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Constellation Pharmaceuticals and Genentech Enter Broad Epigenetics Drug Discovery Collaboration

CAMBRIDGE, Mass. – January 17, 2012 – Constellation Pharmaceuticals, Inc., today announced that it has entered into a major strategic agreement with Genentech, a member of the Roche Group (SIX: RO, ROG; OTCQX: RHHBY), to launch a broad collaboration based on the science of epigenetics and chromatin biology to discover and develop innovative treatments for cancer and other serious diseases.

Under the terms of the arrangement, Constellation will receive committed funding of \$95 million, comprising an upfront payment and research funding for a three-year collaboration period. Constellation will be eligible for substantial development and commercialization milestone payments as well as up to double-digit royalties on commercial sales of multiple products by Genentech. Additionally, Constellation will retain exclusive development and commercialization rights to selected programs emerging from the collaboration, for which payments would be due to Genentech upon the successful commercialization of such products.

The parties will establish a research collaboration addressing multiple epigenetic target classes. Constellation will retain independent strategic direction, operational management and exclusive rights to programs outside of the collaboration scope, including its two most advanced programs that are focused on the development of inhibitors of the BET chromatin reader and EZH2 chromatin writer proteins. Genentech has a future option to acquire all outstanding shares of Constellation based on pre-negotiated terms, which include a significant initial acquisition payment plus contingent value rights payments based on the future successful development and commercialization of multiple products by Genentech. Genentech's option to acquire Constellation includes the BET and EZH2 programs as well as other programs outside the collaboration scope.

“Genentech is a global leader in the research and development of innovative medicines, and in combination with our class-leading product engine and deep expertise in chromatin biology will create a powerhouse dedicated to bringing the greatest benefit to patients from drugs that modulate epigenetic pathways,” said Mark A. Goldsmith, M.D., Ph.D., president and chief executive officer of Constellation Pharmaceuticals. “This is a groundbreaking partnership in terms of the structure, breadth and potential future clinical impact of products created through

our complementary capabilities. The committed revenue and post-collaboration economics should provide a highly attractive return for our investors.”

James Sabry, M.D., Ph.D., vice president of Genentech Partnering, added, “We believe Constellation is a leading company in chromatin biology and epigenetics drug discovery and an excellent partner for Genentech in this area. With scientists committed to the collaboration at both Constellation and Genentech working together in a highly integrated way, our goal is to discover and ultimately bring to market promising new therapies for patients with unmet medical needs in oncology, and potentially other therapeutic areas.”

What is Epigenetics?

Drug development in the field of epigenetics is directed towards the identification of small molecules that inhibit the activities of proteins (epigenetic regulators) that add, remove or recognize various chemical modifications (or marks) to specific sites on DNA or chromosomal proteins. These marks play a key role in determining whether a gene is on or off. Epigenetic regulators are often referred to as writers (add modifications), erasers (remove modifications) and readers (bind to chromatin). Research at Constellation and by others has shown that abnormal epigenetic regulation contributes to many different diseases.

In research into chromatin readers that appeared recently in the *Proceedings of the National Academy of Sciences* Constellation scientists demonstrated that transcription of the MYC oncogene can be suppressed using small molecule inhibitors of the BET family of chromatin adapters. MYC is a master regulator of diverse cellular functions and has long been considered a compelling therapeutic target because of its role in many human malignancies including hematologic and solid tumors. Also, continued research by Constellation on chromatin modifying enzymes has resulted in significant progress towards developing small molecule inhibitors of the histone lysine methyltransferase EZH2. This enzyme functions as part of a chromatin-associated protein complex implicated in the repression of gene expression. Recent cancer genomic sequencing studies have identified recurrent mutations in the EZH2 encoding genomic locus in a subset of human cancers. In addition numerous epidemiological data sets linking increased EZH2 expression to late stage disease with poor prognosis suggest a prominent role for EZH2 in human malignancies.

About Constellation Pharmaceuticals

Constellation Pharmaceuticals leverages insights from the rapidly expanding field of epigenetics to discover and develop small molecule therapeutics for the treatment of cancer, inflammatory/immunologic disorders and other diseases. The company’s innovative product discovery engine targets both the enzymes that modify the dynamic structure of chromatin (writers and erasers) and other proteins that interact with chromatin (readers) to control gene expression. Restoration of normal gene expression through chromatin modulation by highly selective and specific inhibitors promises to be a powerful avenue for the development of important new medicines against a broad range of diseases. For more information, please visit the company's website at www.constellationpharma.com.

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