VIDEO ARTICLE

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Novel Biocompatible Formulation Provides Superior Reduction of Intraocular Pressure

M.M. Jablonski*, D. Maria, M.M. Ibrahim

University of Tennessee Health Science Center, Hamilton Eye Institute, Department of Ophthalmology, Memphis, TN USA

*Corresponding and Presenting Author. E-mail: mjablonski@uthsc.edu

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Abstract

Glaucoma is the leading cause of irreversible blindness worldwide and elevated intraocular pressure (IOP) is the most significant risk factor for disease progression. IOP reduction with topical eye drops is the first-line therapeutic option. However, many current IOP-lowering drops suffer from short half-life and low residence time on the cornea. When the active ingredient is a water-soluble drug, eye drops usually consist of a simple drug solution. Unfortunately, this dosage form may result in absorption of only 5% of the administered dose into ocular tissues [**1**]. Our goal was to develop a microemulsion (ME) to slow the release and increase the diffusion of a BCS class I molecule that represents a promising class of glaucoma therapeutics into the eye. In this study, we succeeded in addressing many of the limitations associated with current drug therapies by developing a biocompatible nontoxic lead formulation that is optimized for once daily topical ophthalmic use. Our lead ME formulation is capable of prolonging corneal contact time because of the presence of a bioadhesive polymer in the external aqueous phase. It provides for controlled drug release due to the presence of its inherent structure. Because of the distribution of drug within the ME, after application to the eye, our Dutch belted rabbit test subjects experienced a rapid onset followed by a prolonged phase of sustained IOP reduction. The IOP-lowering response was maintained during 60 days of daily dosing and our formulation exhibited high biocompatibility. We conclude that our novel topical formulation could serve as a promising once daily glaucoma therapeutic and drug delivery system with excellent physical and chemical stability.

Keywords: Microemulsion, ocular, extended release, once daily dosing.

Reference

1. Davis, J. L.; Gilger, B.C.; Robinson, M. R.; *Curr. Opin. Mol. Ther.;* **2004**, *6*, 195-205.

Biography of Presenting Author

Monica Jablonski is the Hamilton Endowed Professor of Ophthalmology at the Hamilton Eye Institute at the University of Tennessee HSC. She has broad experience in corneal physiology, retinal cell biology, ocular genomics/systems biology and ocular drug formulations. She has spent >10 years on the engineering and development of drug formulations for multiple ocular disorders, with a primary focus on glaucoma. Building on their recent achievement of identifying and validating a novel locus that modulates IOP, the Jablonski laboratory has successfully developed an extended release, bioadhesive topical microemulsion formulation for once daily drug delivery. Using this strategy, they have greatly increased the maximum reduction in IOP achieved with a single dose (39% vs 23%) and increased the time at which the IOP returned to baseline (34hrs vs 6hrs). A thorough characterization demonstrates that their formulation increases diffusion of drug across the cornea, is safe and well tolerated by the eye.

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