Advances in oral controlled drug delivery: the role of drug–polymer and interpolymer non-covalent interactions

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Introduction: After more than four decades of intense research, oral controlled drug delivery systems (DDSs) still represent a topic of major interest for pharmaceutical scientist and formulators. This can be explained in part by considering the economic value of oral DDSs whose market accounts for more than half of the overall drug delivery market. Polymeric systems based on drug–polymer non-covalent interaction represent a limited, but growing part of the field. Despite the large amount of literature and published reviews covering specific aspects, there is still need for a review of the relevant literature providing a general picture of the topic.

Areas covered: The present review aims at presenting the latest findings in drug–polymer and interpolymer non-covalent interactions in oral controlled delivery while providing a specific perspective and a critical point of view, particularly on the tools and methods used for the study of these DDSs. Four main sections are considered: i) interactions between drugs and polymers; ii) interpolymer complexes; iii) hydrogen bond; and iv) hydrophobic interactions.

Expert opinion: The largest part of the scientific literature deals with systems based on drug–polymer ionic interactions while hydrogen bonding and hydrophobic interaction though, very promising, are more difficult to exploit, and therefore less studied. An accurate and exhaustive representation of the specific role of the chemical functions in establishing predictable interactions between drug and polymers is still required.

Keywords: alginate, carrageenan, chitosan, controlled release, drug–polymer interaction, Eudragit, interpolymer complex, oral delivery, polyelectrolyte complex


1. Introduction

The oral route is indubitably the most popular among patients and physician for the administration of drugs; solid dosage forms for oral administration are the most represented in the portfolio of pharmaceutical companies, not only as immediate release, but also as controlled release formulations. Nevertheless, the development of oral drug delivery systems (DDSs) still represents a challenging issue. A dosage form, which is easily ingested by a patient, travels in the gastrointestinal (GI) tract passing through an extremely various environment, that changes from a strong acidic pH in stomach to neutral or slightly basic last part of the intestine, while coming across different digestive enzymes and the resident microflora. This environmental variability may result in a modification of the active pharmaceutical ingredient (API) solubility as well as in different permeability across the intestinal wall. These are two key issues clearly underlined by the biopharmaceutical classification