Aerpio Therapeutics Announces Presentation of Positive Results of AKB-9778 in Patients with Diabetic Retinopathy from TIME-2 Phase 2a Study

- **AKB-9778, a Tie2 activator, administered subcutaneously alone or in combination with Lucentis®, demonstrated ability to improve underlying diabetic retinopathy (DR) in eyes with and without diabetic macular edema (DME)**
- **Results presented at the Angiogenesis, Exudation, and Degeneration 2016 Meeting**

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CINCINNATI--(BUSINESS WIRE)--Aerpio Therapeutics, Inc., a biopharmaceutical company focused on advancing first-in-class treatments for the eye, today announced promising results in diabetic retinopathy from the company's phase 2a study ("TIME-2") of its lead candidate, AKB-9778, a first-in-class Tie2 activator. AKB-9778, dosed at 15 mg BID subcutaneously, alone and in combination with Lucentis® (ranibizumab injection dosed at 0.3 mg intravitreally), improved underlying retinopathy according to pre-specified analyses by 2 or more steps on the diabetic retinopathy severity scale (DRSS) in the study eye at month 3. Rates of 2-step improvement were 10.0%, and 11.4% in the AKB-9778 monotherapy and AKB-9778+Lucentis combination therapy arms, respectively, compared to 8.8% of patients receiving Lucentis® alone. Further, in the fellow eye, patients that were exposed to systemic AKB-9778 achieved a 2-step or greater DRSS improvement at 3 months in 11.4% of patients, versus 4.2 % of patients receiving placebo. The clinical findings were presented by Pravin U. Dugel, M.D., at the Angiogenesis, Exudation, and Degeneration 2016 meeting, which took place in Miami, FL, on February 6, 2016.

"A 2-step change in DRSS is clinically meaningful for the treatment of retinopathy and has been an important measure contributing to the approvals of ranibizumab and aflibercept in diabetic retinopathy," commented Dr. Dugel, Retinal Specialist at Retinal Consultants of Arizona. "Diabetic retinopathy is a rapidly growing global epidemic, occurring in approximately one-third of all diabetic patients. In greater than 50% of patients with DR, bilateral disease poses a threat to patient vision, and I am particularly excited by the opportunity represented by AKB-9778 to treat bilateral disease with a systemic approach."

Dr. Steven Pakola, Aerpio's Chief Medical Officer said, "We are excited to announce these promising results supporting the use of AKB-9778 in diabetic retinopathy and showing a benefit both in the study and fellow eyes for AKB-9778 alone and in combination with Lucentis®. Based on this progress, we are continuing our dialogue with advisors and global regulatory agencies to rapidly design and implement the follow-on studies in diabetic retinopathy."

About the TIME-2 Study

TIME-2 was a phase 2a, randomized, double-masked, placebo-controlled, proof-of-concept study in patients with DME. The TIME-2 study evaluated 144 DME patients randomized equally (1:1:1) to AKB-9778 as monotherapy or in combination with Lucentis® compared with Lucentis® alone for a treatment period of 3 months, followed by a 2-month observation period. The study's primary endpoint measure was mean change from baseline in CST at 3 months. Pre-specified analyses of change in diabetic retinopathy severity score were done by treatment group in the study eye. Evaluation of the fellow eye was done by combining groups that received subcutaneous AKB-9778, AKB-9778 monotherapy and AKB-9778 + Lucentis® combination therapy groups, and comparing changes in diabetic retinopathy severity score in patients that received subcutaneous placebo (ranibizumab monotherapy group).

About AKB-9778

AKB-9778 is a first-in-class small molecule that inhibits the enzyme, vascular endothelial protein tyrosine phosphatase (VE-PTP), which acts as a negative regulator of the Tie2 receptor. By inhibiting this negative regulator, Tie2 signaling is restored, overcoming the effects of the vascular destabilization. Aerpio is initially focusing development of AKB-9778 in diabetic eye disease, with potential for development in other retinal disorders, including exudative age-related macular degeneration (wAMD).

About Aerpio Therapeutics

Aerpio Therapeutics, Inc. is a clinical-stage biopharmaceutical company focused on the development of novel therapeutics for the treatment of vascular disorders with an emphasis on diseases of the eye. Aerpio is a leader in the development of therapeutics based on Tie2 activation and the stabilization of hypoxia-inducible factor 1α (HIF-1α). The Company's lead program, AKB-9778, is a first-in-class small molecule stabilizer of the Tie2 pathway and is in clinical development for diabetic macular edema. More information is available at www.aerpio.com.

Lucentis® is a registered trademark of Genentech, Inc.

Contacts

Aerpio Therapeutics, Inc.
Dhaval Desai
Vice President of Medical Affairs
ddesai@aerpio.com
or
Burns McClellan on behalf of Aerpio Therapeutics
Justin Jackson, 212-213-0006
jjackson@burnsmc.com