World Academy of Science, Engineering and Technology
International Journal of Pharmacological and Pharmaceutical Sciences
Vol:8, No:03, 2014

Fexofenadine Hydrochloride Orodispersisble Tablets: Formulation and in vitro/in vivo Evaluation in Healthy Human Volunteers

Authors : Soad Ali Yehia, Mohamed Shafik El-Ridi, Mina Ibrahim Tadros, Nolwa Gamal El-Sherif

Abstract : Fexofenadine hydrochloride (FXD) is a slightly soluble, bitter-tasting, drug having an oral bioavailability of 35%. The maximum plasma concentration is reached 2.6 hours (Tmax) post-dose. The current work aimed to develop taste-masked FXD orodispersible tablets (ODTs) to increase extent of drug absorption and reduce Tmax. Taste masking was achieved via solid dispersion (SD) with chitosan (CS) or sodium alginate (ALG). FT-IR, DSC and XRD were performed to identify physicochemical interactions and FXD crystallinity. Taste-masked FXD-ODTs were developed via addition of superdisintegrants (crosscarmelose sodium or sodium starch glycolate, 5% and 10%, w/w) or sublimable agents (camphor, menthol or thymol; 10% and 20%, w/w) to FXD-SDs. ODTs were evaluated for weight variation, drug-content, friability, wetting time, disintegration time and drug release. Camphor-based (20%, w/w) FXD-ODT (F12) was optimized (F23) by incorporation of a more hydrophilic lubricant, sodium stearyl fumarate (Pruv®). The topography of the latter formula was examined via scanning electron microscopy (SEM). The in vivo estimation of FXD pharmacokinetics, relative to Allegra® tablets, was evaluated in healthy human volunteers. Based on the gustatory sensation test in healthy volunteers, FXD:CS (1:1) and FXD:ALG (1:0.5) SDs were selected. Taste-masked FXD-ODTs had appropriate physicochemical properties and showed short wetting and disintegration times. Drug release profiles of F23 and phenylalanine-containing Allegra® ODT were similar (f2 = 96) showing a complete release in two minutes. SEM micrographs revealed pores following camphor sublimation. Compared to Allegra® tablets, pharmacokinetic studies in healthy volunteers proved F23 ability to increase extent of FXD absorption (14%) and reduce Tmax to 1.83 h.

Keywords : fexofenadine hydrochloride, taste masking, chitosan, orodispersible
Conference Title : ICBPS 2014 : International Conference on Biomedicine and Pharmaceutical Sciences
Conference Location : Madrid, Spain
Conference Dates : March 27-28, 2014