Original Research Paper

Improved oral delivery of valsartan from maltodextrin based proniosome powders

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Abstract

Proniosome powders proved to be the potential carriers for efficient oral delivery of lipophilic or amphiphilic drugs. Henceforth, an attempt was made to improve the oral delivery of valsartan by loading into maltodextrin based proniosome powders. The proniosome powders were prepared by varying the ratio of span 60 and cholesterol and evaluated for micromeric properties and the results indicate acceptable flow properties. The formulation containing equimolar ratio of span 60 and cholesterol showed smaller vesicle size, high surface charge and entrapment efficiency. The formation of niosomes and surface morphology of optimized proniosome formulation was studied by optical and scanning electron microscopy, respectively. FT-IR, differential scanning calorimetry, and powder X-ray diffraction studies performed to understand the solid state properties of the drug reveal the absence of chemical interaction, drug transformation from crystalline to amorphous and molecular state. The in vitro dissolution study carried out in both simulated gastric and intestinal fluid demonstrate improved dissolution characteristics compared to pure drug. The augment in permeation enhancement from proniosome formulation across rat intestine suggest the potential of proniosome carriers for improved oral delivery of valsartan.

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1. Introduction

Over the decades oral route is preferred route of administration for most of the drugs. However majority of the newly discovered and existing drugs administered by oral route frequently encounter bioavailability problems due to several reasons like poor dissolution, unpredictable absorption, inter and intra subject variability and lack of dose proportionality [1]. According to BCS classification, the class II drugs have low solubility and their absorption is dissolution rate limited. Several strategies have been adopted for enhancing the dissolution behavior of insoluble drugs by complexation, drug derivatization, solid state manipulation, inclusion of surfactants, increasing the surface area by micronization or nanonization, spray drying and microencapsulation [2–5].

Colloidal particulate drug delivery systems such as liposomes [6] or niosomes [7] are very distinct when compared to conventional dosage forms because the particles can act as the drug containing reservoirs and modify the particle composition or surface to adjust the drug release rate or the affinity for the target site. Niosomes are nonionic surfactant vesicles and can entrap both amphiphilic and hydrophobic solutes [8]. Niosomes proved to be an alternate to liposomes because they pose less chemical stability problems and low cost, but they are associated with problems related to physical stability, such as fusion, aggregation, sedimentation, and leakage on storage.

The proniosome tactic [9,10] ameliorates these problems by using dry, free-flowing product, which is more stable during sterilization and storage. Ease of distribution, transfer, measuring and storage make proniosome a versatile delivery system. Proniosomes are the dry powder formulations containing water-soluble carrier particles coated with surfactant and can be hydrated to form niosomal dispersion on brief agitation in aqueous media. The niosomes formed after dispersion is similar to conventional niosomes and more uniform in size [10]. The solid water-soluble carrier used to coat the surfactant is important because it dictates the formation of niosomes after hydration. Earlier researchers have tried sorbitol for proniosomes however the utility was limited due to its solubility in organic solvents and hence the primary goal of the research in this area is to screen a carrier that can be used in minimal quantity in the final proniosome powder. To avoid these constraints, an attempt was made to use maltodextrin as it possesses porous surface with exceptional high surface area so that high mass ratios of carrier to surfactant can be loaded. The method for preparation of proniosomes includes slurry method and the spraying of surfactant on water-soluble carrier particles [10]. Several studies have been reported which prove the utility of oral proniosomal powders in providing the enhanced solubility and bioavailability for poorly soluble drugs [11].

Valsartan is an orally effective angiotensin II receptor antagonist with particularly high affinity for the type I (AT1) angiotensin