Abstract

Title

Preparation and physicochemical characterization of chitosan-coated Solid lipid nanoparticles (SLN) system for oral drug delivery of tacrolimus

Introduction

Tacrolimus is a potent immune system inhibitor used to prevent organ rejection after organ transplantation. Oral administration of tacrolimus is due to the fact that the oral method is used because of its convenience and better acceptance for patients who need this drug frequently. This procedure has a number of limitations, such as small and variable bioavailability, the effect of the first hepatic transit, the narrow treatment window, large changes in pharmacokinetic properties in the patient's body, and low solubility. One of the best ways to overcome these limitations is to use nanoparticles for tacrolimus drug delivery. Our aim in this study was to use solid lipid nanoparticles with chitosanic coating to improve the oral drug delivery of tacrolimus and to investigate its effects.

Methods

To determine the amount of tacrolimus using dilution, different concentrations of the drug were prepared and their absorption was measured by using uv-vis spectrophotometer at 245 nm. The ultraviolet absorption calibration diagram of the drug was prepared and then this graph was used to determine the amount of unknown samples. Solid lipid nanoparticles containing tacrolimus were then prepared using a solvent diffusion method. Finally, the uptake of the samples was measured using a uv-vis spectrophotometer at a wavelength of 245 nm. Then, SLNs were used to evaluate solid lipid nanoparticles, to calculate drug loading indices in nanoparticles, tacrolimus release pattern from SLNs, FTIR (Fourier Transform Infrared Spectrometer), to measure the size, shape, morphological characteristics of particles and SLNs thermal analysis.

Results

According to the present study, the nanoparticles were produced with an average size of 50-100 nm and were spherical in shape. The drug entrapment efficiency was 97.29% and the drug loading in SLNs was 13.33%. The results of electron microscopy confirm the spherical and nanoparticle nature of the carrier. Evaluation of nanoparticles obtained by FTIR and TGA analysis indicates no chemical interaction between the carrier used and the drug used. In addition, the coating structure of chitosan on the surface of SLN nanoparticles and the formation of amide bond between chitosan and stearic

acid are confirmed by these analyzes. The drug release pattern was biphasic, and the coated SLNs with chitosan had a slower and more controlled release.

Conclusion

According to the results of this study, coated SLNs with chitosan had a slower and more controlled release. Based on the results of this study, solid lipid nanoparticles composed of stearic acid lipid are suitable carriers for tacrolimus.

Keywords

Tacrolimus, nanotechnology, SLN solid lipid nanoparticles, chitosan, oral drug delivery, solvent diffusion