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Astex Scientists Publish the Discovery of Novel Allosteric Modulators for the Key Therapeutic Targets HCV NS3 and PKM2 in Nature Journals

DUBLIN, Calif., Oct. 15, 2012 (GLOBE NEWSWIRE) -- Astex Pharmaceuticals, Inc. (Nasdaq:ASTX), a pharmaceutical company dedicated to the discovery and development of novel small molecule therapeutics, announced that Astex scientists have published two new articles describing the discovery of novel allosteric modulators for the key therapeutic targets HCV NS3 and PKM2 in *Nature Journals*.

Astex Pharmaceuticals used the company's leading fragment-based drug discovery platform, Pyramid™, to identify, in two separate studies, new allosteric pockets on the HCV NS3 protein and the PKM2 protein involved in tumor metabolism. The study on HCV NS3 which has just been published in *Nature Chemical Biology*¹ highlights the discovery of a novel allosteric pocket situated between the protease and helicase domains of the NS3 protein. It also describes how Astex scientists exploited this pocket to develop novel, first-in-class inhibitors of the HCV NS3 protein that interact with both the protease and helicase domains. A drug candidate from this program is due to enter clinical trials during 2013.

In the second study, now published in *Nature*², the Pyramid platform was used to identify a novel allosteric pocket on the tumor metabolism target PKM2 and unravel the role of serine on the function of this key cancer target. This novel allosteric pocket provides a new opportunity to discover allosteric modulators of PKM2 that could be developed into anti-cancer agents.

Dr. Harren Jhoti, president and director of Astex Pharmaceuticals, commented, "These two studies published in the leading *Nature Journals* underline the preeminent position Astex retains in using fragment-based drug discovery to identify novel drug candidates against technically challenging targets. We continue to develop the fragment-discovery platform to address more intractable drug targets, not only allosteric pockets but also protein-protein interactions, in our drive to develop new medicines for patients."

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 co-developed DACOGEN® (decitabine) for Injection and receives significant royalties on global sales from Eisai in North America and from Janssen-Cilag in the rest of the world.

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>

1. Saalau-Bethell, *et al* (2012). Discovery of an allosteric mechanism for the regulation of HCV NS3 protein function. *Nature Chemical Biology*, DOI: 10.1038/NCHEMBIO.1081: Published Online: 30 September 2012.

2. Chaneton, *et al* (2012). Serine is a natural ligand and allosteric activator of pyruvate kinase M2. *Nature*, DOI: 10.1038/nature11540: Published Online: 14 October 2012.

Forward-Looking Statements

This press release contains "forward-looking statements" as defined in the Private Securities Litigation Reform Act of 1995. The reader is cautioned not to rely on these forward-looking statements. These statements are based on current expectations of future events. If underlying assumptions prove inaccurate or unknown risks or uncertainties materialize, actual results could vary materially from the expectations and projections of Astex Pharmaceuticals. Risks and uncertainties include, but are not limited to, general industry conditions and competition; technological advances, new products and patents attained by competitors; challenges inherent in new product development, including obtaining regulatory approvals; challenges to patents; changes in behavior and spending patterns or financial distress of purchasers of health care products and services; changes to governmental laws and regulations and domestic and foreign health care reforms; trends toward health care cost

containment; and increased scrutiny of the health care industry by government agencies. A further list and description of these risks, uncertainties and other factors can be found in the Astex Pharmaceuticals Annual Report on Form 10-K for the fiscal year ended December 31, 2011. Copies of this Form 10-K, as well as subsequent filings, are available online at www.sec.gov, www.astx.com or on request from Astex Pharmaceuticals. Astex Pharmaceuticals is not required to update any forward-looking statements as a result of new information or future events or developments.

CONTACT: Timothy L. Enns

Astex Pharmaceuticals, Inc.

Senior Vice President

Corporate Communications & Marketing

Tel: +1 (925) 560-2810

E-mail: tim.enns@astx.com

Susanna Chau

Astex Pharmaceuticals, Inc.

Manager

Investor Relations

Tel: +1 (925) 560-2845

E-mail: susanna.chau@astx.com

Alan Roemer

The Trout Group

Managing Director

Tel: +1 (646) 378-2945

E-mail: aroemer@troutgroup.com

Kari Watson

MacDougall Biomedical Communications

Senior Vice President

Tel: +1 (781) 235-3060

E-mail: kwatson@macbiocom.com