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European Journal of Pharmaceutics and Biopharmaceutics 63 (2006) 26–36
European Journal of Pharmaceutics and Biopharmaceutics
www.elsevier.com/locate/ejpb

Research paper

Physicochemical characterization and drug release properties of Eudragit® E PO/Eudragit® L 100-55 interpolyelectrolyte complexes

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Received 1 July 2005; accepted in revised form 10 October 2005
Available online 27 December 2005

Abstract

The formation of interpolyelectrolyte complexes (IPEC) between Eudragit® E PO (EE) and Eudragit® L 100-55 (EL) was investigated, using turbidimetry, apparent viscosity measurements, elementary analysis and MT-DSC. The structure of the synthesized IPEC was investigated using FT-IR spectroscopy. The binding ratio of a unit molecule of EL with EE was found to be approximately 1:1 at pH 5.5. Based on the results of elementary analysis and FT-IR, the binding ratio of each component in the solid complexes was very close to that observed in turbidity and apparent viscosity measurements and indicate that the synthesized products can be considered as IPEC. As a result of electrostatic interaction between the polymer chains, the glass transition temperature of the IPEC increased significantly. Due to the structure of the IPEC, two maxima were observed in the swelling behavior as a function of pH. The release of the model drug ibuprofen (IBF) was significantly retarded from tablets made up of the IPEC as compared with individual copolymers, its physical mixture and Eudragit® RL PO (RL), RS PO (RS).

Keywords: Interpolyelectrolyte complex; Eudragit® E PO; Eudragit® L 100-55; Eudragit® RL PO; Eudragit® RS PO; Glass transition temperature; pH-dependent swelling behavior; Ibuprofen; Controlled release

1. Introduction

The formation of interpolyelectrolyte complexes (IPEC) by the cooperative reactions involving oppositely charged polyions is well known. Two main classes of interpolymer complexes are distinguished: stoichiometric IPEC, which include the polymers in equimolar ratio and non-stoichiometric IPEC that have an excess amount of one polyelectrolyte. The last one is also called as soluble IPEC because of its hydrophilic properties. Moreover, in the structure of interpolymer complexes, two types of chains can be distinguished: the interacting chains, which belong to both interacting polymers and the loops, which are also called 'defects' of non-interacting chains, that have no reaction capability. A variety of IPEC can be obtained by changing the chemical structure of component polymers, such as molecular weight, flexibility, functional group structure, charge density, hydrophilicity and hydrophobicity balance, stereoregularity and compatibility, as well as reaction conditions: pH, ionic strength, concentration, mixing ratio and temperature [1–5].

According to the theoretical model, a very naïve picture of the 'polycondensation' could be considered as: polyanion sequence effectively complexed by the same polycation macromolecules (intramolecular complexation) or by another polycation macromolecule (intermolecular complexation). The balance intramolecular/intermolecular complexation depends on the relative concentration in polycation sequence in the vicinity of the considered polyanion sequences.

The process of IPEC formation may be divided into three main classes: (1) primary complex formation; (2) formation process within intracomplexes; (3) intercomplex aggregation process. The first step is realized through secondary binding sources such as Coulomb forces (very rapid). The second step involves the formation of new bonds and/or the correction of the distortions of the polymer chains. The third step involves the aggregation of secondary complexes, mainly through hydrophobic interactions [5,6].

The preparation of three types of IPEC has been reported to poly(vinylbenzyltrimethylammonium chloride)–poly(-methacrylic acid) systems [7].

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doi:10.1016/j.ejpb.2005.10.005