Commentary

Pharmaceutical BIOPROCESSING

Polymeric Particulates to Improve Oral Bioavailability of Peptide Drugs

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Abstract

Oral administration remains the most convenient way of delivering drugs. Recent advances in biotechnology have produced highly potent new molecules such as peptides, proteins and nucleic acids. Due to their sensitivity to chemical and enzymatic hydrolysis as well as a poor cellular uptake, their oral bioavailability remains very low. Despite sophisticated new delivery systems, the development of a satisfactory oral formulation remains a challenge. g the possible strategies to improve the absorption of drugs, micro- and nanoparticles represent an exciting approach to enhance the uptake and transport of orally administered molecules. Increasing attention has been paid to their potential use as carriers for peptide drugs for oral administration.

Keywords: Nanoparticle• micro particle• oral route• peptide• mechanisms of absorption• protein• insulin• calcitonin.

Introduction

The field of biotechnology have brought a lot of new and potent active compounds. However, the development of these molecules as medicines is largely impaired by the fact that they are not administrable by the oral route. Indeed, the oral one is the most convenient route of administration for both patients and medical staff. However, administering peptide and protein drugs orally is a formidable challenge due to their very short life in the gastric and intestinal fluids [1]. Peptides and proteins are degraded by the enzymes from the gastric and intestinal juices rich in proteases such as trypsin or chymotrypsin. Therefore, they do not reach intact the site of absorption, namely the enterocytes. Furthermore, the brush border and the cytosol of the absorptive cells are full of peptidases that will degrade small peptides resulting from the hydrolysis of the proteins into amino acids that are readily resorbed in the blood. Thus, the first goal to develop an oral formulation for peptide and protein drugs is to reduce or even better to avoid enzyme degradation. Polymeric particles will isolate the encapsulated drug from the external medium therefore protecting the peptide from the peptidases, allowing, then, their uptake by enterocytes [2]. Polymeric particles have been shown to cross the intestinal wall, although only in minute quantities. The size of the particles as well as the nature of the polymer is critical parameters involved in particle uptake by the GI tract. Therefore, this review will first introduce a brief overview of particle preparation methods and the physiology of particle absorption.

Description

Polymeric particles used for drug delivery are defined as colloidal systems made of solid polymers that may be classified according to their size and preparation processes .The term micro particle designates systems larger than 1 µm whereas nanoparticles are submicron particles [3]. Micro and Nano capsules are composed of a polymeric wall containing a liquid inner core where the drug is entrapped while micro and Nano spheres

are made of a solid polymeric matrix in which the drug can be dispersed. Active substances may be either adsorbed at the surface of the polymer or encapsulated within the particle. Particles may be produced by polymerization of synthetic monomers, or dispersion of synthetic polymers or natural macromolecules [4]. The preparation methods have been extensively reviewed in the literature. The physic-chemical properties of particles play a critical role in the rate of absorption by the intestinal tract. Since those properties are greatly influenced by the preparation method, it is useful to have a brief presentation of these techniques; the polymers used to make particles for oral administration are rather diverse. The choice of a preparation method depends greatly on both the nature of the drug and the polymer. The water insoluble monomer is dispersed in an aqueous phase and the polymerization is induced and controlled by addition of a chemical initiator or by variations in physical parameters such as pH or γ-radiation in the presence or the absence of surfactants to stabilize the emulsion. Drugs are entrapped in the polymeric wall when added to the polymerization medium or adsorbed on preformed particles afterwards. An organic solution of the polymer is emulsified in an aqueous solution with or without a surfactant. In a second step, the organic solvent is removed by different methods such as evaporation, diffusion or salting out under stirring to allow particle formation [5]. With these techniques, the drug has to be at least partially soluble in an organic solvent to be encapsulated. This is a major limitation to the encapsulation of hydrophilic compounds such as peptides, proteins or nucleic acids. The primary emulsion is then poured into a large volume of water with or without surfactant. Freeze fracture micrographs of these particles show the alveolar structure specific to this process .The double emulsion technique has fairly good encapsulation efficiency for hydrophilic compounds; however, particle size is usually larger than with single emulsion technique. He drug and the monomer are then dissolved or dispersed in a mixture of ethanol and oil under magnetic stirring into an aqueous phase. Capsules may also be obtained from preformed polymer, based on a desolation process. Regardless of the preparation process, the ultimate physic-chemical properties of the particles are greatly influenced by the experimental conditions of manufacturing. For example, stirring mode and speed greatly influence the particle size and, similarly, the solvent elimination process will affect the hardening process and the final morphology of the particles. Particles are described as crossing either at the level of Payer's patches or through the enterocyte layer. Peyer's patches were for a long time thought as the only site of absorption for particles. This feature raised the rationale of using particulate formulations for the development of mucosal vaccination. The major interest of mucosal is that the resulting immunity will be expressed at the level of all the mucosae independently of where it has been induced. Therefore, the use of an in vitro model would be greatly profitable to the development of new particulate drug carriers for the oral route. Such a model would allow the screening in a systematic manner of the effect of the physicochemical properties of the particles. . Caco-2 cells derived from a colonic cancer cells has been tested for various sorts of particles and looks to be a remarkable tool. though this passage is definitely a crucial issue, it doesn't justify the period of the symptom. The authors urged that the prolonged action may well be because of the retention of a proportion of the mixture system within the digestive tract. In another study, a chronic hypoglycemic result was additionally determined with hormone entrapped in poly (alkyl cyanoacrylate) Nano spheres distributed in AN oily section containing surface-active agent, suggesting that some parts of the nan capsules will act as absorption promoters. Recently, hormone has additionally been encapsulated in water-containing Nano capsules. These nano capsules, distributed in a very biocompatible small emulsion, might facilitate the enteric absorption of the encapsulated hormone when oral administration, as urged by the reduced aldohexose level determined in diabetic rats.

Acknowledgement

None

Conflict of Interest

No conflict of interest

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