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Improving the ophthalmic bioavailability of steroidal anti-inflammatory drugs

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A huge amount of the ophthalmic formulations on the market are eye drops and ointments thanks to their simple administration. Unfortunately, these formulations have very low bioavailability. Steroidal anti-inflammatory drugs are lipophilic drugs; they dissolve very poorly in water. The water solubility can be improved with cyclodextrins (CD).

The aim of this work was to combine the advantages of CD and a mucoadhesive thiolated polymer, thiolated poly(aspartic acid) (PASP-CEA) by the chemical immobilization of CD onto PASP-CEA. The formation of the CD-drug complex in the gels was analyzed by X-ray powder diffraction. The ocular applicability of the polymer was characterized by means of physicochemical, rheological and drug diffusion tests. Osmolality, refractive index, and pH were measured in aqueous solutions of PASP-CEA and PASP-CEA-CD [1].

The X-Ray diffractogram of the formulations showed an amorphous pattern. The osmolality, pH and refractive index of the polymer solution confirmed the ocular acceptability of the formulations. During the rheological investigations, the PASP-CEA solution displayed a fast solution-to-gel transition in the presence of an oxidant. The immobilization of MABCD on the polymers did not hinder the gelation process. The complexation of PR with CDs improves PR solubility in aqueous medium. The complexes diffuse in the formulation and can carry the PR molecules through the aqueous mucin layer [2]. The PASP-CEA-CD-PR complex prolonged the drug diffusion through synthetic and improved it through amniotic membrane [3].

References

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