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Preparation and Characterization of Water-in-Oil Nanoemulsion of 5-Fluorouracil to Enhance Skin Permeation for Treatment of Skin Diseases.

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Abstract : The objective of the study was to prepare and characterize a water-in-oil nano emulsion of 5-Fluorouracil (5FU) to enhance the skin penetration. The present study describes a nano emulsion of 5FU using Capyrol PGMC, Transcutol HP and PEG 400 as oil, surfactant and co-surfactant, respectively. The optimized formulations were further evaluated for heating cooling cycle, centrifugation studies, freeze thaw cycling, particle size distribution and zeta potential in order to confirm the stability of the optimized nano emulsions. The in-vitro characterization results showed that the droplets of prepared formulation were ~100 nm with \pm 15 zeta potential. In vitro skin permeation studies was conducted in albino mice skin. Significant increase in permeability parameters was also observed in nano emulsion formulations (P<0.05). The steady-state flux (Jss), enhancement ration and permeability coefficient (Kp) for optimized nano emulsion formulation (FU2, FU1, 1:1 S mix were found to be 24.21 \pm 2.45 μ g/cm2/h, 3.28 \pm 0.87 & 19.52 \pm 1.87 cm/h, respectively), which were significant compared with conventional gel. The in vitro and in vivo skin deposition studies in rat indicated that the amount of drug deposited from the nano emulsion (292.45 μ g/cm2) in skin was significant (P<0.05) an increased as compared to a conventional 5FU gel (121.42 μ g/cm2). The skin irritation study using rat skin showed that the mean irritation index of the nano emulsion reduced significantly (P<0.05) as compared with conventional gel contain 1% 5FU. The results from this study suggest that a water-in-oil nano emulsion could be safely used to promote skin penetration of 5FU following topical application.

Keywords: nano emulsion, controlled release, 5 fluorouracil, skin penetration, skin irritation

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