Synopsis of Original Research Paper

Investigation of the effect of differences in physical properties of nanoparticles on the interaction between nanoparticles and the stratum corneum using X-ray diffraction

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We have developed drug loaded nanoparticle formulations for the improvement of drug permeation across the skin. Recently, we have investigated drug permeation mechanism across the skin by synchrotron X-ray diffraction. In this study, phospholipid nanoparticles (PNs) containing vitamin C, 3-O-cetyl ascorbic acid (VCCE) were examined. Tocopherol acetate (TA) and sodium cholate (SC) were also loaded in PN formulations as model drug and charge inducer at a molar ratio of 20/80/5/6 (VCCE/Soya PC/SC/TA). Glycerol (GL) or diglycerol (DG) were also added to improve the skin accumulation of TA. Three TA loaded PNs (TA-PNs) were evaluated using a dynamic light scattering, Nuclear Magnetic Resonance (NMR), Transmission Electron Microscope (TEM), skin accumulation test for TA, and small-angle X-ray diffraction (SAXD) analysis. TA-PN formulations (150 nm) were stable for two weeks and they encapsulated 1.8 mg/mL of TA. TEM and SAXD analysis revealed that the nanoparticles formed a spherical multilayer structure. ^{1}H-NMR spectra indicated that GL and DG enhanced the proton mobility of choline groups of soya PC molecules located on the membrane surface of TA-PNs. TA accumulation in the dermis was increased by adding GL and DG. SAXD analysis revealed that GL and DG promoted the formation of new lamellar structures on the stratum corneum, which contributed to improving the skin accumulation of TA.