

Exelixis Files IND Application for XL228

Eighth Internally Discovered Compound to Advance Into Clinical Development

SOUTH SAN FRANCISCO, Calif., Aug. 31 /PRNewswire-FirstCall/ -- Exelixis, Inc. (Nasdaq: EXEL) has submitted an investigational new drug application (IND) to the U.S. Food and Drug Administration for XL228, a novel anticancer compound designed to inhibit the insulin-like growth factor type-1 receptor (IGF1R), Src and Abl tyrosine kinases. These targets play crucial roles in cancer cell proliferation, survival and metastasis. Importantly, XL228 is a potent inhibitor of the T315I mutant form of the Abl protein, which is associated with resistance to currently approved therapies like Gleevec(R) (imatinib mesylate) and Sprycel(TM) (dasatanib). In preclinical studies, administration of XL228 resulted in significant tumor growth inhibition and regression in xenograft tumor models.

"We believe that XL228 is the first compound to advance into the clinic with potent, low-nanomolar activity against both wild-type Abl and the T315I mutant form of Abl that is seen in a significant fraction of chronic myelogenous leukemia (CML) patients who have become resistant to treatment with Gleevec(R)," said George A. Scangos, president and chief executive officer of Exelixis. "Targeting this mutation, in addition to potently inhibiting wild-type Abl and Src may address the increasing medical needs of CML patients who do not respond or develop resistance to Gleevec(R) or Sprycel(TM) and provides us with a potentially accelerated path through clinical development. XL228 is our eighth internally discovered compound to advance to IND filing and the first of three INDs we expect to file this year."

About XL228

XL228 is a potent inhibitor of several tyrosine kinases implicated in the growth, proliferation and metastasis of cancer cells. The compound inhibits the activity of the insulin-like growth factor type-1 receptor (IGF1R), Src and Abl. Significantly, in preclinical studies XL228 had potent activity against the T315I mutant form of Abl, which is associated with resistance to currently approved therapies. In addition, administration of XL228 resulted in significant tumor growth inhibition and regression in xenograft tumor models. Phase I clinical trials of XL228 are expected to initiate in the fourth quarter of 2006.

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 tumors is ongoing and which has been exclusively licensed to Helsinn Healthcare S.A.; XL784,

which is currently in a Phase II clinical trial for renal disease; XL999, XL880 and XL647, anticancer compounds currently in Phase II clinical trials; XL820, XL844 and XL184, anticancer compounds currently in Phase I clinical trials; XL228, an anticancer compound for which an IND has been filed; 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 up to three 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 the Phase I clinical trial for XL228 and the potential clinical development path for XL228. 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 III 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 quarterly report on Form 10-Q for the quarter ended June 30, 2006 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.

NOTE: Gleevec(R) is a registered U.S. trademark of Novartis and Sprycel(TM) is a trademark of Bristol Myers Squibb.

SOURCE Exelixis, Inc.

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