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Research paper

Comparative evaluation of interpolyelectrolyte complexes of chitosan with Eudragit® L100 and Eudragit® L100-55 as potential carriers for oral controlled drug delivery

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Abstract

With a view to the application in oral controlled drug delivery systems, the formation of interpolyelectrolyte complexes (IPEC) between chitosan (CS) and Eudragit® L100 (L100) or Eudragit® L100-55 (L100-55) was investigated at pH 6.0, using elementary analysis. The interaction or binding ratio of a unit molecule of CS with Eudragit® L copolymers depends on the molecular weight of CS, and changes from 1:0.85 to 1:1.22 ($1.17 < \varphi < 0.82$) for L100 and from 1:1.69 to 1:1.26 ($0.60 < \varphi < 0.79$) for L100-55, respectively. Based on the results of FT-IR, the structure of the IPECs can change substantially as a function of pH (from 5.8 till 7.4). Swelling behavior of physical mixtures (PM) is definitely different, and potential interactions between the two polyelectrolytes were not observed. The release of the model drug diclofenac sodium (DS) was significantly delayed from tablets made up of the IPEC and can be modified by two ways: choosing Eudragit® L copolymer types and/or changing the molecular weight of CS in the IPECs composition.

Keywords: Interpolyelectrolyte complex; Eudragit® L100; Eudragit® L100-55; Chitosan; Infrared spectroscopy; Elementary analysis; Diclofenac sodium; Oral controlled drug delivery

1. Introduction

Modification of the properties of a polymer can be obtained by copolymerisation or derivatisation, a strategy that was successfully applied in the past. However, the major drawback of this approach is that new chemical entities are introduced with an unknown toxicological profile. Before these products can be evaluated in animals and also in human clinical trials, a lot of time and resources must be spent to safety evaluation. A sound approach to overcome this problem is the physical modification of the polymer, rather than the chemical. In this respect interpolyelectrolyte complexes (IPEC) may provide a valuable tool to design drug delivery systems with specific physicochemical properties.

IPEC with polysaccharides, obtained as precipitates on mixing cationic with anionic polymers in aqueous solutions, have been reported previously, mostly with participation of chitosan (CS) as polycation. The advantages

Abbreviations: IPEC, interpolyelectrolyte complex; CS, chitosan; CS_{LW}, low molecular weight chitosan; CS_{MW}, medium molecular weight chitosan; CS_{HW}, high molecular weight chitosan; MW, molecular weight; L100, Eudragit® L100; L100-55, Eudragit® L100-55; PM, physical mixture of the polymers; DS, diclofenac sodium; SIT, simulated the intestinal tract; GIT, gastro-intestinal tract.

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