Neurocrine Biosciences Announces Positive Phase I Results With Its Proprietary, Orally Active GnRH Receptor Antagonist For Women's Health Disorders and Prostate Cancer

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First-in-Human Study Shows Proof of Concept With
Effective Supression of Hormone Levels

SAN DIEGO, May 7 /PRNewswire-FirstCall/ -- Neurocrine Biosciences, Inc. (Nasdaq: NBIX) announced today the completion of the first Phase I clinical trial with its proprietary, orally active small molecule Gonadotropin-Releasing Hormone (GnRH) receptor antagonist compound for the treatment of women's health disorders and prostate cancer. Initial pharmacokinetic evaluation indicates rapid absorption and good dose-linearity for both Cmax (maximum concentration attained) and AUC (area under the plasma concentration time curve). Initial pharmacodynamic assessment after a single dose indicates rapid suppression of circulating leutinizing hormone (LH) up to approximately 60% in a dose-dependent manner. Suppression of LH was observed for all dose groups compared to placebo. The compound was well tolerated and no laboratory or clinical safety issues were noted.

This first-in-human study was a randomized, double-blind, placebo-controlled, single-dose, trial conducted in 56 normal healthy post-menopausal women 45 to 65 years of age, and was designed to evaluate the safety, tolerability, pharmacokinetics, and pharmacodynamics, including endocrine profiles, over a range of eight escalating doses. A two week multiple-dose, dose-escalating Phase I placebo controlled clinical trial will be initiated shortly to further evaluate the safety and endocrine profiles of this compound to prepare for Phase II safety and efficacy evaluation.

"Because of the well established mechanism of action for injectable drugs acting at the GnRH receptor, the level of LH suppression which we observed in this first in human clinical study gives us confidence that this orally-active compound will be effective in treating hormone dependent diseases such as endometriosis, uterine fibroids, and prostate cancer," said Henry Pan, MD, PhD, Executive Vice President & Chief Medical Officer of Neurocrine Biosciences. "This is the first clinical report of an orally active small molecule GnRH antagonist. We are very encouraged by these data and look forward to advancing this clinical development program," added Pan.

GnRH is a neuroendocrine peptide which stimulates the secretion of the pituitary LH, which in turn stimulates the production of estrogen by the ovary or testosterone by the testis. The most widely prescribed drugs acting at the GnRH receptor are peptide agonists such as Lupron(R) and Zoladex(R) with estimated combined sales in excess of $2.5 billion worldwide. These compounds are administered as injectable depots which act by first stimulating then eventually inhibiting the secretion of pituitary LH and consequently, estrogen or testosterone production. These approved drugs have proven clinically useful in treating hormone dependent diseases such as endometriosis, uterine fibroids, prostate cancer and breast cancer, as well as being used for assisted-reproductive technology procedures. This compound which has been discovered and developed within Neurocrine is a specific highly potent non-peptide, orally active antagonist of the GnRH receptor. Therefore, pituitary LH secretion is inhibited directly potentially preventing the several week delay and flare associated with agonist therapy. Neurocrine believes that orally active, non-peptide GnRH antagonists should provide a more rapid onset of action, increased dosing flexibility with fewer long term problems, and greater patient acceptability over currently available treatments.

Neurocrine Biosciences, Inc. is a product-based biopharmaceutical company focused on neurological and endocrine diseases and disorders. Our product candidates address some of the largest pharmaceutical markets in the world including insomnia, anxiety, depression, malignant brain tumors and peripheral cancers, diabetes, multiple sclerosis, irritable bowel syndrome, eating disorders, pain, stroke, and certain female health disorders. Neurocrine Biosciences, Inc. news releases are available through the Company's website via the Internet at http://www.neurocrine.com .

In addition to historical facts, this press release may contain forward-looking statements that involve a number of risks and uncertainties. Among the factors that could cause actual results to differ materially from those indicated in the forward looking statements are risks and uncertainties associated with Neurocrine's business and finances and research programs in general including, but not limited to, risk and uncertainties associated with, or arising out of, drug discovery, pre-clinical and clinical development of products and specifically, risk that Neurocrine's current lead GnRH antagonist compound may prove unsuitable for continued clinical development, that Neurocrine's GnRH antagonist program may not generate any development candidates that lead to late stage clinical testing or commercial products; changes in relationships with strategic partners and dependence upon strategic partners, for performance of clinical and commercialization activities under collaborative agreements, uncertainties relating to patent protection for GnRH antagonists identified by Neurocrine and intellectual property rights of third parties in the GnRH field; impact of competitive products and technological changes; availability of capital and cost of capital; and other material risks. A more complete description of these risks can be found in the Company's Form 10K for the year ended December 31, 2001. Neurocrine undertakes no obligation to update the statements contained in this press release after the date hereof.

SOURCE Neurocrine Biosciences, Inc.
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