Exelixis Retains Rights to Develop and Commercialize XL184

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Exelixis Also Retains Rights to XL281, XL228, XL820, and XL844

SOUTH SAN FRANCISCO, Calif., Oct 23, 2008 (BUSINESS WIRE) -- Exelixis, Inc. (Nasdaq:EXEL) today announced that GlaxoSmithKline (GSK) (LSE: GSK; NYSE: GSK) has decided not to exercise its option to license XL184. GSK also informed Exelixis that it had decided not to license the earlier compounds in the collaboration, including XL281, XL228, XL820, and XL844. As a result, Exelixis retains the rights to develop, commercialize, and/or license all of the compounds, subject to payment to GSK of a 3% royalty on net sales of any product incorporating XL184. With GSK's decision not to exercise an option to any of the compounds, the six-year collaboration between Exelixis and GSK to discover and develop oncology compounds comes to an end. GSK will continue development and commercialization of XL880, a compound developed under the collaboration and previously in-licensed by GSK, with potential additional milestone payments of up to $90 million and double-digit royalty payments to Exelixis on XL880's successful development and commercialization. Encouraging data on several of the compounds, including XL184 (MET, RET, VEGFR2), XL281 (RAF), and XL228 (BCR-ABL, IGF1R, SRC), were presented at the EORTC-NCI-AACR meeting this week, and there will be additional data presented on XL228 at the American Society of Hematology (ASH) meeting in December.

"We are pleased to retain the rights to develop and commercialize XL184," said George A. Scangos, PhD, President and Chief Executive Officer of Exelixis. "This compound is our most advanced asset with a promising mechanism of action. It has generated compelling data in patients with medullary thyroid cancer, and data emerging from the phase 2 trial being conducted in patients with glioblastoma also are encouraging. We recently initiated a phase 3 registration trial for XL184 in MTC, and we look forward to the successful progress of this and other trials for the compound. We have had a significant number of inquiries about our willingness to partner the program and we are exploring all options to advance the program and maximize its value to the company. Additionally, we believe data recently presented on XL281 and XL228, and additional data that will be presented for XL228 later this year, indicate that they also have substantial potential as anti-cancer agents."

"Exelixis now has the rights to XL184, XL281, XL228, as well as two earlier compounds, XL844 and XL820," Dr. Scangos continued. "These compounds, together with the compounds already in Exelixis' proprietary clinical pipeline, XL147, XL765, XL019, and XL888, comprise a deep pipeline of promising oncology compounds. The clarity achieved through the expiration of the collaboration will allow Exelixis to further define its clinical, commercial, and financial strategies, which will become apparent over the next few months."

Paolo Paoletti, MD, Senior Vice President of GSK Oncology R&D, commented, "GSK and Exelixis have successfully concluded this long-term collaboration which has resulted in the discovery and development of a number of promising compounds with potential benefit to cancer patients."

Additional clinical studies with XL184 are ongoing to complement the pivotal trial in patients with MTC. This is part of Exelixis' strategy to rapidly advance compounds into areas of high unmet medical need, while potentially expanding into broader commercial markets by demonstrating activity in major tumor types. A phase 1b/2 trial of XL184 as a single agent and in combination with erlotinib was recently initiated in patients with non-small cell lung cancer. In addition, a phase 2 study of XL184 in patients with glioblastoma multiforme is ongoing.

Background on Exelixis-GSK Collaboration

In October 2002, Exelixis and GSK established a broad alliance to discover, develop, and commercialize novel therapeutics in the areas of vascular biology, inflammatory disease, and oncology. Under the terms of the collaboration, Exelixis was required to deliver to GSK a number of small molecule compounds that met agreed-upon proof-of-concept criteria, and GSK had the right to select up to two of the compounds for further development and commercialization. GSK previously selected XL880, and it has now decided not to select any of the compounds remaining in the collaboration: XL184, XL281, XL228, XL820, and XL844. Exelixis and GSK will bring their six-year collaboration to a successful conclusion on October 27, 2008, as scheduled. Exelixis will have full rights to compounds not selected by GSK and may, either alone or in collaboration with partners, advance the development and commercialization, in some cases with a small royalty to GSK on sales of collaboration compounds not selected by GSK. As a result of the conclusion of the collaboration, Exelixis' exclusivity obligations will be limited to the compounds selected by GSK. Exelixis will have the right to perform additional discovery, development, and commercialization efforts against any collaboration target or compound that does not infringe upon the intellectual property associated with compounds selected by GSK for further development and commercialization.

About XL184

XL184 inhibits MET, RET, and VEGFR2, which are key drivers of tumor growth, metastasis, survival, and angiogenesis. In pharmacodynamic studies in mice, oral administration of XL184 resulted in balanced and durable inhibition of these targets. The compound has also shown activity against common mutant forms of RET and MET. XL184 has exhibited dose-dependent tumor growth inhibition and tumor regression in a variety of preclinical tumor models, including breast cancer, colon cancer, MTC, non-small cell lung cancer, and glioblastoma. In July 2008, on the basis of the encouraging phase 1 trial data, Exelixis initiated a phase 3 registration trial of XL184 for the potential treatment of MTC. Exelixis and the U.S. Food and Drug Administration had previously reached agreement on this phase 3 registration trial via the Special Protocol Assessment process.

About Medullary Thyroid Cancer

The American Cancer Society estimates that MTC accounts for 5% of all thyroid cancers. MTC occurs in sporadic and inherited forms (approximately

80% and 20% of MTC, respectively). Patients with the inherited form of MTC invariably have an activating mutation in RET in their germline DNA. Activating mutations in RET are also present in the tumor DNA of up to 50% of sporadic MTC patients with no familial history of thyroid cancer. MTC may metastasize to lymph nodes or other organs before it is ever diagnosed. Additionally, MTC does not take up radioactive iodine, which is commonly used to treat other types of thyroid cancers and to diagnose metastases. As a result, MTC is more difficult to treat than other thyroid cancers. There are no approved therapies for MTC; however, common treatments for MTC include surgery to remove malignant tissue, radiation therapy, and chemotherapy, all of which are associated with potential side effects, some of which may be long-term.

About XL228

XL228 is a protein kinase inhibitor with potent activity against wild-type and the T315I mutant forms of BCR-ABL, with additional activity against IGF1R, SRC, and Aurora A. These targets play crucial roles in cancer cell proliferation, survival, and metastasis. XL228 blocks downstream signaling from BCR-ABL T315I in cell lines and modulates phosphorylated CrkL levels in mouse xenografts consistent with inhibitory activity against BCR-ABL in vivo. XL228 has exhibited activity in a variety of solid tumor xenograft models.

About XL281

XL281 is a novel small molecule designed to selectively inhibit RAF kinases, which lie immediately downstream of RAS and are key components of the RAS/RAF/MEK/ERK kinase signaling pathway. Genetic lesions that activate this pathway are common in human tumors, with activating mutations in KRAS occurring in 30 percent of tumors and activating mutations in BRAF occurring in approximately 60 percent of melanomas. The RAS/RAF /MEK/ERK pathway also plays a key role in the transmission of growth-promoting signals downstream of receptor tyrosine kinases. This suggests that deregulation of this pathway plays a pivotal role in the progression of many human tumors, and that inhibition of the pathway may be useful in the treatment of cancer. XL281 potently inhibits BRAF, mutationally activated BRAF, and CRAF in vitro, and does not interact with kinases outside of the RAF family. XL281 displays high oral bioavailability in multiple preclinical species, and strongly inhibits RAS/RAF/MEK/ERK signaling in human xenograft tumor models. This translates into substantial inhibition of tumor growth in preclinical models of human tumors that overexpress receptor tyrosine kinases or harbor activating mutations in RAS or RAF.

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 continued development of XL880; potential milestone and royalty payments from GSK upon XL880's successful development and commercialization; future data presentations on XL228; potential for XL281 and XL228 as anti-cancer agents; progress and outcome of the phase 3 registration trial for XL184 in MTC and other trials for the compound; Exelixis' efforts to advance the XL184 program and maximize its value for the company; Exelixis' belief as to the quality of its pipeline of oncology compounds; the further definition and future visibility of Exelixis' clinical, commercial and financial strategies; Exelixis' strategy to rapidly advance compounds into areas of high unmet medical need and expand into broader commercial markets; and the potential inhibition by XL281 of BRAF, mutationally activated BRAF, and CRAF. Words such as "continue," "look," "believe," "potential," "will," "promising," 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: timely receipt of potential milestones and royalties from GSK; availability of data at the referenced times; the potential failure of XL880, XL184, XL228, XL281, XL844 and XL820 to demonstrate safety and efficacy in clinical testing; the therapeutic and commercial value of XL184, XL228, XL281, XL844, XL820 and Exelixis' other compounds; the ability to conduct clinical trials for XL184, XL228, XL281, XL844, XL820 and Exelixis' other compounds sufficient to achieve a positive completion; the timing and level of expenses associated with the growth of Exelixis' proprietary programs; Exelixis' ability to enter into new collaborations; and Exelixis' ability to execute upon its strategies. 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.

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