Title: Rational approach to ophthalmic cationic nanoemulsion development
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Abstract:

Backgrounds: The main drawbacks of topical ocular delivery are the short residence time on ocular surface, excessive systemic absorption and limited corneal epithelial permeability. Cationic nanoemulsions for ocular delivery can provide extended residence time on ocular surface, sustained drug release and enhanced corneal permeability. Aims: The aim of this study is to develop cationic nanoemulsions with potential to improve eye-related bioavailability. Methods: Nanoemulsions were prepared by microfluidization (Model M-110EH-30 Microfluidizer, Microfluidics) using different eye-compatible oils, surfactants, cationic lipids or cationic polymers. Nanoemulsions were characterized in terms of particle size, polydispersity and zeta potential (Zetasizer 3000 HS, Malvern Instruments) and stability. The biocompatibility assessment was performed using corneal epithelial HCE-T cell line. Results: Primary screening revealed design space of formulation (combinations of oils, surfactants and cationic agents) and process parameters that resulted in nanoemulsions with targeted physico-chemical properties: droplet size 50 nm; 300 nm, polydispersity index 0.05-0.2, zeta-potentiel 15 mV; 35 mV. The formulations to be evaluated as ophthalmic drug delivery platform were selected based on stability and biocompatibility assessment. Summary/Conclusion: This screening study revealed the best nanoemulsion candidates to serve as ophthalmic delivery platform for lipophilic drugs. Further direction of the study will include the evaluation of their potential to improve eye-related bioavailability of incorporated drugs.