X-Chem Announces Strategic Collaboration with Astellas Pharma Across Multiple Therapeutic Areas

WALTHAM, Mass. – March 20 2017 – X-Chem, Inc. (X-Chem), a privately held biotechnology company applying its innovative drug discovery capabilities to the generation of novel small molecule therapeutics, announced the signing of a broad drug discovery collaboration with Astellas Pharma Inc. (Astellas). The collaboration will enable the discovery of novel lead compounds for complex drug targets of interest to Astellas from X-Chem's growing collection of DNA-encoded DEXTM libraries containing over 120 billion compounds. This new partnership is X-Chem's most expansive first-time alliance with a pharmaceutical company, and is aimed at tackling hard-to-drug targets across multiple therapeutic areas at Astellas.

"We are delighted to enter into a long-term relationship with a partner of the caliber of Astellas," said Rick Wagner, Ph.D., Chief Executive Officer of X-Chem. "Our agreement is structured as a highly collaborative effort that has the potential to both be a leading source of new chemical entities into Astellas' future portfolio and make a meaningful impact on the treatment of human diseases."

Under the terms of this multi-year agreement, X-Chem will receive an up-front payment of \$16M. X-Chem is eligible to receive research funding, as well as license and option fees as the drug discovery collaboration proceeds. Additionally, Astellas has the option to license compounds identified through this collaboration, and X-Chem will be entitled to pre-clinical, developmental, and commercial milestone payments on licensed compounds against each target. Milestone payments could amount to over \$100M per target, in addition to royalties based on sales of future products.

"This is a transformational deal for X-Chem in terms of its scope and duration," said O. Prem Das, Ph.D., Executive, Business Development at X-Chem. "It is customized to meet Astellas' needs in generating novel lead structures against new and difficult targets. The transaction includes built-in mechanisms to expand the research scope, and enables increasing involvement and input of Astellas scientists in the DEX[™]-based discovery process over time."

About the DNA-Encoded X-Chem (DEX[™]) Library and Platform

Due to the size and diversity of the DEX[™] library, X-Chem can discover multiple series of novel, potent and selective lead compounds at an unprecedented rate of success against a wide range of targets, including some that previously failed using conventional screening methods. A number of proprietary innovations in library design, screening methodology and bioinformatics underlie the exceptional performance of the DEXTM platform. In particular, X-Chem's approach to library construction allows for additional chemical reactions to become useable in DNA-encoded library synthesis. Together, these developments result in a much greater repertoire of diversity for small molecules, which cover a range of categories including fragment molecules, small molecular weight heterocyclic compounds, and macrocyclic structures. This diverse library, combined with a heightened ability to detect active molecules, has yielded a robust process that has been highly successful against targets categorized as difficult or intractable.

About DNA-Encoding

The X-Chem drug discovery engine is based on a library, currently in excess of 120 billion compounds and growing, generated by iterative combinatorial synthesis of small molecules tethered to DNA tags that record the synthetic history of the small molecule. Every small molecule in the library has a unique DNA barcode attached it. The library is screened as a mixture using affinity-based binding to a target of interest. Certain rare molecules in the library that bind to the target can be "fished out," while the rest of the molecules are washed away. DNA sequencing methods are then used to detect molecules that are enriched when bound to the target. The diverse nature of the library produces multiple families or clusters of related molecules that bind to the target, forming a basis for emergent structure-activity relationships. Structure-activity relationships are typically used by medicinal chemists to guide iterative chemical maturation of a molecule into a drug. Based on the synthetic history encoded in the DNA sequence information, molecules are then made without the DNA tag attached, and tested for activity in conventional assays.

About X-Chem

X-Chem, Inc. is a privately-owned biotechnology company based in Waltham, Mass. The company's mission is to apply its powerful product engine to the discovery of small molecule compounds against high-value therapeutic targets. X-Chem has established partnerships with Roche, AstraZeneca, Bayer, Pfizer, Alexion, MD Anderson Cancer Center, Sanofi, Janssen, and several other leading pharmaceutical companies, biotechnology organizations, and academic centers. For further information on X-Chem, please visit: <u>http://www.x-chemrx.com/</u>.

About Astellas Pharma Inc.

Astellas Pharma Inc., based in Tokyo, Japan, is a company dedicated to improving the health of people around the world through the provision of innovative and reliable pharmaceutical products. We focus on Urology, Oncology, Immunology, Nephrology and Neuroscience as prioritized therapeutic areas while advancing new therapeutic areas and discovery research leveraging new technologies/modalities. We are also creating new value by combining internal capabilities and external expertise in the medical/healthcare business. Astellas is on the forefront of healthcare change to turn innovative science into value for patients. For more information, please visit our website at www.astellas.com/en.

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