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Cyclodetrin-based nanoaggregates for eye drop formulations

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Statement of the Problem: Topical eye drops are the most common and convenient dosage form of the drug to the eye. Nevertheless, due to eye barriers, poor drug absorption and low bioavailability make the development of an optimal formulation a challenge. Different strategies have been proposed to address these problems.

Cyclodextrin-based nanoaggregates have emerged in recent years as a new platform for drug delivery to the anterior and even posterior segment of the eye. Cyclodextrins are natural cyclic oligosaccharides that have the ability to form water-soluble inclusion complexes holding in their cavities lipophilic drug molecules as guests. The purpose of this study was to investigate the effect of three water-soluble polymers (PVP, PVA, and tyloxapol) widely used in eye drops formulations on the solubility of complexes containing a single CD(gamma-CD) or a mixture of CDs(gammaCD/HPBetaCD) and nepafenac as a model drug.

Methodology & Theoretical Orientation: The effect of these polymers on apparent solubility of the complex was analyzed by UHPLC. Moreover, size, osmolarity, and viscosity of these systems were analyzed. Findings: the addition of PVA led to the greatest increase in solubility in both single and mixture CDs. In the case of a single CD, the size was around 163-296 nm but in the case of mixtures of CDs, PVP and tyloxapol showed in some cases a size higher than 1μm. In all cases, the addition of these polymers led to an increase in the viscosity and osmolarity.

Conclusion & Significance: This is the first time that the effect of different polymers on nepafenac/CD complexes using a mixture of CDs have been studied. Results showed that the addition of water-soluble polymers such as PVA, PVP or tyloxapol could be a good strategy for the formulation of eye drops containing nepafenac.

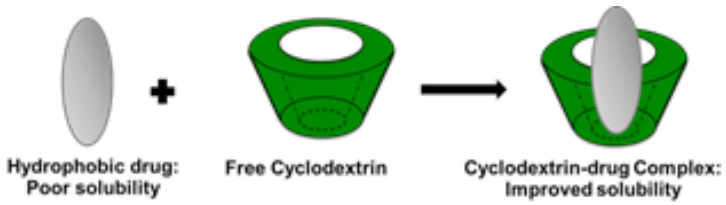

Figure 1. Self-assemble of drug into the CD cavity

Recent Publications

1. Lorenzo-Veiga B, Sigurdsson HH, Loftsson T. Nepafenac-Loaded Cyclodextrin/Polymer Nanoaggregates: A New Approach to Eye Drop Formulation. Materials (Basel, Switzerland). 2019;12(2).
2. Loftsson T, Stefansson E. Cyclodextrins and topical drug delivery to the anterior and posterior segments of the eye. Int J Pharm. 2017;531(2):413-23
3. Conceicao J, Adeoye O, Cabral-Marques HM, Lobo JMS. Cyclodextrins as Drug Carriers in Pharmaceutical Technology: The State of the Art. Current pharmaceutical design. 2018;24(13):1405-33.
4. Jacob S, Nair AB. Cyclodextrin complexes: Perspective from drug delivery and formulation. Drug

development research. 2018;79(5):201-17.

5. Shelley H, Grant M, Smith FT, Abarca EM, Jayachandra Babu R. Improved Ocular Delivery of Nepafenac by Cyclodextrin Complexation. AAPS PharmSciTech. 2018;19(6):2554-63.

Biography

Blanca Lorenzo-Veiga is a PhD student at the Faculty of Pharmaceutical Sciences at the University of Iceland. Currently, she is in the last year of her PhD. She is first author of two articles in high impact factor journals. Her passion is conducting research in novel drug delivery systems and nanotechnology using advanced materials and nanocarriers to design innovative medicines. During her PhD, she had participated in several international conferences. Her main interests included ocular drug deliver and biomaterials. She is member of AAPS and Biomaterials.

She is interested in being part of a pharmaceutical company to expand her research in the previous topics.

Notes: