Solid dosage forms based on polysaccharides for mucosal drug delivery

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Background

Polysaccharides showed their versatility for realizing a variety of formulations for mucosal drug delivery. In our experience we evaluated the use of different biodegradable polymers, such as chitosan, alginate and pectins, for obtaining delivery systems aimed at improving and controlling drug release through intestinal, buccal and vaginal mucosa. Moreover, based on our extensive experience on cyclodextrin complexation, we considered it worthy of interest to develop novel formulations by simultaneously exploiting both mucoadhesive, biodegradable and biocompatible properties of polysaccharides, and cyclodextrin complexation for modifying drug solubility and optimizing its release rate.

Main Results

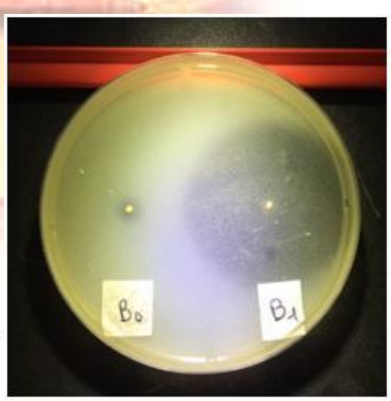
Ca-Pectinate-Chitosan beads aimed for colon delivery, obtained by ionotropic gelation, proved their performance in entrapping hydrophilic and lipophilic drugs. The selective biodegradation of pectin enabled to target drugs to the colon mucosa, while the mucoadhesive-enhancer properties of chitosan allowed to increase the in situ residence time of beads, and to improve drug permeation across the mucosa (1).

Chitosan and pectins were also successfully employed for realizing films and patches for buccal delivery. Chitosan proved its versatility for obtaining films, loaded with hydrophilic and lipophilic drugs, endowed with suitable mucoadhesive and swelling properties. Triclosan-loaded pectin patches showed their good therapeutic efficacy in eradication of *Streptococcus mutans* from the oral cavity. The use of suitable cyclodextrins allowed to optimize drug release, by opportunely tuning drug solubility (2).

Clonazepam buccal tablets were developed to provide a prolonged local or systemic delivery. Among the tested polymers, poloxamer/chitosan 70:30 w/w mixtures showed high mucoadhesion power, low erosion, proper swelling properties, and provided the best drug release rate from tablets. Cyclodextrin complexation enabled to improve drug release rate and permeation properties from buccal tablets for local or systemic action, respectively (3).

Future Perspective

We recently focused our studies to develop innovative vaginal formulations. Several kinds of beads loaded with metronidazole or cefixima were realized, using chitosan, alginate and pectin with different crosslinking agents, and suitably characterized to select the best formulations. Preliminary results indicated that alginate beads coated with chitosan showed the best morphology, mucoadhesion, entrapment efficiency and drug release rate properties. In vitro bacterial inhibition studies evidenced the good performance of this formulation, thus confirming its suitability for the treatment of local vaginal diseases.



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