Journal of Controlled Release
Volume 81, Issues 1–2, 17 May 2002, Pages 121-133

Terpenes in ethanol: haloperidol permeation and partition through human skin and stratum corneum changes

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https://doi.org/10.1016/S0168-3659(02)00057-3

Abstract

Carvacrol, linalool and α-terpineol (5% w/v) in 50% ethanol were used to enhance the permeation of haloperidol (HP) through human skin in vitro and their enhancement mechanism was investigated with HP-stratum corneum (SC) binding studies, fourier transform infrared spectroscopy (FT-IR) and differential scanning calorimetry (DSC). Carvacrol followed by terpineol and linalool enhanced flux and permeability coefficient but only carvacrol provided the required plasma concentration and the permeated daily doses. All terpenes increased the activity coefficient of HP in the skin. Carvacrol increased the lag time, which could be due to slow redistribution within SC. The thermogram of hydrated SC showed two lipid endotherms T_1 and T_2 at 65 and 78 °C and protein endotherm T_3 at 97 °C. All endotherms were absent after SC treated for 48 h with 12 ml of terpene solutions and a decrease in melting points (m.p.) of lipids with a shift of protein endotherm were observed after 12 h treatment with 7 ml of terpene solutions. Linalool and terpineol decreased the m.p. of T_1 to 33 °C. Carvacrol increased the T_1 peak area, which was attributed to lateral lipid bilayer swelling. The IR spectra showed decreases in peak areas and heights of CH_2 stretchings but did not show shift of these peaks, increase in their peak widths and shift in amide bands. All the three terpenes disrupted the lipid bilayer and extracted the lipids. Moreover, carvacrol increased the partition of HP whilst linalool and terpineol fluidized the lipids at skin temperature. There could be other possible protein–terpene interactions.

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Keywords

Haloperidol; Terpenes; Permeation; Stratum corneum binding; Fourier transform infrared spectroscopy; Differential scanning calorimetry

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