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Gel in core carbosomes as novel ophthalmic vehicles with enhanced corneal permeation and residence

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Abstract:

Carbopol is a good bio-adhesive polymer that increases the residence time in the eye. However, the effect of blinking and lacrimation still reduce the amount of polymer and the incorporated drug available for bioadhesion. Gel-core liposomes are advanced systems offering benefits making it a good tool for improved ocular drug delivery and residence time. Incorporation of carbopol in gel-core liposomes and their potential in ocular delivery have not so far been investigated. Fluconazole (FLZ) was selected as a challenging important ocular antifungal suffering from poor corneal permeation and short residence time. In this study, gel-core carbosomes have been elaborated as novel carbopol-based ophthalmic vehicles to solve ocular delivery obstacles of FLZ and to sustain its effect. Full in vitro appraisal was performed considering gel-core structure, entrapment efficiency, particle size and stability of the vesicles as quality attributes. Structure elucidation of the nanocarrier was performed using optical, polarizing and transmission electron microscopy before and after Triton-X100 addition. Ex-vivo ocular permeation and in vivo performance were investigated on male albino rabbits. Optimized formulation (CBS5) showed gel-core structure, nanosize (339.00 ± 5.50 nm) and not defined before (62.00% ± 1.73) entrapment efficiency. Cumulative amount of CBS5 permeated ex-vivo after 6 h, was 2.43 and 3.43 folds higher than that of conventional liposomes and FLZ suspension, respectively. In-vivo corneal permeation of CBS5 showed significantly higher AUC0-24 h (487.12 ± 74.80) compared to that of FLZ suspension (204.34 ± 7.46) with longer residence time in the eye lasts for more than 18 h. In conclusion, novel gel-core carbosomes could successfully be used as a promising delivery system for chronic ocular diseases. © 2018 Elsevier B.V.

Reference: