

Press Release

Cellzome technology used to unravel novel regulatory mechanism in cancer signaling pathway

Integrated chemical genetics and proteomics approach identifies new potential drug targets in Wnt pathway

Heidelberg, Germany, 16th September 2009 – Cellzome announces today the publication entitled “*Tankyrase inhibition stabilizes axin and antagonizes Wnt signalling*”** is now available online in *Nature*.

The paper describes how Cellzome’s quantitative chemical proteomics platform was used to identify a small molecule which plays a critical role in the regulation of the Wnt pathway. The small molecule stabilizes axin by inhibiting the poly-ADP-ribosylating enzymes tankyrase 1 and tankyrase 2. Both tankyrase isoforms interact with a highly conserved domain of axin and stimulate its degradation through the ubiquitin-proteasome pathway. Thus, the study provides new mechanistic insights into the regulation of axin protein homeostasis and presents new avenues for therapeutic intervention in this key cancer pathway. This transforming science was conducted as part of the collaboration between Cellzome and Novartis Institutes for Biomedical Research (NIBR).

Dr. David Simmons, CSO of Cellzome, said: “This paper shows the powerful insights provided by Cellzome’s proprietary chemical proteomics technology platform. Our platform also includes *Kinobeads*[™] which we use for the discovery and development of a new generation of kinase inhibitors and *Episphere*[™] for the discovery of novel drug candidates for epigenetic targets.”

** Huang, S., et.al. “Tankyrase inhibition stabilizes axin and antagonizes Wnt signalling”, *Nature*, 10.1038/nature08356, 2009.

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About Cellzome Inc.

Cellzome is a privately-owned drug discovery and development company identifying a new generation of kinase-targeted drugs to treat inflammatory diseases. Its pipeline of small-molecule therapeutics is driven by *Kinobeads*[™], a proprietary technology for the screening and profiling of kinase inhibitors in physiologically-relevant cells and tissues. The most advanced program, targeting PI3K γ , is anticipated to enter the clinic in 2010, and several other programs are in early preclinical testing.

Cellzome is expanding its distinctive technology, in a novel form called *Episphere*[™], to the discovery and development of novel drug candidates for epigenetic targets in their protein complexes.

Cellzome has significant collaborations with GSK and Johnson & Johnson. Its holding company is domiciled in the US and it employs about 90 people at its two laboratories in Cambridge, UK and Heidelberg, Germany.