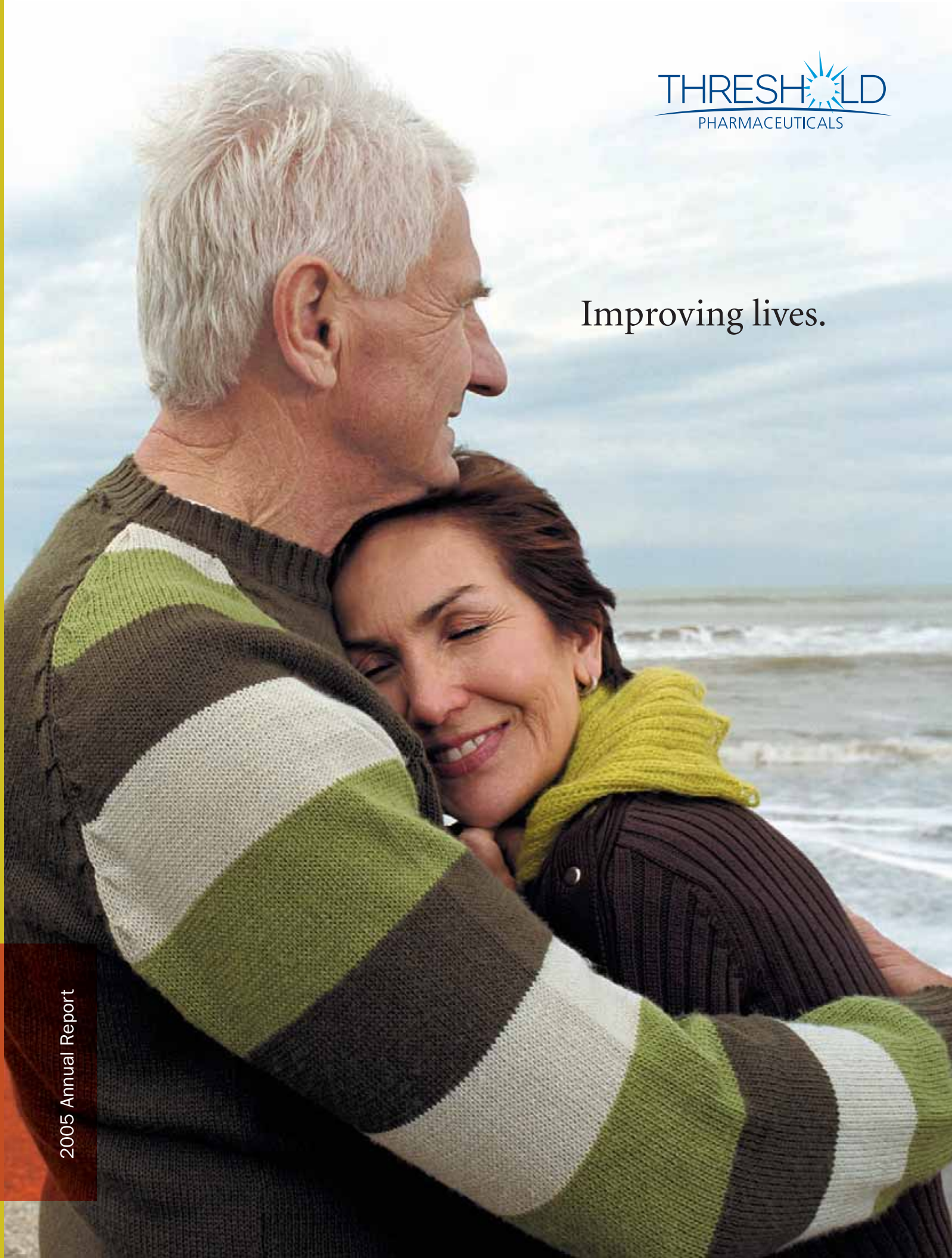


THRESHOLD PHARMACEUTICALS 2005 ANNUAL REPORT

2005 Annual Report

LEADERSHIP EXPERTISE

The Threshold team is made up of experienced clinicians, research scientists, entrepreneurs, and business professionals with successful track records in the biotech and pharmaceutical industries. Senior management and advisors are authorities in the fields of urology and oncology and have been instrumental in building numerous industry-leading companies.

IMPROVING LIVES

Our product candidates are focused on treating patients with significant unmet medical needs, including Benign Prostatic Hyperplasia (BPH) and cancer. Cancer is the second leading cause of death in the United States after cardiovascular disease. The American Cancer Society estimates that 564,830 people will die from cancer in the United States this year. Many cancers, such as pancreatic, lung and liver, have few effective treatments and very low survival rates. BPH is a disease of the prostate that often leads to debilitating urinary problems and afflicts more than 50% of men in their fifties and up to 90% of men over eighty. Approximately 50 million men worldwide are estimated to suffer from symptoms of the disease. Current treatments have significant deficiencies.

To Our Stockholders,

2005 was a very successful year for Threshold. I am especially proud of these accomplishments:

- achieving our key clinical and corporate milestones;
- successfully completing an initial public offering and follow-on offering, which together raised approximately $100 million in operating funds;
- advancing TH-070 for the treatment of benign prostatic hyperplasia and glufosfamide for the treatment of pancreatic cancer; and
- strengthening our management team and intellectual property position.

These achievements move us closer to our ultimate goal of commercializing novel targeted therapies for diseases that affect millions of patients and their families worldwide.

TH-070: A NOVEL SOLUTION FOR BPH

BPH is a non-cancerous enlargement of the prostate gland that often leads to uncomfortable and eventually debilitating urinary problems and afflicts more than 50 million middle-aged and elderly men in the United States, Europe, and Japan. Unlike many currently prescribed and investigational therapies, TH-070, our novel oral agent for the potential treatment of BPH, appears to address both the symptoms and the underlying cause of this disease.

Existing therapies for BPH do not adequately meet patient needs due to their slow onset of action, inability to address the underlying problem of prostate enlargement, or side effects that include reduced sexual function and cardiovascular effects. TH-070 could dramatically improve the medical treatment of this disease if found to be effective and safe in our ongoing clinical trials.

In 2005, we announced positive Phase 2 clinical trial results for TH-070. The data, published in *Reviews of Urology* (May 2005), showed that TH-070 induced rapid and significant improvement in all of the major parameters associated with BPH. These include prostate size, PSA levels, urine flow rates, residual urine volume and the International Prostate Symptom Score (IPSS). Symptomatic improvement appeared to be sustained for at least six months post-treatment. The data also showed that treatment with TH-070 improved BPH symptoms in patients regardless of baseline severity of symptoms or prostate volume.

This past summer, we initiated two randomized, placebo-controlled, multi-center studies of TH-070. The first is a Phase 2 clinical trial being conducted in the United States. In March 2006, we completed enrollment of approximately 200 patients into this clinical trial, which is designed to investigate the dose-response relationship

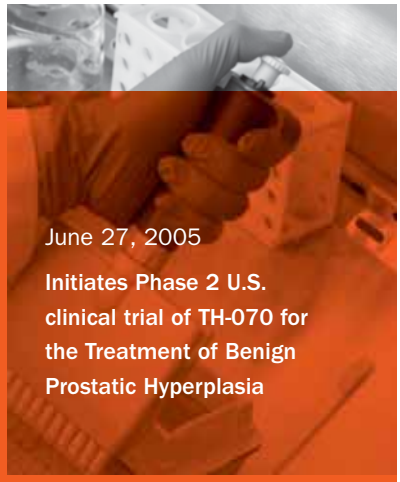
2005 Accomplishments

January 18, 2005

Appoints Alan Colowick, M.D., Chief Medical Officer

February 4, 2005

Completes Initial Public Offering of 5,333,333 shares



June 27, 2005

Initiates Phase 2 U.S. clinical trial of TH-070 for the Treatment of Benign Prostatic Hyperplasia

of TH-070 with respect to efficacy and safety. The second clinical trial is a Phase 3 efficacy trial being conducted in Europe and Canada. In April 2006, we completed enrollment of approximately 500 patients in this clinical trial. The primary efficacy endpoint for both of these studies is reduction in patient symptoms as evaluated by the IPSS, which has been the primary endpoint for all recently approved BPH drugs.

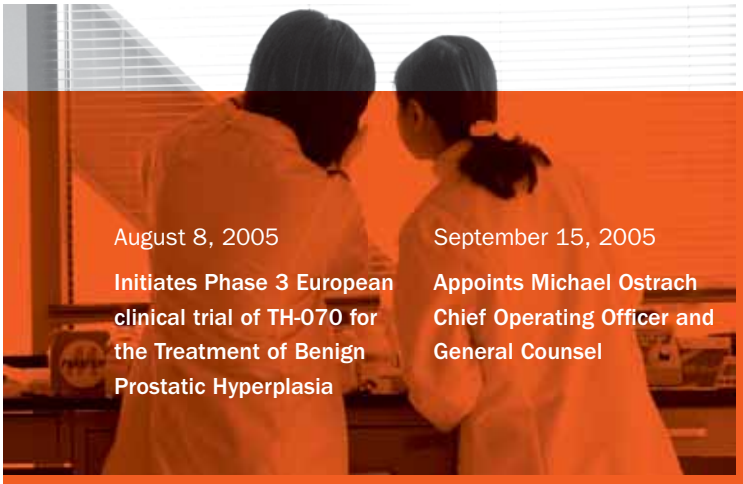
We expect to report top-line results from these ongoing Phase 2 and Phase 3 studies of TH-070 in BPH around the beginning of the fourth quarter this year. We also will commence in 2006 three smaller, supportive studies of TH-070.

GLUFOSFAMIDE AND 2-DEOXYGLUCOSE : DEVELOPING OUR CANCER PORTFOLIO

Glufosfamide was shown to promote increased survival in a small subset of pancreatic cancer patients, based on data from a Phase 2 clinical trial in 31 patients. These data led to our ongoing pivotal Phase 3 clinical trial for the potential treatment of pancreatic cancer patients who have failed prior gemcitabine (GEMZAR®) chemotherapy. This randomized clinical trial, being conducted under a Special Protocol Assessment agreement with the FDA, is evaluating the efficacy and safety of glufosfamide plus best supportive care (BSC) compared to BSC alone for second-line treatment of metastatic pancreatic cancer. Approximately 330 patients will be enrolled worldwide. Top-line results from the trial are expected by the end of 2006. Pancreatic cancer is a nearly uniformly fatal disease with average life expectancy less than one year. If proven safe and effective for pancreatic cancer, glufosfamide should offer oncologists and patients an important new treatment option for this devastating disease. Glufosfamide has FDA Fast Track designation for this indication, which should facilitate expedited regulatory approval if our Phase 3 results are positive.

In 2005, we completed a Phase 1 dose-escalation clinical trial of glufosfamide in combination with gemcitabine in advanced solid tumor and pancreatic cancer patients. In January 2006, the data were presented at the American Society of Clinical Oncology (ASCO) Gastrointestinal Cancers Symposium. Glufosfamide was well tolerated at the same dose currently being used in the ongoing Phase 3 single-agent clinical trial. Importantly, this suggests that there are no adverse interactions between glufosamide and gemcitabine, thereby enabling a combination of the two compounds to be administered to patients at their maximally tolerated individual doses. Patient enrollment has begun in a 28-patient Phase 2 clinical trial of glufosfamide plus gemcitabine as first-line therapy for advanced pancreatic cancer patients. Initial results from this clinical trial are anticipated toward the end of 2006 with complete results expected in 2007.

The encouraging safety data on glufosfamide provide a strong rationale to expand investigation of the combination of glufosfamide and gemcitabine in other types of solid tumors.

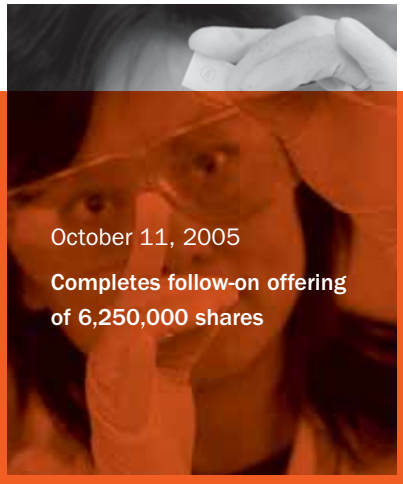


August 8, 2005

Initiates Phase 3 European clinical trial of TH-070 for the Treatment of Benign Prostatic Hyperplasia

September 15, 2005

Appoints Michael Ostrach Chief Operating Officer and General Counsel



October 11, 2005

Completes follow-on offering of 6,250,000 shares

December 31, 2005

Completes Phase 1 portion of Phase 1/2 glufosfamide + gemcitabine study in pancreatic cancer patients

We continued to evaluate our earlier-stage product candidate, 2-deoxyglucose (2DG), in a Phase 1 clinical trial as a monotherapy and in combination with docetaxel (Taxotere™) in patients with various solid tumors. Top-line results from this trial are anticipated in the second half of 2006.

STRENGTHENED MANAGEMENT AND IP

This past year, we added two seasoned senior executives to our management team: Dr. Alan Colowick, our chief medical officer, and Michael Ostrach, our chief operating officer and general counsel. We also hired several new vice presidents in the areas of Regulatory, Manufacturing and Clinical Development. These additions strengthen our world-class development organization and support our evolution into a fully integrated commercially-driven biopharmaceutical company. We also further strengthened our intellectual property position. During 2005, the US Patent and Trademark Office allowed four of our patent applications, all of which have now issued. One of these is a key patent that broadly claims the use of TH-070 for the treatment of BPH, another claims methods for treating cancer by orally administering 2-DG, and the other two relate to 2-DG conjugated compounds for imaging and treating cancer.

CONTINUED EXECUTION IN 2006

Consistent with our past performance, we look forward to achieving significant milestones in 2006. These milestones include:

- completing and reporting the results of both our Phase 2 and Phase 3 studies in BPH with TH-070 around the beginning of the fourth quarter of 2006;
- completing enrollment and reporting top-line results from our Phase 3 clinical trial of glufosfamide for the second-line treatment of advanced pancreatic cancer by the end of 2006;
- reporting initial results from our Phase 2 clinical trial of glufosfamide in combination with gemcitabine for the first-line treatment of advanced pancreatic cancer by the end of 2006;
- commencing three supportive clinical studies with TH-070; and
- initiating at least one additional clinical trial of glufosfamide in a new cancer indication.

On behalf of the entire Threshold management team, I would like to recognize our employees, advisors and clinical investigators for their dedication and hard work, as well as the patients who have participated in our clinical trials. I would like to thank our stockholders for your continued support and confidence. Each of you has contributed to Threshold's success in developing important new therapeutics and its ability to become a world-class biopharmaceutical company. We look forward to reporting our progress during 2006.

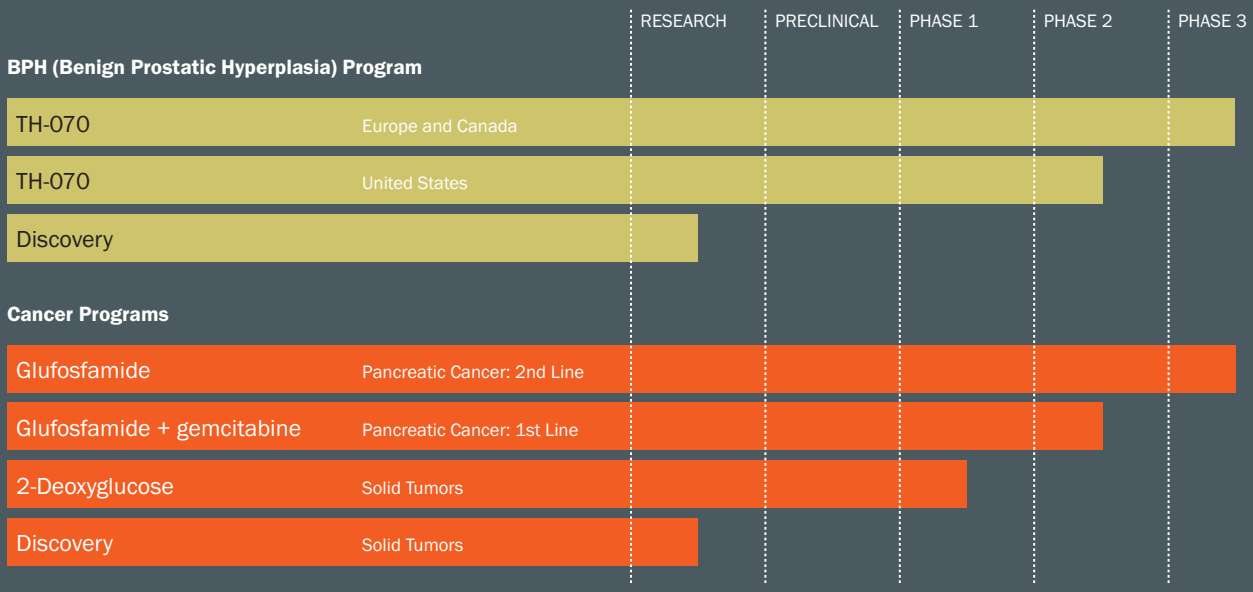
Harold E. "Barry" Selick, Ph.D.

Chief Executive Officer
April 2006

pictured from left ro right:

Janet I. Swearson, Chief Financial Officer and Vice President
Mark D. Matteucci, Ph.D., Vice President, Discovery
Alan B. Colowick, M.D., M.P.H., Chief Medical Officer
Harold E. Selick, Ph.D., Chief Executive Officer
Denise T. Powell, Senior Director, Corporate Communications
Kevin R. Kaster, J.D., Vice President, Intellectual Property
Mireya M. Ono, Senior Director, Human Resources
Michael S. Ostrach, J.D., Chief Operating Officer and General Counsel

Product Candidate Pipeline



We currently have three product candidates, two of which are in Phase 3 clinical trials. In 2005, we initiated two clinical trials of our lead product candidate, TH-070, for the treatment of men with symptomatic BPH. In the spring of 2006, we completed enrollment in both of these clinical trials. Our lead cancer product candidate, glufosfamide, is currently in a Phase 3 clinical trial for the treatment of second-line pancreatic cancer. In addition, we are evaluating glufosfamide in combination with gemcitabine in a Phase 2 clinical trial for the first-line treatment of pancreatic cancer.

MANAGEMENT TEAM

Harold E. Selick, Ph.D.
Chief Executive Officer

Alan B. Colowick, M.D., M.P.H.
Chief Medical Officer

Michael S. Ostrach, J.D.
Chief Operating Officer and General Counsel

Janet I. Swearson
Chief Financial Officer and Vice President

Mark D. Matteucci, Ph.D.
Vice President, Discovery

Kevin R. Kaster, J.D.
Vice President, Intellectual Property

Denise T. Powell
Senior Director, Corporate Communications

Mireya M. Ono
Senior Director, Human Resources

BOARD OF DIRECTORS

Bruce C. Cozadd
Executive Chairman, Jazz Pharmaceuticals, Inc.

Patrick G. Enright
Managing Director, Pequot Ventures

William A. Halter
Independent Director

Wilfred E. Jaeger, M.D.
Partner, Three Arch Partners

George G. C. Parker, Ph.D.
Stanford Graduate School of Business

Michael F. Powell, Ph.D.
Managing Director, Sofinnova Ventures, Inc.

Harold E. Selick, Ph.D.
CEO, Threshold Pharmaceuticals, Inc.

CORPORATE INFORMATION

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Threshold Pharmaceuticals, Inc.
1300 Seaport Boulevard
Redwood City, CA 94063
650.474.8200 telephone
650.474.2529 fax

ANNUAL MEETING

The Annual Meeting of Stockholders will be held Thursday, May 25 at 12:00 noon at:
Threshold Pharmaceuticals, Inc.
1300 Seaport Boulevard, 5th Floor
Redwood City, CA 94603

TRANSFER AGENT

Mellon Investor Services LLC
85 Challenger Road
Ridgefield Park, NJ 07660
800.356.2017

SHAREHOLDER INFORMATION

Symbol: THLD
Exchange: NASDAQ

LEGAL COUNSEL

Heller Ehrman White & McAuliffe LLP
Palo Alto, CA

INDEPENDENT AUDITORS

PricewaterhouseCoopers LLP
San Jose, CA

INVESTOR CONTACT INFORMATION

Denise T. Powell
Threshold Pharmaceuticals, Inc.
650.474.8206
dpowell@thresholdpharm.com

Design: Formative | Berkeley, California www.formativegroup.com

FORWARD-LOOKING STATEMENTS This annual report and the accompanying letter from our Chief Executive Officer include forward-looking statements, including, for example, statements about Threshold's clinical trial programs, clinical trial plans, product candidates and their expected benefits and potential markets. These forward-looking statements involve risks and uncertainties that may cause actual results to differ materially from those in the forward-looking statements. Potential risks and uncertainties include, for example, our ability to develop, manufacture and commercialize our product candidates, successfully complete clinical trials and obtain product approvals from regulatory agencies. Further information is included under the heading "Risk Factors" in our annual report on Form 10-K, which accompanies this annual report and is also filed with the Securities and Exchange Commission and available on our website at www.thresholdpharm.com. We disclaim any duty to update any forward-looking statements.

THRESHOLD
PHARMACEUTICALS

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