

Hsp90 Inhibitor Program

Tanespimycin

Tanespimycin

Alvespimycin

Tanespimycin

Alvespimycin

Alvespimycin

Next Generation

Epothilone Program

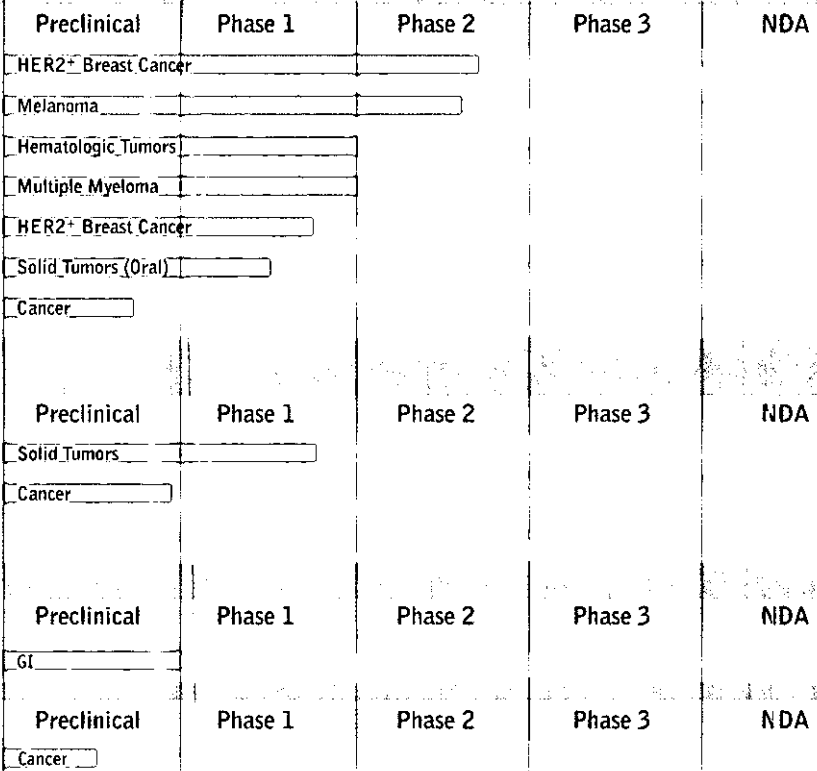
KOS-1584

Next Generation

Motilide Program

KOS-2137

Nuclear Export Inhibitors



2006

- Leadership established in Hsp90 product development
- Clinical goals achieved: development programs demonstrating antitumor activity and tolerability
- Presentations of promising Phase 1 and 2 clinical data at major oncology meetings
 - ASCO*: antitumor activity of tanespimycin plus Velcade* in multiple myeloma and tanespimycin plus Herceptin in HER2-positive metastatic breast cancer
 - ASCO: KOS-1584 single-agent antitumor activity in solid tumors
 - ASH*: antitumor activity of tanespimycin plus Velcade in multiple myeloma; single-agent antitumor activity of alvespimycin in hematologic tumors
 - EORTC-NCI-AACR*: antitumor activity of KOS-1584 in solid tumors using two dosing regimens
 - San Antonio Breast Cancer Symposium: antitumor activity of tanespimycin plus Herceptin* in HER2-positive metastatic breast cancer
- Partnership with Pfizer for gastrointestinal motility agents (KOS-2187) valued at up to \$250 million
- Senior management team expanded and strengthened
- Strong financial position

* American Society of Clinical Oncology
American Society of Hematology
European Organization for Research in the Treatment of Cancer-National Cancer Institute-American Association for Cancer Research

letter

2006 was a year of focus, definition and achievement for Kosan. We delivered strong results in all aspects of our business and laid the groundwork for many important milestones we expect to achieve in 2007.

In both our Hsp90 inhibitor and epothilone clinical programs, our compounds are demonstrating encouraging antitumor activity, tolerability and durability of responses across various tumor types. These results provide a strong rationale for initiating later-stage trials with these compounds and for executing the robust clinical program we expect to implement in 2007.

Our Tanespimycin in Myeloma Evaluation or "TIME" program will be the first registration program for an Hsp90 inhibitor in the industry. We are also on track to initiate later-stage clinical trials for alvespimycin in patients with HER2-positive metastatic breast cancer in 2007. We believe that the clinical data from our Hsp90 inhibitor trials we presented at numerous scientific and medical meetings in 2006 have helped to stimulate a significant expansion of interest in Hsp90 as a promising cancer target and focused attention on Kosan as the clear leader in this area.

We are equally excited about the potential of our epothilone, KOS-1584. In early 2007, Roche, our development partner, and we selected KOS-1584 to advance to Phase 2 trials in solid tumor indications. We believe that KOS-1584 has broad therapeutic potential and that the industry's interest in this potential competitor in the large taxane market is growing.

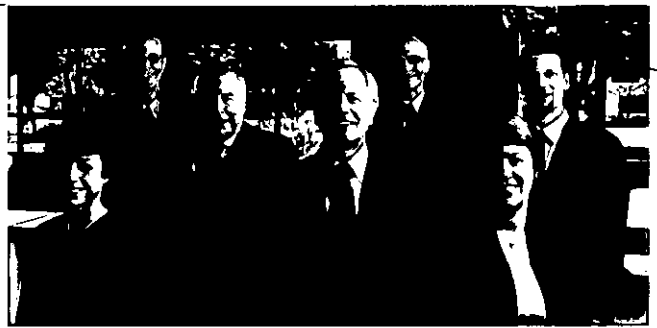
In 2006, we concluded a worldwide exclusive license agreement with Pfizer Inc. for our gastrointestinal motility agents (including KOS-2187) on favorable terms, and thus fulfilled a key corporate goal to monetize a valuable non-oncology asset through partnership with a brand-name pharmaceutical company.

We took several steps to strengthen our financial position in 2006 and early 2007. We raised over \$80 million through a combination of equity sales and our new partnership with Pfizer. With these additional resources, we have secured our ability to implement later-stage trials for our lead compounds as well as to advance promising preclinical oncology compounds, such as our nuclear export inhibitors, toward clinical development.

We have ambitious goals for 2007.

Our TIME registration clinical program for tanespimycin in patients with multiple myeloma is expected to include two trials. TIME-1 will be a Phase 3 trial testing tanespimycin in combination with Velcade compared to Velcade alone in a first-relapsed patient population. TIME-2 will be a Phase 2/3 trial testing three different doses of tanespimycin in combination with Velcade in a relapsed-refractory patient population. The TIME program will be conducted primarily in the U.S. and in the European Union.





We expect to initiate a Phase 2 monotherapy trial for our second-generation Hsp90 inhibitor, alvespimycin, in patients with newly-diagnosed HER2-positive metastatic breast cancer in the first half of 2007. A Phase 2/3 trial of alvespimycin in combination with Herceptin as potential second-line therapy is expected to begin in the second half of 2007.

With the support of our partner Roche, we plan to initiate Phase 2 trials of KOS-1584 in solid tumors in the second half of 2007.

We anticipate that Pfizer will initiate a Phase 1 trial for KOS-2187, our gastrointestinal motility compound, in 2007.

We are planning numerous data presentations at medical and scientific meetings throughout 2007. In the first half of the year, we will have presentations at the American Association for Cancer Research (AACR) annual meeting, the American Society for Clinical Oncology (ASCO) annual meeting, and the International Myeloma Workshop. In the second half of the year, we anticipate data presentations at the EORTC-NCI-AACR meeting, the American Society for Hematology (ASH) annual meeting, and the San Antonio Breast Cancer Symposium, among others.

We intend to expand our leadership in the development of Hsp90 inhibitors. The pharmaceutical community is recognizing the promise of Hsp90 inhibition as an important new mechanism of action in cancer therapy. We believe that our Hsp90 inhibitors have significant therapeutic potential and we intend to achieve a first-to-registration milestone in this exciting therapeutic area.

Kosan today is a maturing cancer therapeutics company. Our lead compounds in both our epothilone and Hsp90 inhibitor programs are expected to enter later-stage clinical trials and our promising preclinical compounds are progressing toward the clinic. We believe that our financial management strategies are sound and that we are sufficiently capitalized to advance our clinical programs.

Kosan's board of directors, management team and employees join me in expressing appreciation to our stockholders for the confidence you have shown in our company. We believe that we are making substantial progress toward our goal of developing safe and effective novel cancer therapeutics and that our company's future is bright.

Sincerely,

Robert G. Johnson, Jr., M.D., Ph.D.
President and Chief Executive Officer

Left to right;

Jane M. Green, Ph.D.
Vice President
Corporate Communications

Pieter B.M.W.M. Timmermans, Ph.D.
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Senior Vice President
Legal and Corporate Development
and General Counsel

Gary S. Titus, C.P.A.
Senior Vice President and
Chief Financial Officer

Hsp90 Inhibition

We believe that the data we presented at major scientific and medical meetings in 2006 on our Hsp90 inhibitors – tanespimycin and second-generation alvespimycin – helped to stimulate an expansion of interest in Hsp90 inhibition as a promising new mechanism and focused industry attention on Kosan as the leader in this area.

Tanespimycin in Multiple Myeloma

Tanespimycin is the most advanced Hsp90 inhibitor in the clinic today.

We have been granted orphan drug designation for tanespimycin in multiple myeloma in both the U.S. and the European Union.

We presented data at the 2006 ASH annual meeting from a Phase 1b clinical trial of tanespimycin plus Velcade in patients with highly refractory, relapsed multiple myeloma. When we looked at response rates grouped by prior Velcade treatment, we observed meaningful responses across the treated groups. Tanespimycin was well tolerated; toxicities were mainly gastrointestinal and fatigue and were manageable and reversible. In this trial we also observed a low rate of peripheral neuropathy, a side effect commonly associated with Velcade. Hsp90 inhibition may provide a neuroprotective effect which could be a benefit in this drug combination.

In addition to the TIME program in multiple myeloma, tanespimycin is being studied in multiple myeloma as monotherapy, in HER2-positive metastatic breast cancer in combination with Herceptin and as monotherapy in metastatic melanoma.

At the 2006 San Antonio Breast Cancer Symposium, we reported on a Phase 2 trial of tanespimycin plus Herceptin in patients with HER2-positive metastatic breast cancer in which we saw meaningful antitumor activity. Tanespimycin was well-tolerated in this trial. These results set the stage for our plans to advance our proprietary second-generation alvespimycin into later-stage breast cancer trials.


We anticipate presenting updated data from a Phase 1b trial of tanespimycin in combination with Velcade in multiple myeloma, as well as data from a Phase 2 trial in metastatic melanoma, at the 2007 ASCO annual meeting.





Hsp90 Inhibition: Powerful Anticancer Strategy

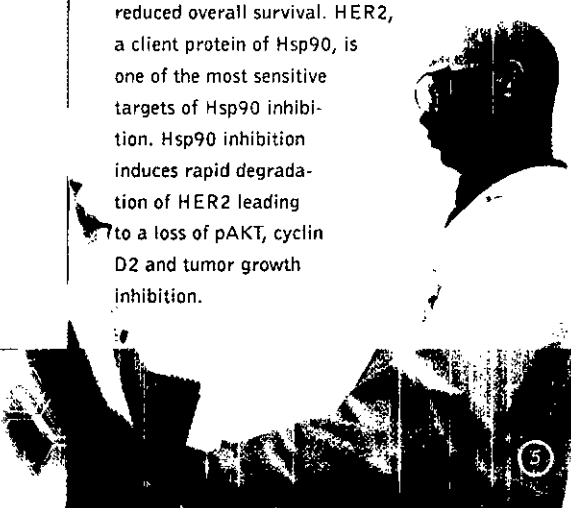
Hsp90 (heat shock protein 90) plays a key chaperone role in the maturation of proteins involved in cell growth and survival. Hsp90 represents 1-2% of cellular protein and increases to 4-6% in cells under stress, such as cancer cells. When mutated and/or over-expressed, client proteins of Hsp90 represent many important cancer-relevant targets such as p53, Bcr-Abl, Raf-1, ErbB2 and other kinases, as well as steroid hormone receptors. Hsp90 is important for the proper folding and stability of many proteins involved in cancer. The inhibition of Hsp90 leads to the death of cancer cells.



The polyketide geldanamycin inhibits the function of Hsp90. When optimized geldanamycin analogs – such as Kosan's tanespimycin and alvespimycin – bind to Hsp90, the chaperone is dissociated from its client proteins. These proteins are then degraded which ultimately leads to cell death (apoptosis). Tanespimycin and alvespimycin are demonstrating synergistic activity with other inhibitors of signal transduction client proteins and with conventional anticancer agents.

In multiple myeloma, tanespimycin has been shown to induce apoptosis of drug-sensitive and drug-resistant multiple myeloma cell lines. Tanespimycin also inhibits expression of cell surface cytokines, such as IGF-1R and IL-6R, that are involved in growth, survival, and drug resistance of multiple myeloma cells. Destabilizing client proteins with tanespimycin, while blocking their degradation with Velcade, promotes the accumulation of cytotoxic proteins, leading to cell death.

In HER2-positive metastatic breast cancer, it has been shown that the HER2 gene is amplified in 25-30% of breast tumors. Over-expression of HER2 is associated with an aggressive tumor growth and reduced overall survival. HER2, a client protein of Hsp90, is one of the most sensitive targets of Hsp90 inhibition. Hsp90 inhibition induces rapid degradation of HER2 leading to a loss of pAKT, cyclin D2 and tumor growth inhibition.



Alvespimycin in HER2-Positive Metastatic Breast Cancer

Alvespimycin is a proprietary compound with enhanced pharmaceutical properties, including a half-life of 20-30 hours, three-to-five-fold greater potency than the first generation compound and oral bioavailability of more than 50% across multiple doses. Intravenous alvespimycin is being studied in hematologic malignancies and in breast cancer in combination with Herceptin. Oral dosing of alvespimycin is being tested in a Phase 1 trial in solid tumors.

We presented results from our Phase 1 single-agent trial of alvespimycin in hematologic malignancies at the 2006 ASH annual meeting showing a high level of tolerability and clinical activity in patients with refractory acute myeloid leukemia (AML).

We intend to pursue development of alvespimycin initially in HER2-positive metastatic breast cancer. We plan to initiate a Phase 2 monotherapy trial in patients with newly-diagnosed HER2-positive metastatic breast cancer in the first half of 2007, followed by a Phase 2/3 trial in combination with Herceptin in the second half of 2007. Based on strong scientific rationale and preclinical data, we believe that alvespimycin may have broad therapeutic utility in a range of solid tumors. The potential for oral dosing adds to the value of the compound. We may also pursue alvespimycin in hematologic malignancies.

KOS- 1584



Kosan has established a global partnership with Roche for the development and commercialization of epothilones. In early 2007, Kosan and Roche selected KOS-1584 to advance rapidly into Phase 2 trials in solid tumor indications. KOS-1584 has demonstrated promising clinical activity in ovarian and non-small cell lung cancers, and an attractive safety profile. KOS-1584 is a highly potent compound with attractive pharmaceutical properties, including long half-life, large volume of distribution, wide therapeutic index and ease of formulation.

In late 2006, at the EORTC-NCI-AACR conference, we presented data on two Phase 1 trials of KOS-1584, one using an every three week dosing schedule and the other trial using a weekly three out of four week dosing schedule, in which we saw activity in ovarian and lung cancers without significant toxicity.

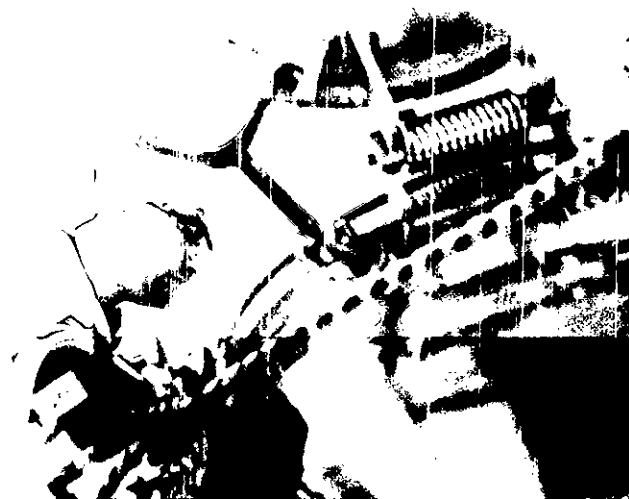
We believe that KOS-1584 may prove to be superior to other epothilones currently in development and ultimately emerge as a leading competitor in the taxane market. The market's interest in the epothilone class will likely build, as epothilones have demonstrated the ability to kill cancer cells that are sensitive as well as resistant to taxanes. We plan to pursue a "fast-follower" market strategy for this compound. It is likely that the first epothilone new drug application (NDA) will be filed this year by a major pharmaceutical company. This compound has demonstrated activity in breast cancer, but may have a less desirable side effect profile. Our clinical and commercial strategy is based on our belief that the first-to-market epothilone can build the market and that Kosan/Roche's potentially superior epothilone can gain market share due to its enhanced pharmaceutical properties.


We look forward to working with our partner Roche to initiate Phase 2 trials of KOS-1584 later in 2007.

Many cancers are treated with a taxane (a class of drugs represented by Taxol® and Taxotere®). Taxanes induce cell death by inhibiting cell division. Epothilones are anticancer agents with a taxane-like mechanism of action that have demonstrated activity in taxane-sensitive and taxane-resistant tumors.

The formation and function of microtubules (cellular support and transport structures) is a critical process in cell division (mitosis). Taxanes and epothilones target microtubules and exert a cytotoxic effect by stabilizing the mitotic machinery and inhibiting cell division, leading to cell death or apoptosis. Epothilones, derived from natural products, differ from taxanes structurally and pharmacologically. Epothilones are active in taxane-resistant as well as taxane-sensitive tumors, and they are synergistic with a wide variety of standard chemotherapeutics. A major class benefit is that epothilones, unlike taxanes, may have the potential to overcome multi-drug resistance.

KOS-1584 is based on Kosan's proprietary epothilone D backbone and is potentially superior to other companies' epothilone B compounds in development today. KOS-1584 has been shown to have a more attractive safety profile than epothilone B compounds in development, and broad activity in preclinical and early clinical studies.





Proteins move into and out of the cell's nucleus in a process called nuclear transport. Specific cellular proteins mediate nuclear transport in a tightly regulated process. CRM1 is a highly conserved, essential protein that exports key regulatory proteins ("cargo" proteins) from the nucleus to the cytoplasm. Cargo proteins that depend on CRM1 for nuclear export include p53, Bcr-Abl and FOXO-3a, as well as kinases that are critical for cell growth, proliferation and survival. Accumulation of CRM1 cargo proteins in the nucleus by blocking their transport out of the nucleus leads to inhibition of proliferation. In cancer inhibition of CRM1 results in cell arrest and cell death.

Kosan's polyketide-based nuclear export inhibitors have been shown to be specific, potent and irreversible inhibitors of CRM1 in vitro and in vivo studies and to have optimized pharmaceutical properties including potency, durability of action and a wide therapeutic index. Our NEIs have demonstrated potent anticancer activity in human tumor xenograft models of cervical, colon and non-small cell lung cancers and melanoma, and have been shown to have high tolerability and a wide therapeutic window.

Our goal is to bring a first-in-class NEI into the clinic. We believe that NEIs have the potential to work additively and synergistically with a broad spectrum of cancer chemotherapies, such as DNA-damaging agents that have limited durability of effect. We anticipate selecting a lead candidate from our family of NEIs to advance into investigational new drug (IND) enabling studies in the near-term.

Fueling Our Pipeline: Research and Manufacturing

Kosan is an industry leader in using novel, proprietary biological, chemical and genetic engineering technologies to exploit the pharmaceutical potential of a class of natural products called polyketides.

Many commercially successful therapeutics in use today in multiple disease areas are polyketide derivatives. Polyketides are produced in tiny quantities by soil microorganisms and are difficult to produce or modify chemically due to their complexity. We have overcome these challenges through the innovation of unique synthesis and production methods for creating and modifying new polyketide-based chemical entities. Our clinical and preclinical pipeline is rich with highly active small molecule anticancer agents that have been engineered to possess desirable pharmaceutical properties.

Vital to our leadership in polyketide discovery and development is our in-house current Good Manufacturing Practices compliant manufacturing and small molecule process development capability. Our development and manufacturing capabilities include all aspects of process development, fermentation and purification, fill-and-finish, quality assurance and quality control, ensuring our ability to support all phases of our research and development activities, including the production of compounds in development with our partners.

Our research group continues to fuel our preclinical pipeline with promising lead candidates that are advancing toward clinical development. We have leveraged our expertise in polyketide engineering and our extensive clinical experience to develop next-generation Hsp90 inhibitors with enhanced efficacy, safety and oral bioavailability, epothilones with enhanced activity, potency and therapeutic index and motilin agonists, such as KOS-2187, with potential in gastrointestinal motility indications. We are also advancing new chemical classes, such as nuclear export inhibitors, with novel anticancer mechanisms of action.



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Christopher Walsh, Ph.D.
Hamilton Kuhn Professor
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EXECUTIVE MANAGEMENT

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Drug Discovery and
Preclinical Development

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Chief Financial Officer

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Jane M. Green, Ph.D.
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ANNUAL STOCKHOLDERS MEETING

The Annual Meeting of Stockholders will be held at 10:00 a.m., local time, on May 24, 2007 at:

Kosan Biosciences
3825 Bay Center Place
Hayward, CA 94545

INVESTOR INFORMATION

Copies of Kosan's Annual Report and Form 10-K and Form 10-Q reports can be obtained at no cost by calling or writing to Investor Relations at Kosan's headquarters or visiting its website at www.kosan.com.

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STOCK EXCHANGE

Kosan is traded on The Nasdaq National Market. The ticker symbol is KOSN.

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INDEPENDENT AUDITORS

Ernst & Young LLP
San Francisco, CA

This annual report contains "forward-looking" statements about Kosan's business prospects, the potential safety, efficacy and commercialization of Kosan's product candidates, initiations of clinical trials and other research and development activities and presentations of clinical data. Any statements contained in this Annual Report that are not statements of historical fact may be deemed to be forward-looking statements. Risks and uncertainties may cause Kosan's actual results to differ materially from those suggested by forward-looking statements. These include, but are not limited to, risks and uncertainties relating to the development of Kosan's product candidates, including the risk that studies may not demonstrate safety and efficacy sufficient to initiate clinical trials, continue clinical development, obtain the requisite regulatory approvals or to result in a marketable product; Kosan's dependence on its partnering arrangements with Roche and Pfizer for the development of certain of its product candidates; Kosan's ability to maintain or establish partnering arrangements for the development of its product candidates; and other risks and uncertainties described from time to time in Kosan's Securities and Exchange Commission reports, including its Annual Report on Form 10-K for the year ended December 31, 2006 and other periodic filings with the SEC. Kosan does not undertake any obligation to update forward-looking statements.

The name Kosan Biosciences Incorporated, our logo and all other Kosan names are our trademarks. All other trademarks or brand names appearing in this Annual Report are the property of their respective holders.

KOSAN

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