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Novel bicephalous lipids for transdermal permeation enhancement of tenofovir

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This study was aimed at exploring the potential of unsaturated fatty acids (UFAs) [palmitoleic (PA), linoleic (LA), linolenic (LLA) and arachidonic acid (AA)] and their newly synthesized dendritic esters [PA1E, LA1E, LLA1E and AA1E] having basic tertiary nitrogen as the branching element as transdermal permeation enhancers for the delivery of tenofovir. The structures of the derivatives were confirmed by FTIR, NMR (¹H and ¹³C) and HRMS. The *in vitro* cytotoxicity study revealed their biocompatibility. Amongst the UFAs, only PA and LLA exhibited transdermal enhancer potential [enhancement ratio (ER) of 1.35 and 2.9 respectively]. All synthesized derivatives at 1% w/w were found to be more effective enhancers as compared to their parent UFAs, with LLA1E being identified as the most superior (ER=5.31). Further, the concentration effect study revealed that at 2% w/w LLA1E had a greater ER (6.11) as compared to its parent (ER=3.85). The permeability data correlated with the observations made in the histomorphological and transepithelial electrical resistance (TEER) evaluations. There was no significant loss in the integrity of the epidermis, transcellular and intercellular route of transport across the epidermis, with drug and enhancer treatment having no permanent damage on the epidermis. The novel dendritic ester derivatives of the UFAs therefore can be considered as effective transdermal permeation enhancers.

Biography

Sanjeev Rambharose has completed his Masters from University of KwaZulu-Natal (UKZN) and currently pursuing his PhD in Pharmaceutics in the Discipline of Pharmaceutical Sciences (UKZN). His research interest is novel delivery strategies for antiretroviral drugs.

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