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Proniosomes as a Carrier for Ocular Drug Delivery

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Abstract : Background: Bacterial infections of the eye are the clinical conditions responsible for ocular morbidity and blindness. Conjunctivitis is an inflammation of the conjunctiva, due to Staphylococcus aureus. Lomefloxacin HCl (LXN) is a third generation flouroquinolone antibiotic with a broad spectrum against wide range of bacteria and very effective against Staph infections especially in conjunctiva (conjunctivitis). The present study aims to develop and evaluate novel ocular proniosomal gels of Lomefloxacin Hcl (LXN); in order to improve its ocular bioavailability for the management of bacterial conjunctivitis. Materials and methods: Proniosomes were prepared by coacervation phase separation method using different types of nonionic surfactants (Span 60,40,20,Tween 20,40,60,80,Brij 35,98,72) solely and as mixtures with Span® 60. The formed gels were characterized for entrapment efficiency, vesicle size and in vitro drug release. The optimum proniosomal gel; P-LXN 7 were characterized for pH measurement, transmission electron microscopy (TEM) and differential scanning calorimetry (DSC) as well as Stability study and microbiological evaluation .The results revealed that only Span 60 was able to form stable LXN proniosomal gel when used individually while the other nonionic surfactants formed gels only in combination with Span 60 at different ratios. The optimum proniosomal gel; P-LXN 7 (Span60:Tween60, 9:1) appeared as spherical shaped vesicles having high entrapment efficiency (>80 %), appropriate vesicle size (187 nm) as well as controlled drug release over 12h. DSC confirmed the amorphous nature and the uniformity of LXN inclusion within the vesicles. Physical stability study did not show any significant changes in appearance or entrapment efficiency or vesicle size after storage for 3 months at 4°C. Ocular irritancy test revealed that P-LXN 7 was safe, well tolerable and suitable for ocular delivery. In vivo antibacterial activity of P-LXN 7 evaluated using the susceptibility test and topical therapy of induced ocular conjunctivitis confirmed the enhanced antibacterial therapeutic efficacy of the LXN-proniosomal gel compared to the commercially available LXN eye drops; Orchacin®. Conclusions: Our results suggest that proniosomal gels could provide a promising carrier of LXN for efficient ocular treatment of bacterial conjunctivitis.

Keywords : bacterial conjunctivitis, lomefloxacin HCl, ocular drug delivery, proniosomes
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