Lipid nanoparticles as vehicles for topical psoralen

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摘要

Abstract

Solid lipid nanoparticles (SLN) were developed by using Precirol ATO 5 as the solid core of the particles for topical psoralen delivery. Nanostructured lipid carriers (NLC) consisting of Precirol and squalene, a liquid lipid, were also prepared for comparison. SLN and NLC showed respective mean particle sizes of approximately 300 and 200nm, respectively. Viscosity, polarity, and differential scanning calorimetry (DSC) studies were performed to characterize the physicochemical properties of the SLN and NLC. The viscosity of all nanoparticulate systems exhibited Newtonian behavior except the NLC with Tween 80 and soybean phospholipids as the emulsifiers (NLC-Tw). According to the DSC thermograms, the melting peak of Precirol shifted from 58 to 55 degrees C after incorporating squalene into the solid lipid cores (of NLC), which suggests defects in the crystalline lattice of the lipid cores and smaller particle sizes. Three psoralen derivatives for psoriasis treatments were loaded in SLN and NLC to examine their ability to permeate skin. The permeability of psoralens increased in the order of 8-methoxypsoralen (8-MOP)>5-methoxypsoralen (5-MOP)>4,5,8-trimethylpsoralen (TMP). Enhanced permeation and controlled release of psoralen delivery were both achieved using the NLC. The in vitro permeation results showed that NLC-Tw increased the 8-MOP flux 2.8 times over that of a conventional emulsion. Hyperproliferative or psoriasis-like skin produced by repeated strippings in the dorsal skin of nude mouse was also used as a permeation barrier. The results showed that the entrapment of 8-MOP in nanoparticulate systems could minimize the permeation differentiation between normal and hyperproliferative skin compared to the free drug in an aqueous control.