Aerpio Therapeutics Reports Peer-Reviewed Publication of Positive Clinical Results from the Phase 2a Study of Lead Candidate, AKB-9778, in Diabetic Macular Edema (DME): The TIME-2 Trial

- **Results from the TIME-2 study have been published in *Ophthalmology*, the journal of the American Academy of Ophthalmology.**
- **The combination of Aerpio's AKB-9778, a Tie2 activator, and Lucentis® demonstrated significant benefit versus Lucentis® monotherapy in reduction of macular edema following 3 months of treatment.**
- **Systemically administered AKB-9778 monotherapy also demonstrated the ability to improve underlying diabetic retinopathy by 2 or more steps in both study and fellow eyes without the need for intraocular injections.**
- **In addition, AKB-9778 showed an excellent safety profile.**

CINCINNATI--(BUSINESS WIRE)--Aerpio Therapeutics, Inc., a biopharmaceutical company focused on advancing first-in-class treatments for the eye, today announced that clinical data from the company's Phase 2a study of its lead candidate, AKB-9778, for the treatment of patients with DME, have been published in an article titled "Enhanced Benefit in Diabetic Macular Edema from AKB-9778 Tie2 Activation Combined with Vascular Endothelial Growth Factor Suppression," which is currently available online in the peer-reviewed journal *Ophthalmology*. As previously announced in an oral presentation at the most recent American Academy of Ophthalmology Annual Meeting (November, 2015), the combination of AKB-9778 (dosed at 15 mg BID subcutaneously) and Lucentis® (ranibizumab injection dosed at 0.3 mg intravitreally) provided a clinically significant benefit in reduction of macular edema, as measured by central subfield thickness (CST), compared to Lucentis® alone at month 2 (p=0.02) and at end of treatment at month 3 (p=0.008). In association with the improvement in CST, the combination therapy showed a trend towards improved visual acuity (proportion of patients achieving improvement of at least 3 lines in visual acuity) when compared to Lucentis® alone.

Further, data from the TIME-2 study demonstrated the ability of systemically administered AKB-9778 monotherapy to improve underlying diabetic retinopathy by 2 or more steps in both study and fellow eyes without the need for intraocular injections . In regard to the safety profile, there were no clinically significant differences in the percentage of patients that experienced ocular or non-ocular adverse events across the three study arms.

“The TIME-2 study has provided broad mechanistic support for the potential use of AKB-9778 in promoting the stabilization of vascular beds,” commented Dr. Steve Pakola, Aerpio’s Chief Medical Officer. Dr. Pakola continued, “Based on these promising results, we are continuing our preparations to advance AKB-9778 into late stage clinical studies in DR and DME. As previously reported, we anticipate targeting additional ophthalmic indications as well, including wet age-related macular degeneration (wAMD).”

About the TIME-2 Study

TIME-2 was a Phase 2a, randomized, double-masked, placebo-controlled, proof-of-concept study in DR patients with DME. The TIME-2 study evaluated 144 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. Secondary endpoint measures included visual acuity and safety outcomes.

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 vascular destabilization. Aerpio is initially focusing development of AKB-9778 in DR and DME, with potential for development in other vascular retinal disorders, including 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. 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 DR and DME. More information is available at www.aerpio.com.

Lucentis® is a registered trademark of Genentech, Inc.

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