# Exelixis Initiates Phase II Clinical Program for XL784

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SOUTH SAN FRANCISCO, Calif., March 27 /PRNewswire-FirstCall/ -- Exelixis, Inc. (Nasdaq: EXEL) today announced the initiation of a Phase II clinical development program for XL784. The randomized Phase II trial is being conducted in patients with diabetes who have proteinuria, a marker for renal damage. The primary endpoint is reduction in proteinuria, and secondary endpoints will evaluate changes in renal function and cardiovascular effects. XL784 is a potent small molecule inhibitor of the ADAM-10 metalloprotease enzyme, which plays a role in blood vessel formation and cell proliferation that can cause renal fibrosis and impairment.

"We are making consistent progress in advancing compounds into Phase II trials. In December of 2005, we initiated Phase II trials of XL999. We expect to initiate Phase II trials of XL647 by mid-year, and of XL880 and XL820 by year-end," said George A. Scangos, Ph.D., president and chief executive officer of Exelixis. "XL784 is our first product candidate to address an indication outside oncology. Data from preclinical studies indicated that XL784 has the potential to provide a substantial improvement in the treatment of renal disease, and we are excited about the clinical potential of this novel compound. Diabetic nephropathy is a large market with significant unmet medical need. In the United States alone there are 3.7 million people living with diabetic nephropathy, and approximately 1.85 million patients are treated each year. We intend to pursue development of XL784 in this indication because we see it as an opportunity to provide patients with a potentially new treatment option."

Phase II Clinical Trial Design

Two Phase I studies of XL784 have been completed in healthy volunteers and both studies demonstrated that the compound is orally bioavailable, shows dose-proportional exposure and has an approximate 8 hour half-life. The Phase II trial is designed to enroll approximately 130 patients with diabetes who have clinically significant proteinuria. Participants will be randomly assigned to receive 200mg of XL784 or placebo daily for three months.

The primary endpoint of the trial is a reduction in proteinuria. Secondary endpoints include changes in renal function and cardiovascular events. Patients will be on study for three months.

About XL784

XL784 was the first small molecule compound developed from our proprietary drug discovery engine. The compound is a potent inhibitor of the ADAM-10 metalloprotease enzyme, a target of significant interest because of its important role in blood vessel formation and cell proliferation. XL784 was specifically optimized to be MMP-1 sparing, thus potentially enhancing its safety profile and enabling higher dosing compared with other previously studied metalloprotease inhibitors. Results of single dose Phase I clinical trials of XL784 administered orally to 96 healthy volunteers demonstrated that XL784 has attractive safety and pharmacokinetic profiles.

About Exelixis

Exelixis, Inc. is a biotechnology 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.; XL784, currently in Phase II trials which is being advanced as a treatment for renal disease; XL999, an anticancer compound, currently in Phase II clinical trials for a variety of solid tumors; XL647, 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 clinical proof-of- concept by Exelixis, to elect to develop a certain number of compounds in Exelixis' product pipeline, which may include XL784 and the cancer compounds identified in this press release (other than XL119), 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 statements related to the expected timing of the initiation of Phase II trials for XL647, XL880 and XL820. 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 potential failure of product candidates to demonstrate safety and efficacy in clinical testing; the ability of Helsinn Healthcare S.A. to conduct the Phase 3 clinical trial of XL119 sufficient to achieve FDA approval; the ability to complete and initiate trials at the referenced times; the ability to conduct clinical trials sufficient to achieve a positive completion; the ability to file INDs at the referenced times; the ability of Exelixis 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 annual report on Form 10-K for the year ended December 31, 2005 and other filings with the Securities and Exchange Commission. The company 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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