

Exelixis Initiates Phase I Trial for Anticancer Compound XL880

SOUTH SAN FRANCISCO, Calif., March 17 /PRNewswire-FirstCall/ -- Exelixis, Inc. (Nasdaq: EXEL) has initiated a Phase I clinical trial to evaluate the safety, tolerability and pharmacokinetic profile of XL880, a novel, orally administered, small molecule anticancer compound. XL880 is a Spectrum Selective Kinase Inhibitor (SSKI(TM)) that simultaneously inhibits Met and VEGFR2 (KDR), two receptor tyrosine kinases (RTKs) which play synergistic roles in promoting tumor growth and angiogenesis.

The Phase I 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 are no available therapies known to prolong survival. The trial will be conducted at two highly-regarded centers, one being the Barbara Ann Karmanos Cancer Institute affiliated with Wayne State University.

"XL880 is the third SSKI that has entered into clinical development from our broad portfolio of high-quality cancer compounds. To our knowledge, XL880 is the most advanced inhibitor of Met, potentially making this compound a first-in-class therapy. In addition, XL880 simultaneously inhibits VEGFR2 (KDR). The inhibition spectrum of XL880 represents a novel approach for the treatment of various forms of cancer," said George A. Scangos, Ph.D. president and chief executive officer of Exelixis. "This year will be exciting for Exelixis. In addition to starting this trial, we hope to conclude our Phase I clinical trials for XL647 and XL999 and we plan to have a total of eight compounds in clinical development."

In preclinical studies, XL880 demonstrated potent inhibition of the Met and VEGFR2 (KDR) RTKs. Activating mutations of Met have been identified in hereditary and sporadic papillary renal carcinomas; gastric, hepatocellular, head and neck, and ovarian carcinomas; small cell lung cancers and gliomas. The vascular endothelial growth factor (VEGF) receptor VEGFR2 (KDR) is a central mediator of tumor neoangiogenesis. In addition to VEGF and Met, XL880 has potent activity against KIT, PDGFR, Flt3 and Tie-2, RTKs that have been implicated in various forms of cancer.

About Exelixis

Exelixis, Inc. is a leading genomics-based drug discovery company dedicated to the discovery and development of novel therapeutics across various disease areas. 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 has been initiated in patients with bile duct tumors; XL784, initially an anticancer compound, which completed a Phase I clinical trial and is being developed as a treatment for renal disease; XL647, XL999 and XL880, anticancer compounds currently in Phase I clinical trials; XL820, XL844 and XL184, potential IND candidates for the treatment of cancer; 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, 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), thus potentially triggering milestone payments and royalties from GSK and co-promotion rights by Exelixis. Exelixis has also established agricultural research collaborations with Bayer CropScience and Dow AgroSciences. 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 XL880, 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," "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, the ability of the company to successfully conduct the clinical trials for XL119, XL647, XL999 and XL880; 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 annual report on Form 10-K for the year ended December 31, 2004 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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