Exelixis Files IND Application for XL888

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Novel HSP90 Inhibitor to Advance to Clinical Development

SOUTH SAN FRANCISCO, Calif.--(BUSINESS WIRE)--

Exelixis, Inc. (Nasdaq:EXEL) announced today that it has submitted an investigational new drug (IND) application to the U.S. Food and Drug Administration for XL888, a novel anticancer compound. XL888 is an orally available small molecule inhibitor of HSP90, which is a chaperone protein that promotes the activity and stability of a range of key regulatory proteins, including kinases. The activity of HSP90 is particularly prominent in tumor cells where it promotes the activity of proteins controlling growth and survival.

"Natural product-based inhibitors of HSP90 are currently in clinical trials and have shown encouraging signs of efficacy, but their utility has been limited by poor pharmacokinetic properties and by their side effect profiles," said Gisela M. Schwab, MD, Executive Vice President and Chief Medical Officer of Exelixis. "XL888 inhibits HSP90 with potency comparable to that of natural product-based inhibitors, but with good oral bioavailability and an improved preclinical tolerability profile. XL888 exhibits substantial anti-tumor activity at well-tolerated doses in multiple preclinical xenograft models. Therefore, we believe this novel HSP90 inhibitor has the potential to become a best-in-class therapy, and we are excited to advance it into clinical development."

About XL888

XL888 is a fully synthetic, orally available, small molecule that was derived from a novel chemical scaffold. XL888 is a potent and selective ATP-competitive inhibitor of HSP90, and binds to its target in a manner that is structurally distinct from other HSP90 inhibitors currently in the clinic. In preclinical studies, XL888 inhibits the proliferation of a broad panel of human tumor cell lines and induces marked degradation of HSP90 client proteins. In addition, XL888 is highly active in multiple human tumor xenograft models in mice. Pharmacokinetic studies in rodent and non-rodent species demonstrate that XL888 is preferentially retained in tumors relative to plasma and liver. The activity profile of XL888 is highly supportive of its clinical development for the treatment of cancers driven by HSP90 client proteins.

About Exelixis

Exelixis, Inc. is a development-stage biotechnology company dedicated to the discovery and development of novel small molecule therapeutics for the treatment of cancer and other serious diseases. The company is leveraging its fully integrated drug discovery platform to fuel the growth of its development pipeline, which is primarily focused on cancer. Currently, Exelixis' broad product pipeline includes investigational compounds in phase 3, phase 2, and phase 1 clinical development. Exelixis has established strategic corporate alliances with major pharmaceutical and biotechnology companies, including GlaxoSmithKline, Bristol-Myers Squibb, Genentech, Wyeth Pharmaceuticals, and Daiichi-Sankyo. For more information, please visit the company's website at http://www.exelixis.com.

Forward-Looking Statements

This press release contains forward-looking statements, including, without limitation, statements related to the future development and potential efficacy of XL888. Words such as "believe," "potential," and similar expressions are intended to identify forward-looking statements. These forward-looking statements are based upon Exelixis' current plans, assumptions, beliefs, and 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 XL888 to demonstrate safety and efficacy in clinical testing; the therapeutic and commercial value of XL888; the ability to conduct XL888 clinical trials sufficient to achieve a positive completion; and the uncertainty of the U.S. Food and Drug Administration approval process. These and other risk factors are discussed under "Risk Factors" and elsewhere in Exelixis' quarterly report on Form 10-Q for the quarter ended June 27, 2008, and other filings with the Securities and Exchange Commission. Exelixis expressly disclaims any duty, obligation, or undertaking to release publicly any updates or revisions to any forward-looking statements contained herein to reflect any change in Exelixis' expectations with regard thereto or any change in events, conditions, or circumstances on which any such statements are based.

Source: Exelixis, Inc.