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Bioavailability of Dexamethasone from Nonionic Surfactant/Chitosan Micelle System

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The majority of topical ocular preparations available today are in the form of aqueous eye drops. This might be due to existing problems related to ocular drug delivery systems such as cost, bulk manufacturing, and patient compliance. Commercial eye drops are commonly used by patients, due to their ease in usage and low interference with vision. A homogenous dosage solution form offers many industrial advantages including the simplicity of large-scale manufacturing. At the ame time, commercial eye drops are often ineffective and require frequent application. Only 1–5% of the applied drug penetrates the cornea and goes into intraocular tissues [1, 2]. Therefore, the aim of this study was to formulate a polyelectrolyte/surfactant mixture that can maintain the advantage of commercial eye drops while enhancing biopharmaceutical properties i.e., enhanced ocular bioavailability.

Micelle systems composed of the polyoxyethylated nonionic surfactant Pluronic® F127 (F127) and cationic polyelectrolyte chitosan (CH) were prepared with dexamethasone (DEX) as a hydrophobic model drug. The F127/CH micelles were characterised by their hydrodynamic diameter and a zeta-potential ranging between 25.4 and 28.9 nm and +9.3 and +17.6 mV, respectively. The DEX loading was between 0.48% and 0.56%, and no significant influence of CH on DEX loading was observed.

This colloidal carrier was well tolerated in rabbit eyes, and no clinically abnormal signs in various ocular structures were observed. The increase in intraocular pressure (IOP) in rabbits was used to evaluate DEX ocular bioavailability. The AUC values showed a 1.7- and 2.4-fold increase in bioavailability with F127 and F127/0.015 w/v % CH micelle systems, respectively, as compared to a standard DEX suspension. These data indicate improved intraocular DEX absorption from the micelle systems, which can be ascribed to both F127 and CH corneal permeability enhancement.

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