Design and Characterization of a Transethosome-Based Gel for Cutaneous Administration of Genistein

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Streszczenie

In this study, lipid nanovesicular systems such as ethosome and transethosome dispersions were studied as potential vehicles for the cutaneous administration of genistein, an isoflavone with antioxidant and chemopreventive properties. The lipophilicity of genistein requires specialized delivery systems suitable for transdermal administration. Genistein loading in ethosomes and transethosomes, prepared by water injection and ethanol injection methods, was investigated. The evaluation of the dispersion macroscopic stability enabled the selection of transethosomes, produced by the ethanol injection method, loaded with genistein 0.25 mg/mL. The morphology of the vesicles was studied by cryogenic electron microscopy and by small-angle x-ray scattering, while the size distribution and the stability of genistein were evaluated for 3 months by photon correlation spectroscopy and high-performance liquid chromatography, respectively. The results showed vesicle mean values of 111–145 nm, polydispersity indexes of 0.13–0.2, and drug entrapment capacity of 96% w/w. Transethosomes maintained physical-chemical stability both as size distribution and genistein content. The zeta potential value ranged between −19 and −24 mV, while the pH of the formulation was around 5.5, suitable for skin application. Photochemiluminescence studies confirmed the antioxidant activity of genistein-loaded transethosomes. The diffusion kinetics of genistein, studied in vitro by Franz cells, demonstrated that transethosomes increased the drug permeation threefold with respect to the genistein suspension. In view of a possible skin application, the transethosomes were thickened with 0.5% xanthan gum, selected through leakage and spreadability studies. Furthermore, tape stripping studies performed within 6 h after formulation application on the skin demonstrated a gradual increase of genistein content in t h e *stratum corneum* in the case of transethosome gel, compared to the conventional gel. The genistein-loaded transethosome gel could exert a long-lasting protection, crucial for preventing UV-induced skin damage and photoaging.

Słowa kluczowe

genistein, skin, tape-stripping, transethosomes

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