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Formulation and in vitro Evaluation of Transdermal Delivery of Articaine

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Abstract : The objective of this study is to formulate different topical preparations containing articaine and to investigate their permeation through goat skin. Initially, articaine and its hydrochloride salt were compared for in vitro permeation using Franz cell model. Goat skin samples were collected after euthanizing male goat kids purchased from the dairy goat farmers. Subcutaneous fat was removed and the skin was mounted on the donor chamber (orifice area 1.00 cm^2) and drugs were applied onto the epidermis. Phosphate buffer saline (pH 7.4) was used to maintain sink condition in the receptor chamber (8 ml) of the Franz cell. Samples (0.4 ml) were collected at various intervals over 24 hours after each sampling equal volume of PBS was replaced in the receptor chamber. Articaine in the collected samples were quantified using LC/MS. The results suggested that articaine free base permeates better than its hydrochloride salt through goat skin. This study results support the fact that local anesthetics in its base form are lipophilic and thus penetrates faster through cell membranes than their salts. Later, articaine free base was formulated either using ethanol and octyl salicylate or dimethyl sulfoxide (DMSO) as penetration enhancers and was compared for in vitro permeation. The transdermal flux of articaine in the formulation containing DMSO was approximately 3.8 times higher than that of the formulation containing ethanol and octyl salicylate. Further studies to evaluate the local anesthetic efficacy of the topical formulation containing articaine for dermal anesthesia in animals have been planned.

Keywords : articaine, dermal anesthesia, local anesthetic, transdermal
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