



Exelixis Showcases R&D Pipeline at JPMorgan Healthcare Conference

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Two New Clinical Programs and Significant Expansion of Cancer Pipeline Planned

for 2004

SOUTH SAN FRANCISCO, Calif., Jan. 13 /PRNewswire-FirstCall/ -- Exelixis, Inc. (Nasdaq: EXEL) plans to initiate a pivotal Phase 3 clinical trial, advance its Phase 1 compound, file investigational new drug (IND) applications for two novel anticancer agents in 2004 and progress multiple preclinical anticancer compounds toward IND applications in 2005. The company intends to present the full breadth of its clinical and preclinical R&D pipeline at the 22nd Annual JPMorgan Healthcare Conference today in San Francisco. A webcast of the presentation scheduled for 4:30 pm (Pacific Time) can be accessed in the Events page under Investor Information on the Exelixis website at: <http://www.exelixis.com/index.asp?secPage=events>.

Exelixis' cancer pipeline is led by XL119, which is slated to begin a Phase 3 trial as a potential treatment for bile duct tumors, and XL784, which has completed a Phase 1 clinical trial and has demonstrated potential utility in cancer, renal and cardiovascular disease. In the last year, Exelixis has significantly populated its development pipeline with multiple potential anticancer compounds from its proprietary gene-to-drug platform. Among these are XL647 and XL999, two Spectrum Selective Kinase Inhibitors(TM) (SSKI) that target both the tumor and its vasculature. Each compound has a different RTK inhibition spectrum, and each has the potential to maximize efficacy through simultaneous inhibition of multiple RTKs. Consistent with this expectation, both compounds demonstrate potent anticancer activity after oral dosing in multiple preclinical tumor models. The company anticipates filing IND applications for XL647 and for XL999 in the first and second quarters of 2004, respectively. Exelixis has also advanced XL844 into development with the goal of filing an IND in early 2005. XL844 is a potent and selective inhibitor of Chk1 & 2. In 2004, the company anticipates advancing several additional compounds that could be the basis of IND applications in 2005, and the company has more than 30 other programs in high-throughput screening from a broad spectrum of commercially interesting target classes including kinases, GPCRs, nuclear hormone receptors and phosphatases.

"Exelixis' R&D productivity has surged, enabling us to aggressively mobilize our gene-to-drug capabilities and produce a pipeline of exciting compounds that we believe have the potential to be important new cancer therapeutics," said George A. Scangos, president and chief executive officer. "We believe that we have achieved excellence and critical mass throughout our operations, and we anticipate a steady stream of compounds advancing into and through clinical trials in 2004 and beyond. We believe that we are on a trajectory to file at least two INDs per year, and that 2004 will be an extremely productive year for our company, advancing us further toward the goal of building a sustainable biotechnology company."

Exelixis Pipeline Highlights

- XL119 is a small molecule anticancer compound for which Exelixis is currently undertaking activities leading to the planned initiation of a Phase 3 trial as a potential treatment for bile duct tumors. Safety and activity data presented at the 2003 annual meeting of the American Society of Clinical Oncology (ASCO) from a Phase 2 clinical trial in 33 patients with bile duct tumors (gall bladder tumors and cholangiocarcinomas) treated with XL119 showed encouraging results relative to overall survival and progression free survival. Data from a Phase 2 clinical trial in 36 patients with non-small cell lung cancer were also presented and showed encouraging results relative to survival as well. The Phase 3 trial will be conducted with a comparator arm of 5-FU/leucovorin and with a survival-based endpoint. The company anticipates that the Phase 3 trial will begin in the second quarter of 2004. It is estimated that the incidence of bile duct tumors is approximately 30,000 patients worldwide.
- XL784 is a potent inhibitor of the ADAM-10 metalloprotease (MP) enzyme, a target of significant interest because of its important role in blood vessel formation and cell proliferation. XL784 was specifically optimized to be MMP1-sparing, thus potentially significantly enhancing its safety profile and enabling higher dosing in comparison to MMP inhibitors. In preclinical studies, XL784 dosed orally demonstrated excellent pharmacokinetic properties and significant tumor growth inhibition of xenografts derived from a variety of human carcinoma cell lines. Additionally, the compound showed good activity in rat models of renal and cardiac failure. Data from a Phase 1 clinical trial of orally administered XL784 in healthy volunteers showed single doses of

the compound to be free of side effects and to have an attractive pharmacokinetic profile. In 2004, Exelixis plans to pursue a development path in renal and cardiovascular disease. The company plans to develop a new formulation suitable for chronic administration in patients with renal and cardiac failure with the intention of aggressively moving the compound through development. It is estimated that there are more than three million patients in the U.S. with diabetic nephropathy which represents a large and currently underserved market.

- XL647 is a potent inhibitor of RTKs that are implicated in driving tumor proliferation and vascularization. XL647 simultaneously inhibits the EGFR, HER2, VEGFR and EphB4 RTKs with high potency and demonstrates excellent activity in target-specific cellular functional assays. XL647 has good oral bioavailability and shows sustained inhibition of target RTKs in vivo following a single oral dose. In preclinical models of major tumor types, including human breast, lung, colon and prostate cancer, XL647 demonstrates potent inhibition of tumor growth and has been shown to cause tumor regression. Consistent with its spectrum of activity, analysis of tumors from XL647-treated animals show significant decreases in both tumor vascularity and tumor cell proliferation and an increase in tumor cell death. XL647 is currently in late preclinical development, and the company anticipates filing an IND application in the first quarter of 2004.
- XL999 is a potent inhibitor of key RTKs that are implicated in the development and maintenance of tumor vasculature. XL999 simultaneously inhibits the FGFR, VEGFR, PDGFR and Flt3 RTKs with high levels of potency and demonstrates excellent activity in target-specific cellular functional assays. In preclinical models of major tumor types, including human breast, lung, colon and prostate cancer, XL999 demonstrates potent inhibition of tumor growth and has been shown to cause tumor regression. XL999 shows rapid onset of action in vivo with significant tumor apoptosis/necrosis and vascular disruption observed after a single oral dose in two different cancer models. XL999 is suitable for both oral and intravenous dosing and shows sustained inhibition of target RTKs in vivo following a single oral dose. In addition, XL999 is a potent inhibitor of Flt3, which is an important driver of cell proliferation in many patients with acute myelogenous leukemia, and demonstrates remarkable potency in a Flt3-driven model of leukemia. Exelixis anticipates filing an IND for XL999 in the second quarter of 2004.
- XL844 is a potent, selective inhibitor of Chk1 & 2, protein kinases that induce cell cycle arrest in response to a variety of DNA damaging agents. The company believes that XL844 is the first potent, selective Chk inhibitor to advance toward the clinic. In preclinical studies, XL844 has demonstrated significant potency in biochemical and cellular assays, oral bioavailability and an attractive pharmacokinetic profile. XL844 potentiates the efficacy of chemotherapeutic agents in preclinical tumor models without a concomitant increase in systemic toxicity by exploiting genetic liabilities that arise during tumor cell expansion. Exelixis intends to continue to evaluate the synergistic effects of XL844 in combination with different DNA damaging agents in different cell lines, both in vitro and in vivo, and to explore the compound's potential as a radiation sensitizer. It is estimated that close to two million patients worldwide currently receive cancer chemotherapy and 750,000 patients worldwide currently receive radiation therapy for cancer, suggesting that XL844 could have significant therapeutic and commercial potential as a potentiating agent. The company anticipates filing an IND application for XL844 in early 2005.
- Other Preclinical Programs: Exelixis has a broad portfolio of compounds in lead discovery and optimization and anticipates advancing several additional compounds toward potential IND applications in 2005.

These compounds have demonstrated high levels of potency in biochemical assays as well as excellent cellular and pharmacokinetic properties. Key targets in these ongoing efforts include:

- * C-Kit, a RTK that is mutated in a number of human cancers, including gastrointestinal stromal tumors, and is expressed at higher than normal levels in cancers such as small cell lung and ovarian carcinoma. EXEL-9820 is the company's lead compound active against this target.
- * C-Met, a RTK that is overexpressed in the majority of human tumors, including all the major solid tumor classes, and contributes to the growth, survival and invasive properties of tumor cells. EXEL-2880 is the company's lead compound active against this target.
- * ALK, a RTK normally expressed in the developing nervous system that becomes inappropriately activated via chromosomal translocations in a subset of non-Hodgkins lymphoma patients. EXEL-6309 is the company's lead compound active against this target.
- * P70S6K, a serine-threonine kinase that controls cell growth and is at the end of a pathway that is frequently activated through mutation or gene amplification in many human tumors. EXEL-2942 is the company's lead compound active against this target.

Exelixis, Inc. is a leading genomics-based drug discovery company dedicated to the discovery and development of novel therapeutics. The company is leveraging its fully integrated gene-to-drug platform to fuel the growth of its proprietary drug pipeline. Exelixis' development pipeline includes: XL119 which is anticipated to enter a Phase 3 clinical trial as a potential treatment for bile duct tumors; XL784, an anticancer compound that has completed a Phase 1 clinical trial; XL647, XL999 and XL844, anticancer compounds that are potential IND candidates; and multiple compounds in preclinical development. Exelixis has established broad corporate alliances with major pharmaceutical and biotechnology companies, including GlaxoSmithKline and Bristol-Myers Squibb Company. After completion of Phase 2a clinical trials, GlaxoSmithKline has the right to elect to develop a certain number of the cancer compounds identified in this release, other than XL119, thus potentially triggering milestone payments and royalties from GlaxoSmithKline and co-promotion by Exelixis. The company has also established agricultural research collaborations with Bayer CropScience, Dow AgroSciences and Renessen LLC. Other partners include Merck & Co., Inc., Schering-Plough Research Institute, Inc., Cytokinetics, Inc., Elan Pharmaceuticals, Inc. and Scios Inc. For more information, please visit the company's web site at www.exelixis.com.

This press release contains forward-looking statements, including without limitation all statements related to plans to advance its compounds in preclinical and clinical development, including XL119, XL784, XL647, XL999, XL844 and other early-stage compounds, as well as the therapeutic and commercial potential of these compounds. Words such as "believes," "anticipates," "plans," "expects," "intend," "will," "slated," "goal" and similar expressions are intended to identify forward-looking statements. These forward-looking statements are based upon Exelixis' current expectations. Forward-looking statements involve risks and uncertainties. Exelixis' actual results and the timing of events could differ materially from those anticipated in such forward-looking statements as a result of these risks and uncertainties, which include, without limitation, risks related to: the company's dependence on collaborations; the potential failure of clinical testing of the company's product candidates to demonstrate safety and efficacy; the ability of the company to file IND applications at the referenced times; the preliminary nature of the results from the Phase 2 clinical trials of XL119; the ability of the company to conduct the Phase 3 clinical trial of XL119 sufficient to achieve FDA approval, or to initiate the planned Phase 3 clinical trial in the second quarter of 2004; whether XL119 will show in the planned Phase 3 clinical trial results consistent with or superior to those achieved in the Phase 2 clinical trial; whether XL119 will achieve the necessary clinical endpoints in a Phase 3 clinical trial and show safety and efficacy sufficient to achieve approval to market in the United States and/or Europe; the uncertainty of the FDA approval process with respect to XL119 and the ability of the company to enroll patients in the planned Phase 3 clinical trial in a timely manner; the commercial value of XL119; the ability of the company to demonstrate the safety and efficacy of XL784 in renal and cardiovascular disease and successfully initiate additional clinical trials; and the ability of the company to successfully develop XL784; the uncertainty of the FDA approval process with respect to XL784; the commercial value of XL784; the ability of the company to successfully advance and develop additional preclinical compounds including XL647, XL999, XL844 and others, and the uncertainty of the FDA approval process with respect to and commercial value of these compounds. These and other risk factors are discussed under "Risk Factors" and elsewhere in the company's quarterly report on Form 10-Q for the quarter ended September 30, 2003 and other filings with the Securities and Exchange Commission. The company expressly disclaim any obligation or undertaking to release publicly any updates or revisions to any forward-looking statements contained herein to reflect any change in the company's expectations with regard thereto or any change in events, conditions or circumstances on which any such statements are based.

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/CONTACT: Jane M. Green, Ph.D., VP, Corporate Communications of Exelixis, Inc., +1-650-837-7579, or jmgreen@exelixis.com /
/Web site: <http://www.exelixis.com> /
(EXEL)

CO: Exelixis, Inc.
ST: California
IN: BIO MTC HEA
SU: PDT TDS

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