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PRINCETON COLLEGE OF PHARMACY

(Affiliated to JNTUH & Approved by AICTE, PCI, New Delhi)

Chowdaryguda, Korremula (V), Ghatkesar (M), Medchal (Dist.)-500 088

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Ocular Preparations- The Formulation Approach

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ABSTRACT

The main aim of pharmacotherapeutics is the attainment of an effective drug concentration at the intended site of action for a sufficient period of time to elicit the response. A major problem being faced in ocular therapeutics is the attainment of an optimal concentration at the site of action. Poor bioavailability of drugs from ocular dosage forms is mainly due to the tear production, non-productive absorption, transient residence time, and impermeability of corneal epithelium. This article reviews: (1) the barriers that decrease the bioavailability of an ophthalmic drug; (2) the objectives to be considered in producing optimal formulations; and (3) the approaches being used to improve the corneal penetration of a drug molecule and delay its elimination from the eye. The focus of this review is on the recent developments in topical ocular drug delivery systems, the rationale for their use, their drug release mechanism, and the characteristic advantages and limitations of each system. In addition, the review attempts to give various analytical procedures including the animal models and other models required for bioavailability and pharmacokinetic studies. The latter can aid in the design and predictive evaluation of newer delivery systems. The dosage forms are divided into the ones which affect the precorneal parameters, and those that provide a controlled and continuous delivery to the pre- and intraocular tissues. The systems discussed include: (a) the commonly used dosage forms such as gels, viscosity imparting agents, ointments, and aqueous suspensions; (b) the newer concept of penetration enhancers, phase transition systems, use of cyclodextrins to increase solubility of various drugs, vesicular systems, and chemical delivery systems such as the prodrugs; (c) the developed and under-development controlled/continuous drug delivery systems including ocular inserts, collagen shields, ocular films, disposable contact lenses, and other new ophthalmic drug delivery systems; and (d) the newer trends directed towards a combination of drug delivery technologies for improving the therapeutic response of a non-efficacious drug. The fruitful resolution of the above-mentioned technological suggestions can result in a superior dosage form for both topical and intraocular ophthalmic application.