Synopsis of Original Research Paper

Polymeric Transdermal Drug Penetration Enhancer: Preparation and Enhancing Property of Siloxane Compounds Containing a Sugar Moiety

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Polydimethylsiloxanes containing aβ-D-glucopiranosyl group at one chain end (Glc-PSn) with various molecular weights were prepared to develop a silicone-based polymeric transdermal penetration enhancer. Glc-PSn was prepared by hydrosilylation of hydrosilyl-terminated polydimethylsiloxane (PDMS) with 1-allyl-β-D-glucose tetraacetate in the presence of bis(benzonitrile)platinum dichloride as the catalyst, followed by hydrolysis of the acetyl groups with sodium methoxide. The enhancing activity in the drug penetration was evaluated by *in vitro* experiments using a two-chamber diffusion cell. Antipyrine was used as a model drug, and the amount of drug permeated through the rat abdominal skin with or without Glc-PSn was determined by HPLC. These compounds were effective for the penetration of antipyrine. The enhancing activities were influenced by the chain length of PDMS component and by the concentration of Glc-PSn coexisted. The enhancing activity was also observed by the pretreatment of the skin with Glc-PSn before the drug permeation. It was also found that the enhancing activity was due to an increase of the partition coefficient of a drug into the *stratum corneum*, from the determination of kinetic parameters in the drug permeation. On the other hand, 1-(3-β-D-glucopiranosylpropyl)-3-alkyl-1,1,3,3-tetramethyldisiloxane (Glc-SiCn, alkyl = octyl, decyl or dodecyl) was prepared according to the similar method, which exhibited the excellent enhancing activity for the drug penetration through the skin. In addition, no or slight irritation to the skin was confirmed for these siloxane compounds by Draize test.