

Exelixis...understanding disease, creating cures.



TO OUR STOCKHOLDERS >

2001 was a defining year for Exelixis. We significantly expanded our internal pipeline of oncology product candidates, in-licensed a Phase II cancer compound, completed strategic acquisitions that broadened our technology base and established a worldwide presence, strengthened our balance sheet, and enhanced our discovery and development capabilities. These accomplishments demonstrate the rapid maturation of our company into a product-driven business.

Building Our Cancer Franchise. Initially, we are focused on the development of cancer products due to the tremendous unmet medical need, the power of our technology in this area, and the potentially shorter product development and regulatory approval processes. Through our systematic genetic approach, we generate a comprehensive set of biologically validated targets, against which we screen millions of compounds, in order to build a deep pipeline of potential cancer drugs. We are exploring key mechanisms pertaining to cancer, focusing on small molecule drug development internally, and exploring different types of drug targets with our partners. Our pursuit of a variety of mechanisms and therapeutic approaches allows us to maximize our opportunity to develop potentially safer and more effective drugs with fewer side effects that work through novel methods.

In 2001, we identified our first development candidates: proprietary small molecule compounds that have the potential to be novel cancer therapeutics. Our team is striving to select the highest quality drug candidates, complete the necessary studies and analysis, and file our first Investigational New Drug (IND) application based on our own discovery program within the next year. We are working diligently toward this goal



and we believe it is achievable. Based on our substantial discovery infrastructure, we intend to file two high quality INDs per year beginning in 2003. This is an important corporate objective, as it represents the continued replenishment of our product pipeline, and provides us with compounds for internal development as well as opportunities for partnering transactions.

In addition to advancing our own leads into the clinic, we are developing DEAE-Rebecamycin, a Phase II compound that we in-licensed from Bristol-Myers Squibb. DEAE-Rebecamycin has completed Phase I trials, demonstrating acceptable safety and tolerability, and currently is being studied in a range of Phase II trials sponsored by the National Cancer Institute (NCI). Based on the data from the Phase I trials and encouraging early data from the Phase II trials, we believe that this compound merits further development. We are focusing considerable resources to develop and manufacture the drug, as DEAE-Rebecamycin is of significant importance to Exelixis for several reasons. First, essentially overnight, it transformed Exelixis from a research-stage to a development-stage company. Second, it fits strategically with our commercial and clinical goals, enabling us to build and staff our clinical development and regulatory functions and prepare for the transition of our own drugs into clinical development. In addition, by working with the NCI and through our own efforts, we will build the necessary relationships with the cancer treatment community, patient advocacy groups, and regulatory bodies that will help to establish Exelixis as a high quality drug development company. Importantly, if the drug successfully completes the clinical and regulatory processes, it has the potential to provide treatment for cancer patients as well as product revenue for Exelixis in the medium-term.

A Year of Important Strategic Transactions. As our internal projects proceed, and as DEAE-Rebecamycin progresses toward advanced clinical development, we have taken steps to ensure that we have adequate resources to move our projects forward. As part of this effort, we acquired the bioinformatics company Genomica in a stock-for-stock transaction resulting in the addition of approximately \$110 million in cash and investments to our balance sheet, thus more than doubling our cash and investment balances at year-end. The acquisition also brought us software potentially useful for our own clinical efforts. At a time when opportunities for biotechnology financing are challenging, we were able to acquire significant cash and investments to advance the development of our product portfolio.

For important strategic reasons, we also acquired the remaining interests in German-based Artemis Pharmaceuticals GmbH. Exelixis helped found Artemis in 1998 and the two companies have worked closely to build a formidable vertebrate genetics capability. With access to European technology and universities, Artemis has developed a unique expertise in the vertebrate model systems zebrafish and mouse that complements our existing technology platform.

Powerful Partnerships. By partnering with leading companies in the pharmaceutical, biotechnology, and agricultural industries, we believe that we can create value through our technology while maintaining the ability to develop our internal programs. Our collaborations provide access to our partners' resources and expertise, and enhance our discovery and product development processes. Over the course of the last year, Exelixis signed six collaborative agreements that highlight our ability to leverage each aspect of our business. The financial structure of these relationships demonstrates the maturity and depth of our company by defining Exelixis as an equal partner where pharmaceutical companies have historically dominated the relationship. These collaborations create significant value for Exelixis in various ways: providing access to products in clinical development, strategically building our internal programs, adding depth to our clinical pipeline, and offsetting the cost of developing our internal compound libraries.

During 2001, we established two important oncology relationships that demonstrate the depth and breadth of our company. In May, we announced a partnership with Protein Design Labs (PDL) to discover and develop humanized antibodies for the diagnosis, prevention, and treatment of cancer. To date, we have identified numerous targets for PDL, and expect to announce further developments over the course of 2002. In addition to significant financial compensation, the relationship complements our small molecule cancer program and allows us the option to co-develop antibody products at the time an IND is filed.

Closely following the PDL relationship, in July we announced a landmark partnership with Bristol-Myers Squibb (BMS) to create a new generation of cancer drugs that selectively destroy cancers harboring defects in specific gene pathways. This relationship is important for us both strategically and financially. BMS granted Exelixis an exclusive fully-paid license to DEAE-Rebecamycin, provides substantial payments,

and cooperates in the selection and validation of targets for small molecule therapeutics in the field of cancer. Both PDL and BMS have been excellent partners, and the in-depth understanding of cancer biology at BMS has enhanced the quality of our internal projects.

The four combinatorial chemistry relationships that we signed with Schering-Plough Research Institute, Inc., Elan Pharmaceuticals, Inc., Scios Inc., and Cytokinetics, Inc. also demonstrate the power of our drug discovery capabilities. We established a combinatorial chemistry capability that can generate two million diverse, high quality compounds per year. Through these partnerships, we are able to defray the cost of expanding our internal compound library while adding diversity and depth to the compounds available to Exelixis for screening. Exelixis retains full rights to utilize the compounds created in these partnerships for its internal and partnered programs. We intend to establish additional, similar partnerships in 2002.

In addition to our pharmaceutical partnerships, our agricultural collaborations with Aventis CropScience SA, Bayer Corporation, and Dow AgroSciences LLC generate substantial funding to offset some of our pharmaceutical program costs. We retain all pharmaceutical rights, and maintain our proprietary position within all internal programs. We are extremely pleased with the progress and productivity of these partnerships as we continue to meet and exceed expectations with each of our partners. Importantly, the products that may result from these agricultural partnerships should have lower risk and shorter development times, providing a balanced strategy of diversified product development.

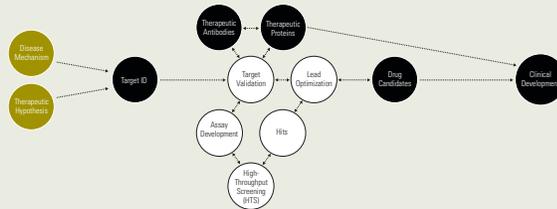
Strengthening the Management Team. With the developments in our technology, we continued to attract key leaders to spearhead the strategic move to become a product-driven business. Jeffrey R. Latts, MD, chief medical officer and senior vice president, leads the development of DEAE-Rebecamycin and works closely with the discovery organization to select and advance promising proprietary candidates. Kimberly J. Manhard, vice president, regulatory affairs, is responsible for all aspects of regulatory filings in the United States and Europe. Both of these individuals have many years of drug development experience in high quality organizations, and are in the process of building a world-class development organization at Exelixis. Jane M. Green, PhD, vice president of corporate communications, provides strategic direction for communications with investors and other key stakeholders in the company. Robert M. Myers, executive vice president, pharmaceuticals, is responsible for building Exelixis' pharmaceutical business and expanding the company's corporate and commercial development activities. Each of these individuals brings a host of industry expertise and commitment to our company. Together they enhance the experienced, capable team that will lead Exelixis as we face new and exciting challenges.

Looking Ahead. Our objectives for 2001 were aggressive, and we are proud of our results. Following on these accomplishments, we enter 2002 with growing momentum, a clear direction, and cash in excess of \$227 million. We are working diligently to file an IND on a proprietary Exelixis compound and bring additional compounds into development for INDs in 2003. Progress in clinical development and the establishment of a new manufacturing process for DEAE-Rebecamycin are important corporate goals this year. We will continue to expand our development infrastructure to keep pace with these efforts. In our partnered programs, we expect to meet or exceed the qualitative and quantitative expectations our partners and we have set. Finally, we expect to establish additional collaborations and complete strategic transactions that will advance the company into a full-scale development company.

We have ambitious goals for 2002 and beyond. We believe that we have the strategic focus, the resources, the people, the critical mass, and the expertise to build a successful company and to effectively meet the challenges that lie ahead.

George A. Scangos, PhD
President and Chief Executive Officer
Exelixis, Inc.
March, 2002

PLATFORM >



The drug discovery process is multifaceted and requires the integration of many complex technologies. Exelixis made early, focused investments to progressively build all of the necessary components to sustain a high level of productivity. Today, we have a comprehensive target and drug discovery platform that we believe enables us to maximize our opportunities while managing the risk inherent in the drug development process.

Consistently, Exelixis has enhanced its leadership position in model system genetics and comparative genomics research and discovery. Where many biotechnology companies base their product pipeline on a single technology, we use many technologies. When we began operations in 1995, the notion of conservation of genes and biochemical signaling pathways between diverse species was met with skepticism. Since that time, work done at Exelixis and in many laboratories throughout the world, coupled with the availability of the complete genomic sequences of humans, fruit flies, worms, and many other species, have converted skepticism to fact. Using multiple model systems combined with bioinformatics, proteomics, genomics, cell biology, and biochemistry, we believe that we can identify and validate superior drug targets rapidly, systematically, and without bias.

Over the course of 2001, Exelixis significantly expanded its drug discovery capabilities, achieving critical mass in all of the essential disciplines. While we made early investments in drug discovery through the acquisition of technology assets and attracting leaders in the field, it has been over the course of 2001 that our discovery team hit full stride. We have built a formidable infrastructure in the disciplines of combinatorial chemistry and high-throughput screening, which are technology intensive. In addition, we attracted key people in knowledge-based fields such as medicinal chemistry, cellular and structural biology, and pharmacology. With significant human and technical resources in each area of drug discovery, we believe that we are operating with the scale and scope of a large pharmaceutical company and with the flexibility, innovation, and speed of a biotechnology company.

Today, we have over one hundred scientists working in drug discovery, and the group is growing. Our compound library consists of nearly two million compounds, and during 2001, we augmented our chemistry capabilities so that we now have the ability to synthesize approximately two million compounds per year. This year we completed more than twenty high-throughput screens for cancer compounds, a level comparable to large pharmaceutical companies in a specific therapeutic area.

In addition to our target and drug discovery efforts, Exelixis has a growing clinical department focused on the development of DEAE-Rebecamycin and the company's internal candidate compounds. In 2002 and beyond, this department will take the lead in moving compounds into and through the clinic.

By generating novel, high quality drug targets, we believe we will increase the efficiency of our drug development processes. With superior targets, world-class drug discovery, and a strong clinical department, we believe we have a better opportunity to advance our products through clinical trials and toward the market.



Jeffrey B. Latta, MD
Senior Vice President and Chief Medical Officer



Kimberly J. Marshall
Vice President, Respiratory Affairs



Integrated



Gregory D. Plesner, MD, PhD
Vice President, Pharmaceutical Research



Critical Mass



Robert M. Myers
Executive Vice President,
Pharmaceuticals

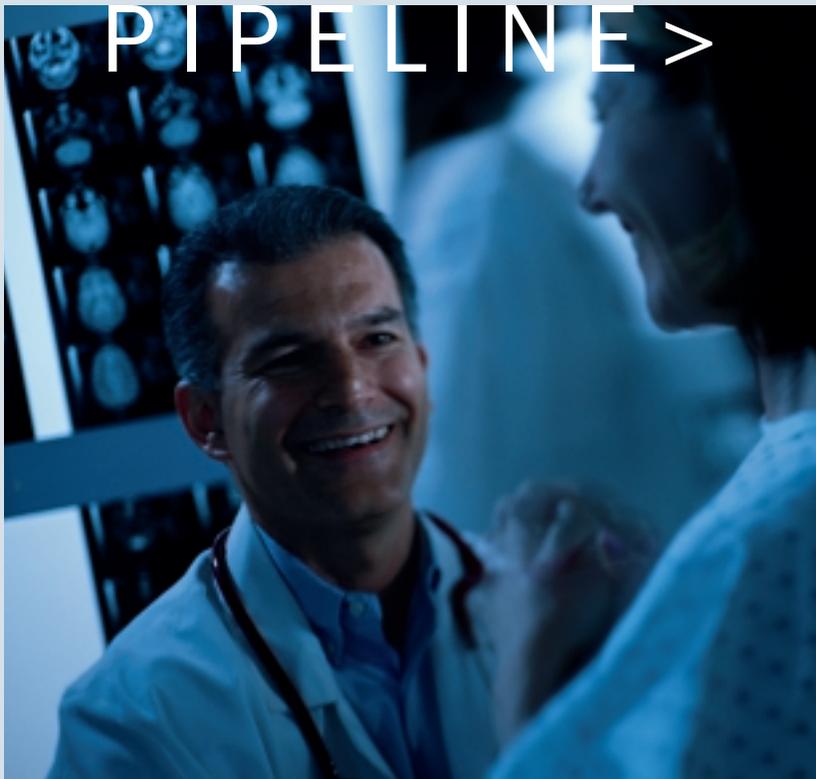
Because cancer is a genetic disease, we believe that our proprietary biology-based discovery platform is ideally suited to reveal new targets that would be difficult or impossible to uncover using other experimental approaches. Over the last twenty years, research has shown that cancer is a multifactorial disease, characterized by the successive accumulation of defects in the genes that control cell growth, cell death, and cell adhesion. While significant progress has been made to find treatments, many aspects of cancer, including defects in tumor suppressor genes, remain refractory. Tumor suppressor genes such as p53, Rb, PTEN, and APC prevent the development of tumors. One or more of these genes are defective in the majority of human tumors, and represent attractive targets for the development of drugs that could provide specific, effective anti-tumor therapies. Historically, these genes have been difficult to target.

Using our systematic research, we have generated a rich supply of validated targets that may be effective in selectively treating cancer, including those cancers with deficient tumor suppressor genes. Each of these targets has been identified as one of the key mechanisms associated with cancer, including angiogenesis (the formation of blood vessels), cell cycle control, DNA damage response, and apoptosis (regulated cell death). Importantly, by targeting varied mechanisms to regulate defective cancer genes, our pipeline contains an element of multiplicity that we believe will diversify the business risks associated with drug development. While we focus on the development of small molecule anti-cancer drugs internally, we have established external partnerships to leverage additional targets for the development of monoclonal antibodies, antisense, and other small molecule therapeutics.

Many of our proprietary small molecule drug targets have been advanced through the high-throughput screening process, resulting in high quality compounds ready for lead optimization, pharmacology, and preclinical studies. As a result of marrying our accelerated discovery effort with our superior genetics research, currently we have a number of compounds in preclinical testing and progressive stages of characterization in cell-based and pharmacological assays.

In July, we in-licensed a Phase II cancer compound that fits strategically with our clinical and commercial objectives. Currently, DEAE-Rebeccamycin is the subject of several ongoing Phase II clinical trials sponsored by the National Cancer Institute. Clinical studies completed to date have shown that the drug demonstrates acceptable safety and tolerability, with encouraging activity in a variety of tumor types. We are excited about the data, and after analyzing additional data from the ongoing Phase II studies, we expect to initiate additional development activities in late 2002.

Our cancer pipeline is both deep and broad. Additionally, we have ongoing pharmaceutical programs in angiogenesis, metabolism, inflammation, and central nervous system disorders. By combining superior science with experience and critical mass in all phases of the drug discovery process, we believe that we have increased the odds of successfully bringing new therapeutics to patients in need.



PIPELINE >

EXELIXIS CANCER PIPELINE	DISCOVERY	PHARMACOLOGY	PRECLINICAL	PHASE I	PHASE II
DEAE-Rebeccamycin	██████████	██████████	██████████	██████████	██████████
Angiogenesis	██████████	██████████	██████████		
Apoptosis	██████████	██████████	██████████		
DNA Damage	██████████	██████████	██████████		
Cell Cycle Control	██████████	██████████	██████████		
Antibodies	██████████	██████████	██████████		

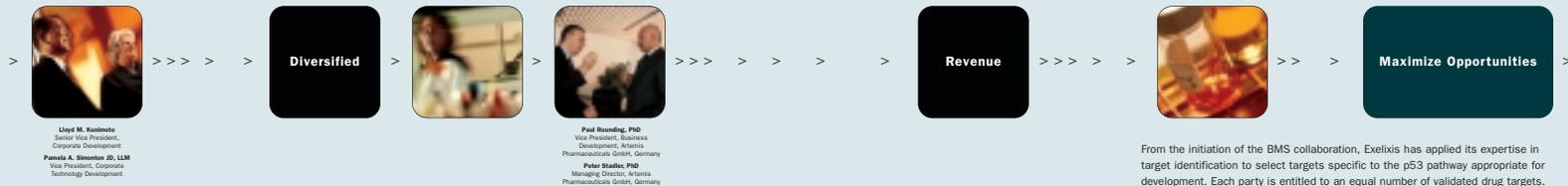
PARTNERS >



The efficiency of our drug discovery program presents us with many opportunities to create value by developing strategic relationships with leading companies. The income generated from our collaborations through committed research funding, milestones, and potential royalties provides us with a diversified revenue stream to fund our core pharmaceutical research. Currently, we have ten partnerships with nine leading companies in the pharmaceutical, biotechnology, and agricultural industries. Our partners' strategic expertise helps us to build internal capabilities, and to move multiple product opportunities forward and manage the risks inherent in the product development cycle.

We believe that we have built a cancer program that is focused and refined, with opportunities to generate revenue from our partners through ongoing research support, milestones, and royalties on product sales in the areas of small molecules and antibodies. During 2001, we entered into two important oncology relationships that demonstrate the value of our cancer franchise. In May, we announced a unique partnership with Protein Design Labs (PDL), to discover and develop humanized antibodies for the diagnosis, prevention, and treatment of cancer. In this relationship, Exelixis identifies new cancer antibody drug targets through a combination of model systems and other proprietary methods, and PDL uses its expertise to create and develop new antibody drug candidates. This partnership brings Exelixis financial compensation in the form of a \$30 million convertible note and \$4 million per year in research funding, in addition to PDL's antibody development and manufacturing expertise. Of particular note, the relationship complements our small molecule cancer program, and allows Exelixis downstream product participation. At the time an IND is filed with the Food and Drug Administration (FDA), Exelixis can elect to co-fund and co-develop the antibody product for shared commercial benefit. For those we do not elect to co-develop, we will be paid milestones and royalties on future product sales.

We believe our oncology relationship with Bristol-Myers Squibb (BMS), signed in July, is strategically important for Exelixis on a number of levels. First, under the terms of the agreement, it establishes Exelixis as an equal partner in technical and commercial aspects of the collaboration. Next, we believe that the compound DEE-Rebeccamycin provides significant value by giving us a Phase II compound and allowing us to develop a clinical infrastructure that will be leveraged for our internal compounds. The relationship fulfills the strategic goals of BMS, while allowing Exelixis to retain rights for its internal programs and other partnerships. Finally, this relationship offers Exelixis considerable know-how from BMS, a preeminent cancer company.



From the initiation of the BMS collaboration, Exelixis has applied its expertise in target identification to select targets specific to the p53 pathway appropriate for development. Each party is entitled to an equal number of validated drug targets. Once selected, targets then advance forward in either the BMS or Exelixis high-throughput screening and preclinical development programs. Under the terms of



Dr. By Wagner, PhD
 Vice President, Research, Exelixis
 Plant Sciences
Matthew G. Kramer
 General Manager and Vice President,
 Agricultural Field Development,
 Exelixis Plant Sciences



THE AG ADVANTAGE >

We are applying our integrated discovery platform to the agricultural industry for the development of new products for crop protection, animal health, and plant biotechnology. In general, agricultural products have shorter development lifecycles and lower failure rates. By partnering with leading agricultural companies, we generate near-term revenue to offset some of the cost of our pharmaceutical research, and diversify our business risks. Our relationships are narrowly defined, allowing us to maintain the integrity of our pharmaceutical programs while mobilizing our retained rights in the agricultural arena.

In the area of plant biotechnology, we are working with Aventis CropScience SA to develop a plant genetic resource that will enable us and our partners to develop crops with superior yield and improved nutritional profiles, and to develop plants with high levels of valuable biochemical compounds. Through our partnerships with Bayer Corporation and Dow AgroSciences LLC, Exelixis uses its expertise in genetic target identification and provides assays for the discovery of new chemical products including fungicides, herbicides, insecticides, and nematocides. Taken together, these relationships generate substantial funding that helps to subsidize our pharmaceutical programs and they provide the opportunity for additional product revenue for Exelixis in the future.

the agreement, BMS may elect to have Exelixis perform high-throughput screening and chemistry to create an "IND-ready" compound for increased financial compensation, which we believe demonstrates confidence in the reliability and proficiency of our discovery output. In exchange for Exelixis generating targets, BMS paid an initial \$5 million, made a \$20 million equity investment at a premium to the market and provides \$3 million per year in research funding. In addition, BMS granted Exelixis a fully-paid license to DEAE-Rebeccamyacin. Exelixis will receive milestones and royalties as BMS moves projects forward that arise from our collaboration.

Closely following on our oncology relationships, our combinatorial chemistry partnerships with Schering-Plough Research Institute, Elan Pharmaceuticals, Scios, and Cytokinetics demonstrate our strategic ability to efficiently build and monetize our drug discovery infrastructure. In each of these collaborations, Exelixis creates large, high quality, small molecule libraries of compounds for high-throughput screening, which can be used by Exelixis and its partner for discovery and development. Through these collaborations, we are able to generate revenue to offset the cost of our internal development and add diversity to our compound libraries.



PROGRESS >

The year 2001 was one of validation and evolution for Exelixis. We executed on our business strategy to successfully establish a leading drug discovery and development organization and to generate additional value from our world-class biology platform. We expanded the foundation of the company in 2000 to achieve critical mass in all disciplines of drug discovery. In 2001 we leveraged our internal programs and expertise to build our product pipeline, deliver on our partnerships, execute new strategic relationships, and attract experienced management, advancing the company on all fronts.

Through creative and strategic collaborations, we licensed what we believe is a promising Phase II cancer compound, gained development expertise and downstream rights to cancer antibody products, and generated interesting compounds for our small molecule pipeline. In addition, we confirmed our ability to quickly identify and execute opportunistic transactions beyond technology. The acquisition of Artemis Pharmaceuticals broadened our drug discovery capabilities by adding unique validation capabilities to our active angiogenesis program and strengthened our technology base with additional vertebrate model system expertise. Our acquisition of Genomica not only provided potentially useful software for our clinical efforts but also added approximately \$110 million to our balance sheet.

The process of drug discovery and development presents tremendous opportunities, but is also fraught with risk. Through our strategic approach to discovery, development, and cash management, we believe that 2001 reflected our ability to execute our business plan and build the critical know-how, experience, and infrastructure necessary to maintain our momentum, deliver results, and realize value for our stockholders and employees.

We are a remarkably different company today than we were at the end of 2000. We have transformed from a comparative genomics company into an integrated drug discovery business. Through aggressive execution, we believe that in 2002 and beyond, we will accelerate our business further, moving toward our goal of becoming a fully integrated product company.



Glen Y. Sato
Chief Financial Officer,
Vice President, Legal Affairs
and Secretary

Jane M. Green, PhD
Vice President,
Corporate Communications

CORPORATE INFORMATION >

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Independent Auditors

Ernst & Young LLP
Palo Alto, California

Annual Meeting

The annual meeting of stockholders will be held at 8:00 am on Wednesday, May 29, 2002 at the company's corporate headquarters at 170 Harbor Way, South San Francisco, California.

SEC Form 10-K

A copy of the Exelixis annual report on Form 10-K filed with the Securities and Exchange Commission is available free of charge from the company's Investor Relations Department at Exelixis by calling 650-837-7000 or via e-mail: ir@exelixis.com

Stock Information

The common stock of the company is traded on the Nasdaq National Market System under the symbol EXEL. No dividends have been paid on the common stock since the company's inception.

Quarter Ending	Low	High
3.31.01	\$ 6.00	\$16.25
6.30.01	7.25	19.00
9.30.01	9.61	19.28
12.31.01	10.60	17.47

Our annual report contains certain statements that are forward-looking, and so such involve risks and uncertainties. Our actual results and the timing of events could differ materially from those anticipated in our forward-looking statements as a result of many factors, including our ability to identify and move potential product opportunities into the clinic, enter into new collaborations, identify and develop compounds against proprietary cancer targets, successfully manufacture and continue clinical development of DEAE-Ribociclimin, and meet the currently anticipated timeline for the filing of our initial proprietary small molecule IND. These and other risk factors are discussed under "Risk Factors" and elsewhere in our Annual Report on Form 10-K for the year ended December 31, 2001 and other SEC reports. We expressly disclaim any obligation or undertaking to release publicly any updates or revisions to any forward-looking statements contained in our annual report.

Board of Directors

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Chairman of the Board, Exelixis, Inc.,
Managing Director, Investment Banking
Healthcare, SG Cowen

Charles Cohen, PhD

Chief Executive Officer, Cellzone GmbH

Geoffrey Dyk, MD, PhD

Executive Vice President and Chief
Scientific Officer, Exelixis, Inc.

Jason Fisherman, MD

Partner, Advent International Corporation

Jean-François Formela, MD

Senior Principal, Atlas Venture

Vincent Marchesi, MD, PhD

Director, Boyer Center for Molecular
Medicine, Yale University

George A. Scangos, PhD

President and Chief Executive Officer,
Exelixis, Inc.

Peter Stadler, PhD

Managing Director, Artemis
Pharmaceuticals GmbH, Germany

Lance Willsey, MD

Founding Partner, DCF Capital

Management

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President and Chief Executive Officer,
Exelixis, Inc.

Geoffrey Dyk, MD, PhD

Executive Vice President and
Chief Scientific Officer, Exelixis, Inc.

Robert M. Myers

Executive Vice President, Pharmaceuticals

Glen Y. Sato

Chief Financial Officer,
Vice President, Legal Affairs and Secretary

Lloyd M. Kunimoto

Senior Vice President, Corporate
Development

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Senior Vice President and
Chief Medical Officer

Jane M. Green, PhD

Vice President, Corporate Communications

Matthew G. Kramer

General Manager and Vice President,
Agricultural Trait Development, Exelixis
Plant Sciences

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Vice President, Discovery Research

Gregory D. Plowman, MD, PhD

Vice President, Pharmaceutical Research

Paul Rounding, PhD

Vice President, Business Development,
Artemis Pharmaceuticals GmbH, Germany

Pamela A. Simonton, JD, LLM

Vice President, Corporate Technology
Development

Peter Stadler, PhD

Managing Director, Artemis
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D. Ry Wagner, PhD

Vice President, Research, Exelixis Plant
Sciences

Exelixis...understanding disease, creating cures.

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