

Pharmaleads Reports Positive Ocular Pain Results with PL265, a Novel Dual Enkephalinase Inhibitor (DENKI), at the ARVO 2017 Annual Meeting

Pharmacology research conducted in conjunction with The Vision Institute, Paris

Paris, France, 12th May 2017 – Pharmaleads, an emerging pharmaceutical company developing innovative products for the management of acute and chronic severe pain, today announced positive efficacy and safety results of PL265, a novel Dual ENkephalinase Inhibitor (DENKI), in a preclinical study for ocular pain. This study was conducted in collaboration with The Vision Institute in Paris, France, and assessed the anti-nociceptive effect of PL265 in experimental models of ocular pain / dry-eye syndrome.

This preclinical study evaluated both mechanical and chemical cornea sensitivities of experimental models in response to corneal injury and inflammatory pain after being treated twice a day with a drop of either PL265 (at a 10 mM concentration) or of phosphate-buffered saline (PBS) in the right eye for five days.

The results, which were presented at the Association for Research in Vision and Ophthalmology (ARVO) 2017 Annual Meeting in Baltimore on May 11, 2017, showed that topical instillation of PL265 significantly increased the corneal mechanical threshold on day 5 compared to PBS-treated in corneal injury models (49.00 ± 4.58 mg vs 29.60 ± 4.43). In inflammatory pain models, topical PL265 showed potent anti-nociceptive effects and models treated with PL265 exhibited an increased mechanical threshold at day 5 (61.00 ± 14.70 mg vs 24.00 ± 4.00 mg).

In addition, the palpebral closure time induced by topical capsaicin (100 μ M) was significantly decreased after PL265 treatment, in both corneal (97 vs 201 seconds) and inflammatory pain (70 vs 170 seconds) models. In non-operated models (without corneal injury), topical instillation of PL265 for 5 days did not alter the ocular surface or corneal mechanical sensitivity compared to PBS-treated models.

Christophe Baudouin, Professor of Ophthalmology, Head of Department at the National Ophthalmology Hospital of Quinze-Vingts, Paris, Co-Director of the Research Unit S12 at The Vision Institute in Paris, Co-Author of the poster presented at the ARVO meeting stated, “*These results are very encouraging as currently there are no topical ocular pain analgesics available for acute or chronic pain. Confirming these results in humans will be an important step in the development of PL265 for the treatment of Ocular Pain.*”

The results of this study provide the first evidence that PL265 is highly effective in decreasing ocular pain after various experimental corneal lesions and also brings to light novel anti-inflammatory properties of the drug. It also proves that the drug has no anaesthetic properties in the absence of corneal injury.

Pharmaleads is a world leader in the understanding of the role of enkephalins in the management of severe pain relief. Enkephalins are degraded by two enzymes, the enkephalinases NEP and APN, from the zinc-metallopeptidase family. Pharmaleads medicinal chemistry expertise has allowed the Company to develop drugs called DENKIs that inhibit these two enzymes enabling the reduction of pain by increasing the local concentrations of enkephalins.

Thierry Bourbié, CEO of Pharmaleads, comments, *“These results represent an important step in our understanding of the potential of PL265 and its broad utility to treat different types of chronic and acute pain and clearly support the potential of DENKIs in the treatment of ophthalmic eye diseases. Acute or chronic ocular pain affects millions of patients and there currently are no alternative treatments to anaesthetics which have many shortcomings. We believe that PL265, the only drug candidate that targets the two enzymes responsible for the degradation of enkephalins, could be the first safe and effective topical ocular analgesic with the potential to alleviate ocular pain and inflammation.”*

Pharmaleads is looking for a partner to support the development of PL265 in the ophthalmology field.

Pharmaleads is also developing an oral formulation of PL265 for the treatment of neuropathic pain. PL265 has completed Phase I single ascending dose (SAD) clinical trials and demonstrated good safety and tolerability. Pharmaleads anticipates to start a Phase I/IIa clinical trial with PL265 in ocular pain in 2018.

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About Pharmaleads

Pharmaleads is an emerging pharmaceutical company developing innovative products for the management of acute and chronic severe pain, a growing market with significant unmet medical need. Pharmaleads' drugs are based on its deep knowledge and understanding of enkephalins, a key element of the body's natural pain management system.

Pharmaleads' products, (Dual ENkephalinase inhibitors) DENKIs, aim to protect enkephalins, hence increasing their local concentrations and thereby inducing a physiological analgesia which improves pain management.

Pharmaleads has two products in clinical development which are targeting four multi-billion markets:

PL265 is being developed for the treatment of neuropathic pain (oral) and ocular pain/dry eye syndrome (eye drops). PL37 is being developed for the treatment of post-surgical /breakthrough cancer pain as a substitute of injectable opiates (IV) and acute migraine pain (oral route)

Pharmaleads was founded in 2000. It is headquartered in Paris, France, and is funded by Private investors.

For more information about Pharmaleads please visit www.Pharmaleads.com