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Development of Agomelatine Loaded Proliposomal Powders for Improved Intestinal Permeation: Effect of Surface Charge

Authors : Rajasekhar Reddy Poonuru, Anusha Parnem

Abstract : Purpose: To formulate proliposome powder of agomelatine, an antipsychotic drug, and to evaluate physicochemical, in vitro characters and effect of surface charge on ex vivo intestinal permeation. Methods: Film deposition technique was employed to develop proliposomal powders of agomelatin with varying molar ratios of lipid Hydro Soy PC L-α-phosphatidylcholine (HSPC) and cholesterol with fixed sum of drug. With the aim to derive free flowing and stable proliposome powder, fluid retention potential of various carriers was examined. Liposome formation and number of vesicles formed for per mm3 up on hydration, vesicle size, and entrapment efficiency was assessed to deduce an optimized formulation. Sodium cholate added to optimized formulation to induce surface charge on formed vesicles. Solid-state characterization (FTIR, DSC, and XRD) was performed with the intention to assess native crystalline and chemical behavior of drug. The in vitro dissolution test of optimized formulation along with pure drug was evaluated to estimate dissolution efficiency (DE) and relative dissolution rate (RDR). Effective permeability co-efficient (Peff(rat)) in rat and enhancement ratio (ER) of drug from formulation and pure drug dispersion were calculated from ex vivo permeation studies in rat ileum. Results: Proliposomal powder formulated with equimolar ratio of HSPC and cholesterol ensued in higher no. of vesicles (3.95) with 90% drug entrapment up on hydration. Neusilin UFL2 was elected as carrier because of its high fluid retention potential (4.5) and good flow properties. Proliposome powder exhibited augmentation in DE (60.3 ±3.34) and RDR (21.2±01.02) of agomelation over pure drug. Solid state characterization studies demonstrated the transformation of native crystalline form of drug to amorphous and/or molecular state, which was in correlation with results obtained from in vitro dissolution test. The elevated Peff(rat) of 46.5×10-4 cm/sec and ER of 2.65 of drug from charge induced proliposome formulation with respect to pure drug dispersion was assessed from ex vivo intestinal permeation studies executed in ileum of wistar rats. Conclusion: Improved physicochemical characters and ex vivo intestinal permeation of drug from charge induced proliposome powder with Neusilin UFL2 unravels the potentiality of this system in enhancing oral delivery of agomelatin.

Keywords : agomelatin, proliposome, sodium cholate, neusilin
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