



Astex scientists describe novel HSP90 inhibitors in two key publications in Journal of Medicinal Chemistry

Cambridge, UK, 29th July 2010.

Astex Therapeutics, the UK-based biotechnology company developing targeted therapies for oncology and virology, announced today that two key papers detailing its fragment-based drug discovery approach to heat shock protein 90 (HSP90) inhibitors have been published back-to-back in the industry-leading Journal of Medicinal Chemistry*.

The first paper describes fragment-based screening of HSP90 and subsequent structure-guided chemistry that improved the affinity of the initial lead compounds by over a million-fold with the addition of only 6 atoms. The companion paper describes how the lead compound was optimised into the clinical candidate, AT13387, a potentially best-in-class HSP90 inhibitor which is entering into Phase II clinical trials for the treatment of a variety of cancers. Together, the papers demonstrate the efficiency with which the Company's fragment-based drug discovery platform, Pyramid™, can be used to identify small molecule drugs.

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* Publication References

Woodhead et al. Discovery of (2,4-Dihydroxy-5-isopropylphenyl)-[5-(4-methylpiperazin-1-ylmethyl)-1,3-dihydroisoindol-2-yl] methanone (AT13387), a Novel Inhibitor of the Molecular Chaperone Hsp90 by Fragment Based Drug Design. J. Med. Chem. (DOI: 10.1021/jm100060b) Published online July 28 2010

Murray et al. Fragment-Based Drug Discovery Applied to HSP90. Discovery of Two Lead Series with High Ligand Efficiency. J. Med. Chem. (DOI: 10.1021/jm100059d) Published online July 27 2010

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, Bayer-Schering, Boehringer Ingelheim, GlaxoSmithKline, Novartis and Johnson & Johnson.

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