Transdermal delivery of an anti-cancer drug via w/o emulsions based on alkyl polyglycosides and lecithin: design, characterization and in vivo evaluation of the possible irritation potential in rats.

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Abstract

The purpose of this work was to develop w/o emulsions that could be safely used to promote transdermal delivery of 5-fluorouracil (5-FU). Two pseudo-ternary phase diagrams comprising oleoyl-macrogol glycerides, water and a surfactant/co-surfactant (S/CoS) mixture of lecithin, ethanol and either coco glucoside or decyl glucoside were investigated for their potential to develop promising 5-FU emulsions. Six systems were selected and subjected to thermodynamic stability tests; heat – cool cycles, centrifugation and finally freeze – thaw cycles. All systems passed the challenges and were characterized for transmission electron microscopy, droplet size, rheological behavior, pH and transdermal permeation through newly born mice skin in Franz diffusion cells. The systems had spherical droplets ranging in diameter from 1.81 to 2.97 μm, pH values ranging from 7.50 to 8.49 and possessed Newtonian flow. A significant ($P < 0.05$) increase in 5-FU permeability parameters as steady-state flux, permeability coefficient was achieved with formula B5 comprising water (5% w/w), S/CoS mixture of lecithin: ethanol: decyl glucoside (14.67: 12.15: 18.18% w/w, respectively) and oleoyl-macrogol glycerides (50% w/w). When applied to shaved rat skin, this system was well tolerated with only moderate skin irritation that was recovered within 12 h. Indeed, minor histopathologic changes were observed after 5-days treatment. Further studies should be carried out, in the future, to investigate the potentiality of this promising system to promote transdermal delivery of 5-FU through human skin.

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