

Transdermal delivery of raloxifene HCl via ethosomal system: Formulation, advanced characterizations and pharmacokinetic evaluation

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ABSTRACT

Raloxifene HCl belongs to a class of selective estrogen receptor modulators (SERMs) which is used for the management of breast cancer. The major problem reported with raloxifene is its poor bioavailability which is only up to 2%. The main objective of the present work was to formulate raloxifene loaded ethosomal preparation for transdermal application and compare it with an oral formulation of the drug. Five ethosomal formulations with different concentrations of ethanol and a conventional liposomes formulation were prepared by rotary evaporation method. The prepared systems were characterised by high resolution transmission electron microscopy (HRTEM), force emission electron microscopy (FESEM), atomic force microscopy (AFM), X-ray diffraction (XRD) and ³¹P NMR study. All these advanced characterization study established that the ethosome formulation was well defined by its size, shape and its bilayer formation. Transdermal flux of the optimized ethosome formulation was $22.14 \pm 0.83 \mu\text{g}/\text{ml}/\text{cm}^2$ which was 21 times higher when compared to the conventional liposomes. Confocal microscopy study revealed an enhanced permeation of coumarin-6 dye loaded ethosomes to much deeper layers of skin when compared with conventional liposomes. The gel was found to be pseudoplastic with elastic behaviour. *In-vivo* studies on rats showed a higher bioavailability of RXL (157% times) for ethosomal formulation when compared with the oral formulation. In conclusion, RXL loaded ethosomal formulation via transdermal route showed superior drug delivery properties as compared to oral formulation.