

EFFECT OF PYRROLIDONE DERIVATIVES ON MULTILAMELLAR LIPOSOMES OF STRATUM CORNEUM LIPID: A STUDY BY UV SPECTROSCOPY AND DIFFERENTIAL SCANNING CALORIMERY.

Myo Sook Hong^o and Chong-Kook Kim,

College of Pharmacy, Seoul National University, Seoul 151-742, Korea

In order to elucidate the mechanism of action of transdermal absorption-enhancing compounds, i.e., pyrrolidone derivatives (2-pyrrolidone, 1-methyl-2-pyrrolidone, 1-ethyl-2-pyrrolidone, 1,5-dimethyl-pyrrolidone and 5-methyl-2-pyrrolidone), multilamellar liposome was prepared from the simulated stratum corneum lipid and employed as a model system for the barrier function of the stratum corneum. The liposomal membrane of the stratum corneum lipid liposome (SCLL) behaves as an osmometer and has an excellent barrier function. In addition, its phase transition temperatures are similar to those of human stratum corneum intercellular lipid region. Therefore, SCLL seems to be a useful skin model. To estimate the barrier function of SCLL, the osmotic behavior of SCLL was measured in the presence of pyrrolidone derivatives and the effect on the phase transition temperature of SCLL was also investigated using differential calorimetry. Above a certain concentration (MLAC), enhances perturb the barrier function of the liposome. The relationship between MLACs and the partition coefficient of the pyrrolidone derivatives was observed; the greater the partition coefficients, the smaller the MLAC. This suggests that the more hydrophobic enhancers penetrate into the lipid layer more easily and reduce the barrier function of membrane more effectively. The results of differential scanning thermograms of the SCLL suggest that the pyrrolidone derivatives had incorporated into the lipid layer in the liposome and increased the fluidity of the lipid layer in the liposome. Such activity might have some correlation with the transdermal absorption-enhancing activity these compounds.