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Oral transmucosal delivery of atorvastatin calcium to prevent first pass metabolism

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Introduction: Poor solubility, high molecular weight, log P of atorvastatin calcium are limiting factors for its absorption across oral mucosa that is necessary to prevent its first pass metabolism and increase its bioavailability.

Purpose: To enhance the oral transmucosal delivery of the drug via buccal or sublingual absorption using different permeation enhancers to improve its bioavailability.

Method: (a) Tissue Preparation: Porcine buccal tissue was obtained from a local ranch immediately after the pigs were slaughtered. Buccal epithelium was separated from the underlying connective tissue by trimming the latter to a thickness of 500±50µm. This thickness corresponds to buccal epithelial thickness, which contributes to the diffusional barrier. Permeation studies were initiated within 2h of isolating the buccal tissue. (b) Permeation enhancer used: Dioctyl sodium sulfosuccinate, bile salts, Sorbitol, Ethanol, PEG400. *In-vitro* permeation studies were conducted using Franz diffusion cell. The tissue was mounted between donor and receiver chambers followed by equilibration with simulated saliva and (phosphate buffer pH 7.4 in the receiver chamber) for 30min. After the equilibration period, 1ml of drug solution and 0.5 ml of permeation enhancer solution was added to the donor chamber and 4.5ml (capacity) of phosphate buffer pH7.4 in the receptor compartment. The donor and receiver contents were stirred with magnetic stir bars to minimize unstirred water layers in the vicinity of the mucosal barrier. 0.5ml of the sample was withdrawn from the receiver chamber at 15min, 30min, 45min, 60min, 90min, and 120min and replaced with fresh phosphate buffer to maintain sink condition and analyzed for drug content.

Conclusion: It was observed that permeability increased with all enhancers however the effect was more prominent with docusate sodium. It shows an enhancement ratio of 1.94 as compared to the plain drug. Therefore it can be concluded that using the various permeation enhancers Atorvastatin calcium can be delivered efficiently via the oral transmucosal route to enhance its bioavailability

Biography

Preeti Doshi is having a total experience of 9 +years I have acquired a good knowledge and Technical skills in diversified areas of Pharmaceutical Industry. I am working as Senior research scientist in Pharmaceutical company executing product development for regulatory markets (US, EU, WHO, PEPFAR). Currently I am also pursuing PhD in Pharmaceutics.

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