Press Release

HEPTARES therapeutics

HEPTARES RAISES US$21 MILLION TO ADVANCE NOVEL GPCR MEDICINES INTO CLINICAL DEVELOPMENT

Initial focus on neuroscience with first-in-class drugs for Alzheimer's disease and schizophrenia

Welwyn Garden City, UK and Boston, MA, USA, 27 June 2013 – Heptares Therapeutics, the leading GPCR drug discovery and development company, today announced it has raised over US$21 million in a Series B financing to advance a clinical pipeline of novel drugs directed towards clinically validated targets for the treatment of neurological and psychiatric diseases. The financing round was co-led by the Stanley Family Foundation (SFF), one of the world's leading neuroscience disease foundations, and current investor Clarus Ventures with Takeda Ventures also participating.

Heptares is preparing to initiate clinical development for its most advanced programme with a first-in-class selective M1 muscarinic receptor agonist later this year. Muscarinic agonism is clinically validated in the treatment of both Alzheimer's dementia and cognitive impairment associated with schizophrenia, yet previous compounds lack the necessary selectivity and result in unwanted side effects. There is major unmet medical need in Alzheimer's disease, where current agents typically offer only modest and transient effects, and currently no drug is approved for cognitive impairment associated with schizophrenia. Heptares has discovered multiple novel selective muscarinic agonists with a variety of pharmacological profiles, uniquely engineered using its StaR®-driven structure-based design approach, which represent first-in-class product candidates with significant commercial potential.

Heptares has also generated a broad pipeline of drug candidates, which are advancing towards the clinic for serious neurological diseases that target other historically undruggable or challenging GPCRs, including Adenosine A_{2A} (multiple neuroscience indications), CGRP (severe migraine/headache disorders), Orexin 1 (addiction/compulsive disorders), dual Orexin 1/2 (chronic insomnia), and mGlu5 (autism, depression and dyskinesia). In addition, Heptares is pursuing novel oral small molecule projects that target GLP1 and GPR39 for the treatment of type 2 diabetes, as well as working with leading pharmaceutical partners on small molecule and antibody discovery and development programmes in multiple therapeutic areas.

Malcolm Weir, Chief Executive Officer at Heptares, commented: "Heptares is entering an exciting new phase of development as the lead compounds in our pipeline approach the clinic. All of these potential new medicines have been developed using our unique GPCR-focused structure-based drug design approach and technologies. Coupled with existing and future revenues from our strategic partnerships, funds from this new financing will enable us to accelerate our evolution into a clinical-stage drug development company."

John Berriman, Chairman of Heptares' Board of Directors, added: "We are delighted to conclude this significant financing. We are particularly pleased to welcome the Stanley Family Foundation as a new investor. SFF is a leading neuroscience disease foundation and brings extensive experience and networks that will be of great value as we advance the development of our pipeline in these areas. The involvement of SFF alongside existing investors Clarus and Takeda Ventures is clear recognition of the exceptional potential of Heptares to deliver new medicines to transform the treatment of neurological diseases."

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About Dementias and Psychosis

Today there is significant unmet medical need and very heavy economic burden across many diseases characterised by dementia and psychosis. Currently available drugs for Alzheimer's disease (AD) provide only limited and transient effects on cognition and no drug is approved for treating the behavioural and psychological symptoms of dementia, which affect approximately 50% of the ten million AD patients in the major markets. Healthcare costs associated with the epidemic of AD, including nursing home care, continue to grow dramatically and new therapies with better and more durable efficacy are urgently needed. In schizophrenia, while numerous products exist, they all share a common mechanism of action, an estimated 30% of patients are refractory, non-compliance due to side effects is high, and no drug is effective or approved for treating the cognitive impairment associated with schizophrenia. An estimated 80% of schizophrenics suffer from cognitive impairment. In other settings characterized by severe dementia and psychosis combined, such as Lewy body dementia, there are no approved therapies.

About Heptares Therapeutics

Heptares creates new medicines targeting clinically important, yet historically challenging, GPCRs (G protein-coupled receptors), a superfamily of drug receptors linked to a wide range of human diseases. Leveraging our advanced structure-based drug design technology platform, we have built an exciting discovery and development pipeline of novel drug candidates, which have the potential to transform the treatment of serious diseases, including Alzheimer's disease, Parkinson's disease, schizophrenia, migraine and diabetes. Our pharmaceutical partners include Shire, AstraZeneca, MedImmune, Morphosys, Takeda and Cubist, and we are backed by MVM Life Science Partners, Clarus Ventures, Novartis Venture Fund, Stanley Family Foundation and Takeda Ventures. To learn more about Heptares, please visit www.heptares.com

About the Stanley Family Foundation

The Stanley Family Foundation is a non-profit organization which provides funding for the Stanley Medical Research Institute (SMRI), which supports research on the causes and treatment of schizophrenia and bipolar disorder (manic-depressive illness), both through work carried out in its own laboratories and through support of researchers who are working on these diseases. Since it began in 1989, SMRI has supported more than $400 million in research in over 30 countries around the world. It is the largest non-governmental source of funds for research on these diseases in the United States, including funding of the Stanley Center for Psychiatric Research at the Broad Institute, Cambridge MA. Schizophrenia and bipolar disorder are major psychiatric disorders in the United States, affecting more than 4 million people. www.stanleyresearch.org

About Clarus Ventures

Clarus Ventures is a life sciences venture capital firm founded by a team of accomplished investment and operating professionals. The investment team has extensive and broad backgrounds in research and development, commercialization, business development and operations management, which enabled Clarus to establish a long history of success in creating value across multiple disciplines within the healthcare-investing universe. The deep domain expertise of the Clarus team allows us to employ a hands-on philosophy of providing value enhanced leadership and guidance in working with our management teams in both the biopharmaceutical and medical device arenas. Clarus is presently managing $1.2 billion. The firm invests globally out of its offices in Cambridge, MA and South San Francisco, CA. www.clarusventures.com

About Takeda Ventures

Takeda Ventures, Inc. (TVI) is the corporate venture arm of Takeda Pharmaceutical Company Limited, a world-class pharmaceutical company and the largest in Japan. TVI is a wholly owned subsidiary of Takeda America Holdings, Inc. It seeks strategic opportunities to complement and extend internal discovery capabilities, but will additionally explore potentially new business avenues for Takeda through external strategic venture activities. Our aim is to encourage and support therapeutic innovation in the biopharmaceutical sector, as well as academic centres of excellence, through early stage capital investment and provision of access to the resources of a multinational pharmaceutical company. For more information, visit www.takedaventures.com

About G protein-coupled receptors (GPCRs)

The GPCR superfamily is the largest and single most important family of drug targets in the human body. It plays a central role in many biological processes and is linked to a wide range of disease areas. GPCRs are expressed in every type of cell in the body where their function is to transmit signals from outside the cell across the membrane to signaling pathways within the cell, between cells and between organ systems. There are over 375 GPCRs encoded in the human genome, of which 225 have known ligands and 150 are orphan targets. GPCRs are the site of action of 25-30% of current drugs. Six of the top ten and 60 of the top 200 best-selling drugs in the US in 2010 target GPCRs.

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