

Heptares Successfully Completes Research Phase Of Antibody Discovery Collaboration With MedImmune

Stabilised GPCR (StaR®) Proteins Delivered For All Nominated Targets

London, UK and Boston, MA, USA, 23 January 2014 – Heptares Therapeutics, the leading GPCR structure-guided drug discovery and development company, has successfully completed the research phase of its antibody drug discovery collaboration with MedImmune, the global biologics research and development arm of AstraZeneca. In achieving this milestone, Heptares has delivered StaR® proteins (thermostabilised G protein-coupled receptors, GPCRs) for its targets nominated by MedImmune for antibody discovery as part of the research collaboration initiated in May 2011. For each project, nomination of the target and delivery of the StaR protein resulted in milestone payments to Heptares from MedImmune.

Malcolm Weir, Heptares CEO, said: “The delivery of a StaR for each nominated target is an important achievement in the successful research phase of our collaboration with MedImmune. The StaR proteins will now be used by MedImmune as antigens to which novel therapeutic antibodies can be generated. The ability of our StaR platform to generate antigen for antibody drug discovery, as exemplified by the success of our collaboration with MedImmune, highlights the potential of this technology to transform GPCR-targeted drug design and development.”

The Heptares StaR technology provides a solution to the central challenge associated with obtaining antigens for raising antibodies against GPCR targets: generating purified, properly folded and functional protein which has been removed from the cell membrane. StaR proteins also preserve epitopes from the desired pharmacological state (active or inactive) of the GPCR, thereby enabling generation of panels of functional antibodies targeting the disease-relevant form of the receptor.

By the end of 2012, 37 therapeutic antibodies had been approved and are being marketed in countries around the world, generating sales of \$64.5 billion in 2012. Of the ten best-selling drugs in 2012, six were monoclonal antibody drugs, each with annual sales exceeding \$5 billion. However, only one GPCR-targeting antibody has been approved (Poteligeo mogamulizumab, an anti-CCR4 antibody approved in Japan), which reflects the central technical challenge of accessing reliable high-quality GPCR antigen.

Heptares has determined that approximately 100 GPCR targets across a range of diseases (cancer, fibrosis, inflammation, respiratory, pain) are suitable and commercially compelling as antibody targets. Heptares is now leveraging its StaR platform to generate antigens for GPCR antibody drug discovery via partnerships with MedImmune and other companies. To date, Heptares has achieved all scientific milestones in its drug discovery collaborations on or ahead of schedule.

