Exelixis Releases Pre-Clinical Data on Two Spectrum Selective Kinase Inhibitors in Development - XL647 and XL999 - at EORTC

September 30, 2004

SOUTH SAN FRANCISCO, Calif., Sept. 30 /PRNewswire-FirstCall/ -- Exelixis, Inc. (Nasdaq: EXEL) released data at the 16th Annual Meeting of the European Organization for the Research and Treatment of Cancer (EORTC) in Geneva, Switzerland for two of its receptor tyrosine kinase (RTK) inhibitors currently in clinical development. These pre-clinical data reveal that both XL647 and XL999 have promise for the treatment of various forms of cancer through selective inhibition of RTKs. Both compounds are Spectrum Selective Kinase Inhibitors (SSKI(TM)) that simultaneously target multiple kinases implicated in various forms of cancer. Both compounds are in Phase I clinical trials.

"The data released today at EORTC is pre-clinical evidence of the robust kinase inhibitor discovery and development program at Exelixis," said Michael M. Morrissey, Senior Vice President of Discovery Research at Exelixis. "We believe that XL647 and XL999 hold the potential to advance the current treatment arsenal for clinicians treating and managing various forms of cancer. We will continue to collect important data through our Phase I programs to begin determining their effectiveness in humans."

XL647

Preclinical studies demonstrated that XL647 simultaneously inhibits the clinically validated kinase targets KDR, EGFR and ErbB2 RTKs, and modulates angiogenesis and tumor cell proliferation in solid tumor models. Data from pharmacodynamic studies indicate that oral administration of XL647 results in dose-dependent and sustained inhibition of KDR, EGFR and ErbB2 phosphorylation. Immunohistochemical analyses of xenograft tumors from animals treated with XL647 demonstrated dose-dependent increases in tumor necrosis and decreases in the density of the tumor vasculature and in the number of proliferating tumor cells. In efficacy studies (xenograft tumor-bearing nude mice), XL647 demonstrated anti-tumor activity against a broad range of tumor types, and causes regression of large, well-established xenografts. The compilation of these pre-clinical data confirms the effects of XL647 on both tumor cell proliferation and angiogenesis. XL647 is currently in a Phase I trial in the United States.

XL999

Pre-clinical studies demonstrated that XL999 is a potent inhibitor of VEGFRs, FGFRs, PDGFRs, KIT, and FLT3, which play roles in tumor angiogenesis and/or tumor cell proliferation. Data from pharmacodynamic studies (in nude mice) indicate that oral administration of XL999 results in dose-dependent and sustained inhibition of KDR, FGFR1, PDGFR-beta, KIT, and FLT3 phosphorylation. In vivo studies in nude mice bearing human tumor xenografts showed a rapid reduction in tumor vasculature, with tumor and endothelial cell death evident two to fours hours after administration of a single oral dose. Longer exposure resulted in large decreases in vessel density and proliferating cells and large increases in tumor necrosis. In efficacy studies (xenograft tumor-bearing nude mice), XL999 exhibits a broad spectrum of activity across several tumor types, and causes regression of large, well-established xenografts. Additionally, XL999 substantially increases the survival of mice in a model of FLT3-driven leukemia. The compilation of these pre-clinical data confirms the effects of XL999 on both tumor cell proliferation and angiogenesis. XL999 is currently in a Phase I trial in the United States.

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Copies of both posters presented at EORTC are available upon request.
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Exelixis, Inc.

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 (becatecarin), for which a Phase 3 clinical trial has been initiated in patients with bile duct tumors; XL784, which has completed a Phase 1 clinical trial; XL647, which is currently in a Phase 1 clinical trial; XL999, for which an IND application has been filed; XL880, XL820, XL844 and XL184, 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. After completion of Phase 2a clinical trials, GlaxoSmithKline has the right to elect to develop a certain number of compounds identified in this release, other than XL119, thus potentially triggering milestone payments and royalties from GlaxoSmithKline and co-promotion by Exelixis. The company has also established agricultural research collaborations with Bayer CropScience, Dow AgroSciences and Renessen LLC. Other partners include Merck & Co., Inc., Schering-Plough Research Institute, Inc., Cytokinetics, Inc., Elan Pharmaceuticals, Inc. and Scios Inc. 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 plans to advance its compounds in preclinical and clinical development, including the Phase 3 clinical trial of XL119, and the therapeutic and commercial potential of XL647, XL119, XL999, XL844, XL820, XL880 and other compounds in Exelixis preclinical pipeline. 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, risks related to the ability of the company to the potential failure of Exelixis' product candidates to demonstrate safety and efficacy in clinical testing; the ability of Exelixis to file IND applications and initiate clinical trials at the anticipated times; the ability of Exelixis to conduct the Phase 3 clinical trial of XL119 sufficient to achieve FDA approval; the ability of Exelixis to successfully advance and develop additional compounds into preclinical and clinical development; and the uncertainty of the FDA approval process with respect to and commercial value of these 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, 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.

NOTE: Exelixis and the Exelixis logo are registered U.S. trademarks. Spectrum Selective Kinase Inhibitor is a trademark of Exelixis, Inc.

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