Exelixis Starts Three New Phase I Clinical Trials for Novel Compounds XL844, XL184 and XL784

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SOUTH SAN FRANCISCO, Calif., Sept. 22 /PRNewswire-FirstCall/ -- Exelixis, Inc. (Nasdaq: EXEL) has initiated Phase I clinical trials to evaluate the safety, tolerability and pharmacokinetic profile of two anticancer compounds, XL844 and XL184. The Phase I trial for XL844 will be conducted in patients with chronic lymphocytic leukemia, and the Phase I trial for XL184 will be conducted in patients with solid tumors for whom there are no available therapies. In addition, a repeat-dose Phase I clinical trial for XL784 has been initiated in healthy volunteers, in preparation for a Phase II program to test efficacy in patients with renal failure.

"The start of Phase I programs for these three compounds is another significant milestone for Exelixis. In just over 12 months, we have brought six internally developed compounds into clinical development, and now have a total of eight clinical-stage compounds. We are excited about the number and quality of the compounds, and are aggressively working to move them rapidly forward," said George A. Scangos, Ph.D., president and chief executive officer of Exelixis. "The most advanced of these compounds, XL647 and XL999, are close to finishing Phase I trials, and we anticipate that both will move forward into Phase II trials by the end of the year. We anticipate soon having four compounds in Phase II or later, and expect to have substantial insight into all of these compounds within a reasonable time frame," continued Dr. Scangos.

XL844

XL844 is an orally available, potent inhibitor of Chk 1 and 2, two protein kinases that facilitate DNA repair after exposure to DNA-damaging agents (e.g., chemotherapy). Chk inhibition blocks the ability of cells to detect and repair DNA damage, and in tumor models leads to increased efficiency of chemotherapeutic agents and radiation. XL844 is believed to be the most advanced small molecule inhibitor of Chk 1 and 2 in clinical studies.

XL184

XL184 is a novel, orally administered, small molecule anticancer compound that in preclinical models has demonstrated potent inhibition of both the hepatocyte growth factor receptor (Met) and the vascular endothelial growth factor receptor 2 (VEGFR2). XL184 has also exhibited potent inhibition of other important RTKs that have been implicated in various forms of cancer including mast/stem cell growth factor (KIT), FMS-like tyrosine kinase 3 (Flt3) and the endothelial cell RTK receptor (Tie-2). In preclinical efficacy studies, XL184 has inhibited tumor growth and induced the regression of large tumors in a broad range of human tumor xenograft models including breast cancer, lung cancer and glioma. In laboratory studies, XL184 has demonstrated significant oral bioavailability and excellent pharmacokinetic properties.

XL784

XL784 is a potent inhibitor of the ADAM-10 matrix metalloprotease (MMP) enzyme that plays an important role in blood vessel formation and cell proliferation. XL784 was specifically optimized to be MMP1-sparing, thus potentially improving its safety profile and enabling higher dosing in comparison to first-generation MMP inhibitors. In animal models of progressive kidney disease, XL784 has been shown to reduce proteinuria and slow the progression of, and in some instances reverse, the severity of resulting kidney damage.

A Phase I study demonstrated that XL784 is orally bioavailable and that single doses were tolerated up to 1700 mg per patient, the highest dose studied. After successful completion of the current 14-day repeat dose Phase I trial, the company plans to initiate a Phase II study to test the ability of XL784 to treat patients with proteinuria associated with diabetic kidney disease. The Phase II study will employ a double-blind, placebo-controlled design that will begin as soon as possible after completion of the Phase I trial.

About Exelixis

Exelixis, Inc. is a biopharmaceutical company dedicated to the discovery and development of novel therapeutics that will potentially enhance the care and lives of patients with cancer and other serious diseases. The company is leveraging its fully integrated gene-to-drug platform to fuel the growth of its proprietary drug pipeline. Exelixis' development pipeline covers cancer and metabolism and is comprised of the following compounds: XL119 (becatecarin), for which a multinational Phase III clinical trial in bile duct tumor is ongoing and which has been exclusively licensed to Helsinn Healthcare S.A. with rights to reacquire commercial rights for North America; XL784, which is being advanced as a treatment for renal disease and is currently in a Phase I clinical trial using a newly developed capsule formulation of the compound; XL647, XL999, XL880, XL820, XL844 and XL184, anticancer compounds currently in Phase I clinical trials; and multiple compounds in preclinical development for diseases including cancer and various metabolic and cardiovascular disorders. Exelixis has established broad corporate alliances with major pharmaceutical and biotechnology companies including GlaxoSmithKline (GSK) and Bristol-Myers Squibb Company. Pursuant to a product development and commercialization agreement between Exelixis and GSK, GSK has the option, after completion of Phase IIa clinical trials by Exelixis, to elect to develop a certain number of compounds in Exelixis' product pipeline, which may include the cancer compounds identified in this press release (other than XL119 but including XL784), thus potentially triggering milestone payments and royalties from GSK and co-promotion rights by Exelixis. 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 Exelixis' clinical development program for XL844, XL184 and XL784, the therapeutic and commercial potential of XL119, XL784, XL647, XL880, XL999, XL820, XL844 and XL184, other compounds in the Exelixis preclinical pipeline and its program in metabolic diseases. Words such as "believes," "anticipates," "plans," "expects," "intends," "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, the ability of the company to successfully conduct the clinical trials for XL119, XL647, XL999, XL880, XL820, XL844 and XL184; the ability of the company to advance additional preclinical compounds into clinical development; the uncertainty of the FDA approval process; and the therapeutic

and commercial value of the company's compounds. These and other risk factors are discussed under "Risk Factors" and elsewhere in our quarterly report on Form 10-Q for the quarter ended June 30, 2005 and other filings with the Securities and Exchange Commission. Exelixis expressly disclaims 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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