PROS AND CONS OF OCULAR BIO-AVAILABILITY OF DRUGS

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Reaching therapeutic concentrations of antimicrobial agents through oral and topical administration for prophylactic and therapeutic use remains a challenge. Less of 5% of topically applied drugs reach anterior segment. Not more than 50% of drug levels found in the humors of the eye as compared to plasma levels after systemic administration. Maintaining a steady state antimicrobial concentration above MIC90 for most of the ocular pathogens remains elusive. Conventionally, drugs discovered for systemic diseases are developed or extrapolated for ocular use. Rather than optimizing ocular specific drugs, much of emphasis are laid on expensive and cumbersome drug delivery strategies. Ocular penetration of drugs into the humors is restricted after topical and systemic administration by well recognized ocular barriers. In our laboratory, systematic evaluation of ocular penetration studies using techniques like cassette dosing revealed the existence of Quantitative Structure Property Relationship (QSPR) among the congeneric compounds. Modulation of the influx and efflux pump mechanisms in the ocular barriers could be an alternative strategy to increase the intraocular concentration of transporter susceptible compounds. Therefore, rational drug designing strategy for ophthalmic antimicrobial agents should be envisaged. While approving drugs for ocular infections, the criteria of ocular bioavailability must be emphasized. Unlike systemic pharmacokinetic studies, ocular kinetics is different but it can be safely be performed during ophthalmic surgical procedures. A deep understanding about the disease process, presence and positioning of drug transporters and application of molecular dynamic and pharmacological interventions are the possible approaches to improve the ocular bioavailability of drugs.