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Development of an imiquimod loaded Nanostructured Lipid Carrier (NLC) based gel formulation for topical delivery

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Nanostructured Lipid Carrier (NLC)-based topical gel of Imiquimod was formulated with the aim of faster onset yet prolonged action for the treatment of actinic keratosis, genital warts, basal cell carcinoma, and superficial squamous cell carcinoma. Imi-NLCs were prepared by the method of emulsion evaporation-solidification at low temperature. In Precirol ATO 5 and oleic acid, Imiquimod had the highest solubility. The solid lipid and the oil were mixable in a ratio 9:1 possessing a melting point above body temperature. Imiquimod was dissolved in this lipid blend. Eumulgin SLM 20 was the stabilizer with the highest affinity to the lipid blend used as particle matrix. 2.5% Eumulgin SLM 20 was sufficient to obtain NLCs with a narrow particle size distribution and sufficient stability. The tonicity of the formulation was adjusted with glycerol. The nanoparticulate dispersion was optimized and suitably gelled & characterized with respect to drug content, pH, spreadability, rheology, in-vitro and ex-vivo release. The average entrapment efficiency and drug loading of optimized Imi-NLCs were 89.95 ± 0.16% and 73.05 ± 0.11%, respectively. Under the transmission electron microscope, the nanoparticles were found to be spherically shaped. The average particle size was 115.2 nm, the zeta potential was -34.10 ± 1.22 mV and pH value of Imi-NLCs system was 5.65. Topical delivery of Imiquimod in the form of NLCs was investigated in vitro and anticancer activity of Imiquimod was examined by cell line study. The results showed that Imi-NLCs could promote the permeation of Imiquimod, increase the amount of Imiquimod retention in epidermis and dermis layer. The developed NLC-based gel showed faster onset and elicited prolonged activity up to 16 hours.

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