only the securities offered hereby, but only under circumstances and in jurisdictions where it is lawful to do so. You should assume that the information in this prospectus supplement and the accompanying prospectus is accurate only as of the date on the front of the document and that any information we have incorporated by reference is accurate only as of the date of the document incorporated by reference, regardless of the time of delivery of this prospectus supplement or any sale of a security.

No action has been or will be taken in any jurisdiction by Rigel or any placement agent that would permit distribution of a prospectus in any jurisdiction where action for that purpose is required, other than in the United States. Any person into whose possession this prospectus supplement and accompanying prospectus comes is advised by Rigel and the placement agent to inform themselves about, and to observe any restrictions as to, the offering of the common stock and the distribution of this prospectus supplement

and accompanying prospectus.

FORWARD-LOOKING INFORMATION

This prospectus supplement contains forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended (the "Securities Act"), and within the meaning of Section 21E of the Securities Exchange Act of 1934, as amended (the "Exchange Act"), that are subject to the "safe harbor" created by those sections. These forward-looking statements include but are not limited to statements about:

- our strategy and uncertainties relating to our technological approach;
- the progress of our research programs, including clinical testing;
- sufficiency of our cash resources;

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- dependence on revenues from existing and new collaborations;
- uncertainty of product development, need for additional capital and uncertainty of change;
- our research and development and other expenses;
- our operations and legal risks;
- governmental regulation and the uncertainty of obtaining regulatory approval;
- uncertainty of health care reform measures;
- uncertainty of potential proprietary rights;
- dependence on key personnel;
- history of operating losses and anticipation of future losses; and
- management of growth and risks of acquiring new technologies.

These forward-looking statements are generally identified by words such as "expect," "anticipate," "intend," "believe," "hope," "assume," "estimate," "plan," "will" and other similar words and expressions. Discussions containing these forward-looking statements may be found, among other places, in "Business" and "Management's Discussion and Analysis of Financial Condition and Results of Operations" incorporated by reference from our most recent annual report on Form 10-K and our quarterly reports on Form 10-Q for the quarters ended subsequent to our filing of such Form 10-K with the SEC, as well as any amendments thereto reflected in subsequent filings with the SEC. These forward-looking statements involve risks and uncertainties that could cause our actual results to differ materially from those in the forward-looking statements. We undertake no obligation to publicly release any revisions to the forward-looking statements or reflect events or circumstances after the date of this prospectus supplement. The risks contained in this prospectus supplement, among other things, should be considered in evaluating our prospects and future financial performance.

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PROSPECTUS SUPPLEMENT SUMMARY

This summary highlights information contained elsewhere or incorporated by reference in this prospectus supplement. This summary does not contain all the information you should consider before investing in our securities. You should read the entire prospectus supplement, including incorporated documents, carefully.

RIGEL

Rigel Pharmaceuticals, Inc. is a drug discovery and development company that utilizes advanced functional genomics to discover novel drug targets and drug candidates that regulate these targets. Our technology provides a new and rapid way to find novel drug targets and to validate the role of those targets in disease. We intend to develop a portfolio of novel drug candidates and commercialize the resulting drug products in partnership with corporate collaborators. We currently have programs in asthma/allergy, autoimmunity, transplant rejection, rheumatoid arthritis, inflammatory bowel disease, chronic bronchitis, cancerous tumor growth and hepatitis C.

We were incorporated in Delaware on June 14, 1996. 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>. We have not incorporated by reference into this prospectus the information on our website, and you should not consider it to be a part of this document. Our website address is included in this document as an inactive textual reference only.

Rigel Pharmaceuticals, Inc., the Rigel Pharmaceuticals, Inc. logo and all other Rigel names are trademarks of Rigel Pharmaceuticals, Inc. in the U.S. and in other selected countries. All other brand names or trademarks appearing in this prospectus supplement are the property of their respective holders.

THE OFFERING

Securities offered	We are offering up to 7,000,000 shares of our common stock to selected institutional investors at a negotiated purchase price of \$4.50 per share.
Shares outstanding after this offering	Following this offering, there will be up to 44,732,209 shares of our common stock outstanding. This number is based upon the number of shares of common stock outstanding on December 31, 2001. This number does not include shares that may be issued pursuant to the exercise of stock options and warrants currently outstanding or which may be granted under our stock option plans. Because there is no minimum offering amount required as a condition to closing in this offering, the actual number of shares that will be sold in this offering, and the actual number of shares of our common stock that will be outstanding following this offering, is not presently determinable.
Use of proceeds	We estimate that the net proceeds, after deducting the estimated expenses payable by us in connection with the offering, will be \$29,410,000, assuming we sell the maximum number of shares offered hereby. Because there is no minimum offering amount required as a condition to closing this offering, the actual public offering amount, placement fees and proceeds to Rigel, if any, are not presently determinable and may be substantially less than the maximum amounts set forth above. See "Use of Proceeds" in this prospectus supplement.
Dividend policy	We have never declared or paid any cash dividends on our capital stock. We intend to retain future earnings to finance the growth of our business and do not anticipate paying any cash dividends in the foreseeable future.

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RISK FACTORS

An investment in our securities is risky. Prior to making a decision about investing in our securities, you should carefully consider the specific risks discussed under the caption "Risk Factors" and other information in this prospectus supplement, the accompanying prospectus and the information incorporated by reference in the accompanying prospectus. If any of the following risks actually occurs, our business could be harmed. In that case, the trading price of our common stock, preferred stock, debt securities and/or warrants could decline, and you might lose all or part of your investment. The risks and uncertainties described below are not the only ones facing us. Additional risks and uncertainties not presently known to us, or that we currently see as immaterial, may also harm our business. If any of these additional risks or uncertainties occur, the trading price of our common stock, preferred stock, debt securities and/or warrants could decline, and you might lose all or part of your investment.

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

Due in large part to the significant research and development expenditures required to identify and validate new drug candidates and advance our programs toward later stages of development, we have not been profitable and have generated operating losses since we were incorporated in June 1996. Currently, our revenues are generated solely from research payments from our collaboration agreements and licenses and are insufficient to generate profitable operations. As of September 30, 2001, we had an accumulated deficit of approximately \$71.7 million. We expect to incur losses for at least the next several years and expect that these losses will actually increase as we expand our research and development activities, incur significant clinical and testing costs and expand our facilities. Moreover, our losses are expected to continue even if our current research projects are able to successfully identify potential drug targets. If the time required to generate revenues and achieve profitability is longer than anticipated or if we are unable to obtain necessary capital, we may not be able to fund and continue our operations.

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

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

To date, all of our revenue has been related to the research phase of each of our collaborative agreements. Such revenue is for specified periods and the impact of such revenues on our results of operations is partially offset by corresponding research costs. Following the completion of the research phase of each collaborative agreement, additional revenue may come only from milestone payments and royalties, which may not be paid, if at all, until some time well into the future. The risk is heightened due to the fact that unsuccessful research efforts may preclude us from receiving any contingent funding under these agreements. Our receipt of revenue from collaborative arrangements is also significantly affected by the timing of efforts expended by us and our collaborators and the timing of lead compound identification. Under many agreements, milestone payments may not be earned until the collaborator has advanced products into clinical testing, which may never occur or may not occur until some time well into the future. In the past we have and in the future we expect that we may not recognize revenue under our collaborations when and in accordance with our expectations or the

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expectations of industry analysts, which could harm our business and have an immediate adverse effect on the trading price of our stock.

Our business plan contemplates that we will need to generate meaningful revenue from royalties and licensing agreements. To date, we have not yet received any revenue from royalties for the sale of commercial drugs, and we do not know when we will receive any such revenue, if at all. Likewise, we have not licensed any lead compounds or drug development candidates to third parties, and we do not know whether any such license will be entered into on acceptable terms in the future, if at all.

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

be able to maintain profitability during any future periods.

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

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

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

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

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

Our strategy depends upon the formation and sustainability of multiple collaborative arrangements and license agreements with third parties in the future. We rely on these arrangements for not only financial resources, but also for expertise that we expect to need in the future relating to clinical trials, manufacturing, sales and marketing, and for licenses to technology rights. To date, we have entered into several such arrangements with corporate collaborators; however, we do not know if such third parties

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will dedicate sufficient resources or if any such development or commercialization efforts by third parties will be successful. Should a collaborative partner fail to develop or commercialize a compound or product to which it has rights from us, we may not receive any future milestone payments and will not receive any royalties associated with such compound or product. In addition, the continuation of some of our partnered drug discovery and development programs may be dependent on the periodic renewal of our corporate collaborations. For example, the research phase of our collaboration with Pfizer is scheduled to expire in January 2002 and we do not expect it to be renewed, although the development portion of our collaboration is ongoing. More generally, our corporate collaboration agreements may terminate before the full term of the collaborations or upon a breach or a change of control. We may not be able to renew these collaborations on acceptable terms, if at all, or negotiate additional corporate collaborations on acceptable terms, if at all.

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

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

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

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

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

We will require additional financing in the future to fund our operations. Our operations require significant additional funding in large part due to our research and development expenses, future preclinical and clinical-testing costs, the expansion of our facilities and the absence of any meaningful revenues over the foreseeable future. The amount of future funds needed will depend largely on the success of our collaborations and our research activities, and we do not know whether additional

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financing will be available when needed, or that, if available, we will obtain financing on terms favorable to our stockholders or us. We have consumed substantial amounts of capital to date, and operating expenditures are expected to increase over the next several years as we expand our infrastructure and research and development activities.

We believe that our existing capital resources, together with the proceeds from this offering and from anticipated future and current collaborations and asset-based financings, will be sufficient to support our current operations for at least the next 12 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. Seven U.S. patents have been issued to us as of December 31, 2001, and we have numerous applications in the U.S. and abroad awaiting approval. In the future, our patent position might be highly uncertain and involve complex legal and factual questions. No consistent policy regarding the breadth of claims allowed in biotechnology patents has emerged to date. Accordingly, we cannot predict the breadth of claims allowed in our or other companies' patents.

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

- we were the first to make the inventions covered by each of our pending patent applications;
- we were the first to file patent applications for these inventions;
- others will not independently develop similar or alternative technologies or duplicate any of our technologies;
- any of our pending patent applications will result in issued patents;

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- any patents issued to us or our collaborators will provide a basis for commercially viable products or will provide us with any competitive advantages or will not be challenged by third parties;
 - we will develop additional proprietary technologies that are patentable; or
 - the patents of others will not have a negative effect on our ability to do business.

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

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

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

Our success will also depend, in part, on our ability to operate without infringing or misappropriating the proprietary rights of others. There are many issued patents and patent applications filed by third parties relating to products or processes that are similar or identical to ours or our licensors, and others may be filed in the future. There can be no assurance that our activities, or those of our licensors, will not infringe patents owned by others. We believe that there may be significant litigation in the industry regarding

patent and other intellectual property rights, and we do not know if we or our collaborators would be successful in any such litigation. Any legal action against our collaborators or us claiming damages or seeking to enjoin commercial activities relating to the affected products, our methods or processes could:

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

Pharmexa (formerly M&E Biotech) has notified us that they have received patent protection in some European countries and Australia for a process they assert is similar to certain aspects of our technologies. Pharmexa has notified us of its belief that we have infringed, and are contributorily infringing, certain claims of that European patent. In June 2001, we commenced administrative proceedings to oppose Pharmexa's European patent. Earlier in the year, Pharmexa commenced an administrative proceeding to oppose our Australian patent. Legal proceedings with respect to these

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patents could be lengthy, costly and require significant management time and other resources, which could adversely affect the pursuit of scientific and business goals. In addition, any such legal action could result in the award of damages or a court order preventing us from using the technology covered by the Pharmexa patent. In addition, any license or other transfer of rights to the patent by Pharmexa to a third party could adversely impact our ability to obtain a license to the patent. In the event we desire to seek a license to the patent, we may not be able to obtain a license on acceptable terms. Furthermore, such failure might adversely impact our collaborations with European partners or may materially adversely affect our business in the jurisdictions that may be covered by the patent protection. We are also aware that Pharmexa has sought patent protection in other countries, including the U.S., and has the option to seek patent protection in other parts of the world. If Pharmexa were to receive such patent protection, it might conflict with or overlap with the patent rights we are pursuing. We currently do not, and do not plan to, operate in any country other than the United States.

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

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

Before commencing clinical trials in humans, we, or our collaborative partners, will need to submit and receive approval from the FDA of an 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.

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

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

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

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

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

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

Our competitors might develop technologies and drugs that are more effective or less costly than any that are being developed by us or that would render our technology and potential drugs obsolete and noncompetitive. In addition, our competitors may succeed in obtaining the approval of the FDA or other regulatory approvals for drug

candidates more rapidly. Companies that complete clinical trials, obtain required regulatory agency approvals and commence commercial sale of their drugs before their competitors may achieve a significant competitive advantage, including certain patent and FDA marketing exclusivity rights that would delay or prevent our ability to market certain products. Any drugs resulting from our research and development efforts, or from our joint efforts with our existing or future collaborative partners, might not be able to compete successfully with competitors' existing or future products or products under development or obtain regulatory approval in the United States or elsewhere.

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

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

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

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

Significant uncertainty exists as to the reimbursement status of newly-approved healthcare products. Third-party payors, including Medicare, are challenging the prices charged for medical

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

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

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

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

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

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

Being a small company with only 147 employees as of December 31, 2001, our success depends on the continued contributions of our principal management and scientific personnel and on our ability to develop and maintain important relationships with leading academic institutions, scientists and companies in the face of intense competition for such personnel. In particular, our research programs depend on our ability to attract and retain highly skilled chemists and other scientists. If we lose the services of any of our personnel, our research and development efforts could be seriously and adversely affected. Although we generally have not experienced problems retaining key employees, our employees can terminate their employment with us at any time. We also expect to encounter increasing difficulty in attracting enough qualified personnel as our operations expand and the demand for these professionals increases, and this difficulty could impede significantly the achievement of our research and development objectives.

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

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

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

Our research and development activities involve the controlled use of potentially harmful biological materials as well as hazardous materials, chemicals and various radioactive compounds. We cannot completely eliminate the risk of accidental contamination or injury from the use, storage, handling or disposal of these materials. In the

event of contamination or injury, we could be held liable for damages that result, and such liability could exceed our resources. We are subject to federal, state and local laws and regulations governing the use, storage, handling and disposal of these materials and specified waste products. The cost of compliance with, or any potential violation of, these laws and regulations could be significant.

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

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

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

Our directors, executive officers and principal stockholders and their affiliates beneficially own approximately 30% of our common stock, based on their beneficial ownership as of December 31, 2001. Accordingly, they collectively will have the ability to significantly affect the election of all of our directors and the outcome of most corporate actions requiring stockholder approval. They may exercise this ability in a manner that advances their best interests and not necessarily those of other stockholders.

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

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

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

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

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

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

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

USE OF PROCEEDS

The estimated net proceeds from the offering of the common stock hereby will be \$29,410,000, assuming we sell the maximum number of shares offered hereby. Because there is no minimum offering amount required as a condition to closing this offering, the actual public offering amount, placement fees and proceeds to Rigel, if any, are not presently determinable and may be substantially less than the maximum amount set forth above.

We currently intend to use the net proceeds from the sale of our securities for research and development and general corporate purposes. 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. Pending these uses, the net proceeds will be invested in investment-grade, interest-bearing securities.

DILUTION

Our net tangible book value as of September 30, 2001 was approximately \$34.3 million, or \$0.91 per share. Net tangible book value per share represents the amount of our total tangible assets less total liabilities divided by the total number of shares of common stock outstanding. Assuming we sell all of the 7,000,000 shares of common stock offered by us by this prospectus supplement, the maximum number of shares offered hereby, at the offering price of \$4.50 per share and after deducting the placement agent fees and estimated offering expenses, our net tangible book value at September 30, 2001 would have been approximately \$63.7 million, or \$1.43 per share. This represents an immediate increase in net tangible book value of \$0.52 per share to existing stockholders and an immediate dilution of \$3.07 per share to new investors in the offering by this prospectus supplement, as illustrated by the following table:

	Assumed Maximum Offering of Shares
Assumed public offering price per share	\$ 4.50
Net tangible book value per share before this offering	\$ 0.91
Increase per share attributable to this offering	\$ 0.52
Net tangible book value per share after this offering	\$ 1.43
Dilution per share to new investors	\$ 3.07

Because there is not a minimum amount of shares offered hereby, the dilution per share to new investors may be substantially more than that indicated above in the event that the actual number of shares sold, if any, is less than the maximum number of shares offered.

In addition, the above computations assume no exercise of options to purchase 5,516,857 shares of common stock outstanding as of September 30, 2001 at a weighted average exercise price of \$3.27 per share and no exercise of warrants to purchase 300,000 shares of common stock at a weighted average exercise price of \$5.03 per share outstanding as of September 30, 2001. To the extent these options and warrants are exercised, there will be further dilution to investors.

PLAN OF DISTRIBUTION

We are selling the shares of common stock through a placement agent. Subject to the terms and conditions contained in the placement agency agreement, dated January 15, 2002, Robertson Stephens, Inc. has agreed to act as the placement agent for up to 7,000,000 shares of our common stock. The placement agent is not purchasing or selling any shares hereby, nor is it required to arrange the purchase or sale of sell any specific number or dollar amount of common shares, but has agreed to use reasonable efforts to arrange for the sale of all 7,000,000 of the shares.

The placement agency agreement provides that the obligations of the placement agent are subject to certain conditions precedent, including the absence of any material adverse change in our business and the receipt of certain certificates, opinions and letters from us and our counsel.

The placement agent proposes to arrange for the sale to one or more purchasers of the shares of common stock offered pursuant to this prospectus supplement and the accompanying prospectus through direct purchase agreements between the purchasers and us. We will pay the placement agent a commission equal to 6% of the gross proceeds of the sales of shares of common stock.

The following table shows the per share and total commissions we will pay to the placement agent in connection with the sale of the shares offered pursuant to this prospectus supplement and the accompanying prospectus, assuming the purchase of all of the shares offered hereby.

Per share	\$ 0.27
Maximum Offering Total	\$ 1,890,000

Because there is no minimum offering amount required as a condition to closing in this offering, the actual total offering commissions, if any, are not presently determinable and may be substantially less than the maximum amount set forth above.

Our obligation to issue and sell shares to the purchasers is subject to the conditions set forth in the purchase agreements, which may be waived by us in our discretion. A purchaser's obligation to purchase shares is subject to conditions set forth in the purchase agreement as well, which also may be waived.

It is expected that the sale of up to 7,000,000 shares will be completed on January 22, 2002. We estimate the total expenses of this offering which will be payable by us, excluding the commissions, will be approximately \$200,000.

We have agreed to indemnify the placement agent against certain liabilities, including liabilities under the Securities Act of 1933, as amended, or to contribute to payments the placement agent may be required to make in respect thereof.

In order to facilitate the offering of the common stock, the placement agent may engage in transactions that stabilize, maintain or otherwise affect the market price of our common stock. Any of these activities may maintain the market price of our common stock at a level above that which might otherwise prevail in the open market. The placement agent is not required to engage in these activities and if commenced, may end any of these activities at any time.

LEGAL MATTERS

The validity of the securities being offered hereby will be passed upon by Cooley Godward LLP, Palo Alto, California. Certain legal matters will be passed upon for the placement agent by Skadden, Arps, Slate, Meagher & Flom LLP, Palo Alto, California.

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PROSPECTUS

\$50,000,000

RIGEL PHARMACEUTICALS, INC.

**Common Stock
Preferred Stock
Debt Securities
Warrants**

From time to time, we may sell common stock, preferred stock, debt securities and/or warrants.

We will provide the specific terms of these securities in one or more supplements to this prospectus. You should read this prospectus and any prospectus supplement carefully before you invest.

Our common stock is traded on the Nasdaq National Market under the trading symbol "RIGL." The applicable prospectus supplement will contain information, where applicable, as to any other listing (if any) on The Nasdaq Stock Market's National Market or any securities exchange of the securities covered by the prospectus supplement.

INVESTING IN OUR SECURITIES INVOLVES A HIGH DEGREE OF RISK. SEE "RISK FACTORS" BEGINNING ON PAGE 2.

THIS PROSPECTUS MAY NOT BE USED TO OFFER OR SELL ANY SECURITIES UNLESS ACCOMPANIED BY A PROSPECTUS SUPPLEMENT.

The securities may be sold directly by us to investors, through agents designated from time to time or to or through underwriters or dealers. For additional information on the methods of sale, you should refer to the section entitled "Plan of Distribution." If any underwriters are involved in the sale of any securities with respect to which this prospectus is being delivered, the names of such underwriters and any applicable commissions or discounts will be set forth in a prospectus supplement. The net proceeds we expect to receive from such sale will also be set forth in a prospectus supplement.

NEITHER THE SECURITIES AND EXCHANGE COMMISSION NOR ANY STATE SECURITIES COMMISSION HAS APPROVED OR DISAPPROVED THESE SECURITIES OR DETERMINED IF THIS PROSPECTUS IS TRUTHFUL OR COMPLETE. ANY REPRESENTATION TO THE CONTRARY IS A CRIMINAL OFFENSE.

December 20, 2001

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This prospectus is part of a registration statement we filed with the Securities and Exchange Commission. You should rely only on the information we have provided or incorporated by reference in this prospectus or any prospectus supplement. We have not authorized anyone to provide you with information different from that contained in this prospectus. No dealer, salesperson or other person is authorized to give any information or to represent anything not contained in this prospectus. You must not rely on any unauthorized information or representation. This prospectus is an offer to sell only the securities offered hereby, but only under circumstances and in jurisdictions where it is lawful to do so. You should assume that the information in this prospectus or any prospectus supplement is accurate only as of the date on the front of the document and that any information we have incorporated by reference is accurate only as of the date of the document incorporated by reference, regardless of the time of delivery of this prospectus or any sale of a security.

ABOUT THIS PROSPECTUS

This prospectus is part of a registration statement that we filed with the SEC using a "shelf" registration process. Under this shelf registration process, we may sell common stock, preferred stock, debt securities and/or warrants in one or more offerings up to a total dollar amount of \$50,000,000. This prospectus provides you with a general description of the securities we may offer. Each time we sell common stock, preferred stock, debt securities and/or warrants, we will provide a prospectus supplement that will contain more specific information, as set forth below under "The Securities We May Offer." We may also add, update or change in the prospectus supplement any of the information contained in this prospectus. This prospectus, together with applicable prospectus supplements, includes all material information relating to this offering. Please carefully read both this prospectus and any prospectus supplement together with the additional information described below under "Where You Can Find More Information."

RIGEL

Rigel Pharmaceuticals, Inc. is a drug discovery and development company that utilizes advanced functional genomics to discover novel drug targets and drug candidates that regulate these targets. Our technology provides a new and rapid way to find novel drug targets and to validate the role of those targets in disease. We intend to develop a portfolio of novel drug candidates and commercialize the resulting drug products in partnership with corporate collaborators. We currently have programs in asthma/allergy, autoimmunity, transplant rejection, rheumatoid arthritis, inflammatory bowel disease, chronic bronchitis, cancerous tumor growth and hepatitis C.

We were incorporated in Delaware on June 14, 1996. 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>. We have not incorporated by reference into this prospectus the information on our website, and you should not consider it to be a part of this document. Our web site address is included in this document as an inactive textual reference only.

Rigel Pharmaceuticals, Inc., the Rigel Pharmaceuticals, Inc. logo and all other Rigel names are trademarks of Rigel Pharmaceuticals, Inc. in the U.S. and in other selected countries. All other brand names or trademarks appearing in this prospectus are the property of their respective holders.

THE SECURITIES WE MAY OFFER

We may offer shares of our common stock and preferred stock, various series of debt securities and/or warrants to purchase any of such securities with a total value of up to \$50 million from time to time under this prospectus at prices and on terms to be determined by market conditions at the time of offering. This prospectus provides you with a general description of the securities we may offer. Each time we offer a type or series of securities, we will provide a prospectus supplement that will describe the specific amounts, prices and other important terms of the securities, including, to the extent applicable:

- designation or classification;
- aggregate principal amount or aggregate offering price;
- maturity, if applicable;
- rates and times of payment of interest or dividends, if any;
- redemption, conversion or sinking fund terms, if any;
- voting or other rights, if any;
- conversion prices, if any; and
- important federal income tax considerations.

The prospectus supplement also may add, update or change information contained in this prospectus or in documents we have incorporated by reference.

THIS PROSPECTUS MAY NOT BE USED TO CONSUMMATE A SALE OF SECURITIES UNLESS IT IS ACCOMPANIED BY A PROSPECTUS SUPPLEMENT.

We may sell the securities directly to or through agents, underwriters or dealers. We, and our agents or underwriters, reserve the right to accept or reject all or part of any proposed purchase of securities. If we do offer securities through agents or underwriters, we will include in the applicable prospectus supplement:

- the names of those agents or underwriters;

-
- applicable fees, discounts and commissions to be paid to them; and
 - the net proceeds to us.

Common Stock. We may issue shares of our common stock from time to time. Holders of common stock are entitled to one vote per share on all matters submitted to a vote of stockholders. Subject to any preferences of outstanding shares of preferred stock, holders of common stock are entitled to dividends when and if declared by the board of directors.

Preferred Stock. We may issue shares of our preferred stock from time to time, in one or more series. Our board of directors 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. Convertible preferred stock will be convertible into our common stock. Conversion may be mandatory or at your option and would be at prescribed conversion rates.

Debt Securities. We may offer debt securities from time to time, in one or more series, as either senior or subordinated debt or as senior or subordinated convertible debt. The senior debt securities will rank equally with any other unsecured and unsubordinated debt. The subordinated debt securities will be subordinate and junior in right of payment, to the extent and in the manner described in the instrument governing the debt, to all of our senior indebtedness. Convertible debt securities will be convertible into or exchangeable for our common stock or other securities of ours. Conversion may be mandatory or at your option and would be at prescribed conversion rates.

The debt securities will be issued under one or more documents called indentures, which are contracts between us and a national banking association, as trustee. In this prospectus, we have summarized certain general features of the debt securities. We urge you, however, to read the prospectus supplements related to the series of debt securities being offered, as well as the complete indentures that contain the terms of the debt securities. Indentures have been filed as exhibits to the registration statement of which this prospectus is a part, and supplemental indentures and forms of debt securities containing the terms of debt securities being offered will be filed as exhibits to the registration statement of which this prospectus is a part or will be incorporated by reference from reports we file with the SEC.

Warrants. We may issue warrants for the purchase of common stock, preferred stock and/or debt securities in one or more series. We may issue warrants independently or together with common stock, preferred stock and/or debt securities, and the warrants may be attached to or separate from these securities.

We will evidence each series of warrants by warrant certificates that we will issue under a separate agreement. We will enter into the warrant agreement with a warrant agent. Each warrant agent will be a bank that we select which has its principal office in the United States and a combined capital and surplus of at least \$50,000,000. We will indicate the name and address of the warrant agent in the applicable prospectus supplement relating to a particular series of warrants.

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RISK FACTORS

An investment in our securities is risky. Prior to making a decision about investing in our securities, you should carefully consider the specific risks discussed under the caption "Risk Factors" in both the prospectus and the applicable prospectus supplement, together with all of the other information contained in this prospectus and the prospectus supplement or appearing or incorporated by reference in the registration statement of which this prospectus is a part. If any of the following risks actually occurs, our business could be harmed. In that case, the trading price of our common stock, preferred stock, debt securities and/or warrants could decline, and you might lose all or part of your investment. The risks and uncertainties described below are not the only ones facing us. Additional risks and uncertainties not presently known to us, or that we currently see as immaterial, may also harm our business. If any of these additional risks or uncertainties occur, the trading price of our common stock, preferred stock, debt securities and/or warrants could decline, and you might lose all or part of your investment.

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

Due in large part to the significant research and development expenditures required to identify and validate new drug candidates and move our programs toward later stages of drug development, we have not been profitable and have generated operating losses since we were incorporated in June 1996. Currently, our revenues are generated solely from research payments from our collaboration agreements and licenses and are insufficient to generate profitable operations. As of September 30, 2001, we had an accumulated deficit of approximately \$71.7 million. We expect to incur losses for at least the next several years and expect that these losses will actually increase as we expand our research and development activities, incur significant clinical and testing costs and expand our facilities. Moreover, our losses are expected to continue even if our current research projects are able to successfully identify potential drug targets. If the time required to generate revenues and achieve profitability is longer than anticipated or if we are unable to obtain necessary capital, we may not be able to fund and continue our operations.

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

Our ability to generate revenues in the near term depends on our ability to enter into additional collaborative agreements with third parties and to maintain the agreements we currently have in place. To date, all of our revenue has been related to the research phase of each of our collaborative agreements. Such revenue is for specified periods and the impact of such revenues on our results of operations is partially offset by corresponding research costs. Following the completion of the research phase of each collaborative agreement, additional revenue may come only from milestone payments and royalties, which may not be paid, if at all, until some time well into the future. The risk is heightened due to the fact that unsuccessful research efforts may preclude us from receiving any contingent funding under these agreements. Our receipt of revenue from collaborative arrangements is also significantly affected by the timing of efforts expended by us and our collaborators and the timing of lead compound identification. Under many agreements, milestone payments may not be earned until the collaborator has advanced products into clinical testing, which may never occur or may not occur until some time well into the future.

Our business plan contemplates that we will need to generate meaningful revenue from royalties and licensing agreements. To date, we have not yet received any revenue from royalties for the sale of commercial drugs, and we do not know when we will receive any such revenue, if at all. Likewise, we have not licensed any lead compounds or drug development candidates to third parties, and we do not know whether any such license will be entered into on acceptable terms in the future, if at all.

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

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

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

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

Commercialization of our product candidates depends upon successful completion of preclinical studies and clinical trials. Preclinical testing and clinical development are

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

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

Our strategy depends upon the formation and sustainability of multiple collaborative arrangements and license agreements with third parties in the future. We rely on these arrangements for not only financial resources, but also for expertise that we expect to need in the future relating to clinical trials, manufacturing, sales and marketing, and for licenses to technology rights. To date, we have entered into several such arrangements with corporate collaborators; however, we do not know if such third parties will dedicate sufficient resources or if any such development or commercialization efforts by third parties will be successful. Should a collaborative partner fail to develop or commercialize a compound or product to which it has rights from us, we may not receive any future milestone payments and will not receive any royalties associated with such compound or product. In addition, the continuation of some of our partnered drug discovery and development programs may be dependent on the periodic renewal of our corporate collaborations. More generally, our corporate collaboration agreements may terminate before the full term of the collaborations or upon a breach or a change of control. We may not be able to renew these collaborations on acceptable terms, if at all, or negotiate additional corporate collaborations on acceptable terms, if at all.

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

We believe that our existing capital resources, together with the proceeds from future and current collaborations, will be sufficient to support our current operations for at least the next 15 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;

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- 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. Seven U.S. patents have been issued to us as of September 30, 2001, and we have numerous applications in the U.S. and abroad awaiting approval. In the future, our patent position might be highly uncertain and involve complex legal and factual questions. No consistent policy regarding the breadth of claims allowed in biotechnology patents has emerged to date. Accordingly, we cannot predict the breadth of claims allowed in our or other companies' patents.

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

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

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

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

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

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

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

Pharmexa (formerly M&E Biotech) has notified us that they have received patent protection in some European countries and Australia for a process they assert is similar to certain aspects of our technologies. Pharmexa has notified us of its belief that we have infringed, and are contributorily infringing, certain claims of that European patent. In

June 2001, we commenced administrative proceedings to oppose Pharmexa's European patent. Earlier in the year, Pharmexa commenced an administrative proceeding to oppose our Australian patent. Legal proceedings with respect to these patents could be lengthy, costly and require significant management time and other resources, which could adversely affect the pursuit of scientific and business goals. In addition, any such legal action could result in the award of damages or a court order preventing us from using the technology covered by the Pharmexa patent. In addition, any license or other transfer of rights to the patent by Pharmexa to a third party could adversely impact our ability to obtain a license to the patent. In the event we desire to seek a license to the patent, we may not be able to obtain a license on acceptable terms. Furthermore, such failure might adversely impact our collaborations with European partners or may materially adversely affect our business in the jurisdictions that may be covered by the patent protection. We are also aware that Pharmexa has sought patent protection in other countries, including the U.S., and has the option to seek patent protection in other parts of the world. If Pharmexa were to receive such patent protection, it might conflict with or overlap with the patent rights we are pursuing. We currently do not, and do not plan to, operate in any country other than the United States.

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

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

Before commencing clinical trials in humans, we, or our collaborative partners, will need to submit and receive approval from the FDA of an 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.

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 143 at September 30, 2001. Our ability to manage our operations and growth effectively requires us to continue to use funds to improve our operational, financial and management controls, reporting systems and procedures and to attract and retain sufficient numbers of talented employees. If we are unable to manage this growth effectively, our losses will increase.

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

The biotechnology and pharmaceutical industries are intensely competitive and subject to rapid and significant technological change. Many of the drugs that we are attempting to discover will be competing with existing therapies. In addition, a number of companies are pursuing the development of pharmaceuticals that target the same diseases and conditions that we are targeting. We face competition from pharmaceutical and biotechnology companies both in the United States and abroad. Our competitors may utilize discovery technologies and techniques or partner with collaborators in order to develop products more rapidly or successfully than we, or our collaborators, are able to do. Many of our competitors, particularly large pharmaceutical companies, have substantially greater financial, technical and human resources than we do. In addition, academic institutions, government agencies and other public and private organizations conducting research may seek patent protection with respect to potentially competitive products or technologies and may establish exclusive collaborative or licensing relationships with our competitors.

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

failure by us or any of our collaborators in any of those areas may prevent the successful commercialization of our potential drug targets.

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

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

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

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

- government and health administration authorities;
- private health insurers; and

other third-party payors.

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

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

If conflicts arise between us and our corporate collaborators or scientific advisors, the other party may act in its self-interest and not in the interest of our stockholders. Some of our corporate collaborators are conducting multiple product development efforts within each disease area that is the subject of the collaboration with us. In some of our collaborations, we have agreed not to conduct,

independently or with any third party, any research that is competitive with the research conducted under our collaborations. Our collaborators, however, may develop, either alone or with others, products in related fields that are competitive with the products or potential products that are the subject of these collaborations. Competing products, either developed by our collaborators or to which our collaborators have rights, may result in their withdrawal of support for our product candidates.

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

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

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

Being a small company with only 143 employees as of September 30, 2001, our success depends on the continued contributions of our principal management and scientific personnel and on our ability to develop and maintain important relationships with leading academic institutions, scientists and companies in the face of intense competition for such personnel. In particular, our research programs depend on our ability to attract and retain highly skilled chemists and other scientists. If we lose the services of any of our personnel, our research and development efforts could be seriously and adversely affected. Although we generally have not experienced problems retaining key employees, our employees can terminate their employment with us at any time. We also expect to encounter increasing difficulty in attracting enough qualified personnel as our operations expand and the demand for these professionals increases, and this difficulty could impede significantly the achievement of our research and development objectives.

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

We work extensively with various scientific consultants and advisors. The potential success of our drug discovery programs depends, in part, on continued collaborations with these consultants and advisors. We, and various members of our management and research staff, rely on these consultants and advisors 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. We do not know if we will be able to maintain such consulting agreements or that such scientific advisors will not enter into consulting arrangements, exclusive or otherwise, with competing pharmaceutical or biotechnology companies, any of which would have a detrimental impact on our research objectives and could have a material adverse effect on our business, financial condition and results of operations.

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

Our research and development activities involve the controlled use of potentially harmful biological materials as well as hazardous materials, chemicals and various radioactive compounds. We cannot completely eliminate the risk of accidental contamination or injury from the use, storage, handling or disposal of these materials. In the event of contamination or injury, we could be held liable for

damages that result, and such liability could exceed our resources. We are subject to federal, state and local laws and regulations governing the use, storage, handling and disposal of these materials and specified waste products. The cost of compliance with, or any potential violation of, these laws and regulations could be significant.

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

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

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

Our directors, executive officers and principal stockholders and their affiliates beneficially own approximately 30% of our common stock, based on their beneficial ownership as of May 15, 2001. Accordingly, they collectively will have the ability to significantly affect the election of all of our directors and the outcome of most corporate actions requiring stockholder approval. They may exercise this ability in a manner that advances their best interests and not necessarily those of other stockholders.

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

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

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

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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, which imposes certain restrictions relating to transactions with major stockholders, may discourage, delay or prevent a third party from acquiring us.

The securities we are offering may not develop an active public market, which could depress the resale price of the securities.

The securities we are offering, other than our common stock, will be new issues of securities for which there is currently no trading market. We cannot predict whether an active trading market for the securities will develop or be sustained. If an active trading market were to develop, the securities could trade at prices that may be lower than the initial offering price of the securities.

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FORWARD-LOOKING INFORMATION

This prospectus contains forward-looking statements within the meaning of Section 27A of the Securities Act of 1933, as amended, and within the meaning of Section 21E of the Securities Exchange Act of 1934, as amended, that are subject to the "safe harbor" created by those sections. These forward-looking statements include but are not limited to statements about:

- our strategy;
- the progress of our research programs, including clinical testing;

- sufficiency of our cash resources;
- revenues from existing and new collaborations;
- product development;
- our research and development and other expenses; and
- our operations and legal risks.

These forward-looking statements are generally identified by words such as "expect," "anticipate," "intend," "believe," "hope," "assume," "estimate," "plan," "will" and other similar words and expressions. Discussions containing these forward-looking statements may be found, among other places, in "Business" and "Management's Discussion and Analysis of Financial Condition and Results of Operations" incorporated by reference from our most recent annual report on Form 10-K and our quarterly reports on Form 10-Q for the quarters ended subsequent to our filing of such Form 10-K with the SEC, as well as any amendments thereto reflected in subsequent filings with the SEC. These forward-looking statements involve risks and uncertainties that could cause our actual results to differ materially from those in the forward-looking statements. We undertake no obligation to publicly release any revisions to the forward-looking statements or reflect events or circumstances after the date of this prospectus. The risks described under the caption "Risk Factors" in this prospectus, among other things, should be considered in evaluating our prospects and future financial performance.

RATIO OF EARNINGS TO FIXED CHARGES

Our earnings were insufficient to cover fixed charges in each of the years in the five-year period ended December 31, 2000 and in the nine-month period ended September 30, 2001. "Earnings" consist of income before taxes or loss from continuing operations, excluding the cumulative effect of a change in accounting principle, plus fixed charges, and "fixed charges" consist of interest expense incurred and the portion of rental expense deemed by us to be representative of the interest factor of rental payments under leases. The extent to which earnings were insufficient to cover fixed charges is as follows:

(in thousands)	Year Ended December 31,					Nine Months Ended September 30, 2001
	1996	1997	1998	1999	2000	
Deficiency of earnings available to cover fixed charges	\$ 133	\$ 5,516	\$ 10,604	\$ 12,366	\$ 25,360	\$ 18,756

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USE OF PROCEEDS

Except as described in any prospectus supplement, we currently intend to use the net proceeds from the sale of our securities for research and development and general corporate purposes. 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 we currently are not planning or negotiating any such transactions. Pending these uses, the net proceeds will be invested in investment-grade, interest-bearing securities.

DESCRIPTION OF CAPITAL STOCK

Our authorized capital stock consists of 100 million shares of common stock, \$.001 par value, and 10 million shares of preferred stock, \$.001 par value. As of October 31, 2001, there were 37,591,548 shares of common stock outstanding and no shares of preferred stock outstanding.

Common Stock

The holders of common stock are entitled to one vote for each share held of record on all matters submitted to a vote of the 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 outstanding shares of preferred stock, the holders of common stock are entitled to receive ratably such dividends as may be declared by the board of directors out of funds legally available therefor. Upon the 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 preferences of any outstanding shares of preferred stock. Holders of common stock have no preemptive rights and no right to convert their common stock into any other securities. There are no redemption or sinking fund provisions applicable to our common stock. All outstanding shares of common stock are, and all shares of common stock to be issued under this prospectus will be, fully paid and non-assessable.

Preferred Stock

Pursuant to our amended and restated certificate of incorporation, our board of directors has the authority, without further action by the stockholders, to issue up to 10 million 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.

We will fix the rights, preferences, privileges and restrictions of the preferred stock of each series in the certificate of designation relating to that series. We will incorporate by reference as an exhibit to the registration statement that includes this prospectus the form of any certificate of designation that describes the terms of the series of preferred stock we are offering before the issuance of the related series of preferred stock. This description will include:

- the title and stated value;
- the number of shares we are offering;
- the liquidation preference per share;

- the purchase price;
- the dividend rate, period and payment date and method of calculation for dividends;

- whether dividends will be cumulative or non-cumulative and, if cumulative, the date from which dividends will accumulate;
- the procedures for any auction and remarketing, if any;
- the provisions for a sinking fund, if any;
- the provisions for redemption or repurchase, if applicable, and any restrictions on our ability to exercise those redemption and repurchase rights;
- any listing of the preferred stock on any securities exchange or market;
- whether the preferred stock will be convertible into our common stock, and, if applicable, the conversion price, or how it will be calculated, and the conversion period;
- whether the preferred stock will be exchangeable into debt securities, and, if applicable, the exchange price, or how it will be calculated, and the exchange period;
- voting rights, if any, of the preferred stock;
- preemption rights, if any;
- restrictions on transfer, sale or other assignment, if any;
- whether interests in the preferred stock will be represented by depositary shares;
- a discussion of any material or special United States federal income tax considerations applicable to the preferred stock;
- the relative ranking and preferences of the preferred stock as to dividend rights and rights if we liquidate, dissolve or wind up our affairs;
- any limitations on issuance of any class or series of preferred stock ranking senior to or on a parity with the series of preferred stock as to dividend rights and rights if we liquidate, dissolve or wind up our affairs; and
- any other specific terms, preferences, rights or limitations of, or restrictions on, the preferred stock.

When we issue shares of preferred stock under this prospectus, the shares will be fully paid and nonassessable and will not have, or be subject to, any preemptive or similar rights.

The General Corporation Law of Delaware provides that the holders of preferred stock will have the right to vote separately as a class on any proposal involving fundamental changes in the rights of holders of that preferred stock. This right is in addition to any voting rights that may be provided for in the applicable certificate of designation.

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.

Registration Rights

As of the date hereof, holders of approximately 23,652,735 shares of common stock, including shares issuable upon exercise of outstanding warrants to purchase common stock, are entitled to certain rights with respect to registration of those shares under the Securities Act. These rights are provided under an Amended and Restated Investor Rights Agreement, dated February 3, 2000, and under agreements with similar registration rights. If we propose to register any of our securities under the Securities Act, either for our own account or for the account of others, the holders of these shares are

and on not more than two occasions, to file a registration statement under the Securities Act with respect to their shares of common stock, and we will be required to use our best efforts to effect the registration. Further, the holders may require us at our expense to register their shares on Form S-3, subject to certain limitations.

Anti-Takeover Effects of Provisions of Delaware Law and Our Charter Documents.

Delaware Takeover Statute. We are subject to the provisions of Section 203 of the Delaware General Corporation Law. In general, the statute prohibits a publicly held Delaware corporation from engaging in a business combination with an interested stockholder for a period of three years after the date of the transaction in which the person became an interested stockholder, unless the business combination is approved in a prescribed manner. For purposes of Section 203, a business combination includes a merger, asset sale or other transaction resulting in a financial benefit to the interested stockholder, and an interested stockholder is a person who, together with affiliates and associates, owns (or within three years prior, did own) 15% or more of the corporation's voting stock.

Charter Documents. Our amended and restated certificate of incorporation requires that 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 for a board of directors, classified into three classes 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.

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 our common stock is Wells Fargo Bank Minnesota, National Association. Its address is 161 North Concord Exchange, South St. Paul, MN 55075-1139 and its telephone number is (800) 468-9716.

DESCRIPTION OF DEBT SECURITIES

The following description, together with the additional information we include in any applicable prospectus supplements, summarizes the material terms and provisions of the debt securities that we

may offer under this prospectus. While the terms we have summarized below will apply generally to any future debt securities we may offer, we will describe the particular terms of any debt securities that we may offer in more detail in the applicable prospectus supplement. The terms of any debt securities we offer under a prospectus supplement may differ from the terms we describe below.

We will issue the senior notes under the senior indenture which we will enter into with the trustee named in the senior indenture. We will issue the subordinated notes under the subordinated indenture which we will enter into with the trustee named in the subordinated indenture. We have filed forms of these documents as exhibits to the registration statement which includes this prospectus. We use the term "indentures" to refer to both the senior indenture and the subordinated indenture.

The indentures will be qualified under the Trust Indenture Act of 1939. We use the term "debenture trustee" to refer to either the senior trustee or the subordinated trustee, as applicable.

The following summaries of material provisions of the senior notes, the subordinated notes and the indentures are subject to, and qualified in their entirety by reference to, all the provisions of the indenture applicable to a particular series of debt securities. Except as we may otherwise indicate, the terms of the senior indenture and the subordinated indenture are identical.

General

We will describe in each prospectus supplement the following terms relating to a series of notes:

- the title;
- any limit on the amount that may be issued;
- whether or not we will issue the series of notes in global form, the terms and who the depository will be;
- the maturity date;
- whether and under what circumstances, if any, we will pay additional amounts on any debt securities held by a person who is not a United States person for tax

purposes, and whether we can redeem the debt securities if we have to pay such additional amounts;

- the annual interest rate, which may be fixed or variable, or the method for determining the rate and the date interest will begin to accrue, the dates interest will be payable and the regular record dates for interest payment dates or the method for determining such dates;

- whether or not the notes will be secured or unsecured, and the terms of any secured debt;

- the terms of the subordination of any series of subordinated debt;

- the place where payments will be payable;

- our right, if any, to defer payment of interest and the maximum length of any such deferral period;

- the date, if any, after which, and the price at which, we may, at our option, redeem the series of notes pursuant to any optional or provisional redemption provisions;

- the date, if any, on which, and the price at which we are obligated, pursuant to any mandatory sinking fund or analogous fund provisions or otherwise, to redeem, or at the holder's option to purchase, the series of notes and the currency or currency unit in which the debt securities are payable;

- whether the indenture will restrict our ability to pay dividends, or will require us to maintain any asset ratios or reserves;

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- whether we will be restricted from incurring any additional indebtedness;

- a discussion of any material or special United States federal income tax considerations applicable to the notes;

- information describing any book-entry features;

- provisions for a sinking fund purchase or other analogous fund, if any;

- any provisions for payment of additional amounts for taxes and any provision for redemption, if we must pay such additional amount with respect to any debt security;

- the denominations in which we will issue the series of notes, if other than denominations of \$1,000 and any integral multiple thereof; and

- any other specific terms, preferences, rights or limitations of, or restrictions on, the debt securities, including any additional events of default or covenants provided with respect to the debt securities, and any terms which may be required by us or advisable under applicable laws or regulations.

Conversion or Exchange Rights

We will set forth in the prospectus supplement the terms on which a series of notes may be convertible into or exchangeable for common stock or other securities of ours. We will include provisions as to whether conversion or exchange is mandatory, at the option of the holder or at our option. We may include provisions pursuant to which the number of shares of common stock or other securities of ours that the holders of the series of notes receive would be subject to adjustment.

Consolidation, Merger or Sale

The indentures do not contain any covenant which restricts our ability to merge or consolidate, or sell, convey, transfer or otherwise dispose of all or substantially all of our assets. However, any successor to or acquirer of such assets must assume all of our obligations under the indentures or the notes, as appropriate.

If the debt securities are convertible for our other securities or securities of other entities, the person with whom we consolidate or merge or to whom we sell all of our property must make provisions for the conversion of the debt securities into securities which the holders of the debt securities would have received if they had converted the debt securities before the consolidation, merger or sale.

Events of Default Under the Indenture

The following are events of default under the indentures with respect to any series of notes that we may issue:

- if we fail to pay interest when due and payable and our failure continues for 90 days and the time for payment has not been extended or deferred;

- if we fail to pay the principal, or premium, if any, when due and payable and the time for payment has not been extended or delayed;

- if we fail to observe or perform any other covenant contained in the notes or the indentures, other than a covenant specifically relating to another series of notes,

and our failure continues for 90 days after we receive notice from the debenture trustee or holders of at least 25% in aggregate principal amount of the outstanding notes of the applicable series; and

- if specified events of bankruptcy, insolvency or reorganization occur.

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If an event of default with respect to notes of any series occurs and is continuing, other than an event of default specified in the last two bullet points above, the debenture trustee or the holders of at least 25% in aggregate principal amount of the outstanding notes of that series, by notice to us in writing, and to the debenture trustee if notice is given by such holders, may declare the unpaid principal of, premium, if any, and accrued interest, if any, due and payable immediately. If an event of default specified in the last two bullet points above occurs with respect to us, the principal amount of and accrued interest, if any, of each issue of debt securities then outstanding shall be due and payable without any notice or other action on the part of the debenture trustee or any holder.

The holders of a majority in principal amount of the outstanding notes of an affected series may waive any default or event of default with respect to the series and its consequences, except defaults or events of default regarding payment of principal, premium, if any, or interest, unless we have cured the default or event of default in accordance with the indenture. Any waiver shall cure the default or event of default.

Subject to the terms of the indentures, if an event of default under an indenture shall occur and be continuing, the debenture trustee will be under no obligation to exercise any of its rights or powers under such indenture at the request or direction of any of the holders of the applicable series of notes, unless such holders have offered the debenture trustee reasonable indemnity. The holders of a majority in principal amount of the outstanding notes of any series will have the right to direct the time, method and place of conducting any proceeding for any remedy available to the debenture trustee, or exercising any trust or power conferred on the debenture trustee, with respect to the notes of that series, provided that:

- the direction so given by the holder is not in conflict with any law or the applicable indenture; and
- subject to its duties under the Trust Indenture Act of 1939, the debenture trustee need not take any action that might involve it in personal liability or might be unduly prejudicial to the holders not involved in the proceeding.

A holder of the notes of any series will only have the right to institute a proceeding under the indentures or to appoint a receiver or trustee, or to seek other remedies if:

- the holder has given written notice to the debenture trustee of a continuing event of default with respect to that series;
- the holders of at least 25% in aggregate principal amount of the outstanding notes of that series have made written request, and such holders have offered reasonable indemnity to the debenture trustee to institute the proceeding as trustee; and
- the debenture trustee does not institute the proceeding, and does not receive from the holders of a majority in aggregate principal amount of the outstanding notes of that series other conflicting directions within 60 days after the notice, request and offer.

These limitations do not apply to a suit instituted by a holder of notes if we default in the payment of the principal, premium, if any, or interest on, the notes.

We will periodically file statements with the debenture trustee regarding our compliance with specified covenants in the indentures.

Modification of Indenture; Waiver

We and the debenture trustee may change an indenture without the consent of any holders with respect to specific matters, including:

- to fix any ambiguity, defect or inconsistency in the indenture;

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- to comply with the provisions described above under "Consolidation, Merger or Sale";
- to comply with any requirements of the SEC in connection with the qualification of any indenture under the Trust Indenture Act of 1939;
- to evidence and provide for the acceptance of appointment hereunder by a successor trustee;
- to provide for uncertificated debt securities and to make all appropriate changes for such purpose;
- to add to our covenants such new covenants, restrictions, conditions or provisions for the protection of the holders, and to make the occurrence, or the occurrence and the continuance, of a default in any such additional covenants, restrictions, conditions or provisions an event of default; or
- to change anything that does not materially adversely affect the interests of any holder of notes of any series.

In addition, under the indentures, the rights of holders of a series of notes may be changed by us and the debenture trustee with the written consent of the holders of at least a majority in aggregate principal amount of the outstanding notes of each series that is affected. However, we and the debenture trustee may only make the following changes

with the consent of each holder of any outstanding notes affected:

- extending the fixed maturity of the series of notes;
- reducing the principal amount, reducing the rate of or extending the time of payment of interest, or reducing any premium payable upon the redemption of any notes; or
- reducing the percentage of notes, the holders of which are required to consent to any amendment, supplement, modification or waiver.

Discharge

Each indenture provides that we can elect to be discharged from our obligations with respect to one or more series of debt securities, except for obligations to:

- register the transfer or exchange of debt securities of the series;
- replace stolen, lost or mutilated debt securities of the series;
- maintain paying agencies;
- hold monies for payment in trust;
- recover excess money held by the debenture trustee;
- compensate and indemnify the debenture trustee; and
- appoint any successor trustee.

In order to exercise our rights to be discharged, we must deposit with the debenture trustee money or government obligations sufficient to pay all the principal of, any premium, if any, and interest on, the debt securities of the series on the dates payments are due.

Form, Exchange and Transfer

We will issue the notes of each series only in fully registered form without coupons and, unless we otherwise specify in the applicable prospectus supplement, in denominations of \$1,000 and any integral multiple thereof. The indentures provide that we may issue notes of a series in temporary or

permanent global form and as book-entry securities that will be deposited with, or on behalf of, The Depository Trust Company or another depository named by us and identified in a prospectus supplement with respect to that series. See "Legal Ownership of Securities" for a further description of the terms relating to any book-entry securities.

At the option of the holder, subject to the terms of the indentures and the limitations applicable to global securities described in the applicable prospectus supplement, the holder of the notes of any series can exchange the notes for other notes of the same series, in any authorized denomination and of like tenor and aggregate principal amount.

Subject to the terms of the indentures and the limitations applicable to global securities set forth in the applicable prospectus supplement, holders of the notes may present the notes for exchange or for registration of transfer, duly endorsed or with the form of transfer endorsed thereon duly executed if so required by us or the security registrar, at the office of the security registrar or at the office of any transfer agent designated by us for this purpose. Unless otherwise provided in the notes that the holder presents for transfer or exchange, we will make no service charge for any registration of transfer or exchange, but we may require payment of any taxes or other governmental charges.

We will name in the applicable prospectus supplement the security registrar, and any transfer agent in addition to the security registrar, that we initially designate for any notes. We may at any time designate additional transfer agents or rescind the designation of any transfer agent or approve a change in the office through which any transfer agent acts, except that we will be required to maintain a transfer agent in each place of payment for the notes of each series.

If we elect to redeem the notes of any series, we will not be required to:

- issue, register the transfer of, or exchange any notes of that series during a period beginning at the opening of business 15 days before the day of mailing of a notice of redemption of any notes that may be selected for redemption and ending at the close of business on the day of the mailing; or
- register the transfer of or exchange any notes so selected for redemption, in whole or in part, except the unredeemed portion of any notes we are redeeming in part.

Information Concerning the Debenture Trustee

The debenture trustee, other than during the occurrence and continuance of an event of default under an indenture, undertakes to perform only those duties as are specifically set forth in the applicable indenture. Upon an event of default under an indenture, the debenture trustee must use the same degree of care as a prudent person would exercise or use in the conduct of his or her own affairs. Subject to this provision, the debenture trustee is under no obligation to exercise any of the powers given it by the indentures at the request of any holder of notes unless it is offered reasonable security and indemnity against the costs, expenses and liabilities that it might incur.

Payment and Paying Agents

Unless we otherwise indicate in the applicable prospectus supplement, we will make payment of the interest on any notes on any interest payment date to the person in whose name the notes, or one or more predecessor securities, are registered at the close of business on the regular record date for the interest.

We will pay principal of and any premium and interest on the notes of a particular series at the office of the paying agents designated by us, except that unless we otherwise indicate in the applicable prospectus supplement, we will make interest payments by check which we will mail to the holder or by wire transfer to certain holders. Unless we otherwise indicate in a prospectus supplement, we will

designate the corporate trust office of the debenture trustee in the City of New York as our sole paying agent for payments with respect to notes of each series. We will name in the applicable prospectus supplement any other paying agents that we initially designate for the notes of a particular series. We will maintain a paying agent in each place of payment for the notes of a particular series.

All money we pay to a paying agent or the debenture trustee for the payment of the principal of or any premium or interest on any notes which remains unclaimed at the end of two years after such principal, premium or interest has become due and payable will be repaid to us, and the holder of the security thereafter may look only to us for payment thereof.

Governing Law

The indentures and the notes will be governed by and construed in accordance with the laws of the State of New York, except to the extent that the Trust Indenture Act of 1939 is applicable.

Subordination of Subordinated Notes

The subordinated notes will be unsecured and will be subordinate and junior in priority of payment to certain of our other indebtedness to the extent described in a prospectus supplement. The subordinated indenture does not limit the amount of subordinated notes which we may issue. It also does not limit us from issuing any other secured or unsecured debt.

DESCRIPTION OF WARRANTS

The following description, together with the additional information we may include in any applicable prospectus supplements, summarizes the material terms and provisions of the warrants that we may offer under this prospectus and the related warrant agreements and warrant certificates. While the terms summarized below will apply generally to any warrants that we may offer, we will describe the particular terms of any series of warrants in more detail in the applicable prospectus supplement. If we indicate in the prospectus supplement, the terms of any warrants offered under that prospectus supplement may differ from the terms described below. Specific warrant agreements will contain additional important terms and provisions and will be incorporated by reference as an exhibit to the registration statement that includes this prospectus.

General

We will describe in the applicable prospectus supplement the terms of the series of warrants, including:

- the offering price and aggregate number of warrants offered;
- the currency for which the warrants may be purchased;
- if applicable, the designation and terms of the securities with which the warrants are issued and the number of warrants issued with each such security or each principal amount of such security;
- if applicable, the date on and after which the warrants and the related securities will be separately transferable;
- in the case of warrants to purchase debt securities, the principal amount of debt securities purchasable upon exercise of one warrant and the price at, and currency in which, this principal amount of debt securities may be purchased upon such exercise;
- in the case of warrants to purchase common stock or preferred stock, the number of shares of common stock or preferred stock, as the case may be, purchasable upon the exercise of one warrant and the price at which these shares may be purchased upon such exercise;

- the effect of any merger, consolidation, sale or other disposition of our business on the warrant agreement and the warrants;
- the terms of any rights to redeem or call the warrants;
- any provisions for changes to or adjustments in the exercise price or number of securities issuable upon exercise of the warrants;
- the dates on which the right to exercise the warrants will commence and expire;
- the manner in which the warrant agreement and warrants may be modified;

- federal income tax consequences of holding or exercising the warrants;
- the terms of the securities issuable upon exercise of the warrants; and
- any other specific terms, preferences, rights or limitations of or restrictions on the warrants.

Before exercising their warrants, holders of warrants will not have any of the rights of holders of the securities purchasable upon such exercise, including:

- in the case of warrants to purchase debt securities, the right to receive payments of principal of, or premium, if any, or interest on, the debt securities purchasable upon exercise or to enforce covenants in the applicable indenture; or
- in the case of warrants to purchase common stock or preferred stock, the right to receive dividends, if any, or, payments upon our liquidation, dissolution or winding up or to exercise voting rights, if any.

Exercise of Warrants

Each warrant will entitle the holder to purchase the securities that we specify in the applicable prospectus supplement at the exercise price that we describe in the applicable prospectus supplement. Unless we otherwise specify in the applicable prospectus supplement, holders of the warrants may exercise the warrants at any time up to 5:00 P.M. New York time on the expiration date that we set forth in the applicable prospectus supplement. After the close of business on the expiration date, unexercised warrants will become void.

Holders of the warrants may exercise the warrants by delivering the warrant certificate representing the warrants to be exercised together with specified information, and paying the required amount to the warrant agent in immediately available funds, as provided in the applicable prospectus supplement. We will set forth on the reverse side of the warrant certificate and in the applicable prospectus supplement the information that the holder of the warrant will be required to deliver to the warrant agent.

Upon receipt of the required payment and the warrant certificate properly completed and duly executed at the corporate trust office of the warrant agent or any other office indicated in the applicable prospectus supplement, we will issue and deliver the securities purchasable upon such exercise. If fewer than all of the warrants represented by the warrant certificate are exercised, then we will issue a new warrant certificate for the remaining amount of warrants. If we so indicate in the applicable prospectus supplement, holders of the warrants may surrender securities as all or part of the exercise price for warrants.

Enforceability of Rights by Holders of Warrants

Each warrant agent will act solely as our agent under the applicable warrant agreement and will not assume any obligation or relationship of agency or trust with any holder of any warrant. A single bank or trust company may act as warrant agent for more than one issue of warrants. A warrant agent

will have no duty or responsibility in case of any default by us under the applicable warrant agreement or warrant, including any duty or responsibility to initiate any proceedings at law or otherwise, or to make any demand upon us. Any holder of a warrant may, without the consent of the related warrant agent or the holder of any other warrant, enforce by appropriate legal action its right to exercise, and receive the securities purchasable upon exercise of, its warrants.

LEGAL OWNERSHIP OF SECURITIES

We can issue securities in registered form or in the form of one or more global securities. We describe global securities in greater detail below. We refer to those persons who have securities registered in their own names on the books that we or any applicable trustee maintain for this purpose as the "holders" of those securities. These persons are the legal holders of the securities. We refer to those persons who, indirectly through others, own beneficial interests in securities that are not registered in their own names, as "indirect holders" of those securities. As we discuss below, indirect holders are not legal holders, and investors in securities issued in book-entry form or in street name will be indirect holders.

Book-Entry Holders

We may issue securities in book-entry form only, as we will specify in the applicable prospectus supplement. This means securities may be represented by one or more global securities registered in the name of a financial institution that holds them as depositary on behalf of other financial institutions that participate in the depositary's book-entry system. These participating institutions, which are referred to as participants, in turn, hold beneficial interests in the securities on behalf of themselves or their customers.

Only the person in whose name a security is registered is recognized as the holder of that security. Securities issued in global form will be registered in the name of the depositary or its participants. Consequently, for securities issued in global form, we will recognize only the depositary as the holder of the securities, and we will make all payments on the securities to the depositary. The depositary passes along the payments it receives to its participants, which in turn pass the payments along to their customers who are the beneficial owners. The depositary and its participants do so under agreements they have made with one another or with their customers; they are not obligated to do so under the terms of the securities.

As a result, investors in a book-entry security will not own securities directly. Instead, they will own beneficial interests in a global security, through a bank, broker or other financial institution that participates in the depositary's book-entry system or holds an interest through a participant. As long as the securities are issued in global form, investors will be indirect holders, and not holders, of the securities.

Street Name Holders

We may terminate a global security or issue securities in non-global form. In these cases, investors may choose to hold their securities in their own names or in "street name." Securities held by an investor in street name would be registered in the name of a bank, broker or other financial institution that the investor chooses, and the investor would hold only a beneficial interest in those securities through an account he or she maintains at that institution.

For securities held in street name, we will recognize only the intermediary banks, brokers and other financial institutions in whose names the securities are registered as the holders of those securities, and we will make all payments on those securities to them. These institutions pass along the payments they receive to their customers who are the

do so in their customer agreements or because they are legally required to do so. Investors who hold securities in street name will be indirect holders, not holders, of those securities.

Legal Holders

Our obligations, as well as the obligations of any applicable trustee and of any third parties employed by us or a trustee, run only to the legal holders of the securities. We do not have obligations to investors who hold beneficial interests in global securities, in street name or by any other indirect means. This will be the case whether an investor chooses to be an indirect holder of a security or has no choice because we are issuing the securities only in global form.

For example, once we make a payment or give a notice to the holder, we have no further responsibility for the payment or notice even if that holder is required, under agreements with depositary participants or customers or by law, to pass it along to the indirect holders but does not do so. Similarly, we may want to obtain the approval of the holders to amend an indenture, to relieve us of the consequences of a default or of our obligation to comply with a particular provision of the indenture or for other purposes. In such an event, we would seek approval only from the holders, and not the indirect holders, of the securities. Whether and how the holders contact the indirect holders is up to the holders.

Special Considerations For Indirect Holders

If you hold securities through a bank, broker or other financial institution, either in book-entry form or in street name, you should check with your own institution to find out:

- how it handles securities payments and notices;
- whether it imposes fees or charges;
- how it would handle a request for the holders' consent, if ever required;
- whether and how you can instruct it to send you securities registered in your own name so you can be a holder, if that is permitted in the future;
- how it would exercise rights under the securities if there were a default or other event triggering the need for holders to act to protect their interests; and
- if the securities are in book-entry form, how the depositary's rules and procedures will affect these matters.

Global Securities

A global security is a security which represents one or any other number of individual securities held by a depositary. Generally, all securities represented by the same global securities will have the same terms.

Each security issued in book-entry form will be represented by a global security that we deposit with and register in the name of a financial institution or its nominee that we select. The financial institution that we select for this purpose is called the depositary. Unless we specify otherwise in the applicable prospectus supplement, The Depository Trust Company, New York, New York, known as DTC, will be the depositary for all securities issued in book-entry form.

A global security may not be transferred to or registered in the name of anyone other than the depositary, its nominee or a successor depositary, unless special termination situations arise. We describe those situations below under "—Special Situations When a Global Security Will Be Terminated." As a result of these arrangements, the depositary, or its nominee, will be the sole registered owner and holder of all securities represented by a global security, and investors will be

permitted to own only beneficial interests in a global security. Beneficial interests must be held by means of an account with a broker, bank or other financial institution that in turn has an account with the depositary or with another institution that does. Thus, an investor whose security is represented by a global security will not be a holder of the security, but only an indirect holder of a beneficial interest in the global security.

If the prospectus supplement for a particular security indicates that the security will be issued in global form only, then the security will be represented by a global security at all times unless and until the global security is terminated. If termination occurs, we may issue the securities through another book-entry clearing system or decide that the securities may no longer be held through any book-entry clearing system.

Special Considerations For Global Securities

As an indirect holder, an investor's rights relating to a global security will be governed by the account rules of the investor's financial institution and of the depositary, as well as general laws relating to securities transfers. We do not recognize an indirect holder as a holder of securities and instead deal only with the depositary that holds the global security.

If securities are issued only in the form of a global security, an investor should be aware of the following:

- An investor cannot cause the securities to be registered in his or her name, and cannot obtain non-global certificates for his or her interest in the securities, except in the special situations we describe below;

- An investor will be an indirect holder and must look to his or her own bank or broker for payments on the securities and protection of his or her legal rights relating to the securities, as we describe above;
- An investor may not be able to sell interests in the securities to some insurance companies and to other institutions that are required by law to own their securities in non-book-entry form;
- An investor may not be able to pledge his or her interest in a global security in circumstances where certificates representing the securities must be delivered to the lender or other beneficiary of the pledge in order for the pledge to be effective;
- The depositary's policies, which may change from time to time, will govern payments, transfers, exchanges and other matters relating to an investor's interest in a global security. We and any applicable trustee have no responsibility for any aspect of the depositary's actions or for its records of ownership interests in a global security. We and the trustee also do not supervise the depositary in any way;
- The depositary may, and we understand that DTC will, require that those who purchase and sell interests in a global security within its book-entry system use immediately available funds, and your broker or bank may require you to do so as well; and
- Financial institutions that participate in the depositary's book-entry system, and through which an investor holds its interest in a global security, may also have their own policies affecting payments, notices and other matters relating to the securities. There may be more than one financial intermediary in the chain of ownership for an investor. We do not monitor and are not responsible for the actions of any of those intermediaries.

Special Situations When A Global Security Will Be Terminated

In a few special situations described below, the global security will terminate and interests in it will be exchanged for physical certificates representing those interests. After that exchange, the choice of whether to hold securities directly or in street name will be up to the investor. Investors must consult their own banks or brokers to find out how to have their interests in securities transferred to their own name, so that they will be direct holders. We have described the rights of holders and street name investors above.

The global security will terminate when the following special situations occur:

- if the depositary notifies us that it is unwilling, unable or no longer qualified to continue as depositary for that global security and we do not appoint another institution to act as depositary within 90 days;
- if we notify any applicable trustee that we wish to terminate that global security; or
- if an event of default has occurred with regard to securities represented by that global security and has not been cured or waived.

The prospectus supplement may also list additional situations for terminating a global security that would apply only to the particular series of securities covered by the prospectus supplement. When a global security terminates, the depositary, and not we or any applicable trustee, is responsible for deciding the names of the institutions that will be the initial direct holders.

PLAN OF DISTRIBUTION

We may sell the securities through underwriters or dealers, through agents, or directly to one or more purchasers. The prospectus supplement will describe the terms of the offering of the securities, including:

- the name or names of any underwriters, if any;
- the purchase price of the securities and the proceeds we will receive from the sale;
- any over-allotment options under which underwriters may purchase additional securities from us;
- any agency fees or underwriting discounts and other items constituting agents' or underwriters' compensation;
- any initial public offering price;
- any discounts or concessions allowed or reallowed or paid to dealers; and
- any securities exchange or market on which the securities may be listed.

Only underwriters named in the prospectus supplement are underwriters of the securities offered by the prospectus supplement.

If underwriters are used in the sale, they will acquire the securities for their own account and may resell them from time to time in one or more transactions at a fixed public

offering price or at varying prices determined at the time of sale. The obligations of the underwriters to purchase the securities will be subject to the conditions set forth in the applicable underwriting agreement. We may offer the securities to the public through underwriting syndicates represented by managing underwriters or by underwriters without a syndicate. Subject to certain conditions, the underwriters will be obligated to purchase all the securities of the series offered by the prospectus supplement. Any public offering price and any discounts or concessions allowed or reallocated or paid to dealers may change from time to time. We may use underwriters with whom we have a material relationship. We will describe in the prospectus supplement, naming the underwriter, the nature of any such relationship.

We may sell securities directly or through agents we designate from time to time. We will name any agent involved in the offering and sale of securities and we will describe any commissions we will pay the agent in the prospectus supplement.

Unless the prospectus supplement states otherwise, our agent will act on a best-efforts basis for the period of its appointment.

We may authorize agents or underwriters to solicit offers by certain types of institutional investors to purchase securities from us at the public offering price set forth in the prospectus supplement pursuant to delayed delivery contracts providing for payment and delivery on a specified date in the future. We will describe the conditions to these contracts and the commissions we must pay for solicitation of these contracts in the prospectus supplement.

We may provide agents and underwriters with indemnification against certain civil liabilities, including liabilities under the Securities Act, or contribution with respect to payments that the agents or underwriters may make with respect to such liabilities. Agents and underwriters may engage in transactions with, or perform services for, us in the ordinary course of business.

All securities we offer, other than common stock, will be new issues of securities with no established trading market. Any underwriters may make a market in these securities, but will not be obligated to do so and may discontinue any market making at any time without notice. We cannot guarantee the liquidity of the trading markets for any securities.

Any underwriter may engage in overallocation, stabilizing transactions, short covering transactions and penalty bids in accordance with Regulation M under the Exchange Act. Overallocation involves sales in excess of the offering size, which create a short position. Stabilizing transactions permit bids to purchase the underlying security so long as the stabilizing bids do not exceed a specified maximum. Short covering transactions involve purchases of the securities in the open market after the distribution is completed to cover short positions. Penalty bids permit the underwriters to reclaim a selling concession from a dealer when the securities originally sold by the dealer are purchased in a covering transaction to cover short positions. Those activities may cause the price of the securities to be higher than it would otherwise be. If commenced, the underwriters may discontinue any of the activities at any time.

Any underwriters who are qualified market makers on the Nasdaq National Market may engage in passive market making transactions in the securities on the Nasdaq National Market in accordance with Rule 103 of Regulation M, during the business day prior to the pricing of the offering, before the commencement of offers or sales of the securities. Passive market makers must comply with applicable volume and price limitations and must be identified as passive market makers. In general, a passive market maker must display its bid at a price not in excess of the highest independent bid for such security; if all independent bids are lowered below the passive market maker's bid, however, the passive market maker's bid must then be lowered when certain purchase limits are exceeded.

LEGAL MATTERS

The validity of the securities being offered hereby will be passed upon by Cooley Godward LLP, Palo Alto, California.

EXPERTS

Ernst & Young LLP, independent auditors, have audited our financial statements included in our annual report on Form 10-K, as amended, for the year ended December 31, 2000, as set forth in their report, which is incorporated by reference in this prospectus and elsewhere in the registration statement. Our financial statements are incorporated by reference 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 are a reporting company and file annual, quarterly and current reports, proxy statements and other information with the SEC. We have filed with the SEC a registration statement on Form S-3 under the Securities Act with respect to the shares of common stock and preferred stock, debt securities and/or warrants we are offering under this prospectus. This prospectus does not contain all of the information set forth in the registration statement and the exhibits to the registration statement. For further information with respect to us and the securities we are offering under this prospectus, we refer you to the registration statement and the exhibits and schedules filed as a part of the registration statement. You may read and copy the registration statement, as well as our reports, proxy statements and other information, at the SEC's public reference rooms at Room 1024, 450 Fifth Street, N.W., Washington, D.C. 20549, as well as at the SEC's regional offices at 500 West Madison Street, Suite 1400, Chicago, IL 60661 and at 233 Broadway, New York, NY 10279. You can request copies of these documents by writing to the SEC and paying a fee for the copying cost. Please call the SEC at 1-800-SEC-0330 for more information about the operation of the public reference rooms. Our SEC filings are also available at the SEC's web site at "<http://www.sec.gov>." In addition, you can read and copy our SEC filings at the office of the National Association of Securities Dealers, Inc. at 1735 K Street, N.W., Washington, D.C. 20006.

The SEC allows us to "incorporate by reference" information that we file with it, which means that we can disclose important information to you by referring you to those documents. The information incorporated by reference is an important part of this prospectus. Information in this prospectus supersedes information incorporated by reference that we filed with the SEC prior to the date of this prospectus, while information that we file later with the SEC will automatically update and supersede this information. We incorporate by reference into this registration statement and prospectus the documents listed below and any future filings we will make with the SEC under Sections 13(a), 13(c), 14 or 15(d) of the Exchange Act after the date of the initial registration statement but prior to effectiveness of the registration statement and after the date of this prospectus but prior to the termination of the offering of the securities covered by this prospectus.

The following documents filed with the SEC are incorporated by reference in this prospectus:

1. Our annual report on Form 10-K, as amended, for the year ended December 31, 2000;

2. Our quarterly reports on Form 10-Q for the quarters ended March 31, 2001, June 30, 2001 and September 30, 2001; and
3. The description of our common stock set forth in our registration statement on Form 8-A, filed with the SEC on October 3, 2000.

We will furnish without charge to you, on written or oral request, a copy of any or all of the documents incorporated by reference, including exhibits to these documents. You should direct any requests for documents to Rigel Pharmaceuticals, Inc., Attention: Corporate Secretary, 240 Grand Avenue, South San Francisco, CA 94080, telephone: (650) 624-1100.

No dealer, salesperson or other person is authorized to give any information or to represent anything not contained in this prospectus supplement. You must not rely on any unauthorized information or representations. This prospectus supplement is an offer to sell only the common stock offered hereby, but only under circumstances and in jurisdictions where it is lawful to do so. The information contained in this prospectus supplement is current only as of its date.

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RIGEL PHARMACEUTICALS, INC.

**Up to 7,000,000 Shares
Common Stock**

PROSPECTUS SUPPLEMENT

ROBERTSON STEPHENS

As Placement Agent

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