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Potential Mucoadhesive Dosage Form of Lidocaine Hydrochloride: II. In Vitro and In Vivo Evaluation

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ABSTRACT The aim of this study was to develop a controlled release buccal mucoadhesive delivery system for systemic delivery of lidocaine hydrochloride as a model drug. In vitro release and buccal permeation as well as in vivo permeation of LDHCL patches were evaluated. The drug release and the permeability of the drug through porcine buccal mucosa were evaluated using Franz diffusion cell. In vivo evaluation of patches was carried out on rabbits as an animal model.

Patches were designed in two fashions, bi-layer (BLP; LDHCL, carbopol, glycerin, pentration enhancer, and Tween 20 as the first layer; and EVA as the second layer) and triple layer (TLP; LDHCL, carbopol and glycerin as the first layer; carbopol, glycerin, pentration enhancer and pluronic F-127 as the middle layer; and EVA as the third layer) patches, respectively.

Presence of oleic acid as PE in the formulation significantly enhanced the in vitro permeability of LDHCL ($p < 0.05$), while propylene glycol monolaurate as PE suppressed it ($p < 0.05$). The in vivo evaluation in rabbits showed that TLP had significantly higher C_{max} and AUC_{0-8} ($p < 0.05$) than BLP. Furthermore, TLP showed a well-controlled drug plasma concentration over 6 hr which was significantly longer than BLP ($p < 0.05$). Patches were well adhered to buccal mucosa of the rabbits over the 8-hr study period. It was postulated that the hypothetical release mechanism of the drug and oleic acid from TLP was controlled by their diffusion through the swollen polymer network and micelled gel.

KEYWORDS Lidocaine hydrochloride, buccal patch, in vitro release, permeability, carbopol

INTRODUCTION

Transmucosal drug delivery has unique characteristics not easily obtained with other routes, like sustained release of the drug, and rapid decline in the serum concentration of the drug when the transmucosal patch is removed. Transmucosal delivery appears to have low inter-subject variability, particularly in comparison with oral controlled release formulation, and a significantly faster initiation and decline of delivery than do transdermal patches

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