Exelixis Agrees to Acquire X-Ceptor Therapeutics

September 28, 2004

SOUTH SAN FRANCISCO, Calif., Sept. 28 /PRNewswire-FirstCall/ -- Exelixis, Inc. (Nasdaq: EXEL) announced today that it has entered into a definitive agreement to acquire X-Ceptor Therapeutics, Inc., a leader in the discovery and development of small molecules that modulate nuclear hormone receptors (NHRs). Under the terms of the agreement, Exelixis will issue approximately 2.5 million shares of Exelixis common stock and pay approximately $2.9 million in cash in exchange for all of the outstanding shares of capital stock of X-Ceptor on a fully-diluted basis. X-Ceptor stockholders who own approximately 87% of the X-Ceptor shares outstanding have executed written consents to approve the transaction. The acquisition is expected to close in the fourth quarter 2004 subject to customary closing conditions.

NHRs represent a promising class of clinically and commercially validated gene targets that are implicated in a wide range of metabolic and cardiovascular disorders. The combination of Exelixis' small molecule discovery engine and oncology pipeline with X-Ceptor's proprietary "reverse endocrinology" platform and pipeline of NHR-targeted compounds advances Exelixis' strategy to diversify into new therapeutic areas and is expected to accelerate the development and commercialization of a diverse, highly differentiated pipeline of products to treat diseases including metabolic syndrome, lipid disorders, hypertension and congestive heart failure.

"We believe that the acquisition of X-Ceptor will bring greater value and breadth to Exelixis' development pipeline by adding compounds that target important metabolic and cardiovascular diseases and that complement our cancer drug development programs," said George A. Scangos, Exelixis president and chief executive officer. "We consider X-Ceptor to be the 'best in class' company developing small molecule NHR-based therapies. X-Ceptor's management and advisors include a group of internationally recognized scientific pioneers, including Dr. Ronald Evans of The Salk Institute and the Howard Hughes Medical Institute, and Dr. Richard Heyman, X-Ceptor's chief scientific officer. By integrating X-Ceptor's scientific excellence and expertise in NHRs with Exelixis' powerful small molecule discovery and development engine, we expect to speed the development of important new therapeutics for diseases that affect millions of people worldwide."

"The X-Ceptor-Exelixis combination represents a unique opportunity to solidify a leadership position in hormone receptor-based drug development and rapidly advance an exciting pipeline of novel metabolic and cardiovascular compounds," said Richard Heyman, Ph.D., chief scientific officer. "We believe that Exelixis' proven expertise in kinase-based discovery and development joined to X-Ceptor's pioneering insights into the NHR gene family will allow us to exploit the therapeutic and commercial potential of this exciting gene family. We at X-Ceptor believe that we have built an exciting young company that through this timely acquisition now has the potential to significantly accelerate achieving its goals of delivering important new medicines to patients in need."

About Nuclear Receptors

The nuclear receptor gene family is a therapeutically rich target class implicated in a broad range of human diseases. Nuclear receptors are ligand-activated transcription factors that regulate gene expression and play a critical role in endocrine signaling. Nuclear receptors interact in a ligand-dependent manner with additional protein molecules that serve as co-activators or co-repressors. This allows each receptor to turn genes on or off in a gene and tissue-selective manner.

The 48 members of the nuclear receptor gene family can be divided into two main classes: "validated" nuclear receptors whose ligands and endocrine pathways are established and as a result serve as bona fide drug targets for human disease, including anti-inflammatory drugs such as glucocorticoids and insulin sensitizers such as the glitazones. In addition, a second class of nuclear receptors referred to as "orphan" nuclear receptors, whose ligands, target genes and physiological function are not completely understood, offer new first-in-class targets for large therapeutic areas, in particular, cardiovascular disease.

About X-Ceptor

X-Ceptor Therapeutics, Inc. (www.x-ceptor.com), founded in 1999, is a privately-held company focused on the discovery and development of novel small molecule therapeutics that modulate nuclear receptors. In less than four years, X-Ceptor has assembled a world-class scientific team of biologists, chemists and pharmacologists and developed a fully-integrated drug discovery platform for the nuclear receptor gene family. The company has taken identified orphan nuclear receptor targets without disease association and established disease proof-of-concept and generated advanced preclinical drug candidates. X-Ceptor has built an integrated drug discovery platform and has developed a portfolio of drug candidates to treat cardiovascular and metabolic disorders. In 2001, X-Ceptor and Sankyo Ltd. established a partnership for the discovery and development of small molecule modulators of LXR.

X-Ceptor's "Reverse Endocrinology" Approach

X-Ceptor's "reverse endocrinology" approach facilitates the company's ability to validate targets, develop novel therapeutics and improve existing drugs rapidly and effectively. Traditional approaches to nuclear receptor drug discovery place an emphasis on the classical endocrinology process using a ligand to identify a receptor, which is then correlated to a disease. In contrast, X-Ceptor uses a reverse endocrinology strategy that allows a parallel approach to target validation and drug discovery. The company begins with the molecular target that is used to rapidly screen for candidate ligands. The resulting small molecule "hits" are optimized for their receptor activity and specificity with medicinal chemistry and rational drug design employing x-ray crystallography of the ligand receptor complex.

X-Ceptor's Development Pipeline

The company's lead drug discovery programs include compounds directed against:

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    -- Liver X Receptor (LXR) to treat the process of reverse cholesterol
       transport, partnered with Sankyo;
    -- Farnesoid X Receptor (FXR) to treat hypertriglyceridemia (high
       triglycerides) observed in type II diabetes, metabolic syndrome and
       related metabolic disorders;
    -- Mineralocortiocoid receptor (MR), for the treatment of hypertension and
       other cardiovascular disorders;
    -- Other novel approaches to the treatment of diabetes and obesity.
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Exelixis anticipates filing IND applications for some of these compounds during 2006.

Exelixis' management will discuss the agreement to acquire X-Ceptor Therapeutics during a conference call beginning at 10:00 am U.S. EDT today, Tuesday, September 28, 2004. To participate in the conference call, log onto www.exelixis.com and click on the webcast link under the heading "Investor Info" to access the live call. A copy of Exelixis' press releases, including this release, can be found on the company's website at www.exelixis.com under the heading "Press Room."

About Exelixis

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 in metabolic and cardiovascular disease through the proposed acquisition of X-Ceptor Therapeutics, Inc. 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 2a 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 the company's cancer compound XL119), thus potentially triggering milestone payments and royalties from GSK and co-promotion rights 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 the timing and intended benefits of the acquisition of X-Ceptor Therapeutics, Exelixis' plans to advance its program in metabolic diseases, as well as plans to conduct a 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 successfully complete the acquisition of X-Ceptor Therapeutics in the intended timeframe or at all; the ability of the company to advance preclinical compounds in cardiovascular and metabolic diseases into clinical trials; the ability and timing, if ever, of the company to demonstrate therapeutic or commercial value of the assets acquired from X-Ceptor; the ability of the company to successfully conduct the Phase 3 clinical trial of XL119; The ability of the company to advance additional preclinical compounds into 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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