Percutaneous-Penetration-Enhancing Mechanisms of Siloxane Compounds Containing Glucopyranosyl Group

by

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Abstract

We have investigated the percutaneous-penetration-enhancing mechanisms of siloxane compounds containing a glucopyranosyl group with various siloxane chain lengths, based on experiments on skin penetration of drugs, effects of cholesterol extraction from the skin and X-ray diffraction. The results of these experiments suggest that the mechanisms of each enhancer are different. The compound with a long siloxane chain increased the partition of drugs into the *stratum corneum* (*SC*) through the alteration of skin lipophylicity by adsorption onto the surface without itself penetrating into the *SC*. The compound with a short siloxane chain also increased the partition of drugs into the *SC*, although some of the compound penetrated into the *SC* and extended the hydrophilic pathway between the lipid layers in *SC*. The compound with disiloxane and alkyl groups increased the partition of drugs into the *SC* as a result of a defatting effect and penetrated into the *SC*, which resulted in the increase in the degree of the diffusion of drugs. Furthermore, the percutaneous-penetration enhancement mechanisms of each siloxane enhancer were different from that of oleic acid, which is a typical low-molecular-weight enhancer.

Keywords: *Percutaneous penetration enhancer, Enhancing mechanism, Oligodimethylsiloxane, Disiloxane compound, Glucopyranosyl group*

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