

Exelixis Initiates Phase 1 Trial for Anticancer Compound XL647

SOUTH SAN FRANCISCO, Calif., June 3 /PRNewswire-FirstCall/ -- Exelixis, Inc. (Nasdaq: EXEL) has initiated a Phase 1 clinical trial to evaluate the safety, tolerability and pharmacokinetic profile of XL647, a novel, orally available, proprietary anticancer compound that targets multiple receptor tyrosine kinases (RTKs) that are implicated in tumor proliferation and vascularization (angiogenesis). The Phase 1 clinical trial is designed as an open-label, single and repeat dose-escalation study and will be conducted in patients with solid tumors for whom there is no alternative therapy. The Phase 1 clinical trial will be conducted at Stanford University Medical Center and at Mayo Clinic.

"Stanford welcomes the opportunity to explore the safety and therapeutic potential of XL647, and we are pleased to participate in this Phase 1 clinical trial," said Dr. Branimir I. Sikic, Professor of Medicine, Division of Oncology and Director, General Clinical Research Center at Stanford University Medical Center. "We are intrigued by the selected spectrum of gene targets inhibited by XL647. This novel approach could represent a promising avenue for intervening in influential genetic pathways implicated in cancer and deserves to be studied in a clinical setting."

XL647 is the first of several Spectrum Selective Kinase Inhibitors(TM) (SSKI) that Exelixis intends to advance into clinical testing. Each SSKI has a different RTK inhibition spectrum, and each has the potential to achieve efficacy through simultaneous inhibition of multiple RTKs. XL647 simultaneously inhibits the EGFR, HER2, VEGFR and EphB4 RTKs with high 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, XL647 demonstrates potent inhibition of tumor growth and has been shown to cause tumor regression.

"The initiation of the Phase 1 clinical trial of XL647 is an important milestone for Exelixis, as it is the first of what we believe is an exciting portfolio of novel and highly potent Spectrum Selective Kinase Inhibitors to advance into clinical development," said George A. Scangos, Ph.D., president and chief executive officer. "We have made rapid progress in the last year in expanding our development pipeline with novel, differentiated compounds that we believe have the potential to represent new approaches to treating cancer and other proliferative diseases. We believe that the strategy of generating a multiplicity of high quality product opportunities will be key to our success in building a sustainable pharmaceutical business."

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, which is currently in a Phase 1 clinical trial; XL999, XL844, XL820 and XL880, 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. Under the terms of our research and development collaboration with GlaxoSmithKline, established in October 2002, after completion of proof-of-concept clinical trials, GlaxoSmithKline has the right to elect to develop a limited number of the compounds in our clinical and preclinical pipeline, including XL647 but excluding XL119, thus potentially triggering milestone payments and royalties from GlaxoSmithKline. 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 the conduct of the Phase 1 clinical trial of XL647 and plans to advance its SSKI compounds into clinical development, as well as plans to commence a Phase 3 clinical trial of XL119 and the therapeutic and commercial potential of XL647, XL119, XL784, XL999, XL844, XL820, XL880 and other compounds in Exelixis' preclinical pipeline. Words such as "believes," "anticipates," "plans," "expects," "intend," "will," "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 ability of the company to successfully advance and develop XL647 and the company's other compounds, the ability of the company to initiate the planned Phase 3 clinical trial of XL119 and the uncertainty of the pre-clinical development and clinical trials process and whether the company's compounds will demonstrate safety and efficacy. These and other risk factors are discussed under "Risk Factors" and elsewhere in our quarterly report on Form 10-Q for the quarter ended March 31, 2004 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.