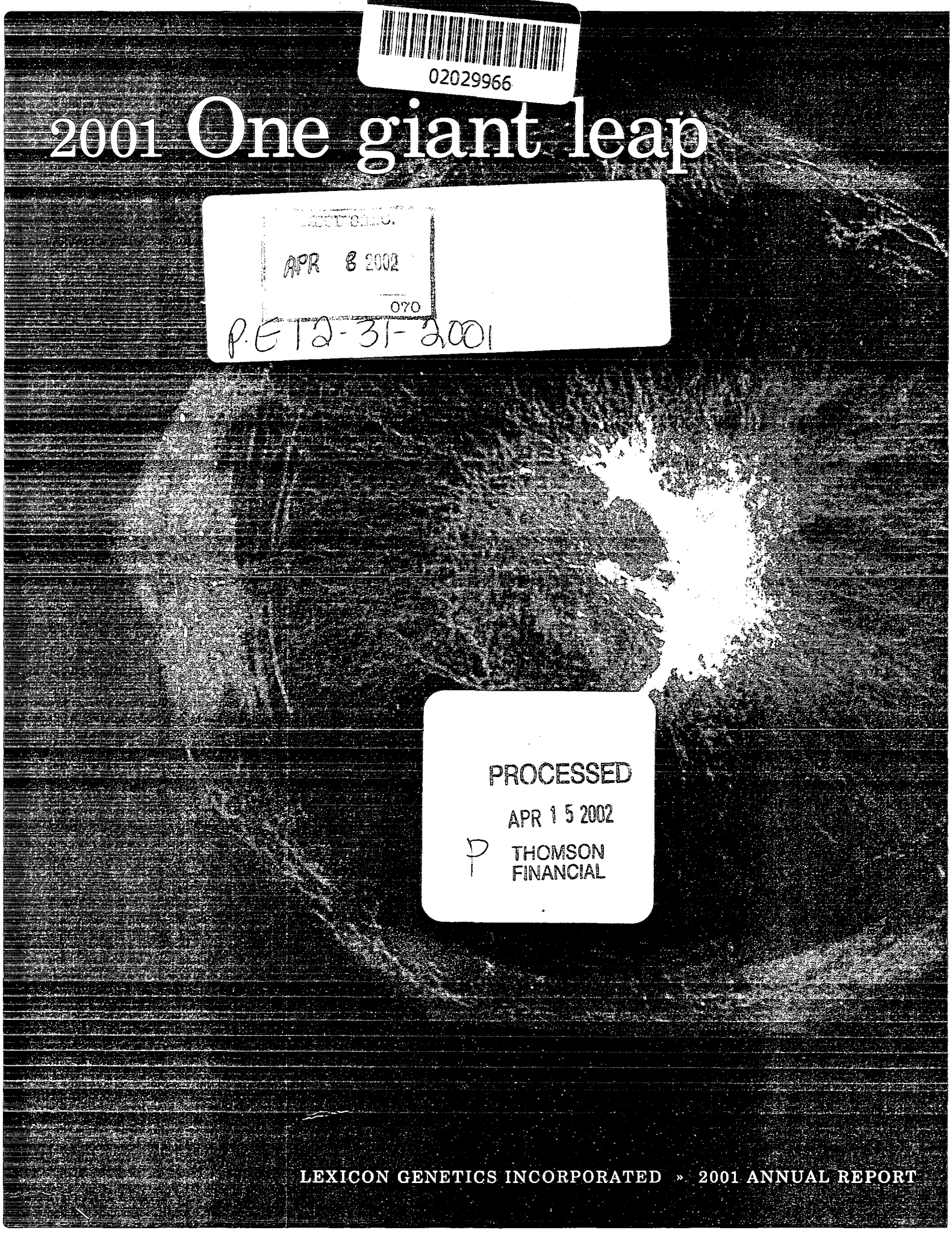
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LEXICON GENETICS INCORPORATED » 2001 ANNUAL REPORT

(in thousands, except per share data)	Year ended December 31, 2000	1999
Revenues	$ 14,459	$ 4,738
Research and development expenses[1]	31,647	14,646
Loss from operations[2]	35,477	12,821
Interest and other income, net	9,483	346
Net loss	26,128	13,011
Pro forma net loss, excluding stock-based compensation[3]	5,153	12,475
Net loss per share	0.63	0.53
Pro forma net loss per share, excluding stock-based compensation[3]	0.11	0.33
Weighted average shares outstanding	41,618	24,530
Pro forma weighted average shares outstanding[3]	45,028	37,264
Cash, cash equivalents and investments	202,680	9,156
Stockholders' equity	207,628	(21,937)
Capital expenditures	7,709	4,100

(1) Includes noncash stock-based compensation of $5,539 and $10,883 in 2001 and 2000, respectively.
(2) Includes noncash stock-based compensation of $10,770 and $20,841 in 2001 and 2000, respectively.
(3) Pro forma for the conversion of convertible securities.

Lexicon Genetics Incorporated is a biopharmaceutical company focused on the discovery of breakthrough treatments for human disease. Lexicon is using gene knockout technology to systematically discover the physiological functions and medical uses of genes. These gene function discoveries fuel drug discovery programs in cardiovascular disease, diabetes, obesity, immune disorders, neurological disease and cancer. Lexicon has established drug discovery alliances and functional genomics collaborations with leading pharmaceutical and biotechnology companies, research institutes and academic institutions throughout the world to commercialize its technology and further develop its discoveries. Additional information about the Company is available through Lexicon's corporate website, www.lexicon-genetics.com.

Lexicon's common stock is traded on the Nasdaq National Market under the ticker symbol LEXG.

The cancer cell on the front cover of our 2001 annual report to shareholders, along with other images dispersed throughout our report, represent our drug discovery emphasis on major disease categories. Last year's annual report to shareholders began where the sequencing of the human genome left off – with a look at how Lexicon's revolutionary ability to read the "code of life" is having an impact on the development of new therapies for human disease. Our year 2001 annual report brings us One Giant Leap further as we demonstrate how the success of our drug target discovery pipeline is substantiating the importance of *in vivo* discovery biology and fueling Lexicon's mission to discover breakthrough treatments for human disease.

This annual report to shareholders contains forward-looking statements. These statements involve risks, uncertainties and other important factors that may cause the actual results of Lexicon to be materially different from any future results expressed or implied by such forward-looking statements. Information identifying such risks, uncertainties and other important factors is contained in the sections entitled "Factors Affecting Forward-Looking Statements" and "Business – Risk Factors" in our annual report on Form 10-K for the year ended December 31, 2001, as filed with the Securities and Exchange Commission and included as part of this annual report to shareholders.

At Lexicon Genetics, we believe that superior targets plus superior chemistry is the formula for success in drug discovery.

In 2001, we combined our industrialized *in vivo* biology with a sophisticated medicinal chemistry platform and began to advance novel targets into our drug discovery pipeline. With superior technology, the right people and capital to continue the vertical integration of our business, we believe we are extremely well-positioned to discover breakthrough treatments for human disease.

In this year's Annual Report to Shareholders, we will demonstrate the rapid progress of our drug discovery strategy, both internally and with key collaborators, and provide compelling examples of the novel drug targets that are being advanced within our drug discovery pipeline. As we ramp up our efforts in 2002 and beyond, we believe Lexicon will achieve a higher rate of drug discovery success and win the race to develop drugs from the genome.

To Our Shareholders,

Lexicon's performance in 2001 resulted in a number of outstanding achievements that demonstrate the progress we are making as a leader in drug discovery:

- We discovered several new drug targets with breakthrough potential in the development of therapies for heart disease, diabetes, obesity, inflammation and depression;
- We formed a highly-effective drug discovery engine that has been further accelerated by our acquisition of a premier chemistry company;
- We built a workforce of more than 500 employees that has been empowered with industrialized biology and medicinal chemistry;
- We continued to build our drug discovery infrastructure on a solid business foundation, achieving revenues of $30.6 million in 2001 with $167 million in cash and securities at year-end.

ROOM TO GROW It's been an amazing year at Lexicon, and we are in an excellent position to build further on that success. Our corporate headquarters in The Woodlands, Texas is now housed in our new Genome Pharmaceutical Center, which sits appropriately at the intersection of Research Forest Drive and Technology Forest Place. Our discovery center has been specifically designed to accelerate the large-scale gene function discovery fueled by our Genome5000 program, thereby increasing the rate at which Lexicon discovers which genes in the human genome have high value for medicine.

A FIRST-MOVER IN BREAKTHROUGH BIOLOGY AND CHEMISTRY The integration of our industrialized *in vivo* biology program with our powerful chemistry platform at Lexicon Pharmaceuticals has given us the complete research and drug discovery expertise to move from gene to identification of lead compounds as candidate therapeutics. The strategic location of our chemistry division in the New Jersey pharmaceutical corridor provides access to the best drug development people in the world in order to continue building our internal development programs.

A CULTURE ATTRACTIVE TO TOP SCIENTISTS, CHEMISTS AND PROFESSIONALS We have cultivated a corporate culture that is attractive to accomplished scientists and other outstanding professionals. In 2001, we received many awards that reflect our entrepreneurial spirit, including the regional Ernst & Young Entrepreneur of the Year Award in Life Sciences and the Deloitte & Touche Fast 50 and Fast 500 awards for revenue growth. As Lexicon has grown, we have fostered a culture where everyone is part of our broad mission to discover breakthrough treatments for human disease. When people share a vision of the future of medicine, and when they are empowered with the superior technology to actually achieve it, they create a culture of excitement, creativity and innovation that is self-sustaining and highly productive.

"As we ramp up our efforts in 2002 and beyond, we believe Lexicon is extremely well-positioned to achieve a higher rate of drug discovery success and win the race to develop drugs from the genome." – Arthur T. Sands, M.D., Ph.D., President and Chief Executive Officer

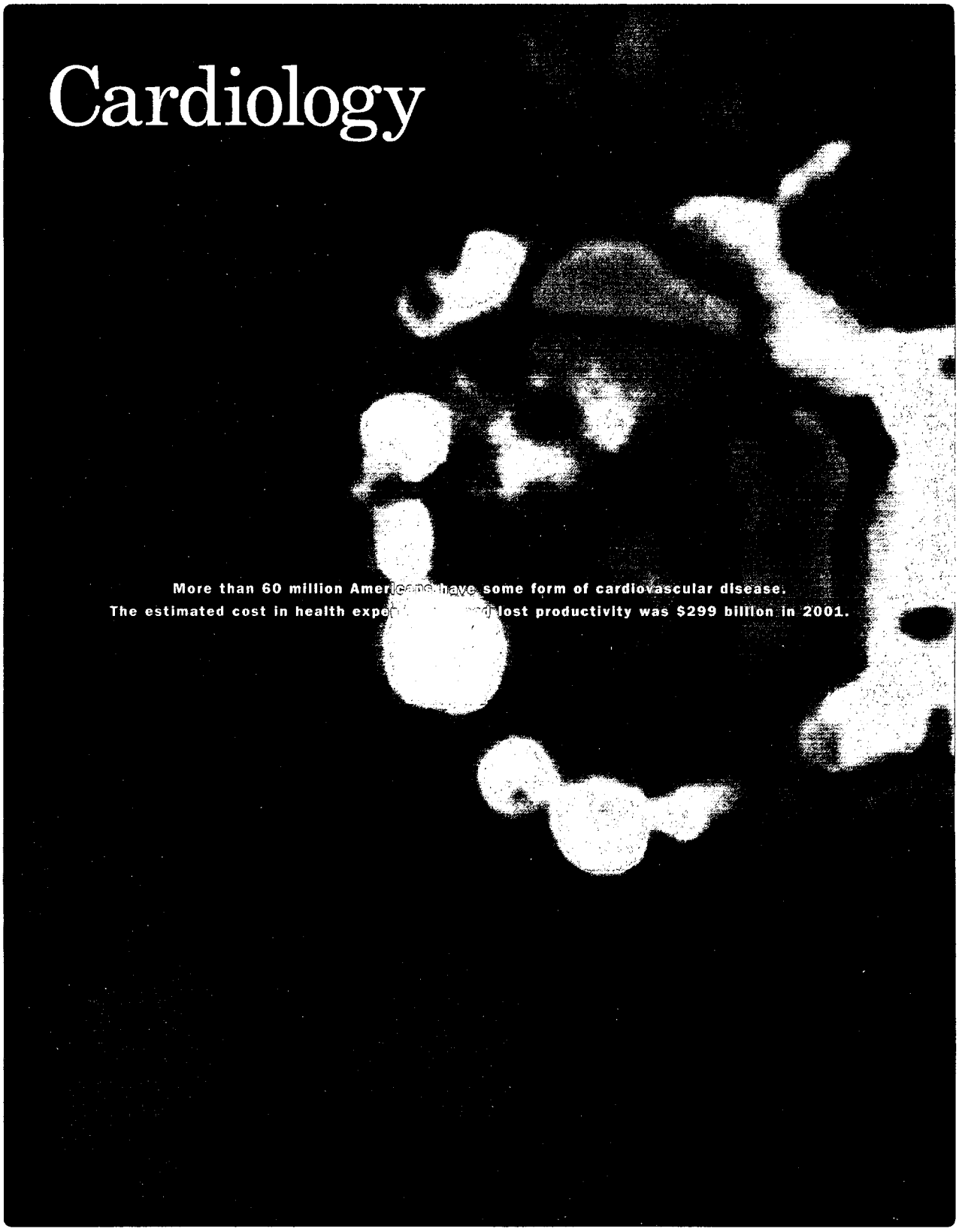
LOOKING AHEAD We believe the highest rewards for Lexicon will come from discovering drugs based on superior targets from the human genome. Throughout our industry, many resources are being wasted and opportunities lost because scientists are working very hard on the wrong projects. At Lexicon, by contrast, we are choosing the best new targets based on therapeutic profiles in mammalian physiology. We then develop candidate drugs to create the beneficial therapeutic effects we witness in our biological discoveries. We believe our approach will achieve a higher rate of success in drug discovery and point our industry toward better choices for its research and development investments.

It's been a great year at Lexicon, and our successes have put us in an excellent position to discover breakthrough treatments for human disease. We have remained true to our employees, our investors, our fundamental scientific principles, our business plan and our high standards of ethical, professional management. We look forward to even greater success in the year 2002 and to sharing our progress with you.

Arthur T. Sands

ARTHUR T. SANDS, M.D., PH.D.
PRESIDENT AND CHIEF EXECUTIVE OFFICER

Cardiology



More than 60 million Americans have some form of cardiovascular disease.
The estimated cost in health expe[illegible] lost productivity was $299 billion in 2001.

1. LEXICON'S DRUG DISCOVERY PIPELINE

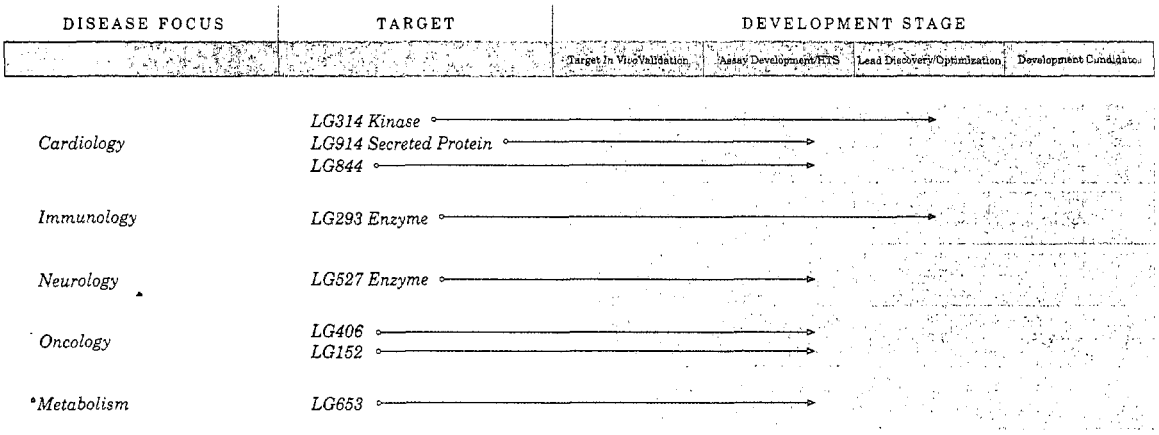
Compelling targets demonstrate the power of in vivo drug target validation. In 2001 we advanced eight compelling, *in vivo*-validated targets from our drug target discovery pipeline into Level 3 therapeutic discovery programs, and we are continuing to work on many more targets in each of our therapeutic disease categories. Each Level 3 target has passed our stringent requirements for a superior target. The four targets described here substantiate the value of *in vivo* discovery biology and validate our proprietary technologies and gene function expertise.

CARDIOLOGY AND METABOLISM TARGETS Our LG314 drug target is an enzyme which, when blocked, reduces cholesterol, triglycerides and body fat and results in a more efficient use of insulin. It meets our criteria for a superior target because it demonstrates a favorable therapeutic profile *in vivo*, is amenable to chemical intervention and addresses large markets for the treatment of metabolic syndrome, atherosclerosis, diabetes and obesity. Throughout an exhaustive analysis, we found no observable negative effects from the genetic inhibition of this target. We are already screening our chemical compound libraries to discover drug candidates that block this target and have filed patent applications on the medical use of our important discovery.

Although the LG314 gene is expressed in many organs of the body, it has a very specific function. This is an important example of the fact that the expression pattern of a gene frequently provides little or no real information regarding its physiologic function. Our *in vivo* analysis of LG314 gene knockouts revealed a 26 percent decrease in serum cholesterol and a 49 percent decrease in triglycerides. None of the therapies available today reduce both cholesterol and triglycerides. Blocking LG314 also resulted in normal blood glucose levels with a significant decrease in steady-state insulin – a favorable therapeutic profile for the development of treatments for Type II diabetes. Furthermore, body fat in the knockouts was significantly reduced given normal food intake and lean body mass. This is an important finding because our goal is to safely improve metabolism without altering appetite.

A second target in our cardiology program, LG914, also meets our criteria for a superior target because it achieves a favorable therapeutic profile *in vivo*, is amenable to medical intervention and addresses atherosclerosis, the progressive blockage of arteries that leads to most heart attacks. Lexicon researchers have studied arteries of knockout mice lacking LG914 using a sophisticated microsurgical technique designed to mimic the process of coronary artery disease

fig 1.1 LEXICON'S *IN VIVO* VALIDATED DISCOVERY PIPELINE



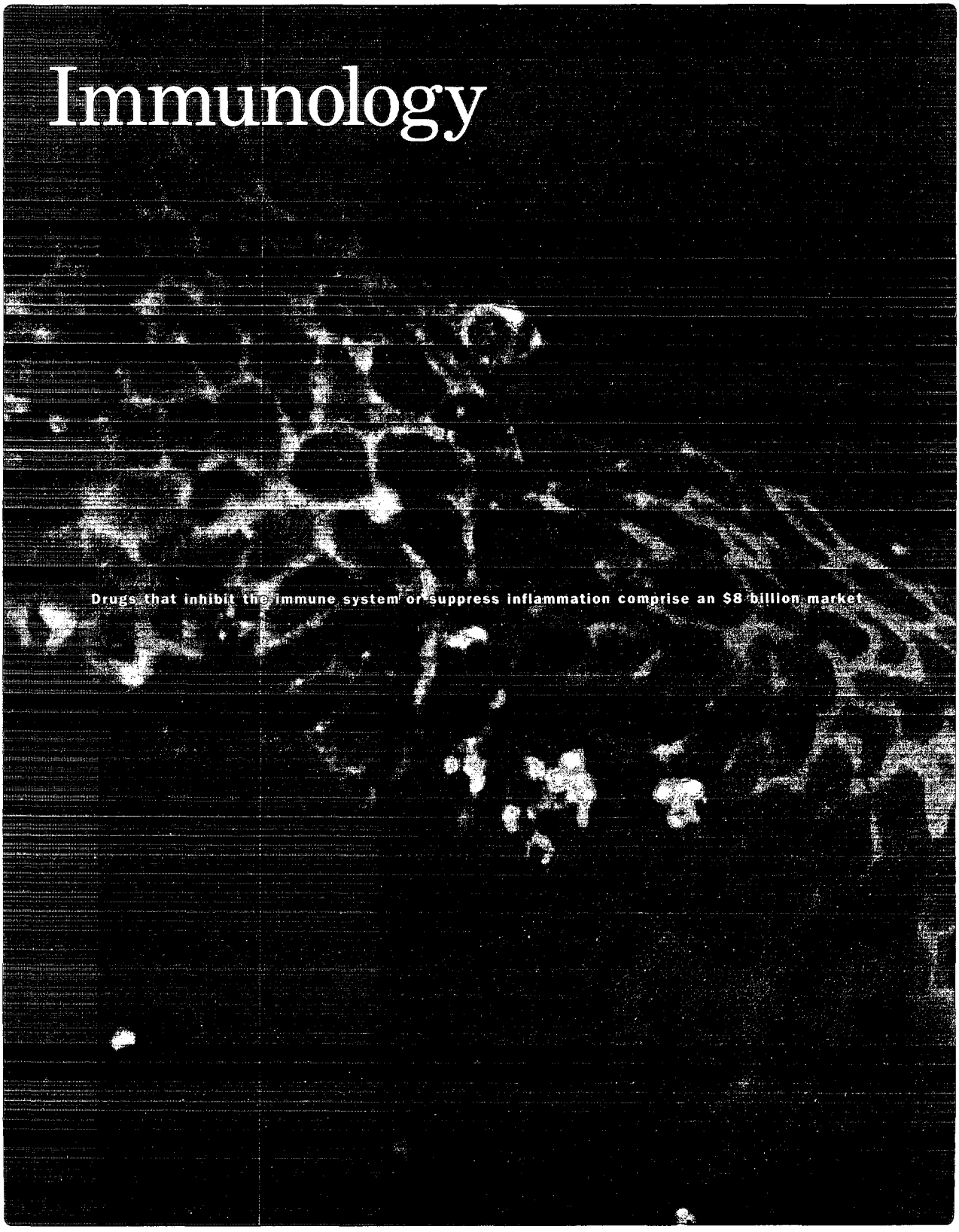
that occurs in humans. In this study, those mice lacking LG914 demonstrated the remarkable finding of clear arteries with minimal change. Notably, inhibiting LG914 was not associated with any observable, undesirable side effects. We are advancing LG914 into our alliance with Abgenix, Inc. to find drug candidates and have filed patent applications on its utility.

IMMUNOLOGY TARGET Our LG293 drug target is an enzyme which, when blocked, reduces inflammation. It meets our criteria for a superior target because it demonstrates a favorable therapeutic profile *in vivo*, is amenable to chemical intervention and addresses large markets for the treatment of inflammatory diseases, such as rheumatoid arthritis, and potentially even organ transplant rejection. LG293 was a known enzyme with no known function in the immune system.

Our *in vivo* analysis of LG293 revealed that the gene knockouts demonstrated dramatically reduced inflammation when challenged. Inhibition of LG293 blocked the immune cells from being deployed, resulting in decreased inflammation.

While these were very profound findings, even more interesting, perhaps, was the success of organ transplantation in the LG293 knockout. As a point of context, transplanted donor skin tissue is normally rejected within 10 days. With LG293 blocked, we achieved acceptance of a skin graft from an unrelated donor mouse. Again, this novel enzyme's role in the immune system would not have been uncovered without our comprehensive *in vivo* evaluation. We are advancing LG293 into our chemistry programs to find drug candidates and have filed patent applications on the target's medical uses.

Immunology



Drugs that inhibit the immune system or suppress inflammation comprise an $8 billion market.

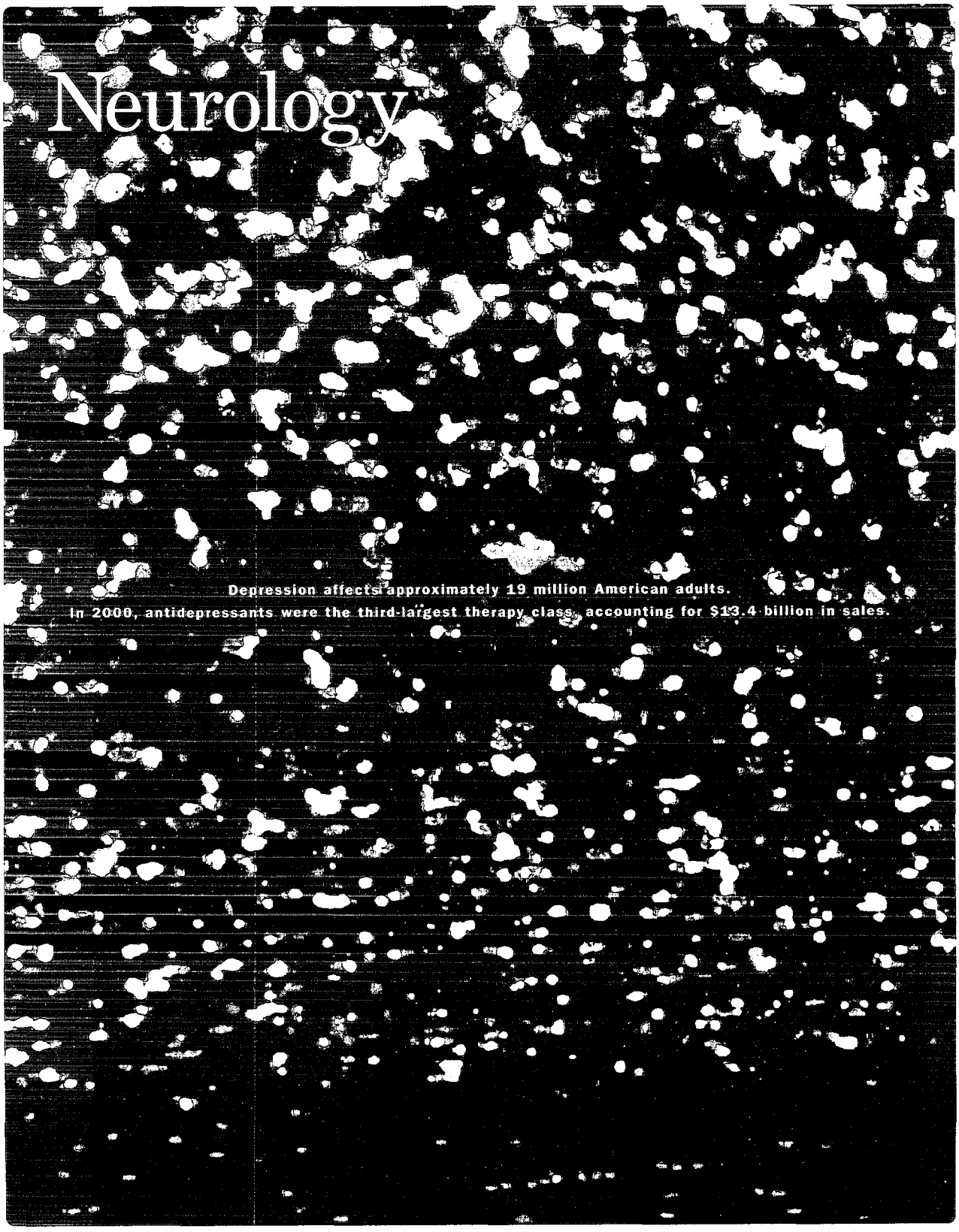
WE ARE VERY EXCITED ABOUT THE ADVANCEMENT OF THE REMARKABLE TARGETS IN OUR DRUG DISCOVERY PIPELINE. WE EXPECT TO DISCOVER ADDITIONAL SUPERIOR TARGETS IN 2002 WHILE SIMULTANEOUSLY MOVING FORWARD TO IDENTIFY DRUG CANDIDATES FOR OUR INITIAL TARGETS.

NEUROLOGY TARGET *Our LG527 drug target is a novel enzyme expressed in the central nervous system which, when* blocked, increases activity and mobility levels. It meets our criteria for a superior target because it demonstrates a favorable therapeutic profile *in vivo*, is amenable to chemical intervention and addresses large markets for the treatment of depression and other behavioral disorders. LG527 is a proprietary gene discovered from our OmniBank library, and we have filed patent applications on the target and its medical uses.

What makes LG527 a compelling target for the treatment of depression? Our *in vivo* analysis of the biology of the target provides the critical insight: inhibition of this target dramatically increased activity levels. The ability of a drug that blocked the LG527 enzyme to up-regulate activity levels, as our *in vivo* analysis suggests, could have important applications in treating depression, the typical symptoms of which include decreased energy, activity and mobility. We are advancing LG527 into our chemistry programs to find drug candidates.

In summary, we are very excited about the advancement of the remarkable targets in our drug discovery pipeline. We expect to discover additional superior targets in 2002 while simultaneously moving forward to identify drug candidates for our initial targets.

Neurology

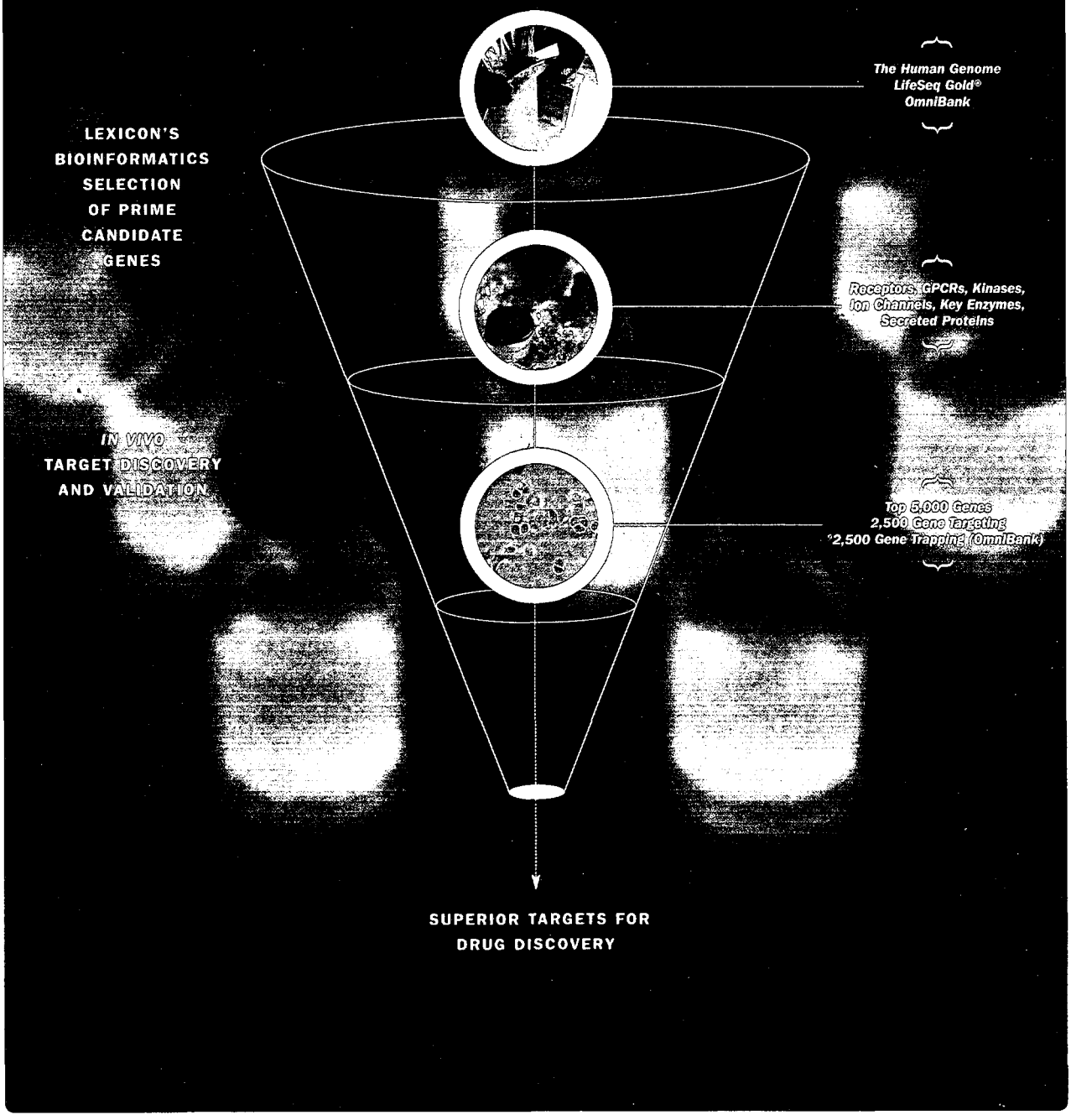


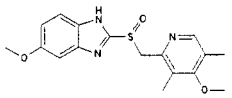
Depression affects approximately 19 million American adults.
In 2000, antidepressants were the third-largest therapy class, accounting for $13.4 billion in sales.

GENOME5000 PROJECT PIPELINE

Lexicon's approach to drug discovery is focused on identifying the best targets *in vivo* in the earliest stages of the discovery process. In 2001, we ramped up our industrialized approach to target validation by initiating our Genome5000 program, in which we are determining the *in vivo* biology of 5,000 key genes from the mammalian genome over the next five years.

fig 11.1





II. AN INDUSTRIALIZED APPROACH TO DRUG DISCOVERY

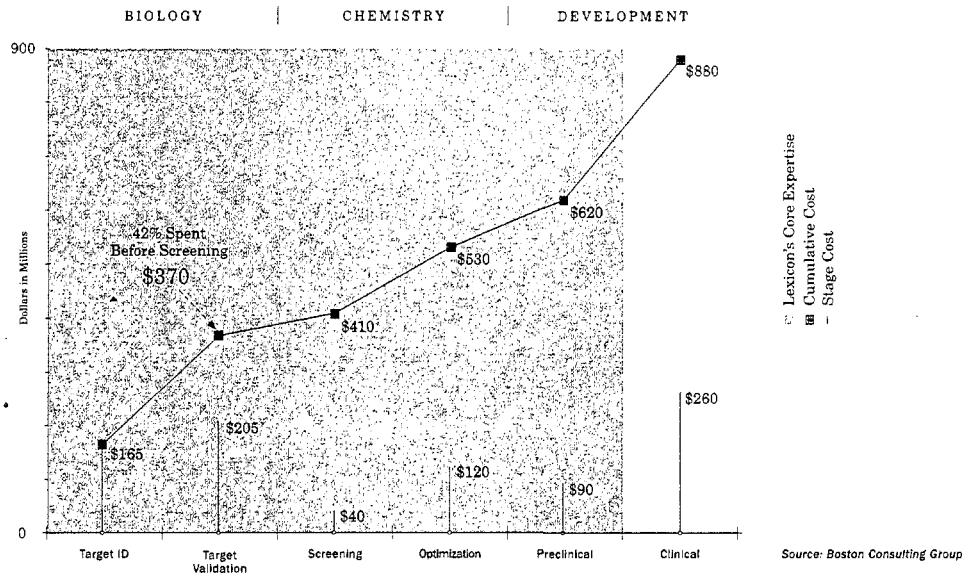
The race to develop therapeutics from the genome. Lexicon's industrialization of biology provides a competitive advantage in the race to develop drugs from novel targets out of the genome. We believe that the key bottleneck in the quest to develop new drugs is the discovery of the physiological function of new targets. Indeed, target discovery biology accounts for roughly $370 million, or 42 percent, of the total $880 million average research and development cost to first approval for a typical new drug if genomics technologies are not deployed. It is significant that the dollars allocated to target discovery are even greater than those allocated to clinical trials. Even more impressive is the fact that all spending subsequent to target discovery is dependent on the investment in biology. So the message is clear: choosing the right target in the initial phase of biological discovery is critical to success for all subsequent steps in the development of a new drug.

(see fig. II.II, page 12, courtesy of the Boston Consulting Group)

DISCOVERY STARTS WITH THE BEST TARGETS *IN VIVO* Lexicon's approach to drug discovery is focused on identifying the best targets *in vivo* in the earliest stages of the discovery process. In 2001, we ramped up our industrialized approach to target validation by initiating the Genome5000 project. Through this effort, we are determining the *in vivo* biology of 5,000 key genes from the mammalian genome over the next five years. Our first step in this process *(see fig. II.I, page 10)* is to mine through 60,000 genes from the human genome, including our own proprietary gene sequence databases; our OmniBank® library, which contains more than 200,000 frozen embryonic stem (ES) cell clones identified by DNA sequence in a relational database; Incyte Genomics' LifeSeq® Gold Database; and the public human genome project. The starting point for the Genome5000 project is our selection of the "druggable" molecules from the genome – such as G-protein-coupled receptors (GPCRs), kinases, ion channels, other key enzymes and secreted proteins.

Our goal for the Genome5000 project is to discover superior targets – the key genetic switches from the genome with the highest value for drug discovery. Our criteria for a superior target is that it must: 1] achieve a favorable therapeutic profile in mammals *in vivo*; 2] demonstrate a novel mechanism of action that is druggable, i.e., that can be modulated by a small molecule, antibody or protein drug to achieve a desired therapeutic effect; and 3] address large medical markets. To identify the best targets for drug discovery over five years, we are knocking out these genes *in vivo* using our proprietary gene targeting and gene trapping technologies. These technologies enable us to rapidly generate knockout mice by altering the DNA of genes in ES cells, which can then be cloned and used to generate mice with the inactivated gene. To determine if a gene meets our criteria for a superior target, we subject it to a three-step evaluation process. Level 1 in the process is a comprehensive, *in vivo* physiological analysis that includes a broad array of tests, measuring characteristics such as blood pressure, body fat, glucose tolerance, insulin levels, bone mineral density, immune response and behavior. Level 2 is a more detailed evaluation where each gene must pass a comprehensive examination in the areas of cardiology, immunology, neurology, oncology and metabolism. We have recruited a tremendous

fig II.II BIOLOGY – INDUSTRY BOTTLENECK



group of pharmaceutical directors with significant industry and academic experience in these core disease categories because their studies are critical to adequately determining the medical use of our candidate targets. Level 3 of the evaluation involves cloning and expression of the human protein, biochemistry, assay development and, for small molecule targets, the commencement of high-throughput screening. The goal of this three-step process is to assure that we are working on only the best targets, thereby avoiding the costly missteps and high failure rates that are prevalent in the pharmaceutical industry.

REMARKABLE SYNERGIES IN BIOLOGY AND CHEMISTRY The integration of Lexicon Pharmaceuticals (formed as a result of our July 2001 acquisition of Coelacanth Corporation) has moved swiftly as a result of our very similar cultures and because there are remarkable synergies between our approaches to biology and chemistry. The chemical libraries at Lexicon Pharmaceuticals are based on optically pure compound libraries that are targeted against the same diverse targets we approach with our gene knockout libraries. We have harvested over 75 years of pharmaceutical industry experience by analyzing the chemical structures of drugs that have proven safe and effective against human disease. Based on these analyses, we design chemical building blocks that we call pharmacaphoric modules. We use these building blocks to create new compound libraries which we can then reassemble to rapidly optimize compounds that demonstrate potential as candidates for drug development.

SYNERGIES IN BIOLOGY AND CHEMISTRY

FROM LEFT — Brian P. Zambrowicz, Ph.D., Senior Vice President of Genomics, Alan J. Main, Ph.D., Senior Vice President of Lexicon Pharmaceuticals, and James R. Piggott, Ph.D., Senior Vice President of Pharmaceutical Biology, discuss the progress of targets that are in assay development and high-throughput screening and the work that is underway to identify lead compounds for some of Lexicon's targets in 2002.

"KNOCKOUTS ARE NOW STANDARD, IF NOT OBLIGATORY, APPROACHES TO STUDY GENE FUNCTION, VALIDATE MODELS OF HUMAN DISEASE AND TEST NEW THERAPEUTIC STRATEGIES." *Nature Medicine, October 21, 2001*

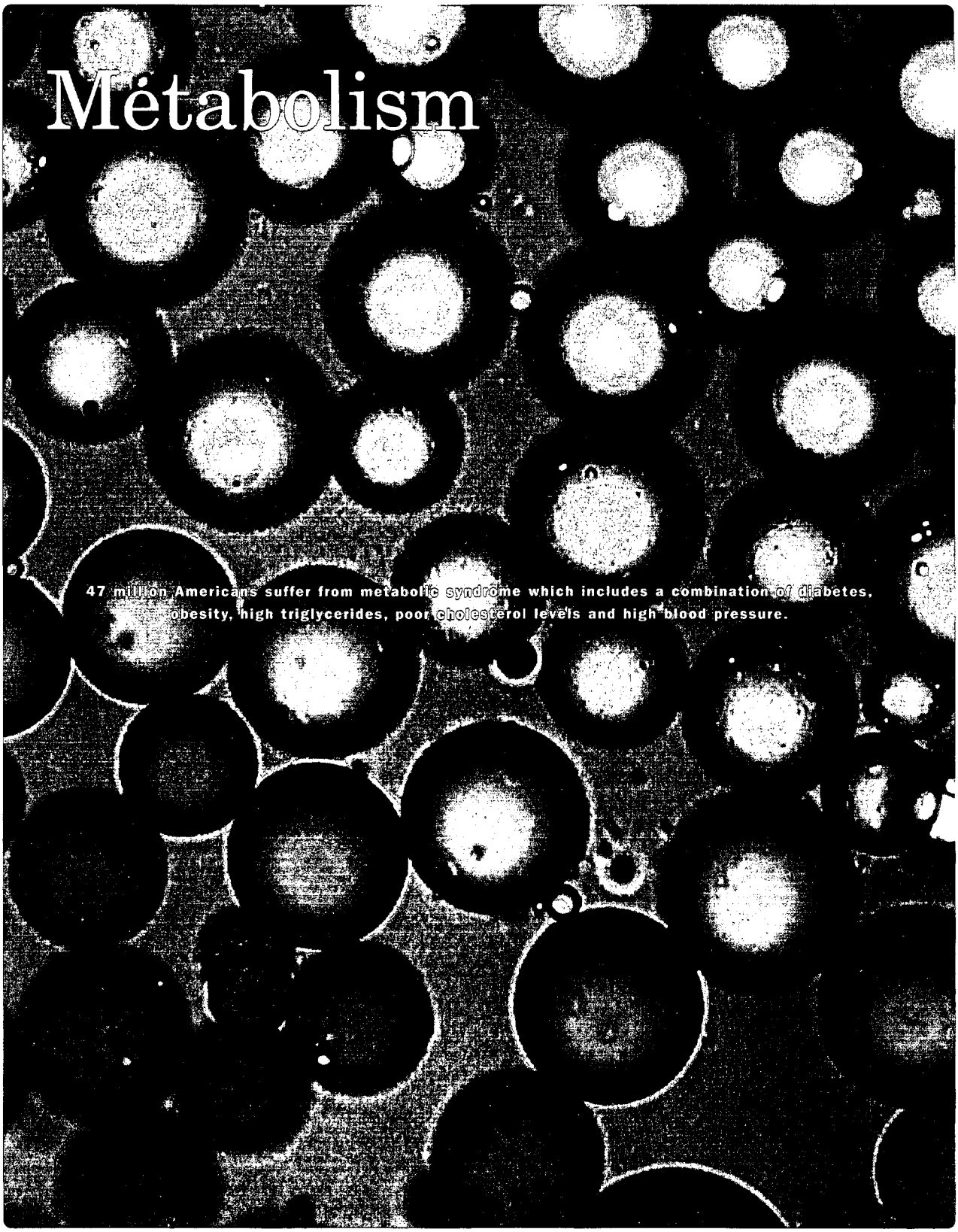
Once we have developed drug candidates for a target, we return to our comprehensive series of medical analyses to determine the efficacy and safety profile of each compound. We believe that our ability to comprehensively evaluate the *in vivo* profile of compounds using our technology platform will provide us with unprecedented advantages in selecting the most promising candidates for drug development. In this way, we focus our drug development efforts on compounds without side effects that less-sensitive preclinical analyses might miss. Ultimately, we expect that this approach, and the technology platform that makes it possible, will allow us to achieve a substantially greater rate of success in developing safe and effective drugs and will significantly reduce the costly clinical failures which are so common using conventional drug discovery strategies.

AWARD-WINNING BIOLOGY AND CHEMISTRY While we have long recognized the value of combining our industrialized *in vivo* biology with superior chemistry, we are very proud of the world-class validations that have been bestowed in the past year upon the initial technological breakthroughs on which we have built our approach to drug discovery. In 2001, Drs. Mario Capecchi, Martin Evans and Oliver Smithies received the Albert Lasker Award for Basic Medical Research in honor of their pioneering work in the development of knockout mouse technology. The breakthrough discoveries made by these three distinguished scientists established a foundation for the gene targeting technology that Lexicon uses to validate drug targets *in vivo*. Indeed, it has been noted that, "Knockouts are now standard, if not obligatory, approaches to study gene function, validate models of human disease and test new therapeutic strategies." *(Nature Medicine, October 21, 2001)* In addition, we were very pleased that Dr. K. Barry Sharpless, a founder of Coelacanth Corporation, was named the winner of the 2001 Nobel Prize in Chemistry. Dr. Sharpless received the award for his asymmetric catalysis methods and his work established much of the foundation for our chemistry at Lexicon Pharmaceuticals. We believe that our combination of these truly award-winning technologies gives us a tremendous advantage in the race to develop drugs from novel targets out of the genome.

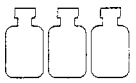
THE LEXICON ADVANTAGE

We believe the combination of our award-winning biology and chemistry gives us a tremendous advantage in the race to develop drugs from novel targets out of the genome. The chemical libraries developed at Lexicon Pharmaceuticals are focused on the same diverse targets we approach with our gene knockout libraries – creating remarkable synergies between our technologies in biology and chemistry.

Metabolism



47 million Americans suffer from metabolic syndrome which includes a combination of diabetes, obesity, high triglycerides, poor cholesterol levels and high blood pressure.



III. KEY COLLABORATIONS AND STRATEGIC RESOURCES

Collaborative strategies for therapeutic discovery. In order to advance our discovery portfolio toward optimal commercial opportunities, we are pursuing a combination of internal efforts and external drug discovery alliances. We have set into motion a three-pronged approach for therapeutic discovery that complements Lexicon Pharmaceuticals' internal focus on small-molecule drugs with collaborative strategies for therapeutic proteins and therapeutic antibodies.

THERAPEUTIC PROTEIN STRATEGY We established a major drug discovery alliance in June 2001 with Incyte Genomics, Inc. to develop a pipeline of therapeutic protein products for development and commercialization. We are working with Incyte to select up to 250 secreted proteins for functional characterization and are applying our large-scale, *in vivo* validation technologies to discover the therapeutic utility of the selected proteins. We believe this landmark alliance will leverage the respective technology and intellectual property assets of both Lexicon and Incyte in order to accelerate the development of therapeutic protein drug products. We estimate that this alliance could ultimately yield several commercialized products for each company.

THERAPEUTIC ANTIBODY STRATEGY We established a drug discovery alliance in July 2000 with Abgenix, Inc. for the development and commercialization of therapeutic antibodies. We expanded this alliance in January 2002, gaining access to Abgenix's XenoMouse® for our own drug discovery programs, with rights to commercialize the resulting therapeutic antibodies. Among the targets for which antibodies are being developed in the alliance is our LG914 atherosclerosis target.

LEXVISION COLLABORATIONS A portion of the output from our Genome5000 program is captured in our LexVision database, which we commercialize on a non-exclusive basis for small molecule drug discovery. We have LexVision agreements with Bristol-Myers Squibb Company and Incyte Genomics that include access to phenotypic information for a total of 1,250 *in vivo*-validated targets over five years and allow these subscribers to obtain non-exclusive licenses to a select number of those targets.

FUNCTIONAL GENOMICS COLLABORATIONS We have established functional genomics collaborations with many leading pharmaceutical and biotechnology companies. In 2001, we signed new agreements with Immunex Corporation and Abgenix, Inc., adding to our base of functional genomics collaborations with companies such as American Home Products, Boehringer Ingelheim Pharmaceuticals, Inc., Johnson & Johnson, Millennium Pharmaceuticals, Inc., N.V. Organon, Pharmacia Corp. and Tularik, Inc.

COLLABORATIVE STRATEGY

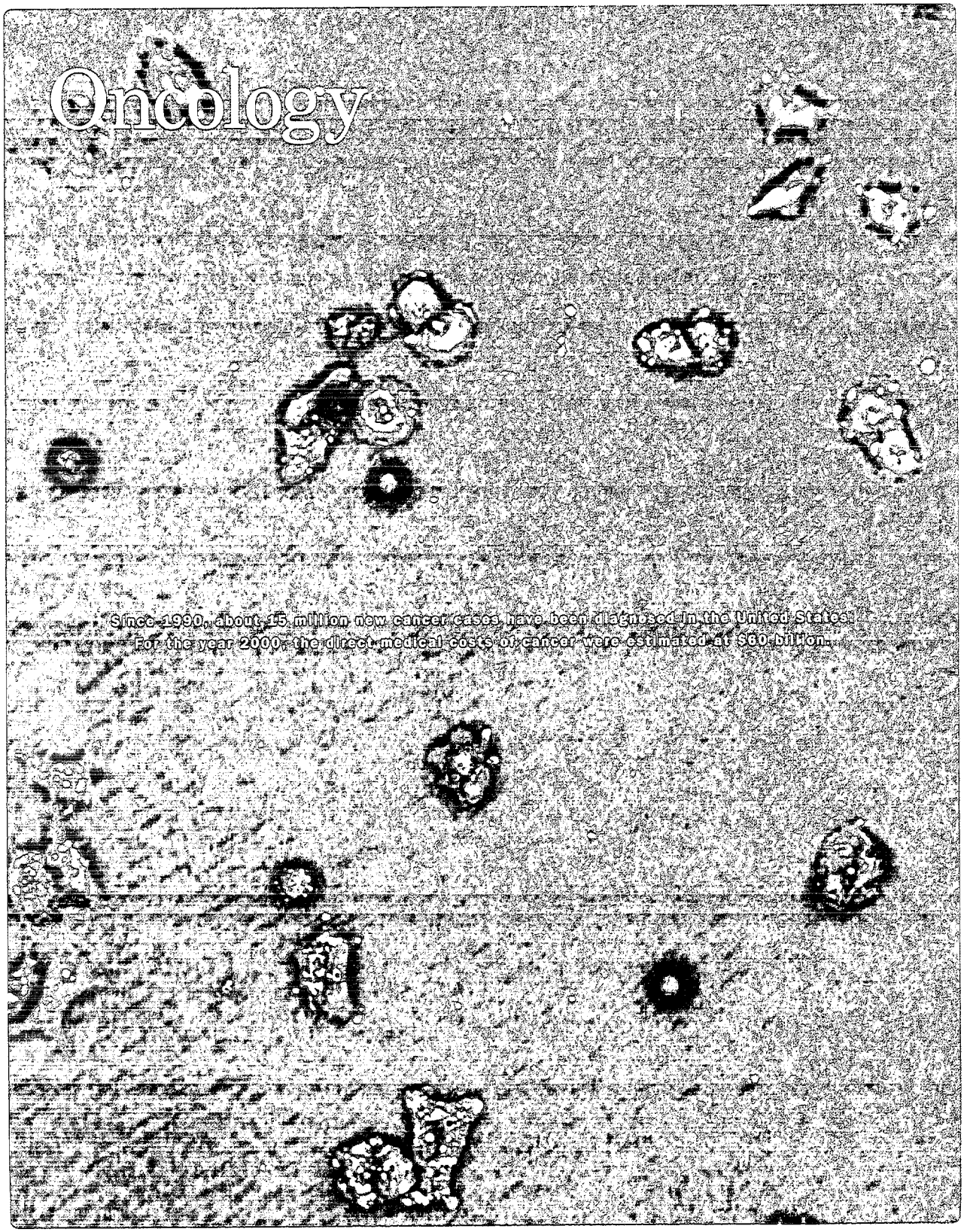
FROM LEFT Jeffrey L. Wade, J.D., Executive Vice President and General Counsel, Randall B. Riggs, Senior Vice President, Business Development and Julia P. Gregory, Executive Vice President and CFO, discuss Lexicon's business collaboration strategy.

E-BIOLOGY™ COLLABORATIONS We have formed collaborations with many of the world's most prestigious academicians and research institutions. Our OmniBank library, which we estimate now contains more than half of the genes in the mouse genome for use in human drug discovery, provides an unparalleled resource for the discovery of gene function. More than 4,000 academic researchers have access to OmniBank for gene function research, and we have more than 100 e-Biology discovery collaborations in place. Under the terms of our e-Biology agreements, we have the ability to in-license our collaborators' discoveries or share in the proceeds they receive from licensing those discoveries to others. We view these collaborations as an important extension of our discovery biology capabilities.

INTELLECTUAL PROPERTY PORTFOLIO PROTECTS OUR INVESTMENTS We protect our investments and drug discovery efforts with patents and patent applications that cover not only gene sequences but also describe their pharmaceutical and medical uses. We also hold or have exclusive license rights in 11 U.S. issued patents covering our gene targeting and gene trapping technologies, the engines of our drug discovery programs. We have granted non-exclusive rights to our gene targeting technologies to many of the world's top pharmaceutical and biotechnology companies for use in their internal research programs. These sublicenses allow for limited internal target validation and provide significant commercial substantiation of our patent portfolio.

We successfully settled our patent infringement litigation against Deltagen in 2001. Under the terms of the settlement, we obtained non-exclusive, perpetual licenses to 1,250 drug targets represented in Deltagen's DeltaBase™ database of mammalian genes and their *in vivo* functions and rights to receive payments for Deltagen's fee-for-service generation of knockout mice in exchange for our grant of a license under the patents covering our gene targeting technologies.

Oncology



Since 1990, about 15 million new cancer cases have been diagnosed in the United States.
For the year 2000, the direct medical costs of cancer were estimated at $60 billion.

EXECUTIVE OFFICERS

Arthur T. Sands, M.D., Ph.D.
President and Chief Executive Officer

Julia P. Gregory
Executive Vice President and Chief Financial Officer

Jeffrey L. Wade, J.D.
Executive Vice President and General Counsel

Alan J. Main, Ph.D.
Senior Vice President of Lexicon Pharmaceuticals

James R. Piggott, Ph.D.
Senior Vice President of Pharmaceutical Biology

Randall B. Riggs
Senior Vice President of Business Development

Brian P. Zambrowicz, Ph.D.
Senior Vice President of Genomics

David A. Boulton
Vice President of Technology Operations, Lexicon Pharmaceuticals

Walter F. Colbert
Vice President of Human Resources

Lance K. Ishimoto, J.D., Ph.D.
Vice President of Intellectual Property

Hartmuth Kolb, Ph.D.
Vice President of Chemistry, Lexicon Pharmaceuticals

Stephen J. McAndrew, Ph.D.
Vice President of Pharmaceutical Business Development

Christophe Person
Vice President of Informatics

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C. Thomas Caskey, M.D.
Chairman of the Board, Lexicon Genetics Incorporated
President and CEO, CoGene Biotech Ventures, Ltd.
Former Senior Vice President, Merck Research Laboratories

Sam L. Barker, Ph.D.
Principal, Clearview Projects
Former Executive Vice President, Bristol-Myers Squibb Company

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Former Chairman of the Board,
The Sterling Group, Inc.

Patricia M. Cloherty
Chairman, U.S. Russia Investment Fund
Former Co-Chairman, Patricof & Co. Ventures, Inc.

Robert J. Lefkowitz, M.D.
James B. Duke Professor of Medicine
and Professor of Biochemistry,
Duke University Medical Center

William A. McMinn
Chairman of the Board, Texas Petrochemicals Corporation

Arthur T. Sands, M.D., Ph.D.
President and Chief Executive Officer,
Lexicon Genetics Incorporated

CORPORATE INFORMATION

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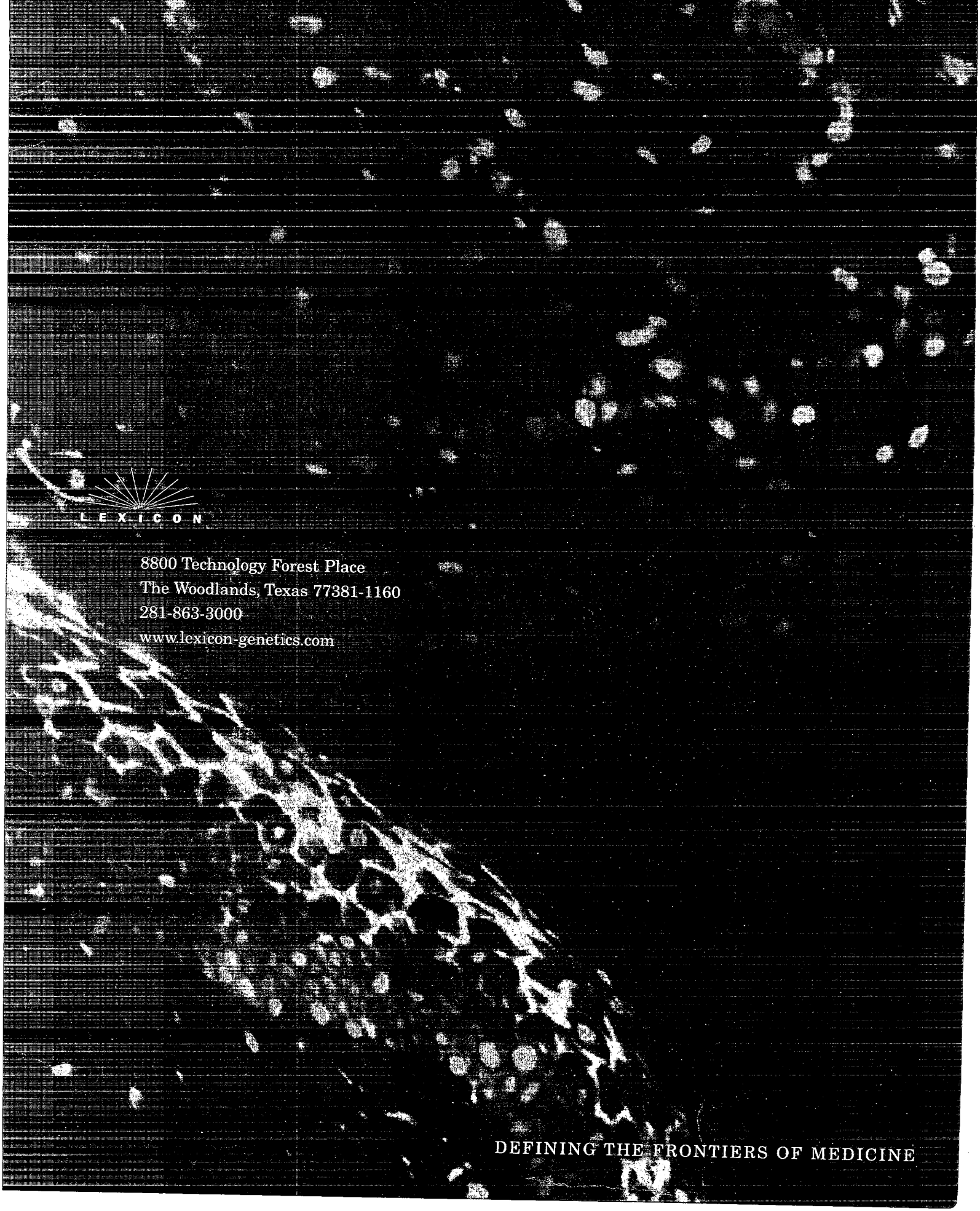
Ridgefield Park, NJ 07660
800-635-9270
Foreign Shareholders 201-329-8660
www.mellon-investor.com

Our 2001 annual report on Form 10-K is available, without charge, upon request by contacting our Corporate Communications Department at 281-863-3000.

Our annual meeting of shareholders will be held at 1:30 p.m. CST on May 8, 2002 at The Woodlands Resort and Conference Center, 2301 North Millbend Drive, The Woodlands, Texas.

Visit our corporate website at http://www.lexicon-genetics.com.



LEXICON

8800 Technology Forest Place
The Woodlands, Texas 77381-1160
281-863-3000
www.lexicon-genetics.com

DEFINING THE FRONTIERS OF MEDICINE

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

FORM 10-K

(Mark One)

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

For the Fiscal Year Ended December 31, 2001

or

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

For the Transition Period from _____ to _____

Commission File Number: 000-30111

Lexicon Genetics Incorporated

(Exact Name of Registrant as Specified in its Charter)

Delaware	76-0474169
(State or Other Jurisdiction of Incorporation or Organization)	(I.R.S. Employer Identification Number)

8800 Technology Forest Place
The Woodlands, Texas 77381
(Address of Principal Executive Offices and Zip Code)

(281) 863-3000
(Registrant's Telephone Number, Including Area Code)

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

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

Indicate by check mark whether the registrant (1) has filed all reports required to be filed by Section 13 or 15(d) of the Securities Exchange Act of 1934 during the preceding 12 months (or for such shorter period that the registrant was required to file such reports) and (2) has been subject to such filing requirements for the past 90 days. Yes ☑ No ☐

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

The aggregate market value of voting stock held by non-affiliates of the registrant was approximately $325.9 million as of March 19, 2002, based on the closing price of the common stock on the Nasdaq National Market on such date of $8.92 per share. For purposes of the preceding sentence only, all directors, executive officers and beneficial owners of ten percent or more of the registrant's common stock are assumed to be affiliates. As of March 19, 2002, 52,194,614 shares of common stock were outstanding.

Documents Incorporated by Reference

Certain sections of the registrant's definitive proxy statement relating to the registrant's 2002 annual meeting of stockholders, which proxy statement will be filed under the Securities Exchange Act of 1934 within 120 days of the end of the registrant's fiscal year ended December 31, 2001, are incorporated by reference into Part III of this annual report on Form 10-K.

Lexicon Genetics Incorporated

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In this annual report on Form 10-K, "Lexicon Genetics," "Lexicon," "we," "us" and "our" refer to Lexicon Genetics Incorporated.

Factors Affecting Forward Looking Statements

This annual report on Form 10-K contains forward-looking statements. These statements relate to future events or our future financial performance. We have attempted to identify forward-looking statements by terminology including "anticipate," "believe," "can," "continue," "could," "estimate," "expect," "intend," "may," "plan," "potential," "predict," "should" or "will" or the negative of these terms or other comparable terminology. These statements are only predictions and involve known and unknown risks, uncertainties and other factors, including the risks outlined under "Item 1. Business – Risk Factors," that may cause our or our industry's actual results, levels of activity, performance or achievements to be materially different from any future results, levels of activity, performance or achievements expressed or implied by these forward-looking statements.

Although we believe that the expectations reflected in the forward-looking statements are reasonable, we cannot guarantee future results, levels of activity, performance or achievements. We are not under any duty to update any of the forward-looking statements after the date of this annual report on Form 10-K to conform these statements to actual results, unless required by law.

PART I

Item 1. *Business*

Overview

Lexicon Genetics is a biopharmaceutical company focused on the discovery of breakthrough treatments for human disease. We are using gene knockout technology to systematically discover in living mammals, or *in vivo*, the functions and pharmaceutical utility of genes. Our gene function discoveries fuel therapeutic discovery programs in cancer, cardiovascular disease, immune disorders, neurological disease, diabetes and obesity. We have established drug discovery alliances and functional genomics collaborations with leading pharmaceutical and biotechnology companies, research institutes and academic institutions throughout the world to commercialize our technology and further develop our discoveries.

We generate our gene function discoveries using knockout mice – mice whose DNA has been altered to disrupt, or "knock out," the function of the altered gene. Our patented gene trapping and gene targeting technologies enable us to rapidly generate these knockout mice by altering the DNA of genes in a special variety of mouse cells, called embryonic stem (ES) cells, which can be cloned and used to generate mice with the altered gene. We employ an integrated platform of advanced medical technologies to systematically discover and validate, *in vivo*, the functions and pharmaceutical utility of the genes we have knocked out and the potential targets for therapeutic intervention, or drug targets, they encode.

We employ internal resources and drug discovery alliances to discover potential small molecule drugs, therapeutic antibodies and therapeutic proteins for *in vivo*-validated drug targets that we consider to have high pharmaceutical value. We use our own sophisticated libraries of drug-like chemical compounds and an industrialized medicinal chemistry platform to identify small molecule drug candidates for our *in vivo*-validated drug targets. We have established alliances with Abgenix, Inc. for the discovery and development of therapeutic antibodies based on our drug target discoveries and with Incyte Genomics, Inc. for the discovery and development of therapeutic proteins. In addition, we have established collaborations and license agreements with many other leading pharmaceutical and biotechnology companies under which we receive fees and, in many cases, are eligible to receive milestone and royalty payments, in return for granting access to some of our technologies and discoveries for use in their own drug discovery efforts.

We believe that our industrialized approach of discovering and validating drug targets *in vivo*, together with our capabilities in small molecule drug discovery and the integration of our own capabilities with those of our alliance partners in therapeutic antibody and therapeutic protein discovery, will significantly increase our likelihood of success in discovering breakthrough treatments for human disease, and will reduce the risk, time and expense of discovering and developing therapeutics for new drug targets. Together, we believe that these factors will provide us with substantial strategic advantages in the competition to discover and develop genomics-based pharmaceutical products.

Lexicon Genetics was incorporated in Delaware in July 1995, and commenced operations in September 1995. Our corporate headquarters are located at 8800 Technology Forest Place, The Woodlands, Texas 77381, and our telephone number is (281) 863-3000. Our corporate website is located at *www.lexicon-genetics.com*. Information found on our website should not be considered part of this annual report on Form 10-K.

Key Milestones and Achievements in 2001

We made substantial business and technical progress in 2001:

- We advanced eight *in vivo*-validated drug targets into therapeutic discovery programs, a pace that continues to accelerate with the advancement of three additional targets into such programs in just the first two and a half months of 2002;

- We acquired state-of-the-art medicinal chemistry capabilities through our acquisition of Coelacanth Corporation, which forms the foundation of our Lexicon Pharmaceuticals division focused on the discovery and development of small molecule drugs for our *in vivo*-validated drug targets;

- We established an alliance with Incyte for the discovery of therapeutic proteins and made further progress in our alliance with Abgenix for the discovery of therapeutic antibodies;

- We entered into a LexVision collaboration with Incyte, and functional genomics collaborations with Abgenix and Immunex Corporation;

- We granted non-exclusive, internal research-use sublicenses under our gene targeting patents to Immunex, GlaxoSmithKline plc, Merck & Co., Inc. and Pfizer Inc;

- We settled our patent infringement litigation against Deltagen, Inc. by granting a non-exclusive sublicense to Deltagen under our gene targeting patents in exchange for access to Deltagen's DeltaBase™ database of mammalian genes and their *in vivo* functions and perpetual, non-exclusive licenses to the targets represented in DeltaBase;

- We obtained additional key patents covering our gene trapping and gene targeting technologies;

- We substantially increased our rate of productivity in our Genome5000 program for the discovery of the *in vivo* functions of 5,000 genes over five years, focused on the discovery of the functions in mammalian physiology of proteins encoded by pharmaceutically important gene families, such as G-protein coupled (GPCRs) and other receptors, kinases, ion channels, other key enzymes and secreted proteins;

- We delivered the targets promised to collaborators under our LexVision program;

- We substantially expanded the size of our OmniBank library of more than 200,000 knockout mouse ES cell clones, which we estimate now contains gene knockout clones for more than half of all genes in the mammalian genome, each identified and catalogued in a database by DNA sequence from the trapped gene;

- We brought to substantial completion our new office, laboratory and animal facilities, all of which came on-line in early 2002; and

- We achieved more that $30 million in revenues, marking our sixth consecutive year of greater than 100% year-over-year revenue growth.

We believe we are poised to capitalize on these achievements in 2002 by further accelerating the pace of our Genome5000 program, substantially expanding our pipeline of *in vivo*-validated drug targets, advancing our therapeutic discovery programs towards clinical development, and establishing additional drug discovery alliances and functional genomics collaborations to commercialize our technology and further develop our discoveries.

Genomics and Drug Discovery

The Human Genome

The human genome is comprised of complementary strands of deoxyribonucleic acid, or DNA, molecules organized into 23 pairs of chromosomes. Genes, which carry the specific information, or code, necessary to construct, or express, the proteins that regulate human physiology and disease, make up approximately three to five percent of the genome. The remaining 95% to 97% of DNA in chromosomes does not code for protein. Although estimates vary considerably as to the total number of genes within the total of approximately 3.5 billion nucleotide base pairs of "genomic" or "chromosomal" DNA that make up the human genome, we believe that the human genome contains approximately 60,000 genes.

The Human Genome Project and other publicly and privately-funded DNA sequencing efforts have invested considerable resources to sequence the genes in the human genome, culminating in the completion of a "working draft" of sequence from the human genome in the year 2000 and its publication in February 2001. The sequence of a gene alone, however, does not permit reliable predictions of its function in physiology and disease. As a result, the databases of gene sequences generated by these efforts can be compared by analogy to a dictionary that contains thousands of words, but only a handful of definitions.

Functional Genomics

The efforts to discover these definitions – to define the functions of the genes in the human genome and, in doing so, discover which genes encode pharmaceutically valuable drug targets – are commonly referred to as functional genomics. Researchers use a variety of methods to obtain clues about gene function, such as gathering information about where a gene's transcript is found and where the corresponding protein is expressed in the cell, and conducting experiments using cell culture, biochemical studies and non-mammalian organisms. While these methods may provide useful information about gene function at the biochemical and cellular levels, their ability to provide information about how genes control mammalian physiology, and thus their usefulness for drug discovery and development, is significantly limited.

We believe that the method for defining gene function that has the greatest relevance and highest value for drug discovery is to disrupt, or knock out, the gene in a mouse and assess the resulting physiological, pathological and behavioral consequences. As mammals, humans and mice have very similar genomes and share very similar physiology – one of the reasons that mice are among the most widely used animal model systems in the pharmaceutical industry. As a result of these similarities, the *in vivo* analysis of the function of a gene in knockout mice – mice whose DNA has been altered to disrupt, or "knock out," the function of the altered gene – enables the predictions to be made regarding the effects on human physiology of prospective therapeutics that modulate the corresponding human drug target and, therefore, regarding the pharmaceutical utility and value of the target for the discovery and development of such therapeutics.

Genomics-Based Drug Discovery

We believe that genomics represents a significant opportunity for the discovery and development of breakthrough treatments for human disease. Drugs on the market today interact with a total of about 500 specific protein targets, each of which is encoded by a gene. While estimates of the total number of potential drug targets encoded within the human genome vary, many experts believe that genomics research could discover as many as 5,000 new targets for pharmaceutical development. The fact that very little is known about the functions of most genes, however, presents a major challenge for drug discovery research, which has traditionally relied primarily on established drug targets with well-characterized functions.

The magnitude of this challenge is evident in expectations regarding the productivity of drug discovery research for genomics-based drug targets. According to the *Fruits of Genomics*, a 2001 study conducted by McKinsey & Co. and Lehman Brothers, the average cost of bringing a single drug to market, estimated at $800 million in 2000, may increase to as much as $1.6 billion by 2005. The primary driver of the increase is the expected change, as a result of the wealth of potential drug targets generated by the Human Genome Project and other publicly and privately-funded DNA sequencing efforts, in the proportion of drug targets in pharmaceutical companies' research pipelines that are "unprecedented" – that is, drug targets for which therapeutics have not previously been developed. The study estimates that this increase in unprecedented drug targets will result in substantially higher rates of failure in early preclinical biological validation and Phase 2 clinical development.

The chief cause of these failures, we believe, is the advancement of far too many unprecedented and poorly validated drug targets into expensive screening and therapeutic discovery programs without an understanding of the *in vivo* biology of the target. Because target selection decisions drive all subsequent drug discovery and development spending, and failures account for 75 percent or more of the average cost of bringing a drug to market, the quality of target selection decisions has a disproportionate effect on the overall cost of bringing a drug to market.

Our Strategy

We believe that the discovery and selection of drug targets that have high pharmaceutical value – that exhibit favorable therapeutic profiles and address large medical markets – will be the key determinant of success in genomics-based drug discovery. The solution to this challenge, we believe, requires redefining the way drug discovery is conducted by systematically determining the *in vivo* functions of large numbers of genes in mice, which, as mammals, share significant genetic and physiological similarities with humans. We believe that the resulting information will enable us to discover which drug targets from the human genome exhibit favorable therapeutic profiles and address large medical markets. In addition, we believe that identifying these drug targets at the very beginning of the drug discovery process, before committing to expensive screening and therapeutic discovery programs, will substantially increase the productivity and cost-effectiveness of our drug discovery efforts relative to other approaches. Together, we believe that these factors will significantly increase our likelihood of success in discovering breakthrough treatments for human disease, and will reduce the risk, time and expense of discovering and developing therapeutics for new drug targets.

Our principal objective is to establish a leadership position in the discovery of breakthrough treatments for human disease. The key elements of our strategy include the following:

- systematically discover, *in vivo*, the functions and pharmaceutical utility of 5,000 genes over five years in our Genome5000 program;
- employ internal resources and drug discovery alliances to discover potential small molecule drugs, therapeutic antibodies and therapeutic proteins for *in vivo*-validated drug targets that we consider to have high pharmaceutical value;
- develop promising therapeutic candidates through drug development alliances or with our own resources; and
- generate near-term revenues through collaborations and license agreements with pharmaceutical and biotechnology companies in return for granting access to some of our technologies and discoveries for use in their own drug discovery efforts.

Our Technology Platform

We have developed, refined and integrated a technology platform that spans the drug discovery process from gene identification to the discovery and development of therapeutics, with a focus on the systematic discovery and validation, *in vivo*, of the functions and pharmaceutical utility of genes and the drug targets they encode, and the discovery and development of therapeutics for our *in vivo*-validated drug targets. Our technology platform includes both proprietary and non-proprietary technologies in gene sequencing and discovery, bioinformatics analysis, expression analysis and gene chips, gene knockouts, biological and physiological analysis, chemical compound libraries, assay development, high-throughput screening and medicinal chemistry.

The core elements of our technology platform include our patented technologies for the generation of gene knockouts, our integrated platform of advanced medical technologies for the systematic and comprehensive biological analysis of *in vivo* physiology, and our industrialized approach to medicinal chemistry and the generation of high-quality, drug-like compound libraries. These core elements of our technology platform are described below.

Gene Knockout Technologies

We have developed and refined gene knockout technologies and expertise to rapidly and efficiently generate knockout mice for the *in vivo* functional analysis of thousands of genes. Our patented gene trapping and gene targeting technologies, our experience in using these technologies and the scale of our gene knockout operations provide us with substantial advantages over the methods generally used to generate knockout mice, allowing us to overcome limitations inherent in such methods that restrict the rate at which knockout mice may be produced and, therefore, the rate at which the genes in the mammalian genome may be analyzed.

Gene Targeting. Our gene targeting technology, which is covered by six issued patents, enables us to generate highly-specific alterations in targeted genes. The technology uses a vector to replace DNA of a gene in a mouse ES cell with DNA from the targeting construct in the chromosome of the cell through a process known as homologous recombination. When used to knock out a gene, the DNA from the targeting construct disrupts the function of the targeted gene, permitting the generation of knockout mice.

We use our gene targeting technology to knock out the function of the targeted gene for the analysis of the gene's function. We also use this technology in combination with one or more additional technologies such as Cre/*lox* recombinase technology to generate alterations that selectively disrupt, or conditionally regulate, the function of the targeted gene for the analysis of the gene's function in selected tissues, at selected stages in the animal's development or at selected times in the animal's life. In addition, we can use this technology to replace the targeted gene with its corresponding human gene, or ortholog, for use in our therapeutic discovery programs.

We have developed an industrialized approach to gene targeting, and believe that our experience using this technology and the scale of our gene targeting operations provide us with substantial advantages in efficiency and speed relative to others using similar approaches to generate knockout mice.

Gene Trapping. Our gene trapping technology, which is covered by five issued patents, is a high-throughput method of generating knockout mouse clones that we invented. The technology uses genetically engineered retroviruses that infect mouse ES cells *in vitro*, integrate into the chromosome of the cell and deliver molecular traps for genes. The gene trap disrupts the function of the gene into which it integrates, permitting the generation of knockout mice. The gene trap also stimulates transcription of a portion of the trapped gene, using the cell's own splicing machinery to extract this transcript from the chromosome for automated DNA sequencing. This allows us to identify and catalogue each ES cell clone by DNA sequence from the trapped gene, and to select ES cell clones by DNA sequence for the generation of knockout mice.

We have used our gene trapping technology in an automated process to create our OmniBank library of more than 200,000 frozen gene knockout ES cell clones, each identified by DNA sequence in a relational database. Because our gene trapping vectors are designed to trap genes in a manner largely independent of their levels of expression, our OmniBank library includes even those genes that are very rarely expressed. We estimate that our OmniBank library currently contains gene knockout clones for more than half of all genes in the mammalian genome.

We believe our OmniBank library, which is by far the largest library of gene knockout clones in the world, provides us with unparalleled strategic advantages in the discovery of *in vivo* gene function. The OmniBank library permits us to generate knockout mice for *in vivo* analysis at a significantly higher rate than is possible using other methods. We have generated many of our *in vivo*-validated drug target discoveries that we consider to have high pharmaceutical value using knockout mice generated from our OmniBank library.

Physiological Analysis Technologies

We have assembled and integrated a technology platform for *in vivo* physiological analysis using a medical center approach to genes, enabling us to systematically define the functions and pharmaceutical utility of the genes we have knocked out and the potential targets for therapeutic intervention, or drug targets, they encode.

Gene Function Discovery. We employ an integrated platform of advanced medical technologies to rapidly and systematically discover and catalogue the functions of the genes we have knocked out using our gene trapping and gene targeting technologies. These technologies include many of the most sophisticated diagnostic technologies that might be found in a major medical center, from CAT-scans and magnetic resonance imaging (MRI) to complete blood cell analysis, all adapted specifically for the analysis of mouse physiology. This state-of-the-art technology platform enables us to assess the phenotypic consequences, or function in a living mammal, of the knocked-out gene across a variety of parameters relevant to human disease, including cancer, cardiovascular disease, immune disorders, neurological disease, diabetes and obesity. Most of the technologies we employ are non-invasive, permitting longitudinal studies of gene function over time that are not feasible using conventional techniques for the

analysis of knockout mice. The information resulting from this analysis is captured in relational databases for our use, and use by our collaborators, in drug discovery.

Our physiological analysis technology platform enables us to conduct comprehensive analyses of gene function on a high-throughput basis as well as in-depth analyses of the functions of genes that demonstrate potential pharmaceutical utility. We believe that our medical center approach and the technology platform that makes it possible provide us with substantial advantages over approaches directed primarily on the basis of potentially faulty hypotheses as to the gene's likely function, based on expression analyses and other factors that our experience indicates are unreliable predictors of gene function, allowing us to uncover functions within the context of mammalian physiology that might be missed by less comprehensive approaches.

Preclinical Analysis of Therapeutic Candidates. We employ the same physiological analysis technology platform that we use in the discovery of gene function to analyze the *in vivo* efficacy and safety profiles of therapeutic candidates in mice. We believe that this approach will allow us, at an early stage, to identify and optimize therapeutic candidates for further preclinical and clinical development that demonstrate superior *in vivo* efficacy and to distinguish compound-related effects from the target-related effects that we defined using the same systematic, comprehensive series of tests. The result, we believe, will substantially increase our likelihood of success in traditional preclinical and clinical development, and will reduce the risk, time and expense of developing our therapeutics for our *in vivo*-validated drug targets.

Medicinal Chemistry Technology

We acquired state-of-the-art medicinal chemistry capabilities through our July 2001 acquisition of Coelacanth Corporation, which forms the foundation of our Lexicon Pharmaceuticals division focused on the discovery and development of small molecule drugs for our *in vivo*-validated drug targets. We use solution-phase chemistry to generate diverse libraries of optically pure compounds that are targeted against the same categories of drug targets we address in our Genome 5000 drug target discovery program. We design these libraries by analyzing the chemical structures of drugs that have been proven safe and effective against human disease and synthesizing that knowledge in the design of scaffolds and chemical building blocks for the generation of large numbers of new drug-like compounds. These building blocks, which we refer to as "pharmacophoric modules," can rapidly be reassembled to generate optimization libraries when we identify a hit, or compound demonstrating activity, against one of our *in vivo*-validated targets, enabling us to rapidly optimize those hits and accelerate our medicinal chemistry efforts.

Research and Development Programs

Genome5000 Drug Target Discovery Program

We are using our industrialized approach to gene targeting and our OmniBank library of more than 200,000 gene knockout ES cell clones, together with our integrated platform of advanced physiological analysis technologies, to systematically discover in living mammals, or *in vivo*, the functions and pharmaceutical utility of a total of 5,000 genes over five years in our Genome5000 program. The Genome5000 program includes the 1,250 drug targets that we have committed to include in our LexVision database of *in vivo*-validated drug targets, as well as the additional drug targets that we are pursuing in internal programs and drug discovery alliances. We believe that our *in vivo* validation of the drug targets discovered in our Genome5000 program provides us and our collaborators with substantial advantages over competing validation approaches in the discovery and development of genomics-based pharmaceutical products.

Our Genome5000 efforts are focused on the discovery of the functions in mammalian physiology of proteins encoded by pharmaceutically important gene families, such as G-protein coupled (GPCRs) and other receptors, kinases, ion channels, other key enzymes and secreted proteins. We use bioinformatics analysis and other resources to prioritize genes for analysis in this program from a variety of sources, including our own proprietary gene sequence databases, which encompass hundreds of full-length human gene sequences and more than 50,000 partial human gene sequences that we discovered using our gene trapping technology, our OmniBank database and library, Incyte Genomics' LifeSeq® Gold Database and the public human genome project.

We have identified *in vivo*-validated drug targets in each of our internal disease biology programs, which include programs for the identification of drug targets with pharmaceutical utility in the discovery of therapeutics for the treatment of cancer, cardiovascular disease, immune disorders, neurological disease, diabetes and obesity. We are moving many of these targets forward into therapeutic discovery and development programs, both on our own and with collaborators.

Therapeutic Discovery Programs

We employ internal resources and drug discovery alliances to discover potential small molecule drugs, therapeutic antibodies and therapeutic proteins for *in vivo*-validated drug targets that we consider to have high pharmaceutical value. We use our own sophisticated libraries of drug-like chemical compounds and an industrialized medicinal chemistry platform to identify small molecule drug candidates for our *in vivo*-validated drug targets. We have established alliances with Abgenix, Inc. for the discovery and development of therapeutic antibodies based on our drug target discoveries and with Incyte Genomics, Inc. for the discovery and development of therapeutic proteins.

Our criteria for advancing *in vivo*-validated drug targets into therapeutic discovery and development programs include the following:

- *Favorable Therapeutic Profile.* The drug target must demonstrate a favorable therapeutic profile *in vivo*. Specifically, our *in vivo* analysis must suggest that the effect of inhibiting or otherwise modulating the activity of the drug target in humans would have a therapeutic effect in treating disease, with an acceptable target-related side effect profile. For example, our *in vivo* analysis of our LG314 cardiovascular and metabolism target suggests that a therapeutic that inhibited the activity of the drug target would reduce cholesterol and triglycerides, both of which are important contributors to heart disease, counter the insulin resistance that is a key factor in Type 2 diabetes, and reduce body fat, without generating any target-related side effects.

- *Novel Function.* The function of the drug target must be novel – that is, we are interested in drug targets whose function was not generally known to others before we discovered it.

- *Large Medical Market.* The potential market for therapeutics addressing the market must be substantial. We are interested in drug targets that are key switches that control human physiology, addressing large markets such as heart disease, diabetes, depression and cancer. Our focus is not on drug targets that address rare genetic diseases or that are useful only for the development of therapeutics for patients with a specific genetic make-up, sometimes referred to as "personalized medicine."

Our small molecule drug discovery programs involve the following stages:

- assay development and high throughput screening (HTS) to identify "hits," or compounds demonstrating activity, against the *in vivo*-validated targets;

- medicinal chemistry efforts to optimize the potency and selectivity of the hits, to identify lead compounds for further development;

- lead optimization and preliminary preclinical analysis;

- formal preclinical studies of optimized leads; and

- clinical development.

Our most advanced projects to date have reached the medicinal chemistry stage.

As of March 21, 2002, we had advanced 11 *in vivo*-validated drug targets into therapeutic discovery programs.

Research and Development Expenses

In 2001, 2000 and 1999, respectively, we incurred expenses of $53.4 million, $31.6 million and $14.6 million in company-sponsored research and development activities, including $5.5 million and $10.9 million of stock-based compensation expense in 2001 and 2000, respectively.

Collaborations and Alliances

Our collaboration and alliance strategy involves:

- drug discovery alliances to discover and develop therapeutics based on our drug target discoveries, particularly when the alliance enables us to obtain access to technology and expertise that is complementary to our own; and

- functional genomics collaborations with pharmaceutical and biotechnology companies, research institutions and academic institutions to generate near-term revenues for granting access to some of our technologies and discoveries for use in their own drug discovery efforts, as well as the potential, in many cases, for milestone payments and royalties on products they develop using our technology.

In implementing this strategy, we have entered into the drug discovery alliances and functional genomics collaborations with leading pharmaceutical and biotechnology companies, research institutions and academic institutions throughout the world, as described below.

Drug Discovery Alliances

We have entered into the following alliances for the discovery and development of therapeutics based on our *in vivo* drug target discovery efforts:

Abgenix, Inc. We established a drug discovery alliance with Abgenix in July 2000 to discover novel therapeutic antibodies using our functional genomics technologies and Abgenix's technology for generating fully human monoclonal antibodies. We and Abgenix expanded and extended the alliance in January 2002, with the intent of accelerating the selection of *in vivo*-validated antigens for antibody discovery and the development and commercialization of therapeutic antibodies based on those targets. Under the alliance agreement, we and Abgenix will each have the right to obtain exclusive commercialization rights, including sublicensing rights, for an equal number of qualifying therapeutic antibodies, and will each receive milestone payments and royalties on sales of therapeutic antibodies from the alliance that are commercialized by the other party or a third party sublicensee. Each party will bear its own expenses under the alliance. The expanded alliance also provides us with access to Abgenix's XenoMouse® technology for use in some of our own drug discovery programs. The agreement, as extended, has a term of four years, subject to the right of the parties to extend the term for up to three additional one-year periods.

Incyte Genomics, Inc. We established a drug discovery alliance with Incyte in June 2001 to discover novel therapeutic proteins using our functional genomics technologies in the discovery of the functions of secreted proteins from Incyte's LifeSeq® Gold database. Under the alliance agreement, we and Incyte will each have the right to obtain exclusive commercialization rights, including sublicensing rights, for an equal number of qualifying therapeutic proteins, and will each receive milestone payments and royalties on sales of therapeutic proteins from the alliance that are commercialized by the other party or a third party sublicensee. The agreement has a term of five years, although either party may terminate the agreement after three years.

We have entered into several other drug discovery alliances and collaborations, including a research collaboration with Arena Pharmaceuticals, Inc. to discover novel drug candidates that target G protein-coupled receptors using our proprietary functional genomics technologies and Arena's CART™ technology.

LexVision Collaborations

We have entered into the following collaborations for access to our LexVision database of *in vivo*-validated drug targets:

Bristol-Myers Squibb Company. We established a LexVision collaboration with Bristol-Myers Squibb in September 2000, under which Bristol-Myers Squibb has non-exclusive access to our LexVision database and OmniBank library for the discovery of small molecule drugs. We receive access fees under this agreement, and are entitled to receive milestone payments and royalties on products Bristol-Myers Squibb develops using our technology. The agreement has a term of five years, although either party may terminate the agreement after three years.

Incyte Genomics, Inc. We established a LexVision collaboration with Incyte in June 2001, under which Incyte has non-exclusive access to our LexVision database and OmniBank library for the discovery of small molecule drugs. We receive access fees under this agreement, and are entitled to receive milestone payments and royalties on products Incyte develops using our technology. The agreement has a term of five years, although either party may terminate the agreement after three years.

Functional Genomics Collaborations

We have established functional genomics collaboration agreements with a number of leading pharmaceutical and biotechnology companies for the generation and, in some cases, analysis of knockout mice for genes requested by the collaborator. Under these agreements, we grant non-exclusive licenses to the collaborator for use in its internal drug discovery programs of the knockout mice and, if applicable, analysis data that we generate under the agreement. Some of these agreements also provide for non-exclusive access to our OmniBank database. We typically receive annual subscription fees and fees for knockout mice with annual minimum commitments and, under some of these agreements, may receive royalties on products that our collaborators discover or develop using our technology. We have entered into functional genomics collaboration agreements with the following companies:

Company	Date of Agreement	End of Access Period
Immunex Corporation	July 2001	July 2003
Abgenix, Inc.	January 2001	January 2004
Tularik Inc.	October 2000	October 2003
American Home Products	March 2000	March 2003
Boehringer Ingelheim Pharmaceuticals, Inc. (a subsidiary of Boehringer Ingelheim GmBH, International)	February 2000	February 2003
Pharmacia Corp.	January 2000	January 2003
The R.W. Johnson Pharmaceutical Research Institute (a subsidiary of Johnson & Johnson)	December 1999	December 2002
N.V. Organon (a subsidiary of Akzo Nobel)	December 1999	December 2002
Millennium Pharmaceuticals, Inc.	July 1999	June 2002

We have also entered into functional genomics collaboration agreements with more than eight additional companies and academic institutions throughout the world under which we receive research fees for the generation of knockout mice and, with participating institutions, certain rights to license inventions or royalties on products discovered using such mice.

e-Biology Global Collaboration Program

We believe that our OmniBank database and library represent a unique resource for catalyzing collaborations with researchers at pharmaceutical companies, biotechnology companies and academic institutions for the discovery of gene function. We provide access to our OmniBank database through the Internet to subscribing researchers at leading companies and academic institutions throughout the world. Our bioinformatics software allows subscribers to mine our OmniBank database for genes of interest, and we permit subscribers to acquire OmniBank knockout mice on a non-exclusive basis for the determination of gene function under our

e-Biology collaboration program. In this program, we receive fees for OmniBank knockout mice and, with participating institutions, rights to license inventions or to receive royalties on pharmaceutical products discovered using our mice. In cases where we do not obtain such rights, our e-Biology collaborations leverage the value of OmniBank since we retain rights to use the same OmniBank knockout mice in our own gene function research and with commercial collaborators. We have more than 100 agreements under our e-Biology collaboration program with researchers at leading institutions throughout the world.

Technology Licenses and Compound Sales

In addition to collaborations, we have used technology licenses and compound library sales to generate revenues for the support of our own research and development efforts.

Technology Licenses. We have granted non-exclusive, internal research-use sublicenses under certain of our gene targeting patent rights to a total of 13 leading pharmaceutical and biotechnology companies. These agreements typically have a term of one to three years, in some cases with provisions for subsequent renewals, although some agreements are for a longer duration. We typically receive up-front license fees and, in some cases, receive additional license fees or milestone payments on products that the sublicensee discovers or develops using our technology.

Compound Library Sales. Our Lexicon Pharmaceuticals subsidiary has entered into agreements with a total of 29 leading pharmaceutical and biotechnology companies for non-exclusive access to selected compound libraries. These agreements typically provide for our sale of compounds from the selected library for use by the customer in its own internal drug discovery efforts. Under some of these agreements, we have agreed to provide additional quantities of selected compounds or optimization services in exchange for further payments. Subject to limited exceptions, we do not intend to continue to make our compound libraries available for purchase in the future.

Patents and Proprietary Rights

We will be able to protect our proprietary rights from unauthorized use by third parties only to the extent that those rights are covered by valid and enforceable patents or are effectively maintained as trade secrets. Accordingly, patents and other proprietary rights are an essential element of our business. We seek patent protection for the genes, proteins and drug targets that we discover. Specifically, we seek patent protection for:

- the sequences of genes that we believe to be novel, including full-length genes and the partial gene sequences contained in our human gene trap and OmniBank databases, the proteins they encode and their predicted utility as a drug target or therapeutic protein;
- the utility of genes and the drug targets or therapeutic proteins they encode based on our discoveries of their biological functions using knockout mice;
- drug discovery assays for our *in vivo*-validated targets;
- chemical compounds and their use in treating human diseases and conditions; and
- various enabling technologies in the fields of mutagenesis, ES cell manipulation and transgenic or knockout mice.

We own or have exclusive rights to five issued U.S. patents that cover our gene trapping technology and five issued U.S. patents that cover specific knockout mice and discoveries of the functions of genes made using knockout mice. We have licenses under 43 additional U.S. patents, and corresponding foreign patents and patent applications, in the fields of gene targeting, gene trapping and genetic manipulation of mouse ES cells. These include patents to which we hold exclusive rights in certain fields, including a total of six U.S. patents covering the use of positive-negative selection and isogenic DNA gene targeting technology, as well as patents covering the use of Cre/*lox* technology. We have filed or have exclusive rights to more than 500 pending patent applications in the United States Patent and Trademark Office, the European Patent Office, the national patent offices of other foreign countries or under the Patent Cooperation Treaty, covering our gene trapping technology, the DNA sequences of

genes, the utility of drug targets, drug discovery assays, and other products and processes. Collectively, these patent applications cover, among other things, more than 300 full-length human gene sequences, more than 50,000 partial human gene sequences, and more than 45,000 knockout mouse clones and corresponding mouse gene sequence tags. Patents typically have a term of no longer than 20 years from the date of filing.

All of our employees, consultants and advisors are required to execute a confidentiality agreement upon the commencement of employment or consultation. In general, the agreement provides that all inventions conceived by the employee or consultant, and all confidential information developed or made known to the individual during the term of the agreement, shall be our exclusive property and shall be kept confidential, with disclosure to third parties allowed only in specified circumstances. We cannot assure you, however, that these agreements will provide useful protection of our proprietary information in the event of unauthorized use or disclosure of such information.

Competition

The biotechnology and pharmaceutical industries are highly competitive and characterized by rapid technological change. We face significant competition in each of the aspects of our business from for-profit companies such as Human Genome Sciences, Inc., Millennium Pharmaceuticals, Inc., Incyte Genomics, Inc. and Deltagen, Inc., among others, many of which have substantially greater financial, scientific and human resources than we do. In addition, the Human Genome Project and a large number of universities and other not-for-profit institutions, many of which are funded by the U.S. and foreign governments, are also conducting research to discover genes and their functions.

While we are not aware of any other commercial entity that is developing large-scale gene trap mutagenesis in ES cells, we face significant competition from entities using traditional knockout mouse technology and other technologies. Several companies, including DNX (a subsidiary of Xenogen Corporation), and a large number of academic institutions create knockout mice for third parties using these more traditional methods, and a number of companies create knockout mice for use in their own research.

Many of our competitors in drug discovery and development have substantially greater research and product development capabilities and financial, scientific, marketing and human resources than we have. As a result, our competitors may succeed in developing products earlier than we do, obtaining approvals from the FDA or other regulatory agencies for those products more rapidly than we do, or developing products that are more effective than those we propose to develop. Similarly, our collaborators face similar competition from other competitors who may succeed in developing products more quickly, or developing products that are more effective, than those developed by our collaborators. We expect that competition in this field will intensify.

Government Regulation

Regulation of Pharmaceutical Products

The development, production and marketing of any pharmaceutical products developed by us or our collaborators will be subject to extensive regulation by United States and foreign governmental authorities. In the United States, new drugs are subject to regulation under the Federal Food, Drug and Cosmetic Act and biological products are subject to regulation both under certain provisions of that Act and under the Public Health Services Act. The FDA regulates, among other things, the development, testing, manufacture, safety, efficacy, record keeping, labeling, storage, approval, advertising, promotion, sale and distribution of drugs and biologics. The process of obtaining FDA approval has historically been costly and time-consuming.

The standard process required by the FDA before a pharmaceutical product may be marketed in the United States includes:

- preclinical tests;
- submission to the FDA of an Investigational New Drug application, or IND, which must become effective before human clinical trials may commence;

- adequate and well-controlled human clinical trials to establish the safety and efficacy of the drug or biologic in our intended application;

- for drugs, submission of a New Drug Application, or NDA, and, for biologics, submission of a Biologic License Application, or BLA, with the FDA; and

- FDA approval of the NDA or BLA prior to any commercial sale or shipment of the product.

In addition to obtaining FDA approval for each product, each drug or biologic manufacturing establishment must be inspected and approved by the FDA. All manufacturing establishments are subject to inspections by the FDA and by other federal, state and local agencies and must comply with current Good Manufacturing Practices requirements.

Preclinical studies can take several years to complete, and there is no guarantee that an IND based on those studies will become effective to even permit clinical testing to begin. Once clinical trials are initiated, they generally take four to seven years, but may take longer, to complete. After completion of clinical trials of a new drug or biologic product, FDA marketing approval of the NDA or BLA must be obtained. This process requires substantial time and effort and there is no assurance that the FDA will accept the NDA or BLA for filing and, even if filed, that approval will be granted. In the past, the FDA's approval of the NDA or BLA has taken, on average, one to three years; if questions arise, approval can take longer.

In addition to regulatory approvals that must be obtained in the United States, a drug product is also subject to regulatory approval in other countries in which it is marketed, although the requirements governing the conduct of clinical trials, product licensing, pricing, and reimbursement vary widely from country to country. No action can be taken to market any drug product in a country until an appropriate application has been approved by the regulatory authorities in that country. FDA approval does not assure approval by other regulatory authorities. The current approval process varies from country to country, and the time spent in gaining approval varies from that required for FDA approval. In some countries, the sale price of a drug product must also be approved. The pricing review period often begins after market approval is granted. Even if a foreign regulatory authority approves a drug product, it may not approve satisfactory prices for the product.

Other Regulations

In addition to the foregoing, our business is and will be subject to regulation under various state and federal environmental laws, including the Occupational Safety and Health Act, the Resource Conservation and Recovery Act and the Toxic Substances Control Act. These and other laws govern our use, handling and disposal of various biological, chemical and radioactive substances used in and wastes generated by our operations. We believe that we are in material compliance with applicable environmental laws and that our continued compliance with these laws will not have a material adverse effect on our business. We cannot predict, however, whether new regulatory restrictions on the production, handling and marketing of biotechnology products will be imposed by state or federal regulators and agencies or whether existing laws and regulations will not adversely affect us in the future.

Employees and Consultants

We believe that our success will be based on, among other things, achieving and retaining scientific and technological superiority and identifying and retaining capable management. We have assembled a highly qualified team of scientists as well as executives with extensive experience in the biotechnology industry.

As of March 21, 2002, we employed 507 persons, of whom 111 hold M.D., Ph.D. or D.V.M. degrees and 58 hold other advanced degrees. We believe that our relationship with our employees is good.

Scientific Advisory Panel Members

We have consulting relationships with a number of scientific advisors. At our request, these advisors review the feasibility of product development programs under consideration, provide advice concerning advances in areas related to our technology and aid in recruiting personnel. Most of these advisors receive cash and stock-based

compensation for their services, and in some cases receive access to our OmniBank database and mice from our OmniBank library. All of the advisors are employed by academic institutions or other entities and may have commitments to or advisory agreements with other entities that may limit their availability to us. Our advisors are required to disclose and assign to us any ideas, discoveries and inventions they develop in the course of providing consulting services to us. We also use consultants for various administrative needs. None of our consultants or advisors is otherwise affiliated with us. Our scientific advisors and consultants include the following persons:

Name	Affiliation	Title
Disease Biology Advisors		
Abul K. Abbas, M.D.	University of California, San Francisco	Professor and Chair, Department of Pathology
John D. Brunzell, M.D.	University of Washington	Professor of Medicine, Division of Metabolism, Endocrinology & Nutrition
Roger D. Cone, Ph.D.	Vollum Institute for Advanced Biomedical Research	Senior Scientist
Neal G. Copeland, Ph.D.	National Cancer Institute	Associate Director, Mouse Cancer Genetics Program, Head, Molecular Genetics of Oncogenesis Section
Kenneth H. Gabbay, M.D.	Baylor College of Medicine	Professor of Pediatrics and Molecular & Cell Biology, Head, Section of Molecular Diabetes and Metabolism, Department of Pediatrics
John M. Harlan, M.D.	University of Washington	Professor and Head, Division of Hematology Medicine
Nancy A. Jenkins, Ph.D.	National Cancer Institute	Senior Investigator, Mouse Cancer Genetics Program, Head, Molecular Genetics of Development Section
Jeffrey L. Noebels, M.D., Ph.D.	Baylor College of Medicine	Professor of Neurology, Neuroscience and Molecular Genetics
Howard A. Rockman, M.D.	Duke University Medical Center	Associate Professor of Medicine
Oliver Smithies, Ph.D.	University of North Carolina	Excellence Professor, Department of Pathology and Laboratory Medicine
Laurence H. Tecott, M.D., Ph.D.	University of California, San Francisco	Associate Professor, Department of Psychiatry
Medicinal Chemistry Advisors		
Ronald T. Borchardt, Ph.D.	University of Kansas	Professor and Chairman, Department of Pharmaceutical Chemistry
Ralph F. Hirschmann, Ph.D.	University of Pennsylvania	Professor of Bioorganic Chemistry
Alan R. Katritsky, Ph.D.	University of Florida	Professor of Chemistry
David W. C. MacMillan, Ph.D.	California Institute of Technology	Associate Professor of Chemistry
Peter Wipf, Ph.D.	University of Pittsburgh	Professor of Chemistry

Risk Factors

Our business is subject to risks and uncertainties, including those described below:

Risks Related to Our Business

We have a history of net losses, and we expect to continue to incur net losses and may not achieve or maintain profitability

We have incurred net losses since our inception, including net losses of $35.2 million for the year ended December 31, 2001. As of December 31, 2001, we had an accumulated deficit of $90.1 million. We are unsure when we will become profitable, if ever. The size of our net losses will depend, in part, on the rate of growth, if any, in our revenues and on the level of our expenses.

We derive substantially all of our revenues from subscriptions to our LexVision and OmniBank databases, drug discovery alliances, functional genomics collaborations for the development and, in some cases, analysis of the physiological effects of genes altered in knockout mice, technology licenses and compound library sales, and will continue to do so for the foreseeable future. Our future revenues from database subscriptions, collaborations and alliances are uncertain because our existing agreements have fixed terms or relate to specific projects of limited duration. Our future revenues from technology licenses are uncertain because they depend, in large part, on securing new agreements. Subject to limited exceptions, we do not intend to continue to make our compound libraries available for purchase in the future. Our ability to secure future revenue-generating agreements will depend upon our ability to address the needs of our potential future subscribers, collaborators and licensees, and to negotiate agreements that we believe are in our long-term best interests. We may determine that our interests are better served by retaining rights to our discoveries and advancing our therapeutic programs to a later stage, which could limit our near-term revenues.

A large portion of our expenses are fixed, including expenses related to facilities, equipment and personnel. In addition, we expect to spend significant amounts to fund research and development and to enhance our core technologies. As a result, we expect that our operating expenses will continue to increase significantly in the near term and, consequently, we will need to generate significant additional revenues to achieve profitability. Even if we do achieve profitability, we may not be able to sustain or increase profitability on a quarterly or annual basis.

Our quarterly operating results have been and likely will continue to fluctuate, and we believe that quarter-to-quarter comparisons of our operating results are not a good indication of our future performance

Our operating results and, in particular, our ability to generate additional revenues are dependent on many factors, including:

- our ability to establish new database subscriptions, research collaborations and technology licenses, and the timing of such arrangements;
- the expiration or other termination of database subscriptions and research collaborations with our collaborators, which may not be renewed or replaced;
- the success rate of our discovery efforts leading to opportunities for new research collaborations and licenses, as well as milestone payments and royalties;
- the timing and willingness of our collaborators to commercialize pharmaceutical products that would result in milestone payments and royalties; and
- general and industry-specific economic conditions, which may affect our and our collaborators' research and development expenditures.

Because of these and other factors, including the risks and uncertainties described in this section, our quarterly operating results have fluctuated in the past and are likely to do so in the future. Due to the likelihood of fluctuations in our revenues and expenses, we believe that quarter-to-quarter comparisons of our operating results are not a good indication of our future performance. Our operating results in some quarters may not meet the expectations of stock market analysts and investors, which could result in a decline in our stock price.

We are an early-stage company with an unproven business strategy

Our business strategy of using our technology platform and, specifically, the discovery of the functions of genes using knockout mice to select promising candidates for drug discovery and development and commercializing our discoveries through collaborations and alliances is unproven. Our success will depend upon our ability to enter into additional collaboration and alliance agreements on favorable terms, determine which genes have potential value as drug targets, discover potential therapeutics for drug targets we consider to have pharmaceutical value, successfully develop such potential therapeutics and select an appropriate commercialization strategy for each potential therapeutic we choose to pursue.

Biotechnology and pharmaceutical companies have successfully developed and commercialized only a limited number of gene-based pharmaceutical products to date. We have not proven our ability to identify gene-based therapeutics or drug targets with commercial potential, or to develop or commercialize therapeutics or drug targets that we do identify. It is difficult to successfully select those genes with the most potential for commercial development and to identify potential therapeutics, and we do not know that any pharmaceutical products based on our drug target discoveries can be successfully commercialized. In addition, we may experience unforeseen technical complications in the processes we use to generate gene knockout mice, conduct *in vivo* analyses, generate compound libraries, develop screening assays for drug targets or conduct screening of compounds against those drug targets. These complications could materially delay or limit the use of those resources, substantially increase the anticipated cost of generating them or prevent us from implementing our processes at appropriate quality and throughput levels.

We will need additional capital in the future and, if it is not available, we will have to curtail or cease operations

Our future capital requirements will be substantial and will depend on many factors, including our ability to obtain database subscription, alliance, collaboration and technology license agreements, the amount and timing of payments under such agreements, the level and timing of our research and development expenditures, market acceptance of our products, the resources we devote to developing and supporting our products and other factors. Our capital requirements will also be affected by any expenditures we make in connection with license agreements and acquisitions of and investments in complementary technologies and businesses.

We anticipate that our existing capital resources and revenues we expect to derive from subscriptions to our databases, drug discovery alliances, functional genomics collaborations and technology licenses will enable us to maintain our currently planned operations for at least the next two years. However, we may generate less revenues than we expect, and changes may occur that would consume available capital resources more rapidly than we expect. If our capital resources are insufficient to meet future capital requirements, we will have to raise additional funds to continue the development of our technologies and complete the commercialization of products, if any, resulting from our technologies. We may be unable to raise sufficient additional capital; if so, we will have to curtail or cease operations.

We face substantial competition in the discovery of the DNA sequences of genes and their functions and in our drug discovery and product development efforts

There are a finite number of genes in the human genome, and we believe that the majority of such genes have been identified by us or others conducting genomic research and that virtually all will be identified within the next few years. We face significant competition in our efforts to discover and patent the sequence and other information derived from such genes from entities using alternative, and in some cases higher volume and larger scale, approaches for the same purpose.

We also face competition from entities using more traditional methods to discover genes related to particular diseases. Many of these entities have substantially greater financial, scientific and human resources than we do. A large number of universities and other not-for-profit institutions, many of which are funded by the U.S. and foreign governments, are also conducting research to discover genes. A substantial portion of this research has been conducted under the international Human Genome Project, a multi-billion dollar program funded by the U.S. government and The Wellcome Trust. One or more of these entities may discover and establish a patent position in one or more of the genes that we wish to study or use in the development of a pharmaceutical product.

We face significant competition in our drug discovery and product development efforts from entities using traditional knockout mouse technology and other functional genomics technologies, as well as from those using other traditional drug discovery techniques. These competitors may develop products earlier than we do, obtain regulatory approvals faster than we can and develop products that are more effective than ours. Our ability to use our patent rights to prevent competition in the creation and use of knockout mice outside of the United States is limited. Competitors could discover and establish patents in genes or gene products that we identify as promising drug targets. Numerous companies, academic institutions and government consortia are engaged in efforts to determine the function of genes and gene products. Furthermore, other methods for conducting functional genomics research may ultimately prove superior, in some or all respects, to the use of knockout mice. In addition,

technologies more advanced than or superior to our gene trapping technology may be developed, thereby rendering our gene trapping technology obsolete.

We rely heavily on collaborators to develop and commercialize pharmaceutical products based on genes that we identify as promising candidates for development as drug targets

Since we do not currently possess the resources necessary to develop, obtain approvals for or commercialize potential pharmaceutical products based on genes contained in our databases or genes that we identify as promising candidates for development as drug targets or therapeutic proteins, we must enter into collaborative arrangements to develop and commercialize these products. We will have limited or no control over the resources that any collaborator may devote to this effort. Any of our present or future collaborators may not perform their obligations as expected. These collaborators may breach or terminate their agreements with us or otherwise fail to conduct product discovery, development or commercialization activities successfully or in a timely manner. Further, our collaborators may elect not to develop pharmaceutical products arising out of our collaborative arrangements or may not devote sufficient resources to the development, approval, manufacture, marketing or sale of these products. If any of these events occurs, we may not be able to develop or commercialize potential pharmaceutical products.

Some of our agreements provide us with rights to participate in the commercial development of pharmaceutical products derived from our collaborations or access to our databases, technology or intellectual property. We may not be able to obtain such rights in future collaborations or agreements. Our ability to obtain such rights depends in part on the validity of our intellectual property, the advantages and novelty of our technologies and databases and our negotiating position relative to each potential collaborator or customer. Previous attempts by others in the industry to obtain these rights with respect to the development of knockout mice and related technologies have generated considerable controversy, especially in the academic community.

Any cancellation by or conflicts with our collaborators could harm our business

Our alliance and collaboration agreements may not be renewed and may be terminated in the event either party fails to fulfill its obligations under these agreements. Any failure to renew or cancellation by a collaborator could mean a significant loss of revenues and volatility in our earnings.

In addition, we may pursue opportunities in fields that could conflict with those of our collaborators. Moreover, disagreements could arise with our collaborators over rights to our intellectual property or our rights to share in any of the future revenues of compounds or therapeutic approaches developed by our collaborators. These kinds of disagreements could result in costly and time-consuming litigation. Any conflict with our collaborators could reduce our ability to obtain future collaboration agreements and could have a negative impact on our relationship with existing collaborators, adversely affecting our business and revenues. Some of our collaborators could also become competitors in the future. Our collaborators could develop competing products, preclude us from entering into collaborations with their competitors or terminate their agreements with us prematurely. Any of these developments could harm our product development efforts.

We have no experience in developing and commercializing pharmaceutical products on our own

Our ability to develop and commercialize pharmaceutical products on our own will depend on our ability to internally develop preclinical, clinical, regulatory and sales and marketing capabilities, or enter into arrangements with third parties to provide those functions. We may not be successful in developing these capabilities or entering into agreements with third parties on favorable terms, or at all. Further, our reliance upon third parties for these capabilities could reduce our control over such activities and could make us dependent upon these parties. Our inability to develop or contract for these capabilities would significantly impair our ability to develop and commercialize pharmaceutical products.

We may engage in future acquisitions, which may be expensive and time consuming and from which we may not realize anticipated benefits

We may acquire additional businesses, technologies and products, if we determine that these businesses, technologies and products complement our existing technology or otherwise serve our strategic goals. We currently have no commitments or agreements with respect to any acquisitions. If we do undertake any transactions of this sort, the process of integrating an acquired business, technology or product may result in operating difficulties and expenditures and may absorb significant management attention that would otherwise be available for ongoing development of our business. Moreover, we may never realize the anticipated benefits of any acquisition. Future acquisitions could result in potentially dilutive issuances of our equity securities, the incurrence of debt and contingent liabilities and amortization expenses related to intangible assets, which could adversely affect our results of operations and financial condition.

If we lose our key personnel or are unable to attract and retain additional personnel, we may be unable to pursue collaborations or develop our own products

We are highly dependent on Arthur T. Sands, M.D., Ph.D., our president and chief executive officer, as well as other principal members of our management and scientific staff. The loss of any of these personnel would have a material adverse effect on our business, financial condition or results of operations and could inhibit our product development and commercialization efforts. Although we have entered into employment agreements with some of our key personnel, including Dr. Sands, these employment agreements are for a limited period of time and not all key personnel have employment agreements.

Recruiting and retaining qualified scientific personnel to perform future research and development work will be critical to our success. Competition for experienced scientists is high. Failure to recruit and retain scientific personnel on acceptable terms could prevent us from achieving our business objectives.

We may encounter difficulties in managing our growth, which could increase our losses

We have experienced a period of rapid growth that has placed and, if this growth continues, will continue to place a strain on our human and capital resources. If we are unable to manage our growth effectively, our losses could increase. The number of our employees increased from 57 at December 31, 1997 to 93 at December 31, 1998, 122 at December 31, 1999, 287 at December 31, 2000, 484 at December 31, 2001 and 507 at March 21, 2002. We intend to increase the number of our employees significantly during the remainder of 2002. Our ability to manage our operations and growth effectively requires us to continue to expend funds to improve our operational, financial and management controls, reporting systems and procedures. If we are unable to successfully implement improvements to our management information and control systems in an efficient or timely manner, or if we encounter deficiencies in existing systems and controls, our management may not have adequate information to manage our day-to-day operations.

Because our entire OmniBank mouse clone library is located at a single facility, the occurrence of a disaster could significantly disrupt our business

Our OmniBank mouse clone library and its back-up are stored in liquid nitrogen freezers located at our facility in The Woodlands, Texas. While we have developed redundant and emergency backup systems to protect these libraries and the facilities in which they are stored, they may be insufficient in the event of a severe fire, flood, hurricane, tornado or similar disaster. If such a disaster significantly damages or destroys the facility in which our mouse clone library and back-up are stored, our business could be disrupted until we could regenerate the library and, as a result, our stock price could decline. Our business interruption insurance may not be sufficient to compensate us in the event of a major interruption due to such a disaster.

Risks Related to Our Industry

Our ability to patent our discoveries is uncertain because patent laws and their interpretation are highly uncertain and subject to change

The patent positions of biotechnology firms generally are highly uncertain and involve complex legal and factual questions that will determine who has the right to develop a particular product. No clear policy has emerged regarding the breadth of claims covered in biotechnology patents. The biotechnology patent situation outside the United States is even more uncertain and is currently undergoing review and revision in many countries. Changes in, or different interpretations of, patent laws in the United States and other countries might allow others to use our discoveries or to develop and commercialize our products without any compensation to us. We anticipate that these uncertainties will continue for a significant period of time.

Our patent applications may not result in enforceable patent rights

Our disclosures in our patent applications may not be sufficient to meet the statutory requirements for patentability. Additionally, our current patent applications cover many genes and we expect to file patent applications in the future covering many more genes. As a result, we cannot predict which of our patent applications will result in the granting of patents or the timing of the granting of our patents. Our ability to obtain patent protection based on genes or partial gene sequences will depend, in part, upon identification of a function for the gene or gene sequences sufficient to meet the statutory requirement that an invention have utility and that a patent application describe the invention with sufficient specificity. While the U.S. Patent and Trademark Office has issued guidelines for the examination of patent applications claiming gene sequences, their therapeutic uses and novel proteins coded by such genes, the impact of these guidelines is uncertain and may delay or negatively impact our patent position. Biologic data in addition to that obtained by our current technologies may be required for issuance of patents or human therapeutics. If required, obtaining such biologic data could delay, add substantial costs to, or affect our ability to obtain patent protection. There can be no assurance that the disclosures in our current or future patent applications, including those we may file with our collaborators, will be sufficient to meet these requirements. Alternatively, if the level of biologic or other experimental data required to obtain a patent is determined to be minimal, then other companies who emphasize determining the gene sequence without significant biologic function information may obtain patent positions with priority over our own. Even if patents are issued, there may be current or future uncertainty as to the scope of the coverage or protection provided by any such patents. In addition, the Human Genome Project, as well as many companies and institutions, have identified genes and deposited partial gene sequences in public databases and are continuing to do so. These public disclosures might limit the scope of our claims or make unpatentable subsequent patent applications on full-length genes.

Other companies or institutions have filed and will file patent applications that attempt to patent genes or gene sequences that may be similar to our patent applications. The U.S. Patent and Trademark Office could decide competing patent claims in an interference proceeding. Any such proceeding would be costly, and we may not prevail. In addition, patent applications filed by third parties may have priority over patent applications we file. In this event, the prevailing party may require us or our collaborators to stop pursuing a potential product or to negotiate a license arrangement to pursue the potential product. We may not be able to obtain a license from the prevailing party on acceptable terms, or at all.

Some court decisions indicate that disclosure of a partial sequence may not be sufficient to support the patentability of a full-length sequence. These decisions have been confirmed by recent pronouncements of the U.S. Patent and Trademark Office. We believe that these court decisions and the uncertain position of the U.S. Patent and Trademark Office present a significant risk that the U.S. Patent and Trademark Office will not issue patents based on patent disclosures limited to partial gene sequences, like those represented in our human gene trap database. In addition, we are uncertain about the scope of the coverage, enforceability and commercial protection provided by any patents issued primarily on the basis of gene sequence information.

If other companies and institutions obtain patents claiming the functional uses of genes and gene products based upon gene sequence information and predictions of gene function, we may be unable to obtain patents for our discoveries of biological functions in knockout mice

We intend to pursue patent protection covering the novel uses and functions of new and known genes and proteins in mammalian physiology and disease states. While an actual description of the biological function of a gene or protein should enhance a patent position, we cannot assure you that such information will increase the probability of issuance of any patents. Further, many other entities are currently filing patents on genes which are identical or similar to our filings. Many such applications seek to protect partial human gene sequences, full-length gene sequences and the deduced protein products encoded by the sequences while others use biological or other laboratory data. Some of these applications attempt to assign biologic function to the DNA sequences based on computer predictions or patterns of gene expression. There is the significant possibility that patents claiming the functional uses of genes and gene products will be issued to our competitors based on such information.

We may be involved in patent litigation and other disputes regarding intellectual property rights, and can give no assurances that we will prevail in any such litigation or other dispute

Our potential products and those of our collaborators may give rise to claims that they infringe the patents of others. This risk will increase as the biotechnology industry expands and as other companies obtain more patents and attempt to discover genes through the use of high-speed sequencers. In addition, many companies have well-established patent portfolios directed to common techniques, methods and means of developing, producing and manufacturing pharmaceutical products. Other companies or institutions could bring legal actions against us or our collaborators for damages or to stop us or our collaborators from manufacturing and marketing the affected products. If any of these actions are successful, in addition to our potential liability for damages, these entities may require us or our collaborators to obtain a license in order to continue to manufacture or market the affected products or may force us to terminate manufacturing or marketing efforts.

We may need to pursue litigation against others to enforce our patents and intellectual property rights. Patent litigation is expensive and requires substantial amounts of management attention. In addition, the eventual outcome of any such litigation is uncertain.

We believe that there will continue to be significant litigation in our industry regarding patent and other intellectual property rights. We have and many of our competitors have and are continuing to expend significant amounts of time, money and management resources on intellectual property litigation. If we become involved in future intellectual property litigation, it could consume a substantial portion of our resources and could negatively affect our results of operations.

Patent litigation involves substantial risks. Each time we sue for patent infringement we face the risk that the patent will be held invalid or unenforceable. Such a determination is binding on us for all future litigation involving that patent. Furthermore, in light of recent U.S. Supreme Court precedent, our ability to enforce our patents against state agencies, including state sponsored universities and research labs, is limited by the Eleventh Amendment to the U.S. Constitution. Finally, opposition by academicians and the government may hamper our ability to enforce our patent against academic or government research laboratories. Enforcement of our patents may cause our reputation in the academic community to be injured.

Issued patents may not fully protect our discoveries, and our competitors may be able to commercialize products similar to those covered by our issued patents

Issued patents may not provide commercially meaningful protection against competitors. Other companies or institutions may challenge our or our collaborators' patents or independently develop similar products that could result in an interference proceeding in the Patent and Trademark Office or a legal action. In the event any single researcher or institution infringes upon our or our collaborators' patent rights, enforcing these rights may be difficult and time consuming. Others may be able to design around these patents or develop unique products providing effects similar to our products. We may be required to choose between pursuing litigation against infringers and being unable to recover damages or otherwise enforce our patent rights.

In addition, others may discover uses for genes or proteins other than those uses covered in our patents, and these other uses may be separately patentable. Even if we have a patent claim on a particular gene, the holder of a patent covering the use of that gene could exclude us from selling a product that is based on the same use of that gene. In addition, with respect to certain of our patentable inventions, we have decided not to pursue patent protection outside the United States, both because we do not believe it is cost-effective and because of confidentiality concerns. Accordingly, our international competitors could develop, and receive foreign patent protection for gene sequences and functions for which we are seeking U.S. patent protection.

Our rights to the use of technologies licensed by third parties are not within our control

We rely, in part, on licenses to use certain technologies that are material to our business. We do not own the patents that underlie these licenses. Our rights to use these technologies and practice the inventions claimed in the licensed patents are subject to our licensors abiding by the terms of those licenses and not terminating them. In many cases, we do not control the prosecution or filing of the patents to which we hold licenses and rely upon our licensors to prevent infringement of those patents. The scope of our rights under our licenses may be subject to dispute by our licensors or third parties.

We may be unable to protect our trade secrets

While we have entered into confidentiality agreements with employees and collaborators, we may not be able to prevent the disclosure of our trade secrets. In addition, other companies or institutions may independently develop substantially equivalent information and techniques.

We may become subject to regulation under the Animal Welfare Act, which could subject us to additional costs and permit requirements

The Animal Welfare Act, or AWA, is the federal law that currently covers animals in laboratories. It applies to institutions or facilities using any regulated live animals for research, testing, teaching or experimentation, including diagnostic laboratories and private companies in the pharmaceutical and biotechnology industries. The AWA currently does not cover rats or mice. However, the United States Department of Agriculture, which enforces the AWA, has entered into a proposed settlement agreement under which it has agreed to commence the process of adopting regulations under the AWA to include mice within its coverage.

Currently, the AWA imposes a wide variety of specific regulations which govern the humane handling, care, treatment and transportation of certain animals by producers and users of research animals, most notably personnel, facilities, sanitation, cage size, feeding, watering and shipping conditions. If the USDA includes mice in its regulations, we will become subject to registration, inspections and reporting requirements. Compliance with the AWA could be expensive, and the regulations eventually adopted by the USDA could impair our research and production efforts.

We and our collaborators are subject to extensive and uncertain government regulatory requirements, which could increase our operating costs or adversely affect our ability to obtain government approval of products based on genes that we identify in a timely manner or at all

Since we develop animals containing changes in their genetic make-up, we may become subject to a variety of laws, guidelines, regulations and treaties specifically directed at genetically modified organisms, or GMOs. The area of environmental releases of GMOs is rapidly evolving and is currently subject to intense regulatory scrutiny, particularly internationally. If we become subject to these laws we could incur substantial compliance costs. For example, the Biosafety Protocol, or the BSP, a recently adopted treaty, is expected to cover certain shipments from the United States to countries abroad that have signed the BSP. The BSP is also expected to cover the importation of living modified organisms, a category that could include our animals. If our animals are not contained as described in the BSP, our animals could be subject to the potentially extensive import requirements of countries that are signatories to the BSP.

Drugs and diagnostic products are subject to an extensive and uncertain regulatory approval process by the FDA and comparable agencies in other countries. The regulation of new products is extensive, and the required

process of laboratory testing and human studies is lengthy and expensive. The burden of these regulations will fall on us to the extent we develop proprietary products on our own. If the products are the result of a collaboration effort, these burdens may fall on our collaborating partner or may be shared with us. We may not be able to obtain FDA approvals for those products in a timely manner, or at all. We may encounter significant delays or excessive costs in our efforts to secure necessary approvals or licenses. Even if we obtain FDA regulatory approvals, the FDA extensively regulates manufacturing, labeling, distributing, marketing, promotion and advertising after product approval. Moreover, several of our product development areas may involve relatively new technology and have not been the subject of extensive product testing in humans. The regulatory requirements governing these products and related clinical procedures remain uncertain and the products themselves may be subject to substantial review by foreign governmental regulatory authorities that could prevent or delay approval in those countries. Regulatory requirements ultimately imposed on our products could limit our ability to test, manufacture and, ultimately, commercialize our products.

The uncertainty of pharmaceutical pricing and reimbursement may decrease the commercial potential of our products and affect our ability to raise capital

Our ability and the ability of our collaborators to successfully commercialize pharmaceutical products may depend in part on the extent to which reimbursement for the cost of such products and related treatment will be available from government health administration authorities, private health coverage insurers and other organizations. The pricing, availability of distribution channels and reimbursement status of newly approved pharmaceutical products is highly uncertain. As a result, adequate third-party coverage may not be available for us to maintain price levels sufficient for realization of an appropriate return on our investment in product discovery and development.

In certain foreign markets, pricing or profitability of healthcare products is subject to government control. In the U.S., there have been, and we expect that there will continue to be, a number of federal and state proposals to implement similar governmental control. In addition, an increasing emphasis on managed care in the U.S. has and will continue to increase the pressure on pharmaceutical pricing. While we cannot predict the adoption of any such legislative or regulatory proposals or the effect such proposals or managed care efforts may have on our business, the announcement of such proposals or efforts could harm our ability to raise capital, and the adoption of such proposals or efforts could harm our results of operations. Further, to the extent that such proposals or efforts harm other pharmaceutical companies that are our prospective collaborators, this may reduce our ability to establish corporate collaborations. In addition, third-party payers are increasingly challenging the prices charged for medical products and services. We do not know whether consumers, third-party payers and others will consider any products that we or our collaborators develop to be cost effective or that reimbursement to the consumer will be available or will be sufficient to allow us or our collaborators to sell such products on a competitive basis.

Security risks in electronic commerce or unfavorable Internet regulation may deter future use of our products and services

We provide access to our databases and the opportunity to acquire our knockout mice on the Internet. A fundamental requirement to conduct Internet-based electronic commerce is the secure transmission of confidential information over public networks. Advances in computer capabilities, new discoveries in the field of cryptography or other developments may result in a compromise or breach of the algorithms we use to protect proprietary information in our OmniBank database. Anyone who is able to circumvent our security measures could misappropriate our proprietary information, confidential customer information or cause interruptions in our operations. We may be required to incur significant costs to protect against security breaches or to alleviate problems caused by breaches. Further, a well-publicized compromise of security could deter people from using the Internet to conduct transactions that involve transmitting confidential information.

Because of the growth in electronic commerce, Congress has held hearings on whether to regulate providers of services and transactions in the electronic commerce market, and federal or state authorities could enact laws, rules or regulations affecting our business or operations. If enacted and applied to our business, these laws, rules or regulations could render our business or operations more costly, burdensome, less efficient or impracticable.

We use hazardous chemicals and radioactive and biological materials in our business; any disputes relating to improper handling, storage or disposal of these materials could be time consuming and costly

Our research and development processes involve the use of hazardous materials, including chemicals and radioactive and biological materials. Our operations also produce hazardous waste products. We cannot eliminate the risk of accidental contamination or discharge or any resultant injury from these materials. Federal, state and local laws and regulations govern the use, manufacture, storage, handling and disposal of these materials. We could be subject to civil damages in the event of an improper or unauthorized release of, or exposure of individuals to, these hazardous materials. In addition, claimants may sue us for injury or contamination that results from our use or the use by third parties of these materials, and our liability may exceed our total assets. Compliance with environmental laws and regulations may be expensive, and current or future environmental regulations may impair our research, development or production efforts.

We may be sued for product liability

We or our collaborators may be held liable if any product we or our collaborators develop, or any product which is made with the use or incorporation of any of our technologies, causes injury or is found otherwise unsuitable during product testing, manufacturing, marketing or sale. Although we currently have and intend to maintain product liability insurance, this insurance may become prohibitively expensive, or may not fully cover our potential liabilities. Inability to obtain sufficient insurance coverage at an acceptable cost or otherwise to protect against potential product liability claims could prevent or inhibit the commercialization of products developed by us or our collaborators. If we are sued for any injury caused by our or our collaborators' products, our liability could exceed our total assets.

Public perception of ethical and social issues may limit or discourage the use of our technologies, which could reduce our revenues

Our success will depend in part upon our ability to develop products discovered through our knockout mouse technologies. Governmental authorities could, for ethical, social or other purposes, limit the use of genetic processes or prohibit the practice of our knockout mouse technologies. Claims that genetically engineered products are unsafe for consumption or pose a danger to the environment may influence public perceptions. The subject of genetically modified organisms, like knockout mice, has received negative publicity and aroused public debate in some countries. Ethical and other concerns about our technologies, particularly the use of genes from nature for commercial purposes and the products resulting from this use, could adversely affect the market acceptance of our technologies.

Item 2. ***Properties***

We currently lease approximately 300,000 square feet of space for our corporate offices and laboratories in buildings located in The Woodlands, Texas, a suburb of Houston, Texas, and approximately 49,000 square feet of space for offices and laboratories near Princeton, New Jersey.

Our facilities in The Woodlands, Texas include two state-of-the art animal facilities totaling approximately 100,000 square feet. These facilities, completed in 1999 and 2002, respectively, were custom designed for the generation and analysis of knockout mice and are accredited by AAALAC International (Association for Assessment and Accreditation of Laboratory Animal Care). These facilities enable us to maintain in-house control over our entire *in vivo* validation process, from the generation of ES cell clones through the completion of *in vivo* analysis, in a specific pathogen free (SPF) environment. We believe these facilities, which are among the largest and most sophisticated of their kind in the world, provide us with significant strategic and operational advantages relative to our competitors.

In October 2000, we entered into a synthetic lease agreement under which the lessor purchased our existing laboratory and office buildings and animal facility in The Woodlands, Texas and agreed to fund the construction of an additional laboratory and office building and a second animal facility. The synthetic lease agreement was subsequently expanded to include funding for the construction of a central plant facility. Including the purchase price for our existing facilities, the synthetic lease, as amended, provides for funding of up to $55.0 million in

property and improvements. The term of the agreement is six years, which includes the construction period and a lease period. Lease payments for the new facilities began upon completion of construction, which occurred at the end of the first quarter of 2002. Lease payments are subject to fluctuation based on LIBOR rates. Based on a year-end LIBOR rate of 1.9%, our total lease payments for our existing facilities and the new facilities would be approximately $1.2 million per year. At the end of the lease term, the lease may be extended for one-year terms, up to seven additional terms, or we may purchase the properties for a price including the outstanding lease balance. If we elect not to renew the lease or purchase the properties, we may arrange for the sale of the properties to a third party or surrender the properties to the lessor. If we elect to arrange for the sale of the properties or surrender the properties to the lessor, we have guaranteed approximately 86% of the total original cost as the residual fair value of the properties.

We lease our principal New Jersey facilities in East Windsor, New Jersey through our subsidiary (formerly Coelacanth Corporation) under an agreement that expires in January 2004. We also lease space in New Brunswick, New Jersey under an agreement that expires in December 2002. Our aggregate rent expense under the New Jersey leases is approximately $872,000 per year. We believe that these facilities are well-maintained, in good operating condition and acceptable for our current operations. The growth of our Lexicon Pharmaceuticals division, however, has created space pressures to which we have responded with plans to provide appropriate facilities as needs are demonstrated.

Item 3. *Legal Proceedings*

On May 24, 2000, we filed a complaint against Deltagen, Inc. in U.S. District Court for the District of Delaware alleging that Deltagen was willfully infringing the claims of United States Patent No. 5,789,215, under which we hold an exclusive license from GenPharm International, Inc. This patent covers methods of engineering the animal genome, including methods for the production of knockout mice by homologous recombination, using isogenic DNA technology. In the complaint, we sought unspecified damages from Deltagen, as well as injunctive relief. Deltagen counterclaimed for a declaratory judgment that the patent was invalid and unenforceable and was not infringed by Deltagen. On November 14, 2000, Deltagen filed an amended counterclaim alleging antitrust claims against us and GenPharm, for which Deltagen sought unspecified damages.

On October 13, 2000, we filed a second complaint against Deltagen, Inc. in U.S. District Court for the Northern District of California alleging that Deltagen was willfully infringing the claims of United States Patents Nos. 5,464,764, 5,487,992, 5,627,059, and 5,631,153, under which we also hold exclusive licenses from GenPharm International. We subsequently supplemented our complaint to include claims under U.S. Patent No. 6,204,061. These patents cover methods and vectors for using positive-negative selection for producing gene targeted, or "knockout," cells and animals, including the production of knockout mice by homologous recombination. In the complaint, we sought unspecified damages from Deltagen, as well as injunctive relief. Deltagen counterclaimed for a declaratory judgment that the patents were invalid and unenforceable and were not infringed by Deltagen.

On September 19, 2001, we entered into a settlement of our patent infringement litigation against Deltagen. Under the terms of the settlement, Deltagen obtained a license under the patents covering our gene targeting technologies, Lexicon obtained access to Deltagen's DeltaBase™ database of mammalian genes and their *in vivo* functions, and all of the claims and counterclaims in our litigation against Deltagen were dismissed with prejudice. Our access to DeltaBase includes non-exclusive, perpetual licenses to the 250 drug targets represented in DeltaBase at the time of the settlement and the 1,000 additional drug targets that are to be added to DeltaBase over the subsequent four years. We will have the opportunity to receive payments for Deltagen's fee-for-service generation of knockout mice, and Deltagen will have the opportunity to receive milestone and royalty payments for potential therapeutic and diagnostic products we may develop from drug targets in DeltaBase. Neither party will pay access or license fees. We believe the terms of the settlement are favorable to us, and consider the settlement to be a successful resolution of our patent infringement litigation against Deltagen.

We are not presently a party to any material legal proceedings.

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

No matters were submitted during the fourth quarter of the year ended December 31, 2001.

PART II

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

Our common stock has been quoted on The Nasdaq National Market under the symbol "LEXG" since April 7, 2000. Prior to that time, there was no public market for our common stock. The following table sets forth, for the periods indicated, the range of the high and low closing prices per share for our common stock as reported on The Nasdaq National Market.

	High	Low
2000		
Second Quarter (April 1, 2000 through June 30, 2000)	$35.00	$ 9.12
Third Quarter	$47.12	$24.69
Fourth Quarter	$29.56	$10.75
2001		
First Quarter	$15.00	$ 6.56
Second Quarter	$12.50	$ 5.69
Third Quarter	$12.75	$ 5.87
Fourth Quarter	$11.90	$ 7.30

As of March 19, 2002, there were approximately 229 holders of record of our common stock.

We have never paid cash dividends on our common stock. We anticipate that we will retain all of our future earnings, if any, for use in the expansion and operation of our business and do not anticipate paying cash dividends in the foreseeable future.

Item 6. *Selected Financial Data*

The statements of operations data for each of the years ended December 31, 2001, 2000 and 1999, and the balance sheet data as of December 31, 2001 and 2000, have been derived from our audited financial statements included elsewhere in this annual report on Form 10-K that have been audited by Arthur Andersen LLP, independent public accountants. The statements of operations data for the years ended December 31, 1998 and 1997, and the balance sheet data as of December 31, 1999, 1998 and 1997 have been derived from our audited financial statements not included in this annual report on Form 10-K. Our historical results are not necessarily indicative of results to be expected for any future period. The data presented below have been derived from financial statements that have been prepared in accordance with accounting principles generally accepted in the United States and should be read with our financial statements, including the notes, and with "Management's Discussion and Analysis of Financial Condition and Results of Operations" included elsewhere in this annual report on Form 10-K.

	Year Ended December 31,				
	2001	2000	1999	1998	1997
Statements of Operations Data:	(in thousands, except per share data)				
Revenues	$ 30,577	$ 14,459	$ 4,738	$ 2,242	$ 968
Operating expenses:					
Research and development, including stock-based compensation of $5,539 in 2001 and $10,883 in 2000	53,355	31,647	14,646	8,410	4,971
General and administrative, including stock-based compensation of $5,231 in 2001 and $9,958 in 2000	20,861	18,289	2,913	2,024	1,473
Total operating expenses	74,216	49,936	17,559	10,434	6,444
Loss from operations	(43,639)	(35,477)	(12,821)	(8,192)	(5,476)
Interest and other income, net	8,467	9,483	346	711	74
Net loss	(35,172)	(25,994)	(12,475)	(7,481)	(5,402)
Accretion on redeemable convertible preferred stock	—	(134)	(536)	(357)	—
Net loss attributable to common stockholders	$ (35,172)	$ (26,128)	$ (13,011)	$ (7,838)	$ (5,402)
Net loss per common share, basic and diluted	$ (0.70)	$ (0.63)	$ (0.53)	$ (0.32)	$ (0.23)
Shares used in computing net loss per common share, basic and diluted	50,213	41,618	24,530	24,445	23,989

	As of December 31,				
	2001	2000	1999	1998	1997
Balance Sheet Data:	(in thousands)				
Cash, cash equivalents and investments	$ 166,840	$ 202,680	$ 9,156	$ 19,422	$ 1,980
Working capital	147,663	194,801	2,021	18,102	1,009
Total assets	239,990	220,693	22,295	28,516	4,917
Long-term debt, net of current portion	—	1,834	3,577	5,024	5,268
Redeemable convertible preferred stock	—	—	30,050	29,515	—
Accumulated deficit	(90,075)	(54,903)	(28,909)	(16,434)	(8,953)
Stockholders' equity (deficit)	218,372	207,628	(21,937)	(9,035)	(1,931)

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

The following discussion and analysis should be read with "Selected Financial Data" and our financial statements and notes included elsewhere in this annual report on Form 10-K.

Overview

We are a biopharmaceutical company focused on the discovery of breakthrough treatments for human disease. We are using gene knockout technology to systematically discover in living mammals, or *in vivo*, the functions and pharmaceutical utility of genes. We generate our gene function discoveries using knockout mice – mice whose DNA has been altered to disrupt, or "knock out," the function of the altered gene. Our patented gene trapping and gene targeting technologies enable us to rapidly generate these knockout mice by altering the DNA of genes in a special variety of mouse cells, called embryonic stem (ES) cells, which can be cloned and used to generate mice with the altered gene. We employ an integrated platform of advanced medical technologies to systematically discover and validate, *in vivo*, the functions and pharmaceutical utility of the genes we have knocked out and the potential targets for therapeutic intervention, or drug targets, they encode.

We employ internal resources and drug discovery alliances to discover potential small molecule drugs, therapeutic antibodies and therapeutic proteins for *in vivo*-validated drug targets that we consider to have high pharmaceutical value. We use our own sophisticated libraries of drug-like chemical compounds and an industrialized medicinal chemistry platform to identify small molecule drug candidates for our *in vivo*-validated drug targets. We have established alliances with Abgenix, Inc. for the discovery and development of therapeutic antibodies based on our drug target discoveries and with Incyte Genomics, Inc. for the discovery and development of therapeutic proteins. In addition, we have established collaborations and license agreements with many other leading pharmaceutical and biotechnology companies under which we receive fees and, in many cases, are eligible to receive milestone and royalty payments, for access to some of our technologies and discoveries for use in their own drug discovery efforts.

We derive substantially all of our revenues from subscriptions to our databases, drug discovery alliances, functional genomics collaborations for the development and, in some cases, analysis of the physiological effects of genes altered in knockout mice, technology licenses and compound library sales. To date, we have generated a substantial portion of our revenues from a limited number of sources.

Our operating results and, in particular, our ability to generate additional revenues are dependent on many factors, including our success in establishing new database subscriptions, research collaborations and technology licenses, expirations of our database subscription and research collaborations, the success rate of our discovery efforts leading to opportunities for new research collaborations and licenses, as well as milestone payments and royalties, the timing and willingness of collaborators to commercialize products which may result in royalties, and general and industry-specific economic conditions which may affect research and development expenditures. Our future revenues from database subscriptions, collaborations and alliances are uncertain because our existing agreements have fixed terms or relate to specific projects of limited duration. Our future revenues from technology licenses are uncertain because they depend, in large part, on securing new agreements. Subject to limited exceptions, we do not intend to continue to make our compound libraries available for purchase in the future. Our ability to secure future revenue-generating agreements will depend upon our ability to address the needs of our potential future subscribers, collaborators and licensees, and to negotiate agreements that we believe are in our long-term best interests. We may determine that our interests are better served by retaining rights to our discoveries and advancing our therapeutic programs to a later stage, which could limit our near-term revenues. Because of these and other factors, our quarterly operating results have fluctuated in the past and are likely to do so in the future, and we do not believe that quarter-to-quarter comparisons of our operating results are a good indication of our future performance.

Since our inception, we have incurred significant losses and, as of December 31, 2001, we had an accumulated deficit of $90.1 million. Our losses have resulted principally from costs incurred in research and development, general and administrative costs associated with our operations, and non-cash stock-based compensation expenses associated with stock options granted to employees and consultants prior to our April 2000 initial public offering. Research and development expenses consist primarily of salaries and related personnel costs,

material costs, legal expenses resulting from intellectual property prosecution and other expenses related to our drug discovery and LexVision programs, the development and analysis of knockout mice and our other functional genomics research efforts, and the development of compound libraries. General and administrative expenses consist primarily of salaries and related expenses for executive, finance and other administrative personnel, professional fees and other corporate expenses including business development and general legal activities, as well as expenses related to our recently-settled patent infringement litigation against Deltagen, Inc. In connection with the expansion of our drug discovery programs and our functional genomics research efforts, we expect to incur increasing research and development and general and administrative costs. As a result, we will need to generate significantly higher revenues to achieve profitability.

As of December 31, 2001, we had net operating loss carryforwards of approximately $41.5 million. We also had research and development tax credit carryforwards of approximately $4.6 million. The net operating loss and credit carryforwards will expire at various dates beginning in 2011, if not utilized. Utilization of the net operating losses and credits may be significantly limited due to a change in ownership as defined by provisions of the Internal Revenue Code of 1986 and similar state provisions. The annual limitation may result in the expiration of net operating losses and credits before utilization.

Critical Accounting Policies

Revenue Recognition

Fees for access to our databases and other functional genomics resources are recognized ratably over the subscription or access period. Payments received in advance under these arrangements are recorded as deferred revenue until earned. Collaborative research payments are non-refundable, regardless of the success of the research effort, and are recognized as revenue as we perform our obligations related to such research. Milestone-based fees are recognized upon completion of specified milestones according to contract terms. Non-refundable technology license fees are recognized as revenue upon the grant of the license to third parties, when performance is complete and there is no continuing involvement. A change in our revenue recognition policy or changes in the terms of contracts under which we recognize revenues could have an impact on the amount and timing of our recognition of revenues.

Research and Development Expenses

Research and development expenses consist of costs incurred for company-sponsored as well as collaborative research and development activities. These costs include direct and research-related overhead expenses and are expensed as incurred. Patent costs and technology license fees for technologies that are utilized in research and development and have no alternative future use are expensed when incurred.

Stock-Based Compensation

Deferred stock-based compensation and related amortization represents the difference between the exercise price of stock options granted and the fair value of our common stock at the applicable date of grant. Stock-based compensation is amortized as research and development expense or general and administrative expense, as appropriate, over the vesting period of the individual stock options for which it was recorded, generally four years. If employees and consultants continue to vest in accordance with their individual stock options, we expect to record amortization expense for deferred stock-based compensation as follows: $10.7 million during 2002, $10.6 million during 2003 and $1.0 million during 2004. The amount of stock-based compensation expense to be recorded in future periods may decrease if unvested options for which deferred stock-based compensation has been recorded are subsequently canceled or forfeited or may increase if additional options are granted to individuals other than employees or directors.

Recent Accounting Pronouncements

In June 2001, the Financial Accounting Standards Board, or FASB, issued Statement of Financial Accounting Standards, or SFAS, No. 141, "Business Combinations," and No. 142, "Goodwill and Other Intangible Assets." These statements, which we adopted in the third quarter of 2001, generally require that all business

combinations initiated after June 30, 2001 be accounted for using the purchase method. Additionally, any resulting goodwill will not be amortized, but rather will be subject to at least an annual impairment test. Acquired intangible assets will be separately recognized and amortized over their useful lives.

In August 2001, the FASB issued SFAS No. 144, "Accounting for the Impairment or Disposal of Long-Lived Assets." This new standard on asset impairment supersedes SFAS No. 121, "Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to be Disposed Of," and will be effective for the fiscal year beginning January 1, 2002. We believe that the adoption of this standard will not have a material impact on our financial statements.

Results of Operations

Years Ended December 31, 2001 and 2000

Revenues. Total revenues increased 111% to $30.6 million in 2001 from $14.5 million in 2000. Of the $16.1 million increase in total revenues, $10.2 million was derived from increased database subscription and technology license fees, $1.7 million was derived from increased revenues from functional genomics collaborations for the development and analysis of knockout mice and $4.5 million was derived from revenues from compound library sales. These increases were partially offset by a $0.3 million decrease in other revenue. Subject to limited exceptions, we do not intend to continue to make our compound libraries available for purchase in the future and, as a result, do not expect to recognize significant revenues from compound library sales in future periods.

In 2001, Incyte Genomics, Inc., Bristol-Myers Squibb Company and Merck & Co., Inc. represented 16%, 13% and 12% of revenues, respectively. In 2000, the Merck Genome Research Institute, or MGRI, and Millennium Pharmaceuticals, Inc. represented 35% and 14% of revenues, respectively.

Research and Development Expenses. Research and development expenses, including stock-based compensation expense, increased 69% to $53.4 million in 2001 from $31.6 million in 2000. The increase of $21.8 million was attributable to continued growth of research and development activities, primarily related to increased personnel costs to support the expansion of our drug discovery programs, the development and analysis of knockout mice and our other functional genomics research efforts, offset in part by lower stock-based compensation in 2001. Research and development expenses for 2001 and 2000 included $5.5 million and $10.9 million, respectively, of stock-based compensation primarily relating to option grants made prior to our April 2000 initial public offering.

General and Administrative Expenses. General and administrative expenses, including stock-based compensation expense, increased 14% to $20.9 million in 2001 from $18.3 million in 2000. The increase of $2.6 million was due primarily to additional personnel costs for business development and finance and administration, as well as expenses associated with our recently-settled patent infringement litigation against Deltagen, Inc., offset in part by lower stock-based compensation in 2001. General and administrative expenses for 2001 and 2000 included $5.2 million and $10.0 million, respectively, of stock-based compensation primarily relating to option grants made prior to our April 2000 initial public offering. We incurred expenses associated with the Deltagen litigation of $3.2 million and $0.8 million in 2001 and 2000, respectively.

Interest and Other Income, Net. Interest and other income decreased 11% to $8.8 million in 2001 from $9.9 million in 2000. This decrease resulted from lower cash and investment balances and lower average interest rates on our investments. Interest expense decreased 26% to $0.3 million in 2001 from $0.4 million in 2000.

Net Loss and Net Loss Per Common Share. Net loss attributable to common stockholders increased to $35.2 million in 2001 from $26.1 million in 2000. Net loss per common share increased to $0.70 in 2001 from $0.63 in 2000. Excluding stock-based compensation expense and assuming the conversion of the redeemable convertible preferred stock into common stock occurred on the date of original issuance (May 1998), we would have had a net loss of $24.4 million and $5.2 million in 2001and 2000, respectively, and net loss per common share of $0.49 and $0.11 in 2001 and 2000, respectively.

Years Ended December 31, 2000 and 1999

Revenues. Total revenues increased 205% to $14.5 million in 2000 from $4.7 million in 1999. Of the $9.8 million increase in total revenues, $2.4 million was derived from increased database subscription and technology license fees and $7.4 million was derived from increased revenues from functional genomics collaborations for the development and analysis of knockout mice.

In 2000, MGRI and Millennium represented 35% and 14% of revenues, respectively. Our revenues from MGRI included revenues recognized in connection with the September 2000 conclusion of our 1997 agreement with MGRI, including the recognition of $3.1 million of deferred revenues remaining from the $4.0 million cash payment made to us by MGRI when the agreement was signed and an additional $1.0 million of revenue related to a final, non-refundable cash payment that we received from MGRI. In 1999, Millennium and ZymoGenetics, Inc. represented 28% and 23% of revenues, respectively.

Research and Development Expenses. Research and development expenses, including stock-based compensation expense, increased 116% to $31.6 million in 2000 from $14.6 million in 1999. The largest part of the increase, $10.9 million, or 64% of the increase, represented stock-based compensation relating to option grants made prior to our April 2000 initial public offering. The remaining increase of $6.1 million was attributable to continued growth of research and development activities, primarily related to increased personnel costs to support the expansion of our drug discovery and LexVision programs, our OmniBank database and library, the development and analysis of knockout mice and our other functional genomics research efforts.

General and Administrative Expenses. General and administrative expenses, including stock-based compensation expense, increased 528% to $18.3 million in 2000 from $2.9 million in 1999. The largest part of the increase, $10.0 million, or 65% of the increase, represented stock-based compensation relating to option grants made prior to our April 2000 initial public offering. The remaining increase of $5.4 million was due primarily to additional personnel costs for business development and finance and administration, as well as expenses associated with our recently-settled patent infringement litigation against Deltagen.

Interest and Other Income, Net. Interest income increased 1,426% to $9.9 million in 2000 from $0.6 million in 1999. This increase resulted from an increased cash and investment balance as a result of proceeds received in our initial public offering. Interest expense increased 39% to $0.4 million in 2000 from $0.3 million in 1999.

Net Loss and Net Loss Per Common Share. Net loss attributable to common stockholders increased to $26.1 million in 2000 from $13.0 million in 1999. Net loss per common share increased to $0.63 in 2000 from $0.53 in 1999. Most of the net loss for 2000 was attributable to stock-based compensation expense. Excluding stock-based compensation expense and assuming the conversion of the redeemable convertible preferred stock into common stock occurred on the date of original issuance (May 1998), we would have had a net loss of $5.2 million and $12.5 million in 2000 and 1999, respectively, and net loss per common share of $0.11 and $0.33 in 2000 and 1999, respectively.

Liquidity and Capital Resources

We have financed our operations from inception primarily through sales of common and preferred stock, contract and milestone payments to us under our database subscription, collaboration and license agreements, equipment financing arrangements and leasing arrangements. From our inception through December 31, 2001, we had received net proceeds of $242.1 million from issuances of common and preferred stock, including $203.2 million of net proceeds from the initial public offering of our common stock in April 2000. In addition, from our inception through December 31, 2001, we received $61.8 million in cash payments from database subscription and technology license fees, drug discovery alliances, functional genomics collaborations, sales of compound libraries and reagents and government grants, of which $53.3 million had been recognized as revenues through December 31, 2001.

As of December 31, 2001, we had $156.4 million in cash, cash equivalents and short-term investments, as compared to $202.7 million as of December 31, 2000. We also had $10.4 million of long-term investments at December 31, 2001. We used cash of $18.0 million in operations in 2001. This consisted of the net loss for the year of $35.2 million offset by non-cash charges of $10.8 million related to stock-based compensation expense, $5.2 million related to depreciation expense, $0.6 million related to amortization of intangible assets, and further offset by a net increase in working capital accounts and other liabilities of $0.6 million. Investing activities provided cash of $6.4 million in 2001, principally as a result of net maturities of short-term investments, offset in part by purchases of property and equipment and purchases of long-term investments. We used cash of $3.2 million in financing activities in 2001, principally as a result of our repayment of indebtedness.

In October 2000, we entered into a synthetic lease agreement under which the lessor purchased our existing laboratory and office buildings and animal facility in The Woodlands, Texas and agreed to fund the construction of an additional laboratory and office building and a second animal facility. The synthetic lease agreement was subsequently expanded to include funding for the construction of a central plant facility for the distribution of utilities and related services among our facilities. Including the purchase price for our existing facilities, the synthetic lease, as amended, provides for funding of up to $55.0 million in property and improvements. The term of the agreement is six years, which includes the construction period and a lease period. Lease payments for the new facilities began upon completion of construction, which occurred at the end of the first quarter of 2002. Lease payments are subject to fluctuation based on LIBOR rates. Based on a year-end LIBOR rate of 1.9%, our total lease payments would be approximately $1.2 million per year. At the end of the lease term, the lease may be extended for one-year terms, up to seven additional terms, or we may purchase the properties for a price including the outstanding lease balance. If we elect not to renew the lease or purchase the properties, we may arrange for the sale of the properties to a third party or surrender the properties to the lessor. If we elect to arrange for the sale of the properties or surrender the properties to the lessor, we have guaranteed approximately 86% of the total original cost as the residual fair value of the properties. We are required to maintain restricted cash or investments to collateralize borrowings made under the synthetic lease agreement. In addition, we have agreed to maintain cash and investments of at least $35.0 million in excess of our restricted cash and investments. If our cash and investments fall below that level, we may be required to seek a waiver of that agreement or to purchase the properties or arrange for their sale to a third party. Because our cost to purchase the properties would not materially exceed the amount of restricted cash and investments we are required to maintain under the synthetic lease, we believe that any requirement that we do so would not have a material adverse effect on our financial condition. As of December 31, 2001 and 2000, we maintained restricted cash and investments of $43.3 million and $13.9 million, respectively, to collateralize borrowings of $41.7 million and $13.4 million.

On February 13, 2002, the Financial Accounting Standards Board, or FASB, announced that it intends to propose for adoption before the end of 2002 that companies be required to consolidate special purpose entities, such as the lessor under our synthetic lease, on their balance sheets if those entities have outside equity investment representing less than 10 percent of their capitalization. Under present rules, companies need not consolidate such special purpose entities on their balance sheets if an independent third party holds at-risk equity representing at least three percent of the entity's capitalization and certain other criteria are satisfied. While the lessor under our synthetic lease qualifies for off-balance sheet treatment under current rules, we would be required to consolidate the lessor on our balance sheet if the FASB's intended proposal is adopted. If such consolidation were required, our balance sheet would reflect as assets additional property and equipment approximating the amount funded under the synthetic lease for property and improvements and the same amount as a liability. In addition, we would be required to depreciate such property and improvements over their useful lives. We believe that the consolidation of the lessor, if required, would not have a material adverse effect on our financial condition or results of operations. We will continue to monitor the FASB's proposals and evaluate their impact on our synthetic lease.

Our future capital requirements will be substantial and will depend on many factors, including our ability to obtain database subscription, alliance, collaboration and technology license agreements, the amount and timing of payments under such agreements, the level and timing of our research and development expenditures, market acceptance of our products, the resources we devote to developing and supporting our products and other factors. Our capital requirements will also be affected by any expenditures we make in connection with license agreements and acquisitions of and investments in complementary technologies and businesses. We expect to devote substantial capital resources to continue our research and development efforts, to expand our support and product development activities, and for other general corporate activities. We believe that our current cash and investment balances and

revenues we expect to derive from subscriptions to our databases, functional genomics collaborations, technology licenses and drug discovery alliances will be sufficient to fund our operations for at least the next two years. During or after this period, if cash generated by operations is insufficient to satisfy our liquidity requirements, we will need to sell additional equity or debt securities or obtain additional credit arrangements. Additional financing may not be available on terms acceptable to us or at all. The sale of additional equity or convertible debt securities may result in additional dilution to our stockholders.

Impact of Inflation

The effect of inflation and changing prices on our operations was not significant during the periods presented.

Disclosure about Market Risk

We are exposed to limited market and credit risk on our cash equivalents which have maturities of three months or less. We maintain a short-term investment portfolio which consists of U.S. government agency debt obligations and investment grade commercial paper that mature three to twelve months from the time of purchase, which we believe are subject to limited market and credit risk. Additionally, we hold long-term investments consisting of U.S. government agency debt obligations with a maturity of greater than twelve months from the time of purchase. These investments are also subject to market risk and credit risk. A hypothetical one percent increase in market rates would result in a decrease of approximately $0.9 million in the fair value of our long-term investments as of December 31, 2001. We currently do not hedge interest rate exposure or hold any derivative financial instruments in our investment portfolio.

We have operated primarily in the United States and substantially all sales to date have been made in U.S. dollars. Accordingly, we have not had any material exposure to foreign currency rate fluctuations.

Item 7A. *Quantitative and Qualitative Disclosures About Market Risk*

See "Disclosure about Market Risk" under "Item 7. Management's Discussion and Analysis of Financial Condition and Results of Operations" for quantitative and qualitative disclosures about market risk.

Item 8. *Financial Statements and Supplementary Data*

The financial statements required by this Item are incorporated under Item 14 in Part IV of this report.

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

None.

PART III

Item 10. *Directors and Executive Officers of the Registrant*

The information required by this Item as to our directors and executive officers is hereby incorporated by reference from the information appearing under the captions "Election of Directors" and "Executive Officers" in our definitive proxy statement which involves the election of directors and is to be filed with the Securities and Exchange Commission pursuant to the Securities Exchange Act of 1934 within 120 days of the end of our fiscal year on December 31, 2001.

Item 11. *Executive Compensation*

The information required by this Item as to our management is hereby incorporated by reference from the information appearing under the captions "Executive Compensation" and "Election of Director – Director Compensation" in our definitive proxy statement which involves the election of directors and is to be filed with the Commission pursuant to the Securities Exchange Act of 1934 within 120 days of the end of our fiscal year on December 31, 2001. Notwithstanding the foregoing, in accordance with the instructions to Item 402 of Regulation S-K, the information contained in our proxy statement under the sub-heading "Report of the Compensation Committee of the Board of Directors" and "Performance Graph" shall not be deemed to be filed as part of or incorporated by reference into this annual report on Form 10-K.

Item 12. *Security Ownership of Certain Beneficial Owners and Management and Related Stockholder Matters*

The information required by this Item as to the ownership by management and others of our securities and as to our equity compensation plans is hereby incorporated by reference from the information appearing under the caption "Stock Ownership of Certain Beneficial Owners and Management" and "Equity Compensation Plan Information" in our definitive proxy statement which involves the election of directors and is to be filed with the Commission pursuant to the Securities Exchange Act of 1934 within 120 days of the end of our fiscal year on December 31, 2001.

Item 13. *Certain Relationships and Related Transactions*

The information required by this Item as to certain business relationships and transactions with our management and other related parties is hereby incorporated by reference to such information appearing under the captions "Certain Transactions" and "Compensation Committee Interlocks and Insider Participation" in our definitive proxy statement which involves the election of directors and is to be filed with the Commission pursuant to the Securities Exchange Act of 1934 within 120 days of the end of our fiscal year on December 31, 2001.

PART IV

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

(a) Documents filed as a part of this report:

1. Consolidated Financial Statements

All other financial statement schedules are omitted because they are not applicable or not required, or because the required information is included in the financial statements or notes thereto.

2. Exhibits

Exhibit No.		Description
3.1	—	Restated Certificate of Incorporation (filed as Exhibit 3.1 to the Company's Registration Statement on Form S-1 (Registration No. 333-96469) and incorporated by reference herein).
3.2	—	Restated Bylaws (filed as Exhibit 3.2 to the Company's Registration Statement on Form S-1 (Registration No. 333-96469) and incorporated by reference herein).
10.1	—	Employment Agreement with Arthur T. Sands, M.D., Ph.D. (filed as Exhibit 10.1 to the Company's Registration Statement on Form S-1 (Registration No. 333-96469) and incorporated by reference herein).
10.2	—	Employment Agreement with James R. Piggott, Ph.D. (filed as Exhibit 10.2 to the Company's Registration Statement on Form S-1 (Registration No. 333-96469) and incorporated by reference herein).
10.3	—	Employment Agreement with Jeffrey L. Wade, J.D. (filed as Exhibit 10.3 to the Company's Registration Statement on Form S-1 (Registration No. 333-96469) and incorporated by reference herein).
10.4	—	Employment Agreement with Brian P. Zambrowicz, Ph.D. (filed as Exhibit 10.4 to the Company's Registration Statement on Form S-1 (Registration No. 333-96469) and incorporated by reference herein).
10.5	—	Employment Agreement with Julia P. Gregory (filed as Exhibit 10.5 to the Company's Registration Statement on Form S-1 (Registration No. 333-96469) and incorporated by reference herein).
10.6	—	Employment Agreement with Randall B. Riggs (filed as Exhibit 10.6 to the Company's Registration Statement on Form S-1 (Registration No. 333-96469) and incorporated by reference herein).
10.7	—	Employment Agreement with Alan Main, Ph.D. (filed as Exhibit 10.1 to the Company's Quarterly Report on Form 10-Q for the period ended September 30, 2001 and incorporated by reference herein).

Exhibit No.		Description
10.8	—	Employment Agreement with Hartmuth Kolb, Ph.D. (filed as Exhibit 10.2 to the Company's Quarterly Report on Form 10-Q for the period ended September 30, 2001 and incorporated by reference herein).
10.9	—	Employment Agreement with David Boulton (filed as Exhibit 10.3 to the Company's Quarterly Report on Form 10-Q for the period ended September 30, 2001 and incorporated by reference herein).
10.10	—	Form of Indemnification Agreement with Officers and Directors (filed as Exhibit 10.7 to the Company's Registration Statement on Form S-1 (Registration No. 333-96469) and incorporated by reference herein).
10.11	—	2000 Equity Incentive Plan (filed as Exhibit 10.8 to the Company's Registration Statement on Form S-1 (Registration No. 333-96469) and incorporated by reference herein).
10.12	—	2000 Non-Employee Directors' Stock Option Plan (filed as Exhibit 10.9 to the Company's Registration Statement on Form S-1 (Registration No. 333-96469) and incorporated by reference herein).
10.13	—	Coelacanth Corporation 1999 Stock Option Plan (filed as Exhibit 99.1 to the Company's Registration Statement on Form S-8 (Registration No. 333-66380) and incorporated by reference herein).
†10.14	—	LexVision Database and Collaboration Agreement, dated September 26, 2000, with Bristol-Myers Squibb Company (filed as Exhibit 16.1 to the Company's Current Report on Form 8-K dated September 26, 2000 and incorporated by reference herein).
†10.15	—	LexVision Database and Collaboration Agreement, dated June 27, 2001, with Incyte Genomics, Inc. (filed as Exhibit 10.2 to the Company's Quarterly Report on Form 10-Q for the period ended June 30, 2001 and incorporated by reference herein).
†10.16	—	Therapeutic Protein Alliance Agreement, dated June 27, 2001, with Incyte Genomics, Inc. (filed as Exhibit 10.3 to the Company's Quarterly Report on Form 10-Q for the period ended June 30, 2001 and incorporated by reference herein).
10.17	—	Synthetic Lease Financing Facility with First Security Bank, National Association, the Lenders and Holders named therein, and Bank of America, N.A. (filed as Exhibit 10.12 to the Company's Annual Report on Form 10-K for the year ended December 31, 2000 and incorporated by reference herein).
*10.18	—	Lease Agreement, dated October 21, 1998, between Coelacanth Chemical Corporation and ARE-279 Princeton Road, LLC.
*21.1	—	Subsidiaries
*23.1	—	Consent of Arthur Andersen LLP
*24.1	—	Power of Attorney (contained in signature page)
*99.1	—	Letter to the Securities and Exchange Commission regarding Audit Assurances

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* Filed herewith.

† Confidential treatment has been requested for a portion of this exhibit. The confidential portions of this exhibit have been omitted and filed separately with the Securities and Exchange Commission.

(b) Reports on Form 8-K:

None.

Signatures

Pursuant to the requirements of Section 13 or 15(d) of the Securities Act of 1934, the registrant has duly caused this report to be signed on its behalf by the undersigned thereunto duly authorized.

Lexicon Genetics Incorporated

Date: March 22, 2002

By: /s/ ARTHUR T. SANDS
Arthur T. Sands, M.D., Ph.D.
President and Chief Executive Officer

Date: March 22, 2002

By: /s/ JULIA P. GREGORY
Julia P. Gregory
Executive Vice President and Chief Financial Officer

Power of Attorney

KNOW ALL PERSONS BY THESE PRESENTS, that each person whose signature appears below constitutes and appoints Julia P. Gregory and Jeffrey L. Wade, or either of them, each with the power of substitution, his or her attorney-in-fact, to sign any amendments to this Form 10-K, and to file the same, with exhibits thereto and other documents in connection therewith, with the Securities and Exchange Commission, here ratifying and confirming all that each of said attorneys-in-fact, or his or her substitute or substitutes, may do or cause to be done by virtue hereof.

Pursuant to the requirements of the Securities Exchange Act of 1934, this report has been signed below by the following persons on behalf of the registrant and in the capacities and on the dates indicated.

Signature	Title	Date
/s/ ARTHUR T. SANDS Arthur T. Sands, M.D., Ph.D.	President and Chief Executive Officer (Principal Executive Officer)	March 22, 2002
/s/ JULIA P. GREGORY Julia P. Gregory	Executive Vice President and Chief Financial Officer (Principal Financial and Accounting Officer)	March 22, 2002
/s/ C. THOMAS CASKEY C. Thomas Caskey, M.D.	Chairman of the Board of Directors	March 22, 2002
/s/ SAM L. BARKER Sam L. Barker, Ph.D.	Director	March 22, 2002
/s/ GORDON A. CAIN Gordon A. Cain	Director	March 22, 2002
/s/ PATRICIA M. CLOHERTY Patricia M. Cloherty	Director	March 22, 2002
/s/ ROBERT J. LEFKOWITZ Robert J. Lefkowitz, M.D.	Director	March 22, 2002
/s/ WILLIAM A. MCMINN William A. McMinn	Director	March 22, 2002

Report of Independent Public Accountants

To the Board of Directors and Stockholders
of Lexicon Genetics Incorporated:

We have audited the accompanying consolidated balance sheets of Lexicon Genetics Incorporated (a Delaware corporation) and subsidiary as of December 31, 2001 and 2000, and the related consolidated statements of operations, stockholders' equity (deficit) and cash flows for each of the three years in the period ended December 31, 2001. These financial statements are the responsibility of Lexicon Genetics Incorporated's management. Our responsibility is to express an opinion on these financial statements based on our audits.

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

In our opinion, the financial statements referred to above present fairly, in all material respects, the financial position of Lexicon Genetics Incorporated and subsidiary as of December 31, 2001 and 2000, and the results of their operations and their cash flows for each of the three years in the period ended December 31, 2001, in conformity with accounting principles generally accepted in the United States.

ARTHUR ANDERSEN LLP

Houston, Texas
February 22, 2002

Lexicon Genetics Incorporated

Consolidated Balance Sheets

(In thousands, except par value)

	As of December 31,	
	2001	2000
Assets		
Current assets:		
Cash and cash equivalents, including restricted cash of $6,693 and $13,879, respectively	$ 23,048	$ 37,811
Short-term investments, including restricted investments of $36,645and $0, respectively	133,394	164,869
Accounts receivable, net of allowance for doubtful accounts of $211 and $100, respectively	4,544	2,815
Prepaid expenses and other current assets	5,456	537
Total current assets	166,442	206,032
Property and equipment, net of accumulated depreciation of $10,747 and $5,708, respectively	26,707	14,477
Long-term investments	10,398	—
Goodwill	25,798	—
Intangible assets, net of amortization of $560 and $0, respectively	5,440	—
Other assets	5,205	184
Total assets	$ 239,990	$ 220,693
Liabilities and Stockholders' Equity		
Current liabilities:		
Accounts payable	$ 3,168	$ 2,523
Accrued liabilities	5,016	3,024
Current portion of deferred revenue	10,595	4,672
Current portion of long-term debt	—	1,012
Total current liabilities	18,779	11,231
Deferred revenue, net of current portion	2,500	—
Long-term debt, net of current portion	—	1,834
Other long-term liabilities	339	—
Total liabilities	21,618	13,065
Commitments and contingencies		
Stockholders' equity:		
Preferred stock, $.01 par value; 5,000 shares authorized; no shares issued and outstanding	—	—
Common stock, $.001 par value; 120,000 shares authorized; 52,022 and 48,272 shares issued and outstanding, respectively	52	48
Additional paid-in capital	331,092	296,120
Deferred stock compensation	(22,260)	(33,637)
Accumulated deficit	(90,075)	(54,903)
Accumulated other comprehensive loss	(437)	—
Total stockholders' equity	218,372	207,628
Total liabilities and stockholders' equity	$ 239,990	$ 220,693

The accompanying notes are an integral part of these consolidated financial statements.

Lexicon Genetics Incorporated

Consolidated Statements of Operations

(In thousands, except per share amounts)

	Year Ended December 31,		
	2001	2000	1999
Revenues:			
Subscription and license fees	$ 14,744	$ 4,579	$ 2,198
Collaborative research	11,220	9,505	2,120
Compound libraries	4,549	—	—
Other	64	375	420
Total revenues	30,577	14,459	4,738
Operating expenses:			
Research and development, including stock-based compensation of $5,539, $10,883 and $0, respectively	53,355	31,647	14,646
General and administrative, including stock-based compensation of $5,231, $9,958 and $0, respectively	20,861	18,289	2,913
Total operating expenses	74,216	49,936	17,559
Loss from operations	(43,639)	(35,477)	(12,821)
Interest and other income	8,781	9,905	649
Interest expense	(314)	(422)	(303)
Net loss	(35,172)	(25,994)	(12,475)
Accretion on redeemable convertible preferred stock	—	(134)	(536)
Net loss attributable to common stockholders	$ (35,172)	$ (26,128)	$ (13,011)
Net loss per common share, basic and diluted	$ (0.70)	$ (0.63)	$ (0.53)
Shares used in computing net loss per common share, basic and diluted	50,213	41,618	24,530

The accompanying notes are an integral part of these consolidated financial statements.

Lexicon Genetics Incorporated

Consolidated Statements of Stockholders' Equity (Deficit)

(In thousands)

	Common Stock		Additional Paid-In Capital	Deferred Stock Compensation	Accumulated Deficit	Accumulated Other Comprehensive Loss	Total Stockholders' Equity (Deficit)
	Shares	Par Value					
Balance at December 31, 1998	24,491	$ 24	$ 7,375	$ —	$ (16,434)	$ —	$ (9,035)
Accretion on redeemable convertible preferred stock to redemption value	—	—	(536)	—	—	—	(536)
Deferred stock compensation, net of reversals	—	—	1,001	(1,001)	—	—	—
Amortization of deferred stock compensation	—	—	—	86	—	—	86
Exercise of common stock options	49	—	23	—	—	—	23
Net loss	—	—	—	—	(12,475)	—	(12,475)
Balance at December 31, 1999	24,540	24	7,863	(915)	(28,909)	—	(21,937)
Initial public offering of common stock	10,000	10	203,175	—	—	—	203,185
Accretion on redeemable convertible preferred stock to redemption value	—	—	(134)	—	—	—	(134)
Conversion of redeemable convertible preferred stock to common stock	12,734	13	30,171	—	—	—	30,184
Deferred stock compensation, net of reversals	—	—	53,563	(53,563)	—	—	—
Amortization of deferred stock compensation	—	—	—	20,841	—	—	20,841
Exercise of common stock options	849	1	1,111	—	—	—	1,112
Exercise of common stock warrants	149	—	371	—	—	—	371
Net loss	—	—	—	—	(25,994)	—	(25,994)
Balance at December 31, 2000	48,272	48	296,120	(33,637)	(54,903)	—	207,628
Deferred stock compensation, net of reversals	—	—	(958)	958	—	—	—
Deferred stock compensation of options assumed in acquisition	—	—	—	(351)	—	—	(351)
Amortization of deferred stock compensation	—	—	—	10,770	—	—	10,770
Common stock issued in connection with acquisition	2,919	3	35,213	—	—	—	35,216
Exercise of common stock options	419	1	717	—	—	—	718
Exercise of common stock warrants	412	—	—	—	—	—	—
Net loss	—	—	—	—	(35,172)	—	(35,172)
Unrealized loss on long-term investments	—	—	—	—	—	(437)	(437)
Comprehensive loss	—	—	—	—	—	—	(35,609)
Balance at December 31, 2001	52,022	$ 52	$ 331,092	$ (22,260)	$ (90,075)	$ (437)	$ 218,372

The accompanying notes are an integral part of these consolidated financial statements.

Lexicon Genetics Incorporated

Consolidated Statements of Cash Flows

(In thousands)

	Year Ended December 31,		
	2001	2000	1999
Cash flows from operating activities			
Net loss	$ (35,172)	$ (25,994)	$ (12,475)
Adjustments to reconcile net loss to net cash used in operating activities			
Depreciation	5,220	2,621	1,901
Amortization of intangible assets, other than goodwill	560	—	—
Amortization of deferred stock compensation	10,770	20,841	86
Amortization of lease option	—	—	41
Changes in operating assets and liabilities:			
(Increase) decrease in accounts receivable	(1,409)	577	(1,718)
Increase in prepaid expenses and other current assets	(2,531)	(460)	(59)
(Increase) decrease in other assets	(4,919)	97	(61)
Increase (decrease) in accounts payable and other liabilities	1,089	4,354	(401)
Increase (decrease) in deferred revenue	8,402	(3,538)	3,071
Net cash used in operating activities	(17,990)	(1,502)	(9,615)
Cash flows from investing activities			
Purchases of property and equipment	(13,471)	(7,709)	(4,100)
Purchase of short-term investments	(355,869)	(269,847)	(12,549)
Maturities of short-term investments	387,345	112,108	21,818
Purchase of long-term investments	(10,835)	—	—
Payment of transaction costs, net of cash acquired	(752)	—	—
Net cash provided by (used in) investing activities	6,418	(165,448)	5,169
Cash flows from financing activities			
Principal payments on capital lease obligations	—	(133)	(218)
Proceeds from debt borrowings	—	—	4,168
Repayment of debt borrowings	(3,909)	(1,462)	(523)
Proceeds from issuance of common stock	718	204,330	23
Net cash provided by (used in) financing activities	(3,191)	202,735	3,450
Net increase (decrease) in cash and cash equivalents	(14,763)	35,785	(996)
Cash and cash equivalents at beginning of year	37,811	2,026	3,022
Cash and cash equivalents at end of year	$ 23,048	$ 37,811	$ 2,026
Supplemental disclosure of cash flow information			
Cash paid for interest	$ 330	$ 422	$ 409
Supplemental disclosure of noncash investing and financing activities			
Unrealized loss on long-term investments	$ (437)	$ —	$ —
Purchases of equipment under capital lease obligations	$ —	$ —	$ 49
Conversion of redeemable convertible preferred stock into common stock	$ —	$ 30,184	$ —
Conversion of related party note payable into common stock	$ —	$ 338	$ —
Issuance of equity securities in connection with acquisition	$ 35,216	$ —	$ —
Retirement of fully-depreciated assets	$ 181	$ —	$ —

The accompanying notes are an integral part of these consolidated financial statements.

1. Organization and Operations

Lexicon Genetics Incorporated ("Lexicon" or the "Company") is a Delaware corporation incorporated on July 7, 1995. Lexicon was organized to discover the functions and pharmaceutical utility of genes and use those gene function discoveries in the discovery and development of pharmaceutical products for the treatment of human disease.

Lexicon has financed its operations from inception primarily through sales of common and preferred stock, contract and milestone payments received under subscription and collaboration agreements, equipment financing arrangements and leasing arrangements. The Company's future success is dependent upon many factors, including, but not limited to, its ability to discover promising candidates for drug target or therapeutic protein development using its gene knockout technology, establish additional research contracts and agreements for access to its technology, achieve milestones under such contracts and agreements, obtain and enforce patents and other proprietary rights in its discoveries, comply with federal and state regulations, and maintain sufficient capital to fund its activities. As a result of the aforementioned factors and the related uncertainties, there can be no assurance of the Company's future success.

2. Summary of Significant Accounting Policies

Basis of Presentation: The accompanying consolidated financial statements include the accounts of Lexicon and its subsidiary. Intercompany transactions and balances are eliminated in consolidation.

Use of Estimates: The preparation of financial statements in conformity with accounting principles generally accepted in the United States requires management to make estimates and assumptions that affect the reported amounts of assets and liabilities and disclosure of contingent assets and liabilities at the date of the financial statements and the reported amounts of revenues and expenses during the period. Actual results could differ from those estimates.

Cash, Cash Equivalents, Short-term Investments and Long-term Investments: Lexicon considers all highly liquid investments with original maturities of three months or less to be cash equivalents. Management determines the appropriate classification of its cash equivalents, short-term investments and long-term investments at the time of purchase. Short-term investments consist of U.S. government agency debt obligations and investment grade commercial paper that have maturities of three to twelve months from the date of purchase. Short-term investments are classified as held-to-maturity securities in the accompanying financial statements. Held-to-maturity securities are carried at purchase cost plus accrued interest, which approximates fair value. Long-term investments consist of a U.S. government agency debt obligation with a maturity greater than twelve months from the time of purchase. Long-term investments are classified as available-for-sale securities and, accordingly, are stated at fair value based upon quoted market prices of the securities. Unrealized gains and losses on such securities are reported as other comprehensive income (loss), which is a separate component of stockholders' equity. As of December 31, 2001, the Company had an unrealized loss of approximately $437,000 related to its available-for-sale securities.

Concentration of Credit Risk: Lexicon's cash equivalents, short-term investments and long-term investments represent potential concentrations of credit risk. The Company minimizes potential concentrations of risk in cash equivalents, short-term investments and long-term investments by placing investments in high-quality financial instruments. At December 31, 2001, management believes that the Company has no significant concentrations of credit risk.

Segment Information and Significant Customers: Lexicon operates in one business segment, which primarily focuses on the discovery of the functions and pharmaceutical utility of genes and the use of those gene function discoveries in the discovery and development of pharmaceutical products for the treatment of human disease. Substantially all of the Company's revenues have been derived from subscriptions to its databases, drug discovery alliances, functional genomics collaborations for the development and, in some cases, analysis of the physiological effects of genes altered in knockout mice, technology licenses and compound library sales. In 2001, Incyte Genomics, Inc., Bristol-Myers Squibb Company and Merck & Co., Inc. represented 16%, 13% and 12% of revenues, respectively. In 2000, the Merck Genome Research Institute and Millennium Pharmaceuticals, Inc. represented 35% and 14% of revenues, respectively. In 1999, Millennium and ZymoGenetics, Inc. represented 28% and 23% of revenues, respectively.

Property and Equipment: Property and equipment are carried at cost and depreciated using the straight-line method over the estimated useful life of the assets which ranges from three to seven years. Maintenance, repairs and minor replacements are charged to expense as incurred. Significant renewals and betterments are capitalized.

Revenue Recognition: Revenues are earned from database subscriptions, drug discovery alliances, functional genomics collaborations for the development and, in some cases, analysis of the physiological effects of genes altered in knockout mice, technology licenses and compound library sales. Fees for access to databases and other functional genomics resources are recognized ratably over the subscription or access period. Payments received in advance under these arrangements are recorded as deferred revenue until earned. Collaborative research payments are non-refundable, regardless of the success of the research effort, and are recognized as revenue as Lexicon performs its obligations related to such research. Milestone-based fees are recognized upon completion of specified milestones according to contract terms. Non-refundable technology license fees are recognized as revenue upon the grant of the license to third parties, when performance is complete and there is no continuing involvement. Compound library sales are recognized a revenue upon shipment.

Research and Development Expenses: Research and development expenses consist of costs incurred for company-sponsored as well as collaborative research and development activities. These costs include direct and research-related overhead expenses and are expensed as incurred. Research and development expenses also include certain costs associated with the production of custom knockout mice associated with specific collaborative research agreements. Through December 31, 2001, total production costs incurred have not been significant. In the year ended December 31, 2001, research and development expenses included approximately $1.9 million in cost of compound library sales. Subject to limited exceptions, Lexicon does not intend to continue to make compound libraries available for purchase in the future and, accordingly, no longer maintains inventories of compound libraries for sale. Patent costs and technology license fees for technologies that are utilized in research and development and have no alternative future use are expensed when incurred.

Stock-based Compensation: As further discussed in Note 9, Lexicon recognized $10.8 million and $20.8 million of stock-based compensation during 2001 and 2000, respectively. This expense is included in the financial statements as follows:

	Year Ended December 31,	
	2001	2000
	(In thousands)	
Research and development	$ 5,539	$ 10,883
General and administrative	5,231	9,958
Total stock-based compensation	$ 10,770	$ 20,841

Net Loss Per Common Share: Net loss per common share is computed using the weighted average number of shares of common stock outstanding. Shares associated with stock options, warrants and convertible preferred stock are not included because they are antidilutive.

Comprehensive Loss: Comprehensive loss is comprised of net loss and unrealized gains and losses on long-term investments, which are considered available-for-sale securities. Accumulated other comprehensive loss as of December 31, 2001 consisted of a $437,000 unrealized loss on a long-term investment. Comprehensive loss is reflected in the consolidated statements of stockholders' equity.

3. Recent Accounting Pronouncements

In June 2001, the Financial Accounting Standards Board ("FASB") issued Statement of Financial Accounting Standards ("SFAS") No. 141, "Business Combinations," and No. 142, "Goodwill and Other Intangible Assets." These statements, which Lexicon adopted in the third quarter of 2001, generally require that all business combinations initiated after June 30, 2001 be accounted for using the purchase method. Additionally, any resulting goodwill will not be amortized, but rather will be subject to at least an annual impairment test. Acquired intangible assets will be separately recognized and amortized over their useful lives.

In August 2001, the FASB issued SFAS No. 144, "Accounting for the Impairment or Disposal of Long-Lived Assets." This new standard on asset impairment supersedes SFAS No. 121, "Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to be Disposed Of," and will be effective for the fiscal year beginning January 1, 2002. Lexicon believes that the adoption of this standard will not have a material impact on its financial statements.

4. Restricted Cash and Investments

Lexicon is required to maintain restricted cash, cash equivalents or investments to collateralize borrowings made under the synthetic lease agreement under which it leases its office and laboratory facilities in The Woodlands, Texas (see Note 12). As of December 31, 2001 and 2000, the Company maintained restricted cash and investments of $43.3 million and $13.9 million, respectively, to collateralize borrowings of $41.7 million and $13.4 million.

5. Property and Equipment

Property and equipment at December 31, 2001 and 2000 are as follows:

	Estimated Useful Lives In Years	As of December 31, 2001	2000
		(In thousands)	
Computers and software	3	$ 8,659	$ 4,414
Furniture and fixtures	5-7	5,044	1,077
Laboratory equipment	3-7	17,000	9,083
Leasehold improvements	3-7	6,751	5,611
Total property and equipment		37,454	20,185
Less: Accumulated depreciation		(10,747)	(5,708)
Net property and equipment		$ 26,707	$ 14,477

6. Income Taxes

Lexicon recognizes deferred tax liabilities and assets for the expected future tax consequences of events that have been recognized differently in the financial statements and tax returns. Under this method, deferred tax liabilities and assets are determined based on the difference between the financial statement carrying amounts and tax bases of liabilities and assets using enacted tax rates and laws in effect in the years in which the differences are expected to reverse. Deferred tax assets are evaluated for realization based on a more-likely-than-not criteria in determining if a valuation should be provided.

The components of Lexicon's deferred tax assets (liabilities) at December 31, 2001 and 2000 are as follows:

	As of December 31,	
	2001	2000
	(In thousands)	
Deferred tax assets:		
Net operating loss carryforwards	$ 14,535	$ 12,208
Research and development tax credits	4,607	1,735
Stock-based compensation	4,307	2,681
Accrued expenses and other	5,550	207
Total deferred tax assets	28,999	16,831
Deferred tax liabilities:		
Property and equipment	(341)	(780)
Other	(18)	(3)
Total deferred tax liabilities	(359)	(783)
Less: Valuation allowance	(28,640)	(16,048)
Net deferred tax assets	$ —	$ —

As of December 31, 2001, Lexicon had generated net operating loss carryforwards of approximately $41.5 million and research and development tax credit carryforwards of approximately $4.6 million available to reduce future income taxes. These carryforwards begin to expire in 2011. A change in ownership, as defined by federal income tax regulations, could significantly limit the Company's ability to utilize its carryforwards. The Company's ability to utilize its current and future net operating loss carryforwards to reduce future taxable income and tax liabilities may be limited. Additionally, because federal tax laws limit the time during which these carryforwards may be applied against future taxes, the Company may not be able to take full advantage of these attributes for federal income tax purposes. As the Company has had cumulative losses and there is no assurance of future taxable income, valuation allowances have been established to fully offset the deferred tax assets of approximately $28.6 million and $16.0 million at December 31, 2001 and 2000, respectively. The valuation allowance increased approximately $12.6 million during 2001, primarily due to the Company's net loss.

7. Coelacanth Acquisition

On July 12, 2001, Lexicon completed the acquisition of Coelacanth Corporation (Coelacanth) in a merger, under an Agreement and Plan of Merger entered into on June 13, 2001. Coelacanth uses proprietary chemistry technologies to create compound libraries for drug discovery screening and innovative compound sets that shorten lead discovery and lead optimization time for drug development. Coelacanth forms the core of Lexicon Pharmaceuticals, the division of the Company responsible for small molecule compound discovery. The results of Lexicon Pharmaceuticals are included in the Company's results of operations for the period from July 12 to December 31, 2001.

Under the terms of the merger agreement, Lexicon issued an aggregate of 2,918,991 shares of common stock in exchange for 100% of Coelacanth's outstanding capital stock. An aggregate of 10% of the shares of common stock issued in the merger have been placed in escrow for one year to satisfy claims, if any, that the Company may have for breaches of Coelacanth's representations, warranties and covenants in the merger agreement. The Company also assumed Coelacanth's outstanding options and warrants in the merger, resulting in the issuance of options and warrants to purchase 122,650 and 25,169 shares, respectively, of its common stock. The Company has allocated $351,000 for the portion of the intrinsic value of the options that remained unvested as of July 12, 2001 to deferred compensation and expects to recognize the expense as the options vest. The Company recorded goodwill and other intangible assets of approximately $25.8 million and $6.0 million, respectively, in connection with the acquisition of Coelacanth.

The Coelacanth acquisition was accounted for as a purchase. The cost to acquire Coelacanth has been allocated to the assets acquired and liabilities assumed according to their respective fair values on July 12, 2001, with the excess purchase price being allocated to goodwill. The fair value of common stock issued in connection with the acquisition of Coelacanth was determined in accordance with EITF Issue No. 99-12. Lexicon used the Black-Scholes option pricing model to value the securities issued in exchange for Coelacanth's outstanding options and warrants. The allocation of the purchase price is based on a formal valuation analysis which was completed by an independent appraisal firm.

The purchase price for the acquisition consisted of the following (in thousands):

Value of common stock issued	$	33,732
Assumption of Coelacanth's options and warrants		1,133
Transaction costs		1,175
Total purchase price	$	36,040

The purchase price for the acquisition was allocated as follows (in thousands):

Fair value of net assets purchased	$	4,242
Goodwill		25,798
Other intangible assets		6,000
Total purchase price	$	36,040

Goodwill, which represents the excess of the purchase price over the fair value of the underlying net identifiable assets, is not subject to amortization. Lexicon will perform an annual impairment assessment of the value assigned to goodwill. Other intangible assets represent Coelacanth's technology platform, which consists of its proprietary ClickChem™ reactions, novel building blocks and compound sets, automated production systems, high throughput ADMET (Absorption, Distribution, Metabolism, Excretion and Toxicity) capabilities and its know-how and trade secrets. The Company expects to amortize the value assigned to other intangible assets on a straight-line basis over an estimated life of five years.

The following unaudited pro forma results of operations of Lexicon for the year ended December 31, 2001 and 2000, respectively, assumes the acquisition of Coelacanth occurred on January 1, 2000, and assumes the purchase price has been allocated to the assets purchased and the liabilities assumed based on fair values at the date of acquisition. Pro forma net loss includes amortization of other intangible assets; however, it does not include any amortization of goodwill.

	Year Ended December 31,			
	2001		2000	
	(In thousands)			
Total revenue	$	31,031	$	20,934
Total operating expenses		79,918		59,923
Net loss attributable to common stockholders		(40,454)		(29,383)
Net loss per share	$	(0.78)	$	(0.66)

The foregoing unaudited pro forma results of operations are presented for illustrative purposes only and are not necessarily indicative of the operating results that would have occurred if the transaction had been consummated at the dates indicated. Furthermore, such unaudited pro forma results of operations are not necessarily indicative of future operating results of the combined companies, due to changes in operating activities following the merger, and should not be construed as representative of the operating results of the combined companies for any future dates or periods.

8. Capital Stock

Stock Dividend: Lexicon's Board of Directors declared a stock dividend to effect a stock split of three shares for every one share of common stock then outstanding, effective April 5, 2000. The accompanying financial statements and footnotes give retroactive effect to the stock split for all periods presented.

Common Stock: In April 2000, Lexicon completed the initial public offering of 10,000,000 shares of its common stock at an initial public offering price of $22.00 per share, for net proceeds of $203.2 million, after deducting underwriting discounts of $15.4 million and offering expenses of $1.4 million.

Redeemable Convertible Series A Preferred Stock: Lexicon's redeemable convertible Series A preferred stock, originally issued in a private placement in May 1998, was converted according to its terms into 12,733,992 shares of common stock upon the April 2000 closing of the Company's initial public offering of common stock. Prior to the conversion, the Series A preferred stock was being accreted to its May 7, 2003 redemption value of $31.8 million. The Series A preferred stock was not included as a component of total stockholders' equity (deficit) due to its redemption features.

9. Stock Options and Warrants

Stock Options

2000 Equity Incentive Plan: In September 1995, Lexicon adopted the 1995 Stock Option Plan, which was subsequently amended and restated in February 2000 as the 2000 Equity Incentive Plan (the "Equity Incentive Plan"). The Equity Incentive Plan will terminate in 2010 unless the Board of Directors terminates it sooner. The Equity Incentive Plan provides that it will be administered by the Board of Directors, or a committee appointed by the Board of Directors, which determines recipients and types of options to be granted, including number of shares under the option and the exercisability of the shares. The Equity Incentive Plan is presently administered by the Compensation Committee of the Board of Directors.

The Equity Incentive Plan provides for the grant of incentive stock options to employees and nonstatutory stock options to employees, directors and consultants of the Company. The plan also permits the grant of stock bonuses and restricted stock purchase awards. Incentive stock options have an exercise price of 100% or more of the fair market value of our common stock on the date of grant. Nonstatutory stock options may have an exercise price as low as 85% of fair market value on the date of grant. The purchase price of other stock awards may not be less than 85% of fair market value. However, the plan administrator may award bonuses in consideration of past services without a purchase payment. Shares may be subject to a repurchase option in the discretion of the plan administrator.

The Board of Directors initially authorized and reserved an aggregate of 11,250,000 shares of common stock for issuance under the Equity Incentive Plan. On January 1 of each year for ten years, beginning in 2001, the number of shares reserved for issuance under the Equity Incentive Plan automatically will be increased by the greater of:

- 5% of Lexicon's outstanding shares on a fully-diluted basis; or
- that number of shares that could be issued under awards granted under the Equity Incentive Plan during the prior 12-month period;

provided that the Board of Directors may provide for a lesser increase in the number of shares reserved under the Equity Incentive Plan for any year. The Board of Directors limited the increase in the number of shares reserved under the Equity Incentive Plan that took effect on January 1, 2001 to 750,000 shares. The total number of shares reserved in the aggregate may not exceed 60,000,000 shares over the ten-year period.

As of December 31, 2001, an aggregate of 12,000,000 shares of common stock had been reserved for issuance, options to purchase 10,049,000 shares were outstanding and 1,386,000 shares had been issued upon the exercise of stock options issued under the Equity Incentive Plan.

2000 Non-Employee Directors' Stock Option Plan: In February 2000, Lexicon adopted the 2000 Non-Employee Directors' Stock Option Plan (the "Directors' Plan") to provide for the automatic grant of options to purchase shares of common stock to non-employee directors of the Company. Under the Directors' Plan, non-employee directors first elected after the closing of the Company's initial public offering receive an initial option to purchase 30,000 shares of common stock. In addition, on the date of each of the Company's annual meetings of stockholders, beginning with the annual meeting in 2001, each non-employee director who has been a director for at least six months is automatically granted an option to purchase 6,000 shares of common stock. Initial option grants become vested and exercisable over a period of five years and annual option grants become vested over a period of 12 months from the date of grant. Options granted under the Directors' Plan have an exercise price equal to the fair market value of the Company's common stock on the date of grant and term of ten years from the date of grant.

The Board of Directors initially authorized and reserved a total of 600,000 shares of its common stock for issuance under the Directors' Plan. On the day after each annual meeting of Lexicon's stockholders, for 10 years, starting in 2001, the share reserve will automatically be increased by a number of shares equal to the greater of:

- 0.3% of the Company's outstanding shares on a fully-diluted basis; or
- that number of shares that could be issued under options granted under the Directors' Plan during the prior 12-month period;

provided that the Board of Directors may provide for a lesser increase in the number of shares reserved under the Directors' Plan for any year.

As of December 31, 2001, an aggregate of 600,000 shares of common stock had been reserved for issuance, options to purchase 54,000 shares were outstanding and no options had been exercised under the Directors' Plan.

Stock-based Compensation: Statement of Financial Accounting Standards (SFAS) No. 123, "Accounting for Stock-Based Compensation," allows companies to adopt one of two methods for accounting for stock options. Lexicon has elected the method that requires disclosure only of stock-based compensation. Because of this election, the Company is required to account for its employee stock-based compensation plans under Accounting Principles Board (APB) Opinion No. 25 and its related interpretations. Accordingly, deferred compensation is recorded for stock-based compensation grants based on the excess of the estimated fair value of the common stock on the measurement date over the exercise price. The deferred compensation is amortized over the vesting period of each unit of stock-based compensation grant, generally four years. If the exercise price of the stock-based compensation grants is equal to the estimated fair value of the Company's stock on the date of grant, no compensation expense is recorded.

During the years ended December 31, 2000 and 1999, Lexicon recorded $54.1 million and $1.0 million, respectively, in aggregate deferred compensation relating to options issued to employees and non-employee directors. During the years ended December 31, 2001, 2000 and 1999, the Company recognized $10.7 million , $20.0 million and $86,000, respectively, in compensation expense relating to these options. Additionally, during the years ended December 31, 2001 and 2000, the Company reversed approximately $1.4 million and $1.3 million, respectively, of deferred compensation and additional paid-in capital for unamortized deferred compensation related to the forfeiture of nonvested options by terminated employees. Total amortization expense was revised to the extent amortization had previously been recorded for nonvested options.

The following pro forma information regarding net loss is required by SFAS No. 123, and has been determined as if Lexicon had accounted for its employee stock options under the fair-value method as defined by SFAS No. 123. The

fair value of these options was estimated at the date of grant using the Black-Scholes method and the following weighted-average assumptions for 2001, 2000 and 1999: volatility factor ranging from 29% to 109%, risk-free interest rates ranging from 5.03% to 8.00%, expected option lives of seven years, three percent expected turnover, and no dividends.

For purposes of pro forma disclosures, the estimated fair value of the options is amortized to expense over the vesting period of the options using the straight-line method. Lexicon's pro forma information follows:

	Year Ended December 31,		
	2001	2000	1999
	(In thousands, except per share data)		
Net loss			
As reported	$ (35,172)	$ (25,994)	$ (12,475)
Pro forma	$ (45,018)	$ (32,499)	$ (14,118)
Net loss per common share, basic and diluted			
As reported	$ (0.70)	$ (0.63)	$ (0.53)
Pro forma	$ (0.90)	$ (0.78)	$ (0.60)

Lexicon records the fair value of options issued to non-employee consultants, including Scientific Advisory Panel members, at the fair value of the options issued. Any expense is recognized over the service period or at the date of issuance if the options are fully vested and no performance obligation exists. Options to purchase 34,000, 372,000 and 45,000 shares of common stock were issued to non-employees in 2001, 2000 and 1999, respectively, and during the years ended December 31, 2001, 2000 and 1999, the Company recognized $109,000, $836,000 and $26,000, respectively, in expense relating to these options. The fair values of the issuances in 2001, 2000 and 1999 were estimated using the Black-Scholes pricing model with the assumptions noted in the preceding paragraphs, resulting in an aggregate fair value of approximately $471,000, $6.4 million and $57,000, respectively. Additionally, during the year ended December 31, 2000, the Company reversed $5.6 million of deferred compensation and additional paid-in capital for unamortized deferred expense related to the forfeiture of nonvested options. Total amortization expense was revised to the extent amortization had previously been recorded for non-vested options.

If vesting continues in accordance with the outstanding individual stock options, Lexicon expects to record amortization expense for deferred stock compensation as follows: $10.7 million during 2002, $10.6 million during 2003 and $1.0 million during 2004.

Stock Option Activity: The following is a summary of option activity under Lexicon's stock option plans:

	Options Outstanding	Weighted Average Exercise Price
	(In thousands)	
Balance at December 31, 1998	4,739	$1.51
Granted	1,065	2.50
Exercised	(49)	0.46
Canceled	(558)	2.03
Balance at December 31, 1999	5,197	1.67
Granted	4,464	6.61
Exercised	(849)	1.31
Canceled	(559)	2.40
Balance at December 31, 2000	8,253	4.33
Granted	2,493	11.31
Exercised	(419)	1.71
Canceled	(224)	9.17
Balance at December 31, 2001	10,103	6.04
Exercisable at December 31, 2001	5,381	3.25

The weighted average fair values of options granted during the years ended December 31, 2001, 2000 and 1999 were $10.31, $4.40 and $1.26, respectively. As of December 31, 2001, 1,111,000 shares of common stock were available for grant under Lexicon's stock option plans.

Stock Options Outstanding: The following table summarizes information about stock options outstanding at December 31, 2001:

Options Outstanding				Options Exercisable	
Range of Exercise Price	Outstanding as of December 31, 2001	Weighted Average Remaining Contractual Life (In Years)	Weighted Average Exercise Price	Exercisable as of December 31, 2001	Weighted Average Exercise Price
	(In thousands)			(In thousands)	
$0.0003 - $0.22	982	3.9	$0.06	982	$0.06
1.67 – 1.67	611	5.2	1.67	610	1.67
1.97 – 2.50	5,285	7.5	2.49	3,365	2.49
4.69 – 19.80	2,974	9.2	12.68	340	14.71
21.06 – 38.50	251	8.7	35.87	84	36.20
	10,103		$6.04	5,381	$3.25

Warrants

In connection with certain note purchase agreements in August 1997, Lexicon issued two warrants to purchase 13,500 shares and 135,000 shares of common stock at an exercise price of $2.50 per share. Management estimated the value of these warrants at approximately $25,000 and recorded them as deferred financing costs and additional paid-in capital. The warrant values were estimated by management taking into consideration the term of the warrant, the exercise price that was greater than the estimated fair value of the common stock at issuance and a rate of return of eight percent. Amortization of these costs is reflected as additional interest expense in the accompanying financial statements. Both of these warrants were exercised in 2000.

On May 7, 1998, Lexicon issued to the placement agent for the Series A Preferred Stock private placement a warrant to purchase 605,001 shares of common stock at an exercise price of $2.50 per share. The warrant provided that the exercise price could be paid in cash or by way of a "cashless" exercise based upon the difference between fair market value and exercise price. The value of the warrant, along with the offering costs associated with the private placement, were accreted back to the Series A Preferred Stock through the conversion date of the Series A Preferred Stock. This warrant was exercised in 2001 by way of a cashless exercise, resulting in the issuance of a total of 412,648 shares of common stock.

In July 1998, Lexicon issued a warrant to purchase 249,999 shares of common stock at an exercise price of $2.50 per share, in connection with the grant to the Company of an option to lease additional real property. The warrant expires on April 15, 2003. Amortization of the lease option of $41,000 was recorded as additional lease expense in the accompanying financial statements for the year ended December 31, 1999. The remaining balance of $155,000 on the lease option was expensed during 2000 upon the Company's completion of a synthetic lease agreement under which the lessor purchased the optioned real property under an arrangement providing for its lease to the Company (see Note 12).

10. Benefit Plans

Lexicon has established an Annual Profit Sharing Incentive Plan (the Profit Sharing Plan). The purpose of the Profit Sharing Plan is to provide for the payment of incentive compensation out of the profits of the Company to certain of its employees. Participants in the Profit Sharing Plan are entitled to an annual cash bonus equal to their proportionate share (based on salary) of 15 percent of the Company's annual pretax income, if any.

Lexicon maintains a defined-contribution savings plan under Section 401(k) of the Internal Revenue Code. The plan covers substantially all full-time employees. Participating employees may defer a portion of their pretax earnings, up to the Internal Revenue Service annual contribution limit. Beginning in 2000, the Company was required to match employee contributions according to a specified formula. The matching contributions totaled approximately $332,000 and $160,000 in 2001 and 2000, respectively. Company contributions vest to employees ratably over four years.

11. Collaboration and License Agreements

Lexicon derives substantially all of its revenues from subscriptions to its databases, drug discovery alliances, functional genomics collaborations for the development and, in some cases, analysis of the physiological effects of genes altered in knockout mice, technology licenses and compound library sales. In 2001, the Company established an alliance with Incyte Genomics, Inc. for the discovery of therapeutic proteins; entered into a LexVision collaboration with Incyte, and functional genomics collaborations with Abgenix, Inc. and Immunex Corporation; granted non-exclusive, internal research-use sublicenses under its gene targeting patents to Immunex, GlaxoSmithKline plc, Merck & Co., Inc. and Pfizer Inc; and sold compounds from its compound libraries to several companies, including Pfizer Inc.

Drug Discovery Alliances: Lexicon has entered into the following alliances for the discovery and development of therapeutics based on its *in vivo* drug target discovery efforts:

Abgenix, Inc. Lexicon established a drug discovery alliance with Abgenix in July 2000 to discover novel therapeutic antibodies using the Company's functional genomics technologies and Abgenix's technology for generating fully human monoclonal antibodies. Under the alliance agreement, the Company and Abgenix will each have the right to obtain exclusive commercialization rights, including sublicensing rights, for an equal number of qualifying therapeutic antibodies, and will each receive milestone payments and royalties on sales of therapeutic antibodies from the alliance that are commercialized by the other party or a third party sublicensee. Each party will bear its own expenses under the alliance. The agreement, as extended in January 2002, has a term of four years, subject to the right of the parties to extend the term for up to three additional one-year periods.

Incyte Genomics, Inc. Lexicon established a drug discovery alliance with Incyte in June 2001 to discover novel therapeutic proteins using the Company's functional genomics technologies in the discovery of the functions of secreted proteins from Incyte's LifeSeq® Gold database. Under the alliance agreement, the Company and Incyte will each have the right to obtain exclusive commercialization rights, including sublicensing rights, for an equal number of qualifying therapeutic proteins, and will each receive milestone payments and royalties on sales of therapeutic proteins from the alliance that are commercialized by the other party or a third party sublicensee. The agreement has a term of five years, although either party may terminate the agreement after three years.

LexVision Collaborations: Lexicon has entered into the following collaborations for access to the Company's LexVision database of *in vivo*-validated drug targets:

Bristol-Myers Squibb Company. Lexicon established a LexVision collaboration with Bristol-Myers Squibb in September 2000, under which Bristol-Myers Squibb has non-exclusive access to the Company's LexVision database and OmniBank library for the discovery of small molecule drugs. The Company receives access fees under this agreement, and is entitled to receive milestone payments and royalties on products Bristol-Myers Squibb develops using the Company's technology. The agreement has a term of five years, although either party may terminate the agreement after three years.

Incyte Genomics, Inc. Lexicon established a LexVision collaboration with Incyte in June 2001, under which Incyte has non-exclusive access to the Company's LexVision database and OmniBank library for the discovery of small molecule drugs. The Company receives access fees under this agreement, and is entitled to receive milestone payments and royalties on products Incyte develops using the Company's technology. The agreement has a term of five years, although either party may terminate the agreement after three years.

12. Commitments and Contingencies

Lease Obligations: In October 2000, Lexicon entered into a synthetic lease agreement under which the lessor purchased the Company's existing laboratory and office buildings and animal facility in The Woodlands, Texas and agreed to fund the construction of an additional laboratory and office building and a second animal facility. The synthetic lease agreement was subsequently expanded to include funding for the construction of a central plant facility. Including the purchase price for the Company's existing facilities, the synthetic lease, as amended, provides for funding of up to $55.0 million in property and improvements. The term of the agreement is six years, which includes the construction period and a lease period. Lease payments for the new facilities began upon completion of construction, which occurred at the end of the first quarter of 2002. Lease payments are subject to fluctuation based on LIBOR rates. Based on a year-end LIBOR rate of 1.9% the Company's total lease payments would be approximately $1.2 million per year. At the end of the lease term, the lease may be extended for one-year terms, up to seven additional terms, or the Company may purchase the properties for a price including the outstanding lease balance. If the Company elects not to renew the lease or purchase the properties, it may arrange for the sale of the properties to a third party or surrender the properties to the lessor. If the Company elects to arrange for the sale of the properties or surrender the properties to the lessor, it has guaranteed approximately 86% of the total original cost as the residual fair value of the properties. The Company is required to maintain restricted cash or investments to collateralize borrowings made under the synthetic lease agreement. In addition, Lexicon has agreed to maintain cash and investments of at least $35.0 million in excess of the Company's restricted cash and investments. If the Company's cash and investments fall below that level, the Company may be required to seek a waiver of that agreement or to purchase the properties or arrange for their sale to a third party. Because the Company's cost to purchase the properties would not materially exceed the amount of restricted cash and investments it is required to maintain under the synthetic lease, the Company believes that any requirement that it do so would not have a material adverse effect on its financial condition. As of December 31, 2001 and 2000, the Company maintained restricted cash and investments of $43.3 million and $13.9 million, respectively, to collateralize borrowings of $41.7 million and $13.4 million.

Lexicon's subsidiary leases laboratory and office space near Princeton and in New Brunswick, New Jersey under agreements which expire in January 2004 and December 2002, respectively. Additionally, Lexicon leases certain equipment under operating leases.

Rent expense for all operating leases was approximately $0.9 million, $1.5 million, $1.1 million for the years ended December 31, 2001, 2000 and 1999, respectively. The table below includes non-cancelable future lease payments for the existing facilities and the anticipated lease payments related to the new facilities based on a year-end LIBOR rate of 1.9%, as well as future lease payments for the facilities in New Jersey:

	For the Year Ending December 31
	(In thousands)
2002	$ 1,816
2003	1,915
2004	1,235
2005	1,173
2006	1,075
Thereafter	—
Total	$ 7,214

On February 13, 2002, the FASB announced that it intends to propose for adoption before the end of 2002 that companies be required to consolidate special purpose entities, such as the lessor under Lexicon's synthetic lease, on their balance sheets if those entities have outside equity investment representing less than 10 percent of their capitalization. Under present rules, companies need not consolidate such special purpose entities on their balance sheets if an independent third party holds equity representing at least three percent of the entity's capitalization. While the lessor under the Company's synthetic lease qualifies for off-balance sheet treatment under current rules, the Company would be required to consolidate the lessor on the Company's balance sheet if the FASB's intended proposal is adopted. If such consolidation were required, the Company's balance sheet would reflect as assets additional property and equipment approximating the amount funded under the synthetic lease for property and improvements and the same amount as a liability. In addition, the Company would be required to depreciate such property and improvements over their useful lives. Lexicon believes that the consolidation of the lessor, if required, would not have a material adverse effect on its financial condition or results of operations.

Employment Agreements: Lexicon has entered into employment agreements with certain of its corporate officers. Under the agreements, each officer receives a base salary, subject to adjustment, with an annual discretionary bonus based upon specific objectives to be determined by the compensation committee. The employment agreements are at-will and contain non-competition agreements. The agreements also provide for a termination clause, which requires either a six or 12-month payment based on the officer's salary, in the event of termination or change in corporate control.

13. Selected Quarterly Financial Data

The table below sets forth certain unaudited statements of operations data, and net loss per common share data, for each quarter of 2001 and 2000.

(In thousands, except per share data)

	Quarter Ended			
	March 31	June 30	September 30	December 31
	(Unaudited)			
2001				
Revenues	$ 3,311	$ 3,502	$ 13,493	10,271
Loss from operations	(10,823)	(12,236)	(7,955)	(12,625)
Net loss	(8,008)	(9,939)	(6,220)	(11,005)
Net loss attributable to common stockholders	(8,008)	(9,939)	(6,220)	(11,005)
Net loss per common share, basic and diluted	(0.17)	(0.20)	(0.12)	(0.21)
Shares used in computing net loss per common share	48,343	48,865	51,500	51,955
2000				
Revenues	$ 3,339	$ 2,583	$ 5,614	2,923
Loss from operations	(13,436)	(6,310)	(4,891)	(10,840)
Net loss	(13,418)	(3,517)	(1,540)	(7,519)
Net loss attributable to common stockholders	(13,552)	(3,517)	(1,540)	(7,519)
Net loss per common share, basic and diluted	(0.55)	(0.08)	(0.03)	(0.16)
Shares used in computing net loss per common share	24,613	46,796	47,780	48,123