Astex Announces Milestone in Oncology Collaboration

Cambridge, UK, 11 January 2011

Astex Therapeutics, the UK-based biotechnology company developing targeted therapies for oncology and virology, announced that it has received a milestone payment from its collaboration with Novartis. The milestone payment was triggered by the initiation of a first-in-human clinical trial of investigational anti-cancer drug LEE011. LEE011 derives from the collaboration between Novartis and Astex announced in December 2005 aimed at developing novel cancer therapies targeting the cell cycle.

“We are delighted that our collaboration with Novartis has delivered this exciting new compound. We anticipate that targeted agents like LEE011 will provide new therapeutic options to patients with cancers of high unmet medical need”, said Harren Jhoti, Chief Executive Officer of Astex. “This new success is a further example of the productivity of our drug discovery partnerships with major pharmaceutical companies, and follows on from our announcements in January 2010 and October 2010 that AstraZeneca has selected candidates from our collaborative PKB inhibitor and beta-secretase inhibitor programmes respectively.”

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About LEE011

LEE011 has been developed by Novartis in collaboration with Astex to target malignancies with genetic abnormalities in the cyclin D1/CDK4 and cyclin D3/CDK6 pathway. LEE011 is an orally bioavailable small molecule inhibitor of cyclin-dependent kinases CDK4 and 6. These kinases, in complex with their associated D-type cyclins, initiate the G1 to S phase transition of the cell cycle by phosphorylating the retinoblastoma (pRb) family of proteins and inactivating their function as transcriptional repressors. p16, encoded by the INK4a gene, is the cellular inhibitor of these kinases, which keeps their activity in check to prevent aberrant proliferation. The pRb-p16-D-cyclin-CDK4/6 pathway is universally disrupted in cancer to favour cell proliferation. The majority of cancers (80%) maintain functional pRb and harbour alterations elsewhere to inactivate pRb. These alterations include loss or silencing of p16, chromosomal translocations that constitutively produce D-cyclins, activating point mutations of the CDK4/6 kinases, and amplification of the genes encoding D-cyclins and CDK4/6 that lead to their overexpression.

LEE011 also inhibits the growth of many tumor cell types in vitro and in vivo, including liposarcoma, melanoma, and carcinomas of the esophagus, breast, lung and pancreas. While a number of genetic defects in this pathway may confer sensitivity to CDK4/6 inhibition, in all cases the presence of functional pRb is required for sensitivity to LEE011. In addition to cancers with direct genetic links, LEE011 is also efficacious in pRb positive cancers driven by activated mitogen pathways. Mitogen pathways such as MAPK and PI3K all utilize D-cyclins to drive cell proliferation.

About Astex Therapeutics

Astex is a UK-based biotechnology company that discovers and develops novel small molecule therapeutics. Using its pioneering fragment-based drug discovery platform Pyramid™, Astex has built a pipeline of five molecularly targeted oncology drugs, of which three are currently being tested in clinical trials and two are in pre-clinical development.

In addition to its proprietary research programmes, Astex’s productivity in lead discovery has been endorsed through numerous partnerships with major pharmaceutical companies, including AstraZeneca, GlaxoSmithKline, Novartis and Johnson & Johnson.

For further information on Astex please visit the Company’s website at www.astex-therapeutics.com