

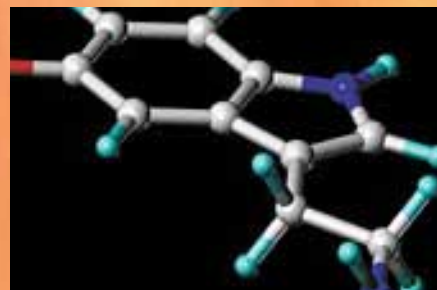


Arena Pharmaceuticals, Inc. | Annual Report 2000

## Arena Pharmaceuticals, Inc.



With multiple screening technologies and an expanded high throughput screening facility, Arena will soon fulfill its vision of becoming the pharmaceutical industry leader in the active screening of both orphan and known G protein-coupled receptors—genomic targets which, to date, may be responsible for the therapeutic activity of up to 60% of all currently marketed prescription drugs worldwide.

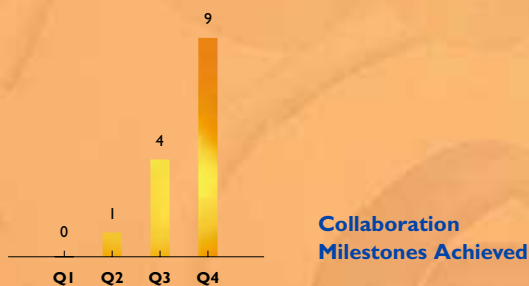
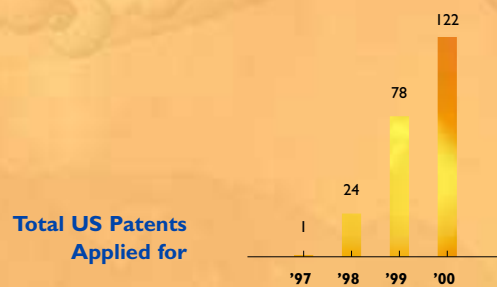
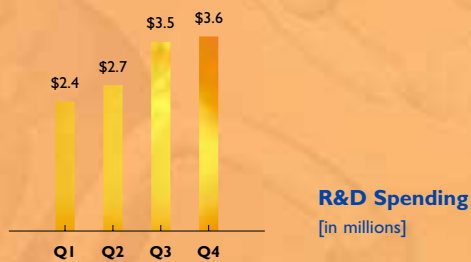
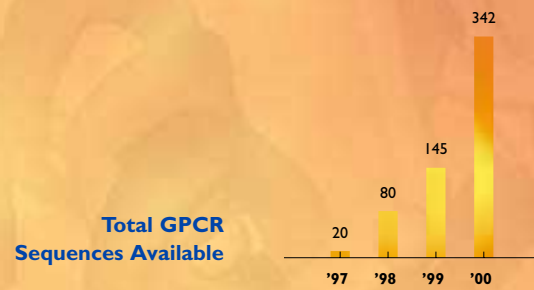
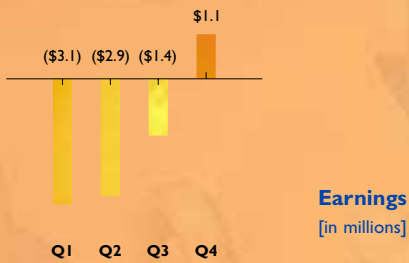
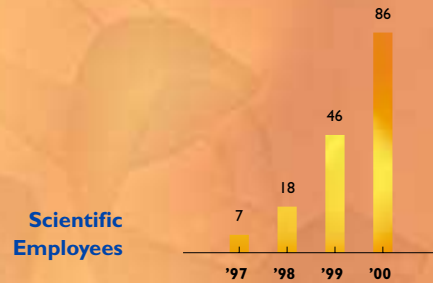
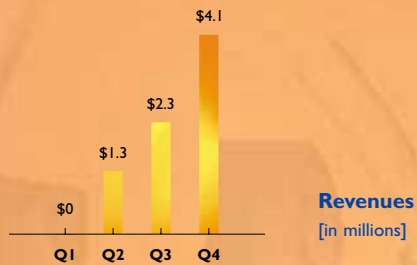


This year and in years to come, Arena will continue to build on its industry-leading stable of fully sequenced and expressed GPCRs, using its proprietary technologies to eventually screen all of the therapeutically relevant GPCR genes.



The critical support functions of medicinal chemistry and animal pharmacology at Arena will continue to grow in lock step with the rising number of validated Arena GPCR targets, resulting in an exponential increase in the discovery of unique small molecule drug candidates. Many of these molecules may become the drugs of the future, to be developed by Arena and its ever-increasing family of pharmaceutical industry partners.

## Financial Highlights | Research Productivity



VARIOUS FORWARD-LOOKING STATEMENTS are made in this Annual Report, which generally include the words “believe,” “expect,” “anticipate,” “estimate,” “optimistic,” “intend,” “plan,” “project,” “target,” “aim,” “will,” and similar expressions. Certain factors that may affect these forward-looking statements, including the Company’s ability to achieve its goals referred to herein, are discussed on page 28.



#### To the Shareholders of Arena Pharmaceuticals, Inc.:

This past year has been a year of remarkable growth for Arena. We demonstrated our ability to identify pharmaceutical candidates having *in-vivo* activity using newly discovered information from the Human Genome Project. We concluded research collaborations with three large pharmaceutical companies at more than 60 designated GPCR (G-protein coupled receptor) targets. Fujisawa Pharmaceutical focused on receptors mediating neurodegeneration; Taisho Pharmaceutical focused on obesity and diabetes targets; Eli Lilly and Company focused on certain central nervous system and endocrine targets. We completed a very successful initial public offering, raising almost \$125 million and, because of the efficiency of our proprietary technology, also reported our first quarterly profit.

I look optimistically to the future because of Arena's outstanding scientific capability. The basis for our business model lies in our CART technology that allows for rapid discovery of drug candidates at cell surface receptor targets. We focus on GPCRs because this single class of receptor is responsible for 80% of cellular communication and accounts for the vast majority of all receptors present in the human body. Many prescription drugs have been discovered by the pharmaceutical industry using GPCRs. However, these drugs were discovered using only a small fraction of the GPCRs that are present in the human body because, prior to CART, scientists often spent years defining the physiology of a receptor even before the drug discovery process could begin. Using CART, Arena's scientists have avoided the often lengthy and expensive research traditionally used to understand and define the physiology of a newly identified receptor. Instead, CART enables our scientists to search for drug candidates within a short time period after identification of a receptor, and as we have demonstrated, this has allowed us to more quickly initiate detailed analysis of our discoveries in both laboratory and animal models. This typically reduces the time needed to discover new drug candidates by many years and, we believe, also allows for significant cost savings in the drug discovery process. Because of this, we believe that CART provides a significant advantage in the drug discovery process.

We continue to grow our discovery capabilities. Our long term goal is to conduct drug discovery at all GPCRs. In the past year, research spending has increased by about 50%. We believe we now may have over half of the GPCR targets in the human genome available at Arena, and we expect to essentially complete the acquisition of the remainder within the next 12 months. At Arena as I write, over 100 scientists are focusing their discovery efforts on GPCRs, which places us on par with some of the largest pharmaceutical



“...our goal to screen and complete  
initial drug discovery for essentially  
all human GPCRs in the next  
three to five years.”

discovery organizations. We expect to increase our scientific employment by 50% during 2001 and perhaps by up to an additional 50% in 2002.

We plan to attain our goal to screen and complete initial drug discovery for essentially all human GPCRs in the next three to five years. This is expected to result in hundreds of leads at these highly drugable targets. At the appropriate time, Arena intends to select some of these candidates for internal development and, if successful, commercialization. Because we expect to discover drug leads too numerous for any single company to commercialize, we will continue our efforts to partner the majority of our discoveries with major pharmaceutical discovery organizations. Such partnering is expected to generate increasing revenue that we anticipate will result in profitability for Arena, even as we continue to grow. Our partnering approach is intended to continue to allow your company to use its capital strategically, rather than to fund day-to-day operations.

Let me now focus on selected 2000 technology highlights:

- After our research collaboration with Taisho was initiated in May, we employed our CART technology to create a high throughput screen at the first receptor target selected by Taisho. This CART enabled screen was employed by both Arena and Taisho scientists and has resulted in a number of potential drug lead candidates. This entire process took only about six months, perhaps cutting years off the normal timeline. We expect Taisho will move their drug leads forward in the near future, potentially providing Arena with additional milestone and royalty payments.
- We successfully employed our CART technology at all receptors selected by Eli Lilly and Company, including members of receptor classes not previously explored by Arena. Arena scientists, working closely with those at Lilly, were able to achieve research milestones ahead of the research plan adopted by Lilly.
- In December, Arena accepted Taisho's bid to license a newly discovered GPCR first identified by Arena as a target for the discovery of compounds we believe may be useful for the treatment of obesity. It is particularly encouraging that this license represented a second collaboration by Taisho with Arena. We expect that Taisho will progress this program into the clinic within the next few years.
- Towards the end of 2000, Arena announced the intended acquisition of Bunsen Rush Laboratories. We finalized this highly complementary \$15 million acquisition for cash, issuing no Arena stock. Although cash acquisitions are not always possible, this is the approach that we prefer to take.

- In 2000, the number of GPCR targets available at Arena for drug screening increased from just fewer than 200 to around 350. We believe this now represents the largest collection of receptors available for drug discovery at any company. Included in these new receptors are believed to be receptors that are novel and proprietary and that are distant relatives of receptors actively being investigated by major pharmaceutical companies.

Arena was founded in 1997 and I believe we have accomplished a great deal in a short time. More importantly, I believe we are just beginning to achieve our potential. My goal as President & CEO is to make Arena the world leader in receptor based drug discovery, an objective that I believe is possible because of the many outstanding individuals in our company.



**Jack Lief**  
President and CEO

## Collaborations

"The first nine months of our collaboration have been very productive. Arena has delivered, ahead of schedule, a number of CART-activated receptors, including both Lilly receptors and Arena's orphan receptors from its pool of GPCRs. Our combined drug discovery efforts offer the opportunity for speeding up the overall drug development process and have increased the number of biological targets that we can now pursue for drug development purposes. We look forward to building on the relationship we have established."

**H. Christian Fibiger, Ph.D.**

Vice President, Neuroscience Research and Clinical Investigation  
Eli Lilly and Company

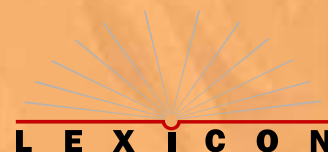
*Lilly*

4



TAISHO PHARM.

 **Fujisawa Pharmaceutical Co.,Ltd.**



**Neurocrine**  
BIOSCIENCES

\* Permission to use logos.



**Our Company**

We were incorporated on April 14, 1997 in the state of Delaware and commenced operations in July 1997. We have developed a new technology, which we call CART™ (Constitutively Activated Receptor Technology), that we use to identify drug-like compounds more efficiently than traditional drug discovery techniques. CART allows us to develop novel biochemical assays to discover drug-like compounds that target G protein-coupled receptors, called GPCRs, an important class of receptors. Additionally, we believe that CART is applicable to other human receptor classes, such as tyrosine kinase receptors, or TKRs, as well as to non-human receptors for the discovery of animal therapeutics and agricultural products.

In the recent past, the pharmaceutical and biotechnology industries have increasingly focused their drug discovery efforts on receptor-based drug targets because drugs discovered using these targets have the potential for increased specificity and reduced side effects. Of the leading 100 pharmaceutical products, based on 1999 revenues, 34 wholly or in part act on GPCRs. In 1999, these GPCR-based pharmaceutical products represented over \$34 billion in sales, and included Claritin® for allergies, Zantac® for gastric ulcers, Imitrex® for migraines and Cozaar® for hypertension.

We use CART to discover drug-like compounds by genetically altering receptors to mimic the biological response that occurs when the native ligand binds to the receptor. We refer to these genetically altered receptors as CART-activated receptors. We use CART-activated receptors as a screening tool to identify chemical compounds that alter the biological response of the receptor, and these compounds form the basis for drug candidates. Using CART technology, we have discovered drug-like compounds that have demonstrated pharmacological activity in pre-clinical, or animal, studies through our own internal research and drug development efforts, as well as through those of our collaborators. Based upon the success of CART, we have entered into collaborative relationships with a number of pharmaceutical and biotechnology companies, including Eli Lilly and Company, Taisho Pharmaceutical Co., Ltd., Fujisawa Pharmaceutical Co., Ltd., Lexicon Genetics, Inc. and Neurocrine Biosciences, Inc.

**The Drug Discovery Problem**

Diseases in humans are caused by the abnormal function of cells. Both normal and abnormal cellular function is principally the result of communication between cells. This cellular communication occurs when a ligand is released from a cell and binds to a receptor on the surface of that cell or another cell. This binding triggers the initiation of various signals within that cell, resulting in changes in cellular function. By interacting with the receptor to mimic or block ligand-receptor binding, drugs affect abnormal cellular function and thereby regulate the disease process.

Receptors are classified into categories based upon similarities in their biochemical and structural properties. They are located in various tissues throughout the body and affect a variety of cellular functions. There are four principal classes of human receptors: GPCRs; TKRs; ligand-gated ion channel receptors; and intracellular receptors. We focus on GPCRs because they are the predominant class of receptors involved in cellular function.

The ligand that naturally binds to a receptor and activates or inhibits a biological response is referred to as a receptor's native ligand. A receptor for which the native ligand has been discovered is called a known receptor, while a receptor for which the native ligand has not been identified is called an orphan receptor. Genomics researchers believe that GPCRs comprise 2% to 3% of the human genome (approximately 1,000 GPCRs), the vast majority of which are orphans.

Advances in genomics research have enabled researchers, including us, to directly identify the genetic sequence of previously unidentified receptors, including GPCRs, from basic genetic information. As more GPCRs are made available, the opportunity to use this information for drug discovery efforts should increase. Although hundreds of new, orphan GPCRs are being made publicly available through genomics research, traditional drug discovery techniques to find new drug candidates cannot be applied to orphan GPCRs until the native ligands for these orphan GPCRs are identified because these traditional techniques seek to find drug-like compounds that imitate or inhibit ligand binding to the receptor.

The process of identifying native ligands is very uncertain, generally involving many stages of tissue extraction and extensive purification. To our knowledge, of the hundreds of orphan GPCRs that have been identified, only a handful of examples exist where a novel native ligand has been discovered by intentionally targeting an orphan GPCR. Even when successful, identifying the native ligand typically requires four to five years and costs millions of dollars per GPCR. For example, a GPCR called GPR 14 was discovered in 1995, but its native ligand, urotensin II, was not identified until 1999. The process of identifying native ligands is typically the step that limits the rate at which drugs are discovered at receptor targets.

**Our Solution—CART Technology**

We do not use, and therefore do not need to identify, the receptor's native ligand for our drug discovery efforts. We use our CART technology to discover drug-like compounds by CART-activating receptors to mimic the biological response that occurs when the native ligand binds to the receptor. Therefore, CART technology avoids a major bottleneck in drug discovery efforts at orphan receptors.

CART technology can be applied broadly to GPCRs because all GPCRs have highly similar structural elements, consisting of:

- three extracellular loops on the outside of the cell
- three intracellular loops on the inside of the cell
- seven regions that cross through the cell surface, or membrane, and connect the extracellular and intracellular loops

When a ligand binds to the extracellular portion of the GPCR, changes occur to the intracellular portion of the GPCR that permit a signaling molecule located within the cell, called a G protein, to bind to the intracellular portion of the GPCR. This leads to further intracellular changes, resulting in a biological response within the cell.

Under normal physiological conditions, a GPCR exists in equilibrium between two different states: an inactive state and an active state. When the GPCR's equilibrium shifts to an active state, the GPCR is able to link to a G protein, thus producing a biological response. When the GPCR's equilibrium shifts to an inactive state, the receptor is typically unable to link to a G protein, and therefore unable to produce a biological response. When a native ligand binds to the GPCR, the GPCR's equilibrium shifts and the GPCR is stabilized in the active state.

By altering the genetic structure of a GPCR, our CART technology stabilizes the GPCR in the active state in the absence of the native ligand.

Drug screening and discovery targeting GPCRs using CART technology is comprised of four stages:

- altering the molecular structure of an intracellular loop or intracellular portion of the GPCR to generate a CART-activated form of the GPCR
- introducing the CART-activated form of the receptor into mammalian cells, which, in turn, manufacture the CART-activated form of these receptors at the cell surface
- analyzing the cells containing the CART-activated GPCR to detect biological responses that result from the linking of the CART-activated GPCR to a G protein
- screening chemical libraries of small molecule compounds against the cell membranes containing the CART-activated GPCR to identify compounds that interact with the GPCR

Screening using CART technology allows us to simultaneously identify compounds that act as receptor inhibitors to decrease the detected biological responses, or act as receptor activators to increase the detected responses. Therefore, our CART technology allows us to discover drugs that either inhibit or enhance biological activity.

CART technology is also useful for identifying drug-like compounds that reduce cellular responses resulting from ligand-independent activity of receptors. These drugs are termed inverse agonists and are the preferred drugs for treating diseases in which ligand-independent receptor activity

may be important, such as schizophrenia. In general, traditional ligand-based drug screening techniques can only be used to identify neutral antagonists, which do not affect the ligand-independent activity of the receptor. We can directly identify inverse agonists using our CART technology by screening for ligand-independent receptor activity. We believe the inverse agonists that we identify will possess improved properties over neutral antagonists because they inhibit both ligand-induced as well as ligand-independent activity.

In addition, because CART does not require the use of the native ligand, we are not limited to finding drug-like compounds that bind to a receptor at the receptor's ligand binding site. Instead, CART technology exposes the entire receptor surface to drug-like compounds, allowing for the detection of drug candidates which bind at any point on the receptor surface. We believe that this feature of CART technology is important not only with respect to orphan receptors, but known receptors as well, because it provides us with the ability to discover new drugs with unique mechanisms of action.

In summary, we believe that our platform CART technology offers several key advantages for drug discovery over other screening techniques. Screening CART-activated receptors:

- does not require prior identification of the native ligand for an orphan receptor
- enhances the detection of, and simultaneously identifies, both receptor inhibitor and receptor activator compounds
- allows for the identification of compounds or drug candidates that inhibit both ligand-induced and ligand-independent activity
- provides the ability to discover novel and improved therapeutics at known receptor targets

### Applications of CART

Over the past three years, we have obtained the full-length gene sequences of 330 human GPCRs and made them available for CART-activation and screening. We also have obtained five non-human receptors, including plant, viral and insect receptors. Through the use of our proprietary CART technology, we have successfully identified compounds that inhibit or activate a number of known and orphan receptor targets.

### Orphan GPCRs

An important element of our CART technology involves using the gene sequences of orphan GPCRs to understand and define the tissue and cellular distribution of these GPCRs. The gene sequences provide us with the necessary tools to locate the orphan receptors in tissues. Once we have identified the location of an orphan receptor in tissues, we can determine the normal function of the orphan receptor and compare that function to the function of the orphan GPCR in diseased tissues. We then use our CART technology to screen the targeted receptor for drug-like compounds that can be employed to verify the proposed receptor function.



### **Known GPCRs**

Although we focus on orphan GPCRs, we also apply our CART technology to known GPCRs. We believe that the application of our CART technology to known GPCRs will identify novel classes of drug candidates that may be more effective and may have fewer side effects than existing drugs that target known GPCRs.

Our principal advantage in applying CART technology to known GPCRs is our ability to directly identify drug-like compounds that act as inverse agonists, which cannot be directly identified using traditional ligand-based screening techniques. Inverse agonists are particularly relevant in treating diseases in which ligand-independent GPCR activity, or overactivity, is implicated.

### **Acquisition of Bunsen Rush Laboratories**

In February 2001, we acquired all of the outstanding capital stock of Bunsen Rush Laboratories, Inc. (Bunsen Rush) through BRL Screening, our wholly owned subsidiary, for \$15.0 million in cash. Bunsen Rush was a privately held research-based company that provided receptor screening for the pharmaceutical and biotechnology industries using its proprietary and patented Melanophore Technology. Melanophores are pigment-bearing cells. In response to light and a range of chemical stimuli, they undergo rapid color change, a change that can be mediated by GPCRs or receptor tyrosine kinases as a result of changes in second messenger levels of cyclic AMP or diacylglycerol. During the color change, pigment granules, referred to as "melanosomes," undergo rapid dispersion throughout the cell or aggregation to the center of the cell. The reversible movement of melanosomes along microtubules is driven by molecular motors. In this new system, there is no new pigment synthesis; the same pigment is simply redistributed within the cell. Pigment dispersion results in the cells appearing dark while aggregation causes the cells to appear light, creating what has been referred to as a "chameleon in a dish." In many cases, the response of the cells is detectable in minutes using either a microplate reader or video imaging system. Melanophores are derived from the neural crest and express a diverse set of G proteins allowing them to functionally express GPCRs. In collaboration with us, Bunsen Rush has secured data that both we and Bunsen Rush believe indicate that the Melanophore Technology is applicable to CART-activated GPCRs. The Melanophore technology is the subject of issued U.S. Patent Nos. 6,051,386 and 5,462,856. Melanophore Technology is a functional-based screening technology used to identify compounds that interact with cell surface receptors, including known and orphan GPCRs and receptor tyrosine kinases. The functional nature of the

Melanophore Technology eliminates the need for radioactive or fluorescent screening techniques and provides a simple and sensitive means to detect cellular signals generated by activated GPCRs.

The Melanophore Technology has the potential to be a simple, robust and widely applicable functional assay technique for the identification of modulators to GPCRs and thus is complementary to our strategic objectives of continually enhancing the breadth and applicability of our CART technology. As we continue to expand our high-throughput screening capabilities for CART-activated known and orphan GPCRs, we believe that access to complementary compound screening and identification techniques will help us streamline the drug discovery process. We believe that in combination, CART technology and Melanophore Technology will provide a powerful means to enhance the discovery of modulators at GPCRs. CART activation of receptors provides a signal to the cell, and the Melanophore Technology provides a complementary, simple and sensitive signal detection system with advantages for small molecule screening over other techniques.

### **T-82**

We in-licensed T-82 from SSP Co., Ltd. in 1998 as a novel drug candidate to treat Alzheimer's Disease. Our Phase I safety studies of this compound began in 1999. We have completed four Phase I studies of T-82 through 2000 and have been assessing the data in conjunction with SSP. We were not required to make milestone payments to SSP following completion of these studies. We are required to make milestone payments to SSP upon the successful completion of Phase II clinical studies, after successful completion of Phase III clinical studies and, if applicable, after receiving marketing approval by the FDA and European regulatory agencies, up to an aggregate maximum of \$5.0 million. The four Phase I safety-based studies of T-82 evidenced results that we believe establish the safety of T-82 in the tested parameters. However, our analysis of all of the data for T-82, in conjunction with the extensive costs associated with conducting Phase II and Phase III clinical studies of T-82, the types and number of potential new treatments for Alzheimer's Disease that are in more advanced stages of clinical testing, as well as the impact that these factors may have on our ability to successfully out-license T-82 to a third party have prompted us to consider if continuation of the T-82 program by us is warranted. We therefore cannot assure you that we will continue development of T-82 until we have completed the assessment of all data and information related to this program.

## Selected Financial Data

The following Selected Financial Data should be read in conjunction with “Management’s Discussion and Analysis of Financial Condition and Results of Operations” and “Audited Financial Statements” included elsewhere in this Annual Report.

Year Ended December 31,	'00	'99	'98	Period from April 14, 1997 (inception) through December 31, '97
<b>Revenues</b>				
Total revenues	\$ 7,683,396	\$ —	\$ —	\$ —
<b>Expenses</b>				
Research and development	12,080,204	8,336,483	2,615,526	447,038
General and administrative	2,678,980	1,814,023	728,806	234,614
Amortization of deferred compensation	4,342,896	378,109	—	—
Total operating expenses	19,102,080	10,528,615	3,344,332	681,652
Interest and other, net	5,056,714	290,665	(51,986)	(13,113)
Net loss	(6,361,970)	(10,237,950)	(3,396,318)	(694,765)
Non-cash preferred stock charge	(22,391,068)	—	—	—
Net loss applicable to common stockholders	\$ (28,753,038)	\$ (10,237,950)	\$ (3,396,318)	\$ (694,765)
Historical net loss per share, basic and diluted	\$ (2.84)	\$ (10.05)	\$ (3.51)	\$ (0.73)
Shares used in calculating historical net loss per share, basic and diluted	10,139,755	1,018,359	966,799	955,000
Pro forma net loss per share	\$ (1.65)	\$ (1.29)		
Shares used in calculating pro forma net loss per share	17,411,028	7,926,952		
<b>Balance Sheet Data</b>				
Cash and cash equivalents	\$144,413,176	\$ 5,401,508	\$ 194,243	\$1,553,422
Total assets	152,711,929	8,525,840	1,653,090	2,421,603
Long-term debt, net of current portion	960,517	2,158,784	970,785	790,863
Redeemable convertible preferred stock	—	18,251,949	2,598,643	2,193,356
Deferred compensation	(7,899,970)	(625,955)	—	—
Accumulated deficit	(20,691,003)	(14,329,033)	(4,091,083)	(694,765)
Total stockholder’s equity (deficit)	148,784,325	(13,899,549)	(4,068,283)	(694,665)
As of December 31,	'00	'99	'98	'97

## Financial Information by Quarter [unaudited]

2000 for quarter ended	Dec. 31	Sept. 30	June 30	March 31	Year
Revenues	\$ 4,079,999	\$ 2,314,126	\$ 1,289,271	\$ —	\$ 7,683,396
Amortization of non-cash deferred compensation	1,390,949	1,123,358	1,419,565	409,479	4,342,896
Net income (loss)	1,064,906	(1,418,594)	(2,886,082)	(3,122,200)	(6,361,970)
Non-cash preferred stock charge	—	—	(8,203,505)	(14,187,563)	(22,391,068)
Net income (loss) applicable to common stockholders	1,064,906	(1,418,594)	(11,089,587)	(17,309,763)	(28,753,038)
Basic and diluted earnings (loss) per share	0.05	(0.09)	(8.47)	(15.92)	(2.84)
Pro forma earnings (loss) per share		(0.07)	(0.81)	(1.76)	(1.65)

1999 for quarter ended	Dec. 31	Sept. 30	June 30	March 31	Year
Revenues	\$ —	\$ —	\$ —	\$ —	\$ —
Amortization of non-cash deferred compensation	101,095	97,628	179,386	—	378,109
Net loss	(2,979,060)	(2,734,105)	(2,526,550)	(1,998,235)	(10,237,950)
Non-cash preferred stock charge	—	—	—	—	—
Net loss applicable to common stockholders	(2,979,060)	(2,734,105)	(2,526,550)	(1,998,235)	(10,237,950)
Basic and diluted loss per share	(2.84)	(2.65)	(2.48)	(2.03)	(10.05)
Pro forma loss per share	(0.37)	(0.34)	(0.32)	(0.31)	(1.29)

## Management's Discussion and Analysis of Financial Condition and Results of Operations

You should read the following discussion and analysis in conjunction with "Audited Financial Statements" included elsewhere in this Annual Report.

Since our inception in April 1997, we have devoted substantially all of our resources to the research and development of CART. We have incurred significant operating losses since our inception and, as of December 31, 2000, we had an accumulated deficit of \$20.7 million. Our prospects should be considered in light of the risks, expenses and difficulties encountered by companies in the early stages of development, particularly those companies in the rapidly changing pharmaceutical and biotechnology industries.

In April 2000, we entered into a significant collaborative agreement with Eli Lilly, one of the world's leading pharmaceutical companies. This collaboration focuses principally on diseases of the central nervous system and endocrine system, as well as cardiovascular diseases, and may be expanded to other diseases, including cancer. We activate mutually selected G protein-coupled receptors and will provide Eli Lilly with biochemical assays for use in their screening facilities. We have received, and will continue to receive, research funding from Eli Lilly for our internal resources committed to these tasks, which will be augmented by substantial resource commitments by Eli Lilly. We may receive up to \$1.25 million per receptor based upon milestone payments in connection with the successful application of CART to each receptor, and up to an additional \$6.0 million based upon clinical development milestone payments for each drug candidate discovered using CART. We may also receive additional milestone and royalty payments associated with the commercialization of drugs discovered using CART, if any. In addition, we have entered into other collaborative agreements, including with Taisho and Fujisawa, regarding the application of CART to G protein-coupled receptors. We have recognized revenues of approximately \$5.2 million from our collaboration with Eli Lilly and approximately \$2.4 million from our collaboration with Taisho.

In February 2001, the Company, through its wholly-owned subsidiary BRL Screening, Inc., acquired for \$15.0 million in cash all of the outstanding capital stock of Bunsen Rush Laboratories, Inc. (Bunsen Rush), a privately held research-based company that provides receptor screening for the pharmaceutical and biotechnology industries using its proprietary and patented Melanophore Technology. Melanophore Technology is a functional-based screening technology used to identify compounds that interact with cell surface receptors, including known and orphan GPCRs and receptor tyrosine kinases. The functional nature of Melanophore Technology eliminates the need for radioactive or fluorescent screening techniques and provides a simple and sensitive means to detect cellular signals generated by activated GPCRs.

We plan to pursue several specific objectives during the remainder of 2001, namely:

- establishing additional collaborations with pharmaceutical and biotechnology companies based on using CART
- expanding the number of receptors available for activation by CART through internal research efforts and, potentially, external licensing agreements
- increasing our internally funded drug discovery efforts, including expansion of our chemistry and screening efforts
- We intend to map the GPCRs to all of the major body systems

Our ability to achieve our identified goals or objectives is dependent upon many factors, some of which are out of our control, and we may not achieve our identified goals or objectives.

Our quarterly operating results will depend upon many factors, including expiration of research contracts with our collaborators, the size of future collaborations, the success rate of our technology collaborations leading to milestones and royalties, and general and industry-specific economic conditions which may affect research and development expenditures. As a consequence, our revenues in future periods are likely to fluctuate significantly from period to period.

Our research and development expenses consist primarily of salaries and related personnel expenses. As of December 31, 2000, all research and development costs have been expensed as incurred. We believe that continued investment in research and development is critical to attaining our strategic objectives and we expect these expenses to continue and to increase. General and administrative expenses consist primarily of salaries and related personnel expenses for executive, finance and administrative personnel, professional fees, and other general corporate expenses. As we add personnel and incur additional costs related to the growth of our business, general and administrative expenses will also increase.

### Deferred Compensation

Deferred compensation for stock options granted by us to our employees and directors has been determined as the difference between the estimated market value of our common stock on the date the options were granted, and the exercise price of the options. Deferred compensation is initially recorded as a component of stockholders' equity and is amortized using a graded vesting method as charges to operations over the vesting period of the options. In connection with the grant of stock options to our employees, consultants and directors, we recorded deferred compensation of approximately \$11.6 million in the year ended December 31, 2000 and \$1.0 million in the year ended December 31, 1999. As of December 31, 2000, the total charges to be recognized in future periods from amortization of deferred stock compensation are anticipated to be



approximately \$4.1 million, \$2.6 million, \$1.1 million and \$99,000 for the years ending December 31, 2001, 2002, 2003 and 2004, respectively. Deferred compensation for stock options granted by us to our consultants has been determined in accordance with Statement of Financial Accounting Standards No. 123 and Emerging Issues Task Force 96-18 as the fair value of the equity instruments issued. Deferred compensation for stock options that we grant to consultants is periodically remeasured as the underlying options vest. Our stock options generally vest over four years from the date of grant.

## Results of Operations

*Year ended December 31, 2000 compared to the year ended December 31, 1999*

**Revenues.** We recorded revenues of \$7.7 million for the year ended December 31, 2000 as compared to no revenue for the year ended December 31, 1999. The revenues for the year ended December 31, 2000 were primarily attributable to our collaborations with Eli Lilly and Taisho, which included research funding, milestone achievements, and technology access and development fees. Research funding is recognized as revenue when the services are rendered. Revenue from technology access and development fees is recognized ratably over the term of the collaboration. Revenue from milestones is recognized when the milestone is achieved. If our collaborators pay us before we recognize the revenue, we will defer revenue recognition of these payments until earned. As of December 31, 2000, we had current and non-current deferred revenues totaling approximately \$705,000.

**Research and development expenses.** Our research and development expenses increased \$3.8 million to \$12.1 million for the year ended December 31, 2000, from \$8.3 million for the year ended December 31, 1999. This increase was primarily due to increased personnel related expenses of \$3.5 million and lab supplies costing \$1.4 million in order to expand the application of our technology. The increase was offset by reduced expenses of \$1.1 million related to the development of T-82 for which we initiated our first Phase I clinical trial in early 1999, and which was completed in late 1999.

**General and administrative expenses.** Our general and administrative expenses increased \$900,000 to \$2.7 million for the year ended December 31, 2000, from \$1.8 million for the year ended December 31, 1999. This increase was primarily due to increased personnel expenses related to additional personnel hired in the accounting, legal and general administration departments. This increased staffing was necessary to manage and support our continued growth as well as to accommodate the demands associated with operating as a public company.

**Amortization of deferred compensation.** We recorded amortization of deferred compensation of approximately \$4.3 million for the year ended December 31, 2000 as compared to \$378,000 for the year ended December 31, 1999.

**Interest income.** Interest income increased \$4.2 million to \$4.6 million for the year ended December 31, 2000, from \$447,000 for the year ended December 31, 1999. The increase was primarily attributable to higher average levels of cash and cash equivalents in the year ended December 31, 2000.

**Interest expense.** Interest expense increased \$54,000 to \$220,000 for the year ended December 31, 2000, from \$166,000 for the year ended December 31, 1999. This increase represents interest incurred on our equipment leases.

**Gain on investment.** For the year ended December 31, 2000, we recorded a gain on the sale of liquid short-term investments in the amount of \$576,000.

**Other income.** Other income increased \$48,000 to \$57,000 for the year ended December 31, 2000, from \$9,000 for the year ended December 31, 1999. This increase represents rental income received from subleasing office space.

**Net loss.** Net loss decreased \$3.8 million to \$6.4 million for the year ended December 31, 2000 compared to \$10.2 million for the year ended December 31, 1999. The decrease reflects revenues of \$7.7 million in the year ended December 31, 2000 reduced by increases in research and development and general and administrative expenses as well as amortization of deferred compensation.

**Non-cash preferred stock charge.** We recorded a non-cash preferred stock charge of \$22.4 million for the year ended December 31, 2000. This non-cash preferred stock charge relates to the issuance of our Series E preferred stock in January 2000, our Series F preferred stock in March 2000 and our Series G preferred stock in April 2000, which were converted into shares of our common stock upon the closing of our initial public offering. We recorded the non-cash preferred stock charge at the dates of issuance by increasing the net loss applicable to common stockholders, without any effect on total stockholders' equity. The amount increased our basic net loss per share for the year ended December 31, 2000.

*Year ended December 31, 1999 compared to the year ended December 31, 1998*

**Research and development expenses.** Our research and development expenses increased \$5.7 million to \$8.3 million for the year ended December 31, 1999, from \$2.6 million for

the year ended December 31, 1998. This increase was primarily due to increased personnel related expenses of \$2.5 million and lab supplies costing \$1.3 million in order to expand the application of our technology, expenses of \$1.5 million associated with our first Phase I clinical trial of T-82 which were initiated in early 1999, and facility related expenses of \$358,000 as a result of our facility expansion.

**General and administrative expenses.** Our general and administrative expenses increased \$1.1 million to \$1.8 million for the year ended December 31, 1999, from \$729,000 for the year ended December 31, 1998. This increase was primarily related to five additional personnel hired during 1999 to help support the growing responsibilities of the accounting, legal and general administration departments.

**Amortization of deferred compensation.** We recorded amortization of deferred compensation of approximately \$378,000 for the year ended December 31, 1999. There was no amortization of deferred compensation in the year ended December 31, 1998.

**Interest income.** Interest income increased \$405,000 to \$447,000 for the year ended December 31, 1999, from \$42,000 for the year ended December 31, 1998. The increase was primarily attributable to higher levels of cash and cash equivalents in 1999 from the proceeds of the sale of our Series D convertible preferred stock in January 1999.

**Interest expense.** Interest expense increased \$72,000 to \$166,000 for the year ended December 31, 1999, from \$94,000 for the year ended December 31, 1998. This increase represents interest incurred on our equipment leases as well as interest accrued on our other debt.

**Net loss.** Net loss increased \$6.8 million to \$10.2 million for the year ended December 31, 1999 compared to \$3.4 million for the year ended December 31, 1998. The increase reflects increases in research and development and general and administrative expenses, offset in part by the increase in interest income.

*Year ended December 31, 1998 compared to the period from April 14, 1997 (inception) through December 31, 1997*

**Research and development expenses.** Our research and development expenses increased \$2.2 million to \$2.6 million for the year ended December 31, 1998, from \$447,000 for the period from April 14, 1997 through December 31, 1997. This increase was primarily due to increased personnel expenses of \$1.3 million and lab supplies costing \$538,000 in order to expand the application of our technology, and facility-related expenses of \$348,000 as a result of our facility expansion.

**General and administrative expenses.** Our general and administrative expenses increased \$494,000 to \$729,000 for the year ended December 31, 1998, from \$235,000 for the period from April 14, 1997 through December 31, 1997. This increase was primarily due to increased personnel related expenses of \$400,000 in order to establish and support the growing responsibilities of the accounting, legal and general administration departments and facility-related expenses of \$76,000 as a result of our facility expansion.

**Interest income.** Interest income increased \$19,000 to \$42,000 for the year ended December 31, 1998, from \$23,000 for the period from April 14, 1997 through December 31, 1997. The increase was primarily attributable to higher average cash levels in 1998.

**Interest expense.** Interest expense increased \$58,000 to \$94,000 for the year ended December 31, 1998 from \$36,000 for the period from April 14, 1997 through December 31, 1997. The increase represents interest incurred on our equipment lease as well as interest accrued on our other debt for a full year.

**Net loss.** Net loss increased \$2.7 million to \$3.4 million for the year ended December 31, 1998 compared to \$695,000 for the period from April 14, 1997 through December 31, 1997. The increase reflects increases in research and development and general and administrative expenses.

#### **Liquidity and Capital Resources**

We have experienced net losses and negative cash flow from operations since our inception. At December 31, 2000, we had an accumulated deficit of \$20.7 million and since our inception, we had used cash from operations of \$15.8 million. Our net losses have resulted primarily from expenses incurred in connection with our research and development activities and general and administrative expenses. As of December 31, 2000, we had \$144.4 million in cash and cash equivalents compared to \$5.4 million in cash and cash equivalents as of December 31, 1999.

Net cash used in operating activities was approximately \$4.1 million during the year ended December 31, 2000, approximately \$8.7 million during the year ended December 31, 1999 and was approximately \$2.4 million during the year ended December 31, 1998. The primary use of cash was to fund our net losses for these periods, adjusted for non-cash expenses, including \$4.3 million in non-cash amortization of deferred compensation during the year ended December 31, 2000, and changes in operating assets and liabilities.

Net cash used in investing activities was approximately \$2.2 million during the year ended December 31, 2000 and was

approximately \$2.1 million during the year ended December 31, 1999. Net cash used in investing activities was approximately \$593,000 during the year ended December 31, 1998. Net cash used in investing activities was primarily the result of the acquisition of laboratory and computer equipment, leasehold improvements and furniture and fixtures.

Net cash proceeds from financing activities was approximately \$145.3 million, \$16.0 million and \$1.7 million during the years ended December 31, 2000, 1999 and 1998, respectively. The net cash proceeds from financing activities during the year ended December 31, 2000 was primarily from net proceeds of \$113.9 million from our initial public offering in July 2000 as well as \$30.1 million from the issuance of preferred stock. The net cash proceeds from financing activities for the years ended December 31, 1999 and 1998 were primarily from the issuance of preferred stock.

We lease a corporate research and development facility under a lease which expires on April 30, 2013. The lease provides us with options to extend for two additional five-year periods. We have also entered into capital lease agreements for various lab and office equipment. The terms of these capital lease agreements range from 48 to 60 months. Current total minimum annual payments under these capital leases are approximately \$614,000 in 2001, \$614,000 in 2002, \$480,000 in 2003 and \$45,000 in 2004.

In January 2001, we purchased a facility we were previously leasing as well as the adjoining building at 6138-6150 Nancy Ridge Drive in San Diego for cash of \$5.4 million. Of the 52,000 square foot facility, 26,000 square feet is subleased to a tenant until August of 2001.

In February 2001, we acquired all of the outstanding capital stock of Bunsen Rush through BRL Screening, our wholly-owned subsidiary, for \$15.0 million in cash.

The amount and timing of future losses are highly uncertain. Our ability to achieve and thereafter sustain profitability will be dependent upon, among other things, obtaining additional strategic alliances as well as establishing additional collaborative or licensing arrangements.

Based on the research collaborations we already have in place and our current internal business plan, we expect to hire an additional 40 to 50 employees, primarily scientists, by the end

of 2001. While we believe that our current capital resources and anticipated cash flows from licensing activities will be sufficient to meet our capital requirements for at least the next two years, we cannot assure you that we will not require additional financing before such time. Our funding requirements may change at any time due to technological advances or competition from other companies. Our future capital requirements will also depend on numerous other factors, including scientific progress in our research and development programs, additional personnel costs, progress in pre-clinical testing, the time and cost related to proposed regulatory approvals, if any, and the costs of filing and prosecution of patent applications and enforcing patent claims. We cannot assure you that adequate funding will be available to us or, if available, that such funding will be available on acceptable terms. Any shortfall in funding could result in the curtailment of our research and development efforts.

#### **Income Taxes**

As of December 31, 2000, we had approximately \$12.2 million of net operating loss carryforwards and \$1.6 million of research and development tax credit carryforwards for federal income tax purposes. These carryforwards expire on various dates beginning in 2012. These amounts reflect different treatment of expenses for tax reporting than are used for financial reporting. United States tax law contains provisions that may limit our ability to use net operating loss and tax credit carryforwards in any year, or if there has been a significant ownership change. Any future significant ownership change may limit the use of net operating loss and tax credit carryforwards.

#### **Quantitative and Qualitative Disclosures**

##### **About Market Risk**

Our exposure to market risk for changes in interest rates relates primarily to our cash equivalents and short-term investments. We do not use derivative financial instruments in our investment portfolio. Our cash and investment policy emphasizes liquidity and preservation of principal over other portfolio considerations. We select investments that maximize interest income to the extent possible within these guidelines. If market interest rates were to decrease by 1% from December 31, 2000, we would expect future interest income from our portfolio to decline annually by less than \$1.4 million. The modeling technique used measures the change in fair values arising from an immediate hypothetical shift in market interest rates and assumes ending fair values include principal plus earned interest.

**Balance Sheets**

December 31,	'00	'99
<b>Assets</b>		
Current assets:		
Cash and cash equivalents	\$ 144,413,176	\$ 5,401,508
Accounts receivable	2,116,146	—
Prepaid expenses	1,685,122	172,052
Total current assets	148,214,444	5,573,560
Property and equipment, net	4,265,260	2,773,382
Deposits and restricted cash	88,016	178,898
Other assets	144,209	—
Total assets	\$ 152,711,929	\$ 8,525,840
<b>Liabilities and Stockholders' Equity (Deficit)</b>		
Current liabilities:		
Accounts payable and accrued expenses	\$ 915,540	\$ 866,414
Current portion of deferred revenues	220,000	—
Current portion of obligations under capital leases	480,538	355,119
Total current liabilities	1,616,078	1,221,533
Convertible note payable to related party, less current portion	—	934,312
Obligations under capital leases, less current portion	960,517	1,224,472
Deferred rent	866,009	793,123
Deferred revenues	485,000	—
<b>Commitments</b>		
Redeemable convertible preferred stock, \$.0001 par value: 7,500,000 shares authorized at December 31, 2000, 7,792,533 shares authorized at December 31, 1999; no shares issued and outstanding at December 31, 2000; 6,908,593 shares issued and outstanding at December 31, 1999	—	18,251,949
<b>Stockholders' equity (deficit):</b>		
Common stock, \$.0001 par value: 67,500,000 and 25,000,000 shares authorized at December 31, 2000 and 1999, respectively; 22,688,313 and 1,116,375 shares issued and outstanding at December 31, 2000 and December 31, 1999, respectively	2,268	111
Additional paid-in capital	177,373,030	1,055,328
Deferred compensation	(7,899,970)	(625,955)
Accumulated deficit	(20,691,003)	(14,329,033)
Total stockholders' equity (deficit)	148,784,325	(13,899,549)
Total liabilities and stockholders' equity (deficit)	\$ 152,711,929	\$ 8,525,840

See accompanying notes.



**Statements of Operations**

Year Ended December 31,	'00	'99	'98
Revenues	\$ 7,683,396	\$ —	\$ —
Operating expenses:			
Research and development	12,080,204	8,336,483	2,615,526
General and administrative	2,678,980	1,814,023	728,806
Amortization of deferred compensation (\$3,018,623 and \$264,419 related to research and development expenses and \$1,324,273 and \$113,690 related to general and administrative expenses for the year ended December 31, 2000 and 1999, respectively)	4,342,896	378,109	—
Total operating expenses	19,102,080	10,528,615	3,344,332
Interest income	4,644,471	446,848	42,266
Interest expense	(220,483)	(165,603)	(94,252)
Gain on sale of investment	575,855	—	—
Other income	56,871	9,420	—
Net loss	(6,361,970)	(10,237,950)	(3,396,318)
Non-cash preferred stock charge	(22,391,068)	—	—
Net loss applicable to common stockholders	\$(28,753,038)	\$(10,237,950)	\$(3,396,318)
Net loss per share, basic and diluted	\$ (2.84)	\$ (10.05)	\$ (3.51)
Shares used in calculating net loss per share, basic and diluted	10,139,755	1,018,359	966,799
Pro forma net loss per share	\$ (1.65)	\$ (1.29)	
Shares used in calculating pro forma net loss per share	17,411,028	7,926,952	

See accompanying notes.

**Statements of Stockholders' Equity [Deficit]**

	Common Stock		Additional	Deferred	Accumulated	Total
	Shares	Amount	Paid-In Capital	Compensation	Deficit	Stockholders' Equity (Deficit)
Balance at December 31, 1997	1,000,000	\$ 100	\$ —	\$ —	\$ (694,765)	\$ (694,665)
Issuance of common stock warrants in connection with technology agreement	—	—	14,000	—	—	14,000
Issuance of common stock upon exercise of options	43,500	4	8,696	—	—	8,700
Net loss	—	—	—	—	(3,396,318)	(3,396,318)
Balance at December 31, 1998	1,043,500	104	22,696	—	(4,091,083)	(4,068,283)
Issuance of common stock upon exercise of options	72,875	7	28,568	—	—	28,575
Deferred compensation related to stock options	—	—	1,004,064	(1,004,064)	—	—
Amortization of deferred compensation	—	—	—	378,109	—	378,109
Net loss	—	—	—	—	(10,237,950)	(10,237,950)
Balance at December 31, 1999	1,116,375	111	1,055,328	(625,955)	(14,329,033)	(13,899,549)
Issuance of common stock upon exercise of options, net of repurchases	808,300	81	360,044	—	—	360,125
Issuance of common stock upon exercise of warrants	410,060	41	1,123,925	—	—	1,123,966
Conversion of convertible note into common stock	755,000	75	975,499	—	—	975,574
Issuance of common stock in initial public offering, net of offering costs of \$10,274,000	6,900,000	690	113,925,310	—	—	113,926,000
Conversion of preferred stock to common stock upon closing of initial public offering	12,698,578	1,270	48,316,013	—	—	48,317,283
Deferred compensation related to stock options	—	—	11,616,911	(11,616,911)	—	—
Amortization of deferred compensation	—	—	—	4,342,896	—	4,342,896
Net loss	—	—	—	—	(6,361,970)	(6,361,970)
Balance at December 31, 2000	22,688,313	\$2,268	\$177,373,030	\$ (7,899,970)	\$(20,691,003)	\$148,784,325

See accompanying notes.

## Statements of Cash Flows

Year Ended December 31,	'00	'99	'98
<b>Operating Activities</b>			
Net loss	\$ (6,361,970)	\$(10,237,950)	\$(3,396,318)
Adjustments to reconcile net loss to net cash used in operating activities:			
Depreciation and amortization	787,829	399,278	171,942
Amortization of deferred compensation	4,342,896	378,109	—
Interest accrued on notes payable to related party	41,262	80,635	83,896
Warrants issued in connection with technology agreement	—	—	14,000
Deferred rent	72,886	45,699	747,424
Deferred financing costs	—	150,711	(150,711)
Change in operating assets and liabilities:			
Accounts receivable	(2,116,146)	—	—
Prepaid expenses and other assets	(1,657,279)	(110,071)	(18,793)
Deferred revenues	705,000	—	—
Accounts payable and accrued expenses	49,126	624,195	110,170
Net cash used in operating activities	(4,136,396)	(8,669,394)	(2,438,390)
<b>Investing Activities</b>			
Purchases of property and equipment	(2,279,707)	(2,007,020)	(558,933)
Deposits and restricted cash	90,882	(98,383)	(34,171)
Net cash used in investing activities	(2,188,825)	(2,105,403)	(593,104)
<b>Financing Activities</b>			
Advances under capital lease obligations	377,015	1,562,690	148,299
Principal payments on capital leases	(515,551)	(116,427)	(14,971)
Proceeds from issuance of redeemable preferred stock	30,065,334	14,132,224	405,287
Proceeds from issuance of common stock	115,410,091	28,575	8,700
Proceeds from convertible note payable to related party	—	375,000	1,125,000
Net cash provided by financing activities	145,336,889	15,982,062	1,672,315
Net increase (decrease) in cash and cash equivalents	139,011,668	5,207,265	(1,359,179)
Cash and cash equivalents at beginning of period	5,401,508	194,243	1,553,422
Cash and cash equivalents at end of period	\$144,413,176	\$ 5,401,508	\$ 194,243
<b>Supplemental Disclosure of Cash Flow Information</b>			
Interest paid	\$ 179,221	\$ 84,968	\$ 10,356
Conversion of convertible note to related party into common stock	\$ 975,574	\$ —	\$ —
Conversion of convertible note to related party into redeemable preferred stock	\$ —	\$ 1,521,082	\$ —

See accompanying notes.

## Notes to Financial Statements

### I. The Company and Summary of Significant Accounting Policies

#### The Company

Arena Pharmaceuticals, Inc. (the "Company") was incorporated on April 14, 1997 and commenced operations in July 1997. The Company operates in one business segment and has developed a broadly applicable technology that is used to identify drug candidates in a more efficient manner than traditional drug discovery approaches.

#### Principles of Consolidation

The financial statements do not include the accounts of its majority owned subsidiary, Aressa Pharmaceuticals, Inc. ("Aressa"). Management believes that majority ownership and control of Aressa is temporary and in accordance with Statement of Financial Accounting Standards ("SFAS") No. 94, "Consolidation of All Majority Owned Subsidiaries," has therefore not consolidated Aressa's activity.

#### Use of Estimates

The preparation of financial statements in conformity with generally accepted accounting principles 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 reporting period. Actual results could differ from those estimates.

#### Cash and Cash Equivalents

Cash and cash equivalents consist of cash and investments with original maturities of less than three months when purchased.

#### Fair Value of Financial Instruments

Financial instruments, including cash and cash equivalents, accounts payable and accrued expenses, are carried at cost. Management believes these recorded amounts approximate fair value because of the short-term maturity of these instruments.

#### Concentration of Credit Risk and Major Customers

Financial instruments, which potentially subject the Company to concentrations of credit risk, consist primarily of cash and cash equivalents. The Company limits its exposure to credit loss by placing its cash with high credit quality financial institutions.

Two collaborative partners individually accounted for 67.6% and 31.0% of total revenues during the year ended December 31, 2000.

#### Property and Equipment

Property and equipment are stated at cost and depreciated over the estimated useful lives of the assets (generally three to seven years) using the straight-line method. Amortization of

leasehold improvements is computed over the shorter of the lease term or the estimated useful life of the related assets.

#### Long-Lived Assets

In accordance with SFAS No. 121, "Accounting for the Impairment of Long-Lived Assets and for Long-Lived Assets to be Disposed Of," if indicators of impairment exist, the Company assesses the recoverability of the affected long-lived assets by determining whether the carrying value of such assets can be recovered through the undiscounted future operating cash flows. If impairment is indicated, the Company measures the amount of such impairment by comparing the carrying value of the asset to the present value of the expected future cash flows associated with the use of the asset. While the Company's current and historical operating and cash flow losses are indicators of impairment, the Company believes the future cash flows to be received from the long-lived assets will exceed the assets' carrying value, and accordingly the Company has not recognized any impairment losses through December 31, 2000.

#### Deferred Rent

Rent expense is recorded on a straight-line basis over the term of the lease. The difference between rent expense and amounts paid under the lease agreements is recorded as deferred rent in the accompanying balance sheets.

#### Stock Options

SFAS No. 123, "Accounting for Stock-Based Compensation," establishes the use of the fair value based method of accounting for stock-based compensation arrangements, under which compensation cost is determined using the fair value of stock-based compensation determined as of the grant date, and is recognized over the periods in which the related services are rendered. SFAS No. 123 also permits companies to elect to continue using the current intrinsic value accounting method specified in Accounting Principles Board ("APB") Opinion No. 25 to account for stock-based compensation. The Company has elected to retain the intrinsic value based method, and has disclosed the pro forma effect of using the fair value based method to account for its stock-based compensation (Note 8).

Options and warrants to purchase common stock issued to non-employees are recorded at fair value as prescribed by SFAS No. 123 and EITF 96-18 and periodically remeasured and expensed over the period services are provided.

#### Revenues

Up-front fees under the Company's collaborations will be deferred and recognized over the period the related services are provided. Amounts received for research funding for a specified number of full time researchers are recognized as revenue as the services are provided, as long as the amounts received are not refundable regardless of the research project. Assay development fees will be recognized upon completion



of the screen and acceptance by the collaborators. Milestone and royalty payments will be recognized upon completion of specified milestones pursuant to the collaborative agreements.

#### Research and Development Costs

Costs incurred in connection with the development of new products and changes to existing products are charged to operations as incurred.

#### Patent Costs

Costs related to filing and pursuing patent applications are expensed as incurred as recoverability of such expenditures is uncertain.

#### Computer Software Costs

In May 2000, the Emerging Issues Task Force ("EITF") released Issue No. 00-2, "Accounting for Web Site Development Costs." EITF Issue No. 00-2 establishes standards for determining the capitalization or expensing of incurred costs relating to the development of Internet web sites based upon the respective stage of development. The Issue is effective for fiscal quarters beginning after June 30, 2000 (including costs incurred for projects in process at the beginning of the quarter of adoption). The adoption of EITF No. 00-2 did not affect the Company's financial results.

#### Income Taxes

In accordance with SFAS No. 109, "Accounting for Income Taxes," a deferred tax asset or liability is determined based on the difference between the financial statement and tax basis of assets and liabilities as measured by the enacted tax rates which will be in effect when these differences reverse. The Company provides a valuation allowance against net deferred tax assets unless, based upon the available evidence, it is more likely than not that the deferred tax assets will be realized.

The following table presents the calculation of net loss per share:

Year Ended December 31,	'00	'99	'98
Net loss	\$(28,753,038)	\$(10,237,950)	\$(3,396,318)
Basic and diluted net loss per share	\$ (2.84)	\$ (10.05)	\$ (3.51)
Weighted-average shares used in computing net loss per share, basic and diluted	10,139,755	1,018,359	966,799
Pro forma net loss per share, basic and diluted	\$ (1.65)	\$ (1.29)	
Shares used above	10,139,755	1,018,359	
Pro forma adjustment to reflect weighted-average effect of conversion of preferred stock	7,271,273	6,908,593	
Shares used in computing pro forma net loss per share, basic and diluted	17,411,028	7,926,952	

#### Comprehensive Loss

In accordance with SFAS No. 130, "Reporting Comprehensive Loss," all components of comprehensive loss, including net loss, are reported in the financial statements in the period in which they are recognized. Comprehensive loss is defined as the change in equity during a period from transactions and other events and circumstances from non-owner sources. Net loss and other comprehensive loss, including unrealized gains and losses on investments, is reported net of their related tax effect, to arrive at comprehensive loss. For the years ended December 31, 2000, 1999 and 1998, comprehensive loss equals the net loss as reported.

#### Net Loss Per Share

Basic and diluted net loss per common share are presented in conformity with SFAS No. 128, "Earnings per Share" for all periods presented. Under the provisions of SAB 98, common stock and convertible preferred stock that has been issued or granted for nominal consideration prior to the anticipated effective date of the initial public offering must be included in the calculation of basic and diluted net loss per common share as if these shares had been outstanding for all periods presented. To date, the Company has not issued or granted shares for nominal consideration.

In accordance with SFAS No. 128, basic and diluted net loss per share has been computed using the weighted-average number of shares of common stock outstanding during the period, less shares subject to repurchase. Pro forma basic and diluted net loss per common share, as presented in the statements of operations, has been computed for the year ended December 31, 2000 and 1999 as described above, and also gives effect to the conversion of preferred stock automatically converted to common stock upon closing of the initial public offering.

The Company has excluded all outstanding stock options and warrants, and shares subject to repurchase from the calculation of diluted loss per common share because all such securities are antidilutive for all periods presented. The total number of shares excluded from the calculation of diluted net loss per share, prior to application of the treasury stock method for stock options, was 509,850, 81,000 and 61,625 for the years ended December 31, 2000, 1999 and 1998, respectively. Such securities, had they been dilutive, would have been included in the computation of diluted net loss per share.

### Segment Reporting

SFAS No. 131, "Disclosures About Segments of an Enterprise and Related Information," requires the use of a management approach in identifying segments of an enterprise. Management has determined that the Company operates in one business segment.

### Effect of New Accounting Standards

In June 1998, the Financial Accounting Standards Board issued SFAS No. 133, "Accounting for Derivative Instruments and Hedging Activities," which will be effective January 1, 2001. SFAS No. 133 establishes accounting and reporting standards requiring that every derivative instrument, including certain derivative instruments imbedded in other contracts, be recorded in the balance sheet as either an asset or liability measured at its fair value. The statement also requires that changes in the derivative's fair value be recognized in earnings unless specified hedge accounting criteria are met. Management believes the adoption of SFAS No. 133 will not have an effect on the financial statements, as the Company does not engage in the activities covered by SFAS No. 133.

In December 1999, the Securities and Exchange Commission ("SEC") issued Staff Accounting Bulletin No. 101, Revenue Recognition (SAB 101). SAB 101 provides the SEC Staff's views in applying generally accepted accounting principles to various revenue recognition issues and specifically addresses revenue recognition for upfront, non-refundable fees earned in connection with research collaboration arrangements. It is the SEC's position that such fees should generally be recognized over the term of the agreement. The Company expects to apply this accounting to its future collaborations. The Company believes its revenue recognition policy is in compliance with SAB 101.

## 2. Investment in ChemNavigator.com

In January 1999, the Company began development of an Internet-based search engine that allows scientists to search for compounds based primarily on the similarity of chemical structures. In May 1999, ChemNavigator.com was incorporated and in June 1999, the Company licensed to ChemNavigator.com a web site, the trademark ChemNavigator and goodwill

associated with the trademark, intellectual property related to the search engine, as well as technology needed to perform chemical similarity searches. In return, the Company received 2,625,000 shares of preferred stock in ChemNavigator.com valued at \$2,625,000 based on independent investors' participation in ChemNavigator.com's Series A preferred round of financing. However, the Company's historical cost basis in the licensed technology was zero and the Company therefore recorded its investment in ChemNavigator.com at zero in accordance with SAB 48, which calls for predecessor cost accounting to account for the exchange of non-monetary assets for stock. As of December 31, 2000, the Company equity ownership represented approximately 34% of the outstanding voting equity securities of ChemNavigator.com. ChemNavigator.com has an accumulated deficit and since the Company is under no obligation to reimburse the other ChemNavigator.com stockholders for its share of ChemNavigator.com's losses, the Company has not included any equity in the net loss of ChemNavigator.com in the Company's Statements of Operations.

The Company subleases office space to ChemNavigator.com. The current sublease payment of \$5,592 per month can be adjusted monthly based upon changes in the number of ChemNavigator.com employees.

Jack Lief, the Company's President and Chief Executive Officer, is also the Chairman of the Board of ChemNavigator.com. Richard P. Burgoon, Jr., the Company's Senior Vice President, Operations, General Counsel and Secretary, is also the Secretary of ChemNavigator.com and a member of its board of directors.

## 3. Investment in Aressa Pharmaceuticals, Inc.

In August 1999, the Company formed Aressa Pharmaceuticals, Inc. to take advantage of opportunities to in-license and develop niche products from other pharmaceutical or biotechnology companies. In October 2000, the Company received shares of preferred stock in Aressa valued at \$5.0 million based on the participation of independent investors in Aressa's Series A preferred round of financing raising gross proceeds of \$1.0 million. As of December 31, 2000, the Company owned approximately 83% of the outstanding voting equity securities of Aressa. Management believes that majority ownership and control is temporary and in accordance with FAS 94, has therefore not consolidated Aressa's activity.

Jack Lief, the Company's President and Chief Executive Officer, is also the Chief Executive Officer and President of Aressa. Richard P. Burgoon, Jr., the Company's Senior Vice President, Operations, General Counsel and Secretary, is also the Chief Operating Officer and Secretary of Aressa. Joyce Williams, the Company's Vice President, Drug Development is also the Vice President, Regulatory and Clinical Affairs of Aressa.

#### 4. Property and Equipment

Property and equipment consists of the following:

December 31,	'00	'99
Laboratory and computer equipment	\$ 3,659,632	\$2,641,072
Furniture and fixtures	267,841	185,220
Leasehold improvements	1,714,622	536,096
	<u>5,642,095</u>	<u>3,362,388</u>
Less accumulated depreciation and amortization	(1,376,835)	(589,006)
	<u>\$ 4,265,260</u>	<u>\$2,773,382</u>

Cost and accumulated amortization of equipment under capital leases totaled \$2,331,000 and \$810,000, and \$1,931,000 and \$331,000 at December 31, 2000 and 1999, respectively.

#### 5. Convertible Notes Payable to Related Party

In 1997, the Company issued a convertible note payable to Tripos, Inc. ("Tripos"), a significant stockholder, for the principal amount of \$755,000 at an annual interest rate of 9.5%. In 2000, upon the closing of the Company's initial public offering, all outstanding principal and accrued interest under this convertible note was converted into 755,000 shares of common stock. Interest expense for the years ended December 31, 2000, 1999 and 1998 was approximately \$41,000, \$72,000 and \$72,000, respectively.

In 1998, the Company issued a convertible note payable to Tripos, for a principal amount of up to \$1,500,000 at an annual interest rate of 9.5%. The Company received proceeds of \$1,125,000 on this note payable in 1998 and \$375,000 in 1999. In 1999, all outstanding principal and accrued interest under this convertible note payable was converted into 435,840 shares of Series D redeemable convertible preferred stock. Upon the closing of the Company's initial public offering, these shares converted into common stock of the Company.

At the date each note was entered into, the note was convertible into stock at the then-current fair value of such stock, and therefore there is no beneficial conversion feature associated with the notes.

#### 6. Commitments

##### Leases

In 1997, the Company leased its facilities located at 6166 Nancy Ridge Drive in San Diego, California under an operating lease that had an expiration date in 2004. The Company had

an option to buy the facilities during the first 12 months of the lease term for \$2,141,309. In 1998, the Company assigned the option to a publicly traded Real Estate Investment Trust ("REIT") in exchange for \$733,322 in cash. The \$733,322 in cash is being recognized on a straight-line basis as a reduction in the rent expense on the underlying lease. In addition, the Company signed a new lease with the REIT, which expires in 2013. The lease provides the Company with an option to extend the lease term via two five-year options. Under the terms of the new lease, effective April 30, 1998, monthly rental payments will be increased on April 30, 2000 and annually thereafter by 2.75%. In accordance with the terms of the new lease, the Company is required to maintain restricted cash balances totaling \$79,955 on behalf of the landlord as rent deposits throughout the term of the lease.

In 2000, the Company leased additional facilities located at 6150 Nancy Ridge Drive in San Diego, California under an operating lease which expires in 2013. In January 2001, the Company purchased this facility for approximately \$5.4 million in cash.

Rent expense was \$728,369, \$598,903 and \$366,505 for the years ended December 31, 2000, 1999 and 1998, respectively.

Annual future minimum lease obligations as of December 31, 2000 are as follows:

Year Ending December 31,	Operating Leases	Capital Leases
2001	\$ 663,017	\$ 613,883
2002	678,528	613,883
2003	694,465	480,289
2004	611,866	44,875
2005	628,691	—
Thereafter	5,693,571	—
Total minimum lease payments	<u>\$8,970,138</u>	<u>1,752,930</u>
Less amount representing interest		(311,875)
Present value of minimum lease obligations		1,441,055
Less current portion		(480,538)
Long-term portion of capital lease obligations		<u>\$ 960,517</u>

The table above representing annual future minimum operating lease obligations is exclusive of the 6150 Nancy Ridge Drive facility which we purchased in January 2001.

Future minimum rentals to be received under non-cancelable subleases as of December 31, 2000 totaled approximately \$36,000.

## 7. Collaborations

### **Collaborative Agreement with Eli Lilly**

In April 2000, the Company entered into a research alliance with Eli Lilly. The collaboration with Eli Lilly will principally focus on the central nervous system and endocrine therapeutic fields. The collaboration will also focus on the cardiovascular field and may expand into other therapy classes, including cancer.

During the collaboration, the Company will pursue an agreed upon research plan with Eli Lilly that has several objectives. During the term of the collaboration, the Company will mutually review and select G-Protein Coupled Receptors (GPCRs) that will become subject to the collaboration. These GPCRs may be provided either by the Company or by Eli Lilly. All of the Company's existing CART-activated GPCRs are excluded from the collaboration. The Company and Eli Lilly will each share their respective knowledge of the GPCRs that become subject to the collaboration to validate and CART-activate selected receptors. The Company and Eli Lilly will jointly select a number of proprietary central nervous system, endocrine and cardiovascular GPCRs for CART-activation, and the Company will then provide Eli Lilly with enabled high-throughput screens for use at their screening facilities. During the term of the agreement, the Company will continue to receive research funding from Eli Lilly for internal resources committed to the collaboration, which will be augmented by substantial resource commitments by Eli Lilly. Eli Lilly will be responsible for screening its chemical compound library using selected CART-activated receptors, for identifying drug candidates and for the pre-clinical and clinical testing and development of drug candidates. The Company may receive \$1.25 million per receptor based upon milestone payments in connection with the successful application of CART to each receptor, and up to an additional \$6.0 million based upon clinical development milestone payments for each drug candidate discovered using CART. The Company may also receive additional milestone and royalty payments associated with the commercialization of drugs discovered using CART, if any. The Company and Eli Lilly may never achieve the discovery, development or commercialization milestones.

Once the assay development fee has been paid for a CART-activated GPCR, Eli Lilly will have exclusive rights to screen chemical libraries, discover drug candidates that target that GPCR, and to develop, register and sell any resulting products worldwide. The Company retains rights to partner or independently develop GPCRs that do not become subject to the collaboration.

The term of the collaboration agreement with Eli Lilly is five years. Either Eli Lilly or the Company can terminate the agreement with or without cause effective three years after the date of the agreement by giving written notice prior to the conclusion of the 33rd month after the date of the agreement. In addition, either party can terminate the agreement at any time if the other party commits a material breach, and Eli Lilly can terminate the agreement at any time if, among other reasons, Eli Lilly does not approve suitable replacements for key employees who leave the Company. The parties will continue to have various rights and obligations under the agreement after the agreement is terminated. The extent of these continuing rights and obligations depends on many factors, such as when the agreement is terminated, by which party and for what reason. These continuing obligations may include further research and development efforts by the Company and a variety of payments by Eli Lilly.

Revenues recognized under the Eli Lilly collaboration was approximately \$5.2 million for the year ended December 31, 2000 consisting of research funding of approximately \$2.9 million, milestone achievements of approximately \$2.2 million, and amortization of the upfront payment of \$75,000.

### **Collaborative Agreement with Taisho**

In May 2000, the Company entered into an agreement with Taisho to initiate a research collaboration focused on several GPCRs selected by Taisho in therapeutic areas of interest to Taisho. Under the terms of the agreement, Taisho will receive exclusive, worldwide rights to the selected GPCR targets and to any drug candidates discovered using the activated versions of these receptors. The Company may receive up to a total of \$2.3 million in revenues per receptor associated with research, development and screening fees. The Company may also receive clinical development milestones, regulatory approval milestones and royalties on drug sales, if any.



Revenues recognized under the Taisho collaboration was approximately \$2.4 million for the year ended December 31, 2000 consisting of milestone achievements of approximately \$2.3 million and amortization of the upfront payment of \$80,000.

#### **Collaborative Agreement with Fujisawa**

In January 2000, the Company entered into a collaborative agreement with Fujisawa, a leading Japan-based pharmaceutical company with significant drug discovery research efforts. During the collaboration, the Company will jointly validate up to 13 orphan GPCRs as drug screening targets. The Company will be responsible for receptor identification, location and regulation, and will apply its CART technology to GPCRs selected by Fujisawa. The Company will also seek to validate screening assays based on the selected GPCRs. Fujisawa will be entitled to screen selected assays against its chemical compound library to identify drug candidates. Fujisawa will also be responsible for the pre-clinical and clinical development of any drug candidates that the Company or Fujisawa discover. The Company may also screen the selected GPCRs using its in-house chemical library. When Fujisawa selects its first receptor, the Company will be entitled to receive a one-time initiation fee of \$500,000. If the Company and Fujisawa then achieve various milestones, the Company may receive up to a maximum of \$3.5 million per selected receptor in assay transfer, screening and exclusivity fees, and up to a maximum of \$2.0 million per selected receptor based upon the filing of one or more investigational new drug applications for each drug candidate discovered using a CART-activated receptor. The Company may also receive clinical development milestones, regulatory approval milestones and royalties on drug sales, if any. The Company and Fujisawa may never achieve research, development or commercialization milestones under the agreement. The Company's collaborative agreement with Fujisawa will terminate upon the expiration of Fujisawa's obligation to make royalty payments under the agreement, if any. Fujisawa may terminate the agreement at any time by providing the Company with written notice of their intention to do so and by returning any proprietary rights they have acquired under the agreement. Additionally, either party may terminate the agreement for a material breach of the agreement by the other party. The termination or expiration of the agreement will not affect any rights that have accrued to the benefit of either party prior to the termination or expiration.

## **8. Stockholders' Equity**

### **Preferred Stock**

Concurrent with the closing of the Company's initial public offering in July 2000, all outstanding shares of the Company's preferred stock converted into 12,698,578 shares of common stock.

### **Common Stock**

In June 1997, a total of 1,000,000 shares of common stock were issued to the founders of the Company at a price of \$.0001 per share under founder stock purchase agreements. The Company issued 50,000 of these shares to an outside founder, which vest ratably over 50 months. Unvested shares are subject to repurchase by the Company, at the original purchase price, if the relationship between the Company and the outside founder terminates. In 1999, 17,500 shares were repurchased.

### **Warrants**

During the year ended December 31, 2000, all outstanding warrants were converted into 410,060 shares of common stock of the Company. At December 31, 2000, no warrants are outstanding.

### **Incentive Stock Plans**

The Company's Amended and Restated 1998 Equity Compensation Plan (the "1998 Plan") provides designated employees of the Company, certain consultants and advisors who perform services for the Company, and non-employee members of the Company's Board of Directors with the opportunity to receive grants of incentive stock options, non-qualified stock options and restricted stock. The options and restricted stock generally vest 25% a year for four years and are immediately exercisable up to ten years from the date of grant. At December 31, 2000, 1,500,000 shares of common stock were authorized for issuance under the 1998 Plan.

In 2000, the Board of Directors adopted and stockholders approved the 2000 Equity Compensation Plan (the "2000 Plan") which provides designated employees of the Company, certain consultants and advisors who perform services for the Company, and non-employee members of the Company's Board of Directors with the opportunity to receive grants of incentive stock options, non-qualified stock options and restricted stock. The options and restricted stock generally vest 25% a year for four years and are immediately exercisable up to ten years from the date of grant. At December 31, 2000, 2,000,000 shares of common stock were authorized for issuance under the 2000 Plan.

Unvested shares issued to our employees, consultants, advisors and non-employee members of the Company's Board of Directors pursuant to the exercise of options are subject to repurchase, at the original purchase price, in the event of termination of employment or engagement. In the event the Company elects not to buy back any such unvested shares, the unvested options will be expensed at their fair value at that point in time. At December 31, 2000, 509,850 shares of common stock issued pursuant to the exercise of options were subject to repurchase by the Company. In accordance with FAS 128, the Company has excluded unvested common stock arising from exercised options in its basic loss per share calculations.

Following is a summary of stock option activity:

	Options	Weighted-Average Exercise Price
Balance at December 31, 1997	91,000	\$ 0.20
Granted	360,000	\$ 0.20
Exercised	(43,500)	\$ 0.20
Balance at December 31, 1998	407,500	\$ 0.20
Granted	373,100	\$ 0.60
Exercised	(90,375)	\$ 0.33
Canceled	(5,625)	\$ 0.47
Balance at December 31, 1999	684,600	\$ 0.40
Granted	1,215,175	\$11.07
Exercised	(809,425)	\$ 0.46
Canceled	(25,875)	\$ 1.66
Balance at December 31, 2000	1,064,475	\$12.44

At December 31, 2000, 1999 and 1998, options to purchase 53,625, 159,500 and 67,000 shares were vested. The weighted-average remaining contractual life of options outstanding at December 31, 2000, 1999 and 1998 was 9.22, 8.50 and 8.75 years, respectively. At December 31, 2000, 1999 and 1998, 509,850, 63,500 and 32,625 shares of common stock issued upon the exercise of options were subject to repurchase at the original purchase price at a weighted-average price of \$.51, \$.23 and \$.20, respectively. At December 31, 2000, 1,483,750 shares were available for future grant. The 1,064,475 options not exercised at December 31, 2000 have exercise prices ranging from \$.20 to \$36.88 and can be exercised at any time; however, unvested shares are subject to repurchase at the original purchase price if a grantee terminates prior to vesting. In 2000, the Company granted 516,250 stock options to employees at less than the market price of the stock on the date of grant. The weighted-average exercise price was \$24.96 and the weighted-average market value on the date of grant was \$29.36.

Pro forma information regarding net income is required by SFAS No. 123 and has been determined as if the Company had accounted for its employee stock options under the fair value method of that Statement. For options granted through July 27, 2000, the fair value of options granted were estimated at the date of grant using the minimum value pricing model with the following weighted-average assumptions: risk-free interest rate of 6.5%, dividend yield of 0%, and weighted-average expected life of the option of five years. For options granted from July 28, 2000 to December 31, 2000, the fair value of the options was estimated at the date of grant using the Black-Scholes method for option pricing with the following weighted-average assumptions: risk-free interest rate of 6.5%, dividend yield of 0%, expected volatility of 90% and weighted-average expected life of the options of five years.

For purposes of pro forma disclosures, the estimated fair value of the options is amortized to expense over the options' vesting period. The Company's adjusted pro forma information is as follows:

Year Ended December 31,	'00	'99	'98
Adjusted pro forma net loss	\$(29,889,840)	\$(10,250,000)	\$(3,398,000)
Adjusted pro forma basic net loss per share	\$ (2.95)	\$ (10.07)	\$ (3.51)

The effects of applying SFAS No. 123 for providing pro forma disclosures are not likely to be representative of the effect on reported net income for future years.

During the years ended December 31, 2000 and 1999, in connection with the grant of various stock options to employees, the Company recorded deferred stock compensation totaling approximately \$11.6 million and \$1.0 million, respectively, representing the difference between the exercise price and the estimated market value of the Company's common stock as determined by the Company's management on the date such stock options were granted. Deferred compensation is included as a reduction of stockholders' equity and is being amortized to expense over the vesting period of the options in accordance with FASB Interpretation No. 28, which permits an accelerated amortization methodology. During the years ended December 31, 2000 and 1999, the Company recorded amortization of deferred compensation expense of approximately \$4.3 million and \$378,000, respectively. At December 31, 2000, total charges to be recognized in future periods from amortization of deferred stock compensation are anticipated to be approximately \$4.1 million, \$2.6 million, \$1.1 million and \$99,000 for the years ending December 31, 2001, 2002, 2003 and 2004, respectively.

During the year ended December 31, 2000, in connection with the grant of stock options to consultants, the Company recorded deferred stock compensation totaling approximately \$449,000. Deferred compensation for stock options granted to consultants is periodically remeasured as the underlying options vest. For the year ended December 31, 2000 the Company recorded approximately \$323,000 in compensation expense relating to options granted to consultants. At December 31, 2000, total charges to be recognized in future periods from amortization of deferred stock compensation relating to options granted to consultants are anticipated to be approximately \$126,000.

#### Common Shares Reserved for Issuance

At December 31, 2000, 1,064,475 shares of common stock are reserved for issuance upon exercise of common stock options.

### 9. Employee Benefit Plan

The Company established a defined contribution employee retirement plan (the "401(k) Plan") effective January 1, 1998, conforming to Section 401(k) of the Internal Revenue Code ("IRC"). All eligible employees may elect to have a portion of their salary deducted and contributed to the 401(k) Plan up to the maximum allowable limitations of the IRC. Through March 31, 1999, the Company matched 50% of each participant's contribution up to the first 6% of annual compensation.

Effective April 1, 1999, the Company amended the 401(k) Plan, increasing the Company match to 100% of each participant's contribution up to the first 6% of annual compensation for all contributions made after April 1, 1999. The Company's matching portion, which totaled \$281,595, \$148,784 and \$27,065 for the years ended December 31, 2000, 1999 and 1998, respectively, vests over a five-year period.

### 10. Income Taxes

At December 31, 2000, the Company had federal and California tax net operating loss carryforwards of approximately \$12,158,000 and \$12,791,000, respectively.

Significant components of the Company's deferred tax assets at December 31, 2000 and 1999 are shown below. A valuation allowance of \$7,509,000 and \$5,713,000 has been recognized to offset the deferred tax assets as of December 31, 2000 and 1999, respectively, as realization of such assets is uncertain.

December 31,	'00	'99
Deferred tax assets:		
Net operating loss carryforwards	\$ 4,991,000	\$ 4,787,000
Research and development credits	2,089,000	928,000
Other, net	597,000	129,000
Net deferred tax assets	7,677,000	5,844,000
Valuation allowance for deferred tax assets	(7,509,000)	(5,713,000)
Total deferred tax assets	168,000	131,000
Deferred tax liabilities:		
Depreciation	(168,000)	(131,000)
Net deferred tax assets	\$ —	\$ —

The federal and California tax net operating loss carryforwards will begin to expire in 2012 and 2005, respectively, unless previously utilized. The Company also has federal and California research tax credit carryforwards of approximately \$1,560,000 and \$529,000, respectively, which will begin to expire in 2012 unless previously utilized.

Pursuant to Sections 382 and 383 of the Internal Revenue Code, annual use of the Company's net operating loss and credit carryforwards could be limited in the event of cumulative changes in ownership of more than 50%. Such a change occurred in prior years. However, the Company does not believe such limitation will have a material effect upon the Company's ability to utilize the carryforwards.

## **11. Subsequent Events**

### **Building Purchase**

In January 2001, the Company purchased a facility it was leasing along with an adjoining building that is currently leased to a tenant at 6138-6150 Nancy Ridge Drive in San Diego, California. The Company paid cash of \$5.4 million and will amortize the cost over the building's useful life, estimated to be 20 years. The Company assumed the lease with the tenant, of which the term of the lease runs through August 31, 2001. The tenant has paid all rents through the expiration of the lease.

### **Amendment to Collaborative Agreement with Taisho**

In January 2001, the Company signed an amendment expanding its original May 2000 agreement with Taisho whereby Taisho was granted world-wide rights to the Company's 18-F Program, an obesity orphan receptor target and small molecule modulators. In accordance with the amendment, Taisho will make a one-time payment in 2001 to the Company for the 18-F Program based upon work already completed by the Company. In addition, the Company may receive additional milestone and research funding payments and royalties on drug sales, if any.

### **Acquisition**

In December 2000, the Company signed a binding letter of intent and memorandum of agreement to acquire all of the outstanding capital stock of Bunsen Rush Laboratories, Inc. (Bunsen Rush), a privately held research-based company that provides receptor screening for the pharmaceutical and biotechnology industries using its proprietary and patented Melanophore Technology. The purchase price was \$15.0 million in cash. On February 15, 2001, the Company completed its acquisition of Bunsen Rush pursuant to an Agreement and Plan of Merger dated February 15, 2001. The acquisition was effected in the form of a merger of Bunsen Rush into BRL Screening, Inc., a newly formed wholly-owned subsidiary of the Company.

## Report of Ernst & Young LLP, Independent Auditors

The Board of Directors and Stockholders  
Arena Pharmaceuticals, Inc.

We have audited the accompanying balance sheets of Arena Pharmaceuticals, Inc. as of December 31, 2000 and 1999, and the related statements of operations, stockholders' equity (deficit) and cash flows for each of the three years in the period ended December 31, 2000. These financial statements are the responsibility of the Company's management. Our responsibility is to express an opinion on these financial statements based on our audits.

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

In our opinion, the financial statements referred to above present fairly, in all material respects, the financial position of Arena Pharmaceuticals, Inc. at December 31, 2000 and 1999 and the results of its operations and its cash flows for each of the three years in the period ended December 31, 2000, in conformity with accounting principles generally accepted in the United States.

*Ernst & Young LLP*

San Diego, California  
January 15, 2001



## Market for the Registrant's Common Stock and Related Stockholder Matters

Our common stock has traded on the Nasdaq National Market® under the symbol "ARNA" since July 28, 2000. The following table sets forth, for the period indicated, the high and low bid quotations for the common stock as reported by the Nasdaq National Market.

	High	Low
Third Quarter (Commencing July 28, 2000)	\$47.00	\$18.000
Fourth Quarter	\$44.00	\$13.625

On March 1, 2001, the last reported sale price on the Nasdaq National Market for our common stock was \$23.00 per share.

### Holder

As of March 1, 2001 there were approximately 4,170 stockholders of record of the Company's common stock.

### Dividends

Dividends may be paid on common stock of Arena as are declared by the Board of Directors from funds that the law allows to be used for dividends. Under Delaware law, dividends may only be paid from surplus or from net profits for the year and/or the preceding year. Since the Company has neither surplus nor net profits from the current year or the preceding year, the Company is prevented from paying dividends until such conditions change. The Company has not paid dividends on its common stock, and currently does not plan to pay any cash dividends in the foreseeable future.

## Information Relating to Forward-Looking Statements

This Annual Report includes forward-looking statements. These forward-looking statements are subject to certain risks and uncertainties, including those identified below, which could cause actual results to differ materially from such statements. The words "believe," "expect," "anticipate," "estimate," "optimistic," "intend," "plan," "project," "target," "aim," "will," and similar expressions identify forward-looking statements. Readers of the Annual Report are cautioned not to place undue reliance on these forward-looking statements, which speak only as of the date on which they are made. Arena Pharmaceuticals, Inc. undertakes no obligation to update publicly or revise any forward-looking statements. Factors that could cause actual results to differ materially from

the forward-looking statements, including the Company's goals referred to in the Annual Report, include, but are not limited to, the inability of Arena Pharmaceuticals, Inc. to achieve future quarterly or annual financial results, the attainment of milestone payments, if any, from any collaborator of Arena or its subsidiaries, the timing, success and cost of pre-clinical research, out-licensing endeavors and clinical studies, if any. Additional risk factors that could cause actual results to differ materially from those in Arena Pharmaceuticals, Inc.'s forward-looking statements are disclosed in Arena's SEC reports, including but not limited to Arena's Form S-1 and most recent quarterly report on Form 10-Q and annual report on Form 10-K.

## Corporate Information

### Directors and Officers

#### Directors

Jack Lief  
*President & Chief Executive Officer*  
*Arena Pharmaceuticals, Inc.*

Dominic P. Behan, Ph.D.  
*Vice President, Research*  
*Arena Pharmaceuticals, Inc.*

Derek T. Chalmers, Ph.D.  
*Vice President, Research*  
*Arena Pharmaceuticals, Inc.*

John P. McAlister, III, Ph.D.  
*President & Chief Executive Officer*  
*Tripes, Inc.*

Michael Steinmetz, Ph.D.  
*General Partner*  
*MPM Capital*

Stefan Ryser, Ph.D.  
*Managing Partner*  
*Bear Stearns Health Innoventures*  
*Management LLC*

#### Executive Officers

Jack Lief  
*President & Chief Executive Officer*

Dominic P. Behan, Ph.D.  
*Vice President, Research*

Derek T. Chalmers, Ph.D.  
*Vice President, Research*

Elaine Alexander, M.D., Ph.D.  
*Vice President, Experimental and*  
*Clinical Research*

Nigel R.A. Beeley, Ph.D.  
*Vice President, Chief Chemical Officer*

Joyce H. Williams, R.A.C.  
*Vice President, Drug Development*

Richard P. Burgoon, Jr.  
*Senior Vice President, Operations,*  
*General Counsel and Secretary*

Robert Hoffman, CPA  
*Vice President, Finance*

Louis J. Scotti  
*Vice President, Business Development*

Joseph F. Mooney  
*Chief Financial Officer*

### Wholly Owned Subsidiaries

BRL Screening, Inc.  
Jack Lief  
*President & Chief Executive Officer*

### General Information

#### Corporate Headquarters

Arena Pharmaceuticals, Inc.  
6166 Nancy Ridge Drive  
San Diego, California 92121  
T 858.453.7200  
F 858.453.7210

#### Annual Meeting

The Annual Meeting of Stockholders of Arena Pharmaceuticals, Inc. will be held on Tuesday, May 8, 2001 at 10:00 a.m., local time at 6166 Nancy Ridge Drive, San Diego, California 92121. For further information call 858.453.7200.

#### Information Available on Request

The Company's annual report to the Securities and Exchange Commission (Form 10-K) will be available to stockholders in late March 2001. For a copy please visit our web site at [www.arenapharm.com](http://www.arenapharm.com) or call Investor Relations at 858.453.7200 ext. 254; F 858.677.0505

#### Investor Relations

Stockholders' inquiries should be directed to:  
Investor Relations  
Arena Pharmaceuticals, Inc.  
6166 Nancy Ridge Drive  
San Diego, California 92121  
T 858.453.7200 ext. 254  
F 858.677.0505

#### Information Available on the Internet

Copies of the Company's Form 10-K, Form 10-Qs, proxy statement and other documents, as well as information on financial results, our technology and press releases are available through the Arena Pharmaceuticals home page on the Internet at the following address: [www.arenapharm.com](http://www.arenapharm.com)

#### Transfer Agent and Registrar

ComputerShare Investor Services  
12039 West Alameda Parkway  
Suite Z-2  
Lakewood, Colorado 80228  
T 303.986.5400  
F 303.986.2444

#### Independent Auditors

Ernst & Young LLP  
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#### Arena Pharmaceuticals on Nasdaq

Arena's common stock trades on The Nasdaq Stock Market® under the symbol ARNA.

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