



Evidence of AT13387 Activity in Gastrointestinal Stromal Tumor Models Presented at ECCO

DUBLIN, Calif.--(BUSINESS WIRE)-- Astex Pharmaceuticals, Inc. (NASDAQ: ASTX), a pharmaceutical company dedicated to the discovery and development of novel cancer therapies, announced that preclinical data demonstrating that AT13387, a novel non-ansamycin Heat Shock Protein 90 (HSP90) inhibitor, is active in *in vitro* gastrointestinal stromal tumor (GIST) models (Abstract #9407) was presented at the 2011 ECCO (European Cancer Organization) European Multidisciplinary Cancer Congress in Stockholm, Sweden.

In a poster presentation entitled, "**AT13387, a Heat Shock Protein 90 Inhibitor in a Phase I Study Exhibits Potent Activity in GIST Models**," Dr. John Lyons of Astex Pharmaceuticals and colleagues from Harvard's Dana Farber Cancer Institute, demonstrated that AT13387 displayed anti-tumor activity against a panel of human GIST cell lines. The HSP90 inhibitor was as active, or more active, than 17-AAG, a first generation HSP90 inhibitor, in all the cell lines tested. AT13387 was also substantially more active in the imatinib and sunitinib resistant GIST cell line (with an IC₅₀ of 380nM versus >1mM for imatinib and sunitinib). AT13387 was more active in the imatinib resistant cell line than sunitinib (IC₅₀ of 100nM versus 285nM). Resistance to available therapies, such as imatinib, occurs commonly in GIST tumors, and overcoming this resistance in cancer patients could represent an important therapeutic advancement.

"We are pleased our HSP90 inhibitor continues to show excellent anti-tumor activity in GIST pre-clinical models," said Harren Jhoti, Ph.D., president of Astex Pharmaceuticals. "AT13387 has progressed well in the phase 1 clinical trials for advanced solid tumors, in which two different dosing schedules are being investigated, and is being tested in a phase 2 study in refractory GIST patients. This phase 2 study represents the first combination of an HSP90 inhibitor with a tyrosine kinase inhibitor (imatinib) in patients with GIST."

About AT13387—HSP90 inhibitor

AT13387 is a small molecule inhibitor of HSP90, a heat shock protein implicated in a variety of cancers. HSP90 acts as a "molecular chaperone" stabilizing and preventing the breakdown of key cancer-forming (oncogenic) "client" proteins. As a targeted inhibitor of HSP90, the product has the potential to control the proliferation of multiple solid tumors and hematological malignancies where uncontrolled tumor cell growth is dependent on the interaction between HSP90 and its client proteins, including tumor types that have become resistant to initial therapy.

In November 2009, Astex Pharmaceuticals entered into a Cooperative Research and Development Agreement (CRADA) with the US National Cancer Institute to support the further clinical development of AT13387 over the next 5 years with a number of single agent and combination phase 1/2a and phase 2 studies planned.

AT13387 is wholly owned by Astex Pharmaceuticals.

About Astex Pharmaceuticals

Astex Pharmaceuticals is dedicated to the discovery, development, and commercialization of novel therapeutics with a focus on cancer. The Company is developing a proprietary pipeline of novel cancer therapeutics, will selectively in-license assets possessing a strategic fit with an attractive cost — value ratio, and is creating de-risked products for partnership with leading pharmaceutical companies. Astex Pharmaceuticals, formerly SuperGen, developed Dacogen® and receives significant royalties on global sales.

For more information about Astex Pharmaceuticals, Inc., please visit <http://www.astx.com>.

Note on Forward-Looking Statements

This press release contains certain "forward-looking" statements within the meaning of Section 27A of the Securities Act of 1933 and Section 21E of the Securities Exchange Act of 1934. These and other forward-looking statements involve certain risks and uncertainties that could cause actual results to differ materially from those indicated in such forward-looking statements, including, but not limited to, statements regarding the expectations regarding the ability of the Company to develop its pipeline of products; the expectations regarding our clinical trials, and such other risks as identified in the Company's Annual Report on Form 10-K for the fiscal year ended December 31, 2010, and the Company's most recent Quarterly Reports on

Form 10-Q, each as filed with the SEC, which contain and identify important factors that could cause the actual results to differ materially from those contained in the forward-looking statements. The Company assumes no obligation to update any forward-looking statement contained in this press release.

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