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Astex Pharmaceuticals Announces Results From Dose Escalation Part of SGI-110 Phase 1/2 MDS and AML Study in an Oral Presentation at ASH

DUBLIN, Calif., Dec. 10, 2012 (GLOBE NEWSWIRE) -- Astex Pharmaceuticals, Inc. (Nasdaq:ASTX), a pharmaceutical company dedicated to the discovery and development of novel small molecule therapeutics, announced encouraging Phase I clinical results during a presentation at the American Society of Hematology (ASH) of SGI-110, a novel second generation hypomethylation agent.

Data from the completed SGI-110 dose escalation part of a randomized Phase 1/2 first-in-human clinical trial, in patients with relapsed/refractory intermediate or high-risk myelodysplastic syndromes (MDS) or acute myelogenous leukemia (AML) demonstrated a differentiated pharmacokinetic (PK) profile resulting in an extended half-life and exposure to decitabine as delivered by subcutaneous SGI-110. Excellent hypomethylation was achieved with higher doses in the daily regimen up to the Biologically Effective Dose (BED). BED was reached before the Maximum Tolerated Dose (MTD). Of the 17 heavily pretreated relapsed/refractory AML patients who were given therapeutic doses of SGI-110 and achieved adequate hypomethylation, 5 major responses were observed. Treatment was well tolerated by most patients with the most common drug-related adverse events being expected myleosuppression, and Grade 1 injection site pain. The data was presented at an oral session at the ASH 54th Annual Meeting in Atlanta, Georgia today by Hagop M. Kantarjian, MD, Professor and Department Chair, Department of Leukemia, Cancer Medicine Division, The University of Texas MD Anderson Cancer Center, Houston, TX.

The randomized Phase 1/2 dose escalation part enrolled 78 patients of which 44 patients were on the daily regimen and 34 patients were on the weekly regimen. Sixty four patients had relapsed/refractory AML while 14 patients had MDS. The PK profile of subcutaneous SGI-110 demonstrated a longer therapeutic exposure window to decitabine of at least 8 hours, which is more than double the reported exposure achieved by Dacogen intravenous infusion (DAC IV), and a longer decitabine half-life (1.5-2.5 hours) which is up to 4-fold longer than that of DAC IV.

The BED was established at 60 mg/m2 daily for 5 days and the drug was well tolerated by both MDS and AML patients up to 90 mg/m2 daily for 5 days. Two patients had dose limiting toxicities (DLT's), mainly febrile neutropenia, thrombocytopenia and sepsis, out of 12 patients treated at the highest dose of 125 mg/m2 daily for 5 days.

The dose expansion part of the study is ongoing, and patients with AML (first-line elderly; or relapsed/refractory) and first line MDS are being randomized to either 60 or 90 mg/m2 daily for 5 days. A third arm was added to investigate the dose of 60 mg/m2 daily for 10 days in relapsed/refractory AML.

"This is the only new hypomethylating agent now in advanced clinical development, and we are excited by the differentiated PK profile and the favorable safety profile so far in this study. We are looking forward to the results of the Phase 2 dose expansion part of the trial that is now ongoing," commented Dr. Hagop Kantarjian.

About the Study

The SGI-110 study enrolled 78 patients (64 AML, 14 MDS), in the dose escalation part of this randomized Phase 1/2 first-in-human trial with 44 patients receiving drug daily for 5 days (dailyx5 regimen) and 34 patients receiving drug once weekly for 3 consecutive weeks (weeklyx3 regimen). Median age was 69 years, and 87% had ECOG PS of 0-1. Median number of prior regimens was 3 (range 1-9), 63% of patients had prior hypomethylation agent (HMA) treatment (55% of AML patients, and 100% of MDS patients).

A copy of the 2012 ASH presentation, "Results From the Dose Escalation Phase of a Randomized Phase 1-2 First-in-Human (FIH) Study of SGI-110, a Novel Low Volume Stable Subcutaneous (SQ) Second Generation Hypomethylating Agent (HMA) in Patients with Relapsed/Refractory MDS and AML," will be available on the Astex Pharmaceuticals website, www.astx.com, in the pipeline, presentations and publications section.

About Astex Pharmaceuticals

Astex Pharmaceuticals is dedicated to the discovery and development of novel small molecule therapeutics with a focus on oncology. The Company is developing a proprietary pipeline of novel therapies and is creating de-risked products for

partnership with leading pharmaceutical companies. Astex Pharmaceuticals developed DACOGEN® (decitabine) for Injection and receives significant royalties on global sales.

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

The Astex Pharmaceuticals, Inc. logo is available at http://www.globenewswire.com/newsroom/prs/?pkgid=12273

Forward-Looking Statements

This press release contains "forward-looking" statements within the meaning of Section 21A of the Securities Act of 1933, as amended, and Section 21E of the Securities Exchange Act of 1934, as amended, and is subject to the safe harbor created thereby. These statements are typically preceded by words such as "believes," "expects," "anticipates," "intends," "will," "may," "should," or similar expressions. Actual results could differ materially from those projected in the forward-looking statements as a result of a number of risks and uncertainties. These forward-looking statements include, but are not limited to, expectations regarding the advancement of drug candidates in the clinic; the Company's ability to develop the current and future pipeline into commercially viable drugs; the expectations regarding our clinical trials including the timing of clinical proof of concept data from these trials. Important factors that could cause actual results to differ materially from the expectations reflected in the forward-looking statements include, but are not limited to: the outcomes of the on-going clinical trials; risks and uncertainties related to the research and development of SGI-110. References made to the discussion of risk factors are detailed in the Company's filings with the Securities and Exchange Commission including reports on its most recently filed Form 10-K and Form 10-Q. These forward-looking statements are made only as of the date hereof, and we disclaim any obligation to update or revise the information contained in any such forward-looking statements, whether as a result of new information, future events or otherwise.

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