
Shahinaze A. Fouada, Rehab N. Shammab, Emad B. Basaliousb, Mohamed A. El-Nabarawib and Saadia A. Tayelb
Ahram Canadian University, Egypt

Dapoxetine (D) suffers from poor oral bioavailability (42%) due to extensive first pass metabolism. The usefulness of transmucosal (sublingual and intranasal) drug delivery to improve bioavailability of D, a weak basic drug, has been hampered by its poor solubility in the neutral pH of the body fluids. In this study, instantly-soluble transmucosal matrices (ISTMs) of D, containing dual mechanism solubilizer (Pluronic F-127/citric acid mixture), were prepared by lyophilization technique to enhance matrix disintegration, dissolution and transmucosal permeation. The matrices were evaluated for in-vitro disintegration, wetting time, in-vitro dissolution, ex vivo transmucosal permeation, scanning electron microscopy and in-vivo studies. Dissolution studies confirmed the higher ability of ISTMs to enhance the early time point dissolution and maintain complete drug dissolution in pH 6.8 compared to conventional lyophilized matrices. The optimized ISTM gave approximately 77.54 and 88.40 folds increase of D dissolution after 1 and 3 min relative to the drug powder in pH 6.8. ISTMs containing the highest F127 concentration (2%) and the lowest gelatin and mannitol concentrations (1%) exhibited the shortest in-vitro disintegration times (<10 s), the fastest dissolution in the neutral pH of body fluids (= 99% in 3 min) and the highest enhancement of transmucosal permeation. The relative bioavailabilities of D after sublingual and intranasal administration of ISTMs to rabbits were about 124.58% and 611.15%, respectively, in comparison to the oral market tablet. The significant increase of drug dissolution in nasal fluids, rapid permeation rate together with the improved bioavailability propose that ISTMs could be promising for intranasal delivery of drugs suffering from oral hepatic metabolism and have limited solubility in nasal fluids.

Biography

Shahinaze Amry was graduated from the Faculty of Pharmacy, Cairo University. She has completed her Master of Sciences degree in 2013 from Cairo University, Egypt. She is an assistant lecturer and PHD student in the Department of Pharmaceutics and Industrial Pharmacy, Ahram Canadian University. She published two papers in the International Journal of Pharmaceutics and presented posters in many international conferences, one of which is the AAPS in November 2013, in Texas, USA.

shahinazeamry9@gmail.com

Notes: