ABSTRACT

The eye is one of the most delicate and yet most valuable of the sense organs and is a challenging subject for topical administration of drugs. Pharmaceutical preparations such as solutions, suspensions, gels, ointments and ocular inserts, etc. are applied topically to the eye to treat surface of intraocular conditions, including infections of the eye or eyelids. The solutions and suspensions offers the assurance of greater uniformity of dosage and bioavailability, the major disadvantage is their relatively short residence time with the corneal surface. Ocuserts offers extended corneal contact time as well as sustained release, however poor patient acceptance and difficulties in administration led to develop novel system which could combine the ease of administration of liquid forms with the prolonged residence time of inserts. Sol-gel systems are liquid in the container and can be instilled as eye drop but it transforms to gel on contact with tear fluid or cornea and provide increased contact time with the possibility of increased duration of therapeutic effect. In the present research work initially diclofenac sodium sol-gel systems were prepared using carbopols and viscolizers to optimize the parameters, and then timolol maleate sol-gel systems were prepared using optimized concentrations of carbopols and viscolizers. The timolol maleate sol-gel systems were evaluated for viscosity, drug-polymer interaction, drug content uniformity, sterility, in vitro drug release, in vivo evaluation or therapeutic efficacy and ocular safety. The results of the study showed that, carbopol 971p at 0.4% was found to be an ideal in-situ gelling polymer, and hydroxy propyl methyl cellulose at 0.3% was found to be the best viscolizer among others. IR spectral analysis showed the intactness of the drug and there was no drug-polymer interaction. Drug content uniformity studies showed the greater uniformity of dosage, and the preparations passed the sterility test. Antiglaucoma studies revealed that the designed formulation was ideal in the treatment and was found to be free from eye irritation.

Keywords: Timolol maleate; Carbopol; Viscolizer; Sol-gel system; Intraocular pressure.