

Heptares Orphan Disease Programme Awarded Grant From The UK Biomedical Catalyst

Project to develop first-in-class selective and orally available GLP-1 receptor antagonist for treating severe hypoglycaemia (low blood glucose) in rare diseases

London, UK and Boston, MA, USA, 20 November 2014 – Heptares Therapeutics, the leading GPCR structure-guided drug discovery and development company, is pleased to announce that it has been awarded a £1.5 million grant from the UK Biomedical Catalyst, a funding programme jointly operated by the UK Medical Research Council (MRC) and Innovate UK. The grant, with additional funding from Heptares, will support a three-year research project aimed at developing first-in-class, selective and orally available small molecule GLP-1 receptor antagonists for the treatment of severe hypoglycaemia (low blood glucose) in rare diseases including congenital hyperinsulinism (CHI). These, often inherited, conditions are characterized by inappropriate and unregulated insulin secretion, causing severe hypoglycaemia, and are associated with poor clinical outcomes including long-term nerve and brain damage.

GLP-1 is a hormone that regulates insulin production in response to blood glucose levels. The activation of its receptor is an important approach for treating diabetes with several products approved. No GLP-1 receptor antagonists are licensed for treating CHI or other related conditions, however experimental and clinical studies with an intravenous peptide antagonist strongly indicate their prospective effectiveness.

The support of the MRC and Innovate UK through this grant will enable Heptares to optimise a series of novel small molecule GLP-1 receptor antagonist compounds identified and developed using its GPCR structure-based development platform. Heptares, in collaboration with the University of Manchester and Great Ormond Street Hospital, London, will then carry out the preclinical development of candidates with the goal of providing novel safe, effective and orally available molecules for clinical studies for CHI with anticipated additional potential in other areas associated with intractable hypoglycaemia; including neonatal hypoglycaemia, hypoglycaemia occurring as a consequence of gastric bypass surgery and insulinoma-associated hypoglycaemia.

Fiona Marshall, Heptares Chief Scientific Officer and co-founder, said: "The ability to precisely drug and regulate the activity of the GLP-1 receptor and thereby regulate and normalize blood glucose levels is an important and validated approach to the treatment of many diseases. We are delighted to

receive this grant from the Biomedical Catalyst to fund work that combines the expertise in structure-based drug design at Heptares and the disease expertise of our academic partners to identify new oral treatments for these diseases.”

The Biomedical Catalyst is a funding programme jointly operated by the UK Medical Research Council and Innovate UK (formerly the Technology Strategy Board - TSB) providing responsive and effective support for the best translational life science opportunities arising in the UK. Grants are available to UK academics and small and medium enterprises (SMEs) seeking to move their research more quickly from discovery to commercialisation.

###

About the Medical Research Council

The Medical Research Council has been at the forefront of scientific discovery to improve human health. Founded in 1913 to tackle tuberculosis, the MRC now invests taxpayers' money in some of the best medical research in the world across every area of health. Twenty-nine MRC-funded researchers have won Nobel prizes in a wide range of disciplines, and MRC scientists have been behind such diverse discoveries as vitamins, the structure of DNA and the link between smoking and cancer, as well as achievements such as pioneering the use of randomised controlled trials, the invention of MRI scanning, and the development of a group of antibodies used in the making of some of the most successful drugs ever developed. Today, MRC-funded scientists tackle some of the greatest health problems facing humanity in the 21st century, from the rising tide of chronic diseases associated with ageing to the threats posed by rapidly mutating micro-organisms. www.mrc.ac.uk

About Innovate UK

Innovate UK is the new name for the Technology Strategy Board – we're the UK's innovation agency, accelerating economic growth. We know that taking a new idea to market is a challenge. We fund, support and connect innovative businesses through a unique mix of people and programmes to accelerate sustainable economic growth. For further information visit our website at <https://www.gov.uk/innovate-uk>

Catalysts are run jointly by Innovate UK and the Research Councils. A Catalyst is a form of research and development funding which focuses on a specific priority area and aims to help take projects from research to as close to commercial viability as possible. The Catalyst model supports projects in priority areas where the UK research base has a leading position and where there is clear commercial potential. Current Catalysts include: Biomedical Catalyst, Agri-tech Catalyst and the Industrial Biotechnology Catalyst.

For more details please visit: <https://www.gov.uk/innovation-get-details-about-innovate-uk-funding-competitions#catalysts>