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Formulation and in vivo assessment of terconazole-loaded polymeric mixed micelles enriched with Cremophor EL as dual functioning mediator for augmenting physical stability and skin delivery

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Abstract:

The aim of the current study was to formulate terconazole (TCZ) loaded polymeric mixed micelles (PMMs) incorporating Cremophor EL as a stabilizer and a penetration enhancer. A 2^3 full factorial design was performed using Design-ExpertVR software for the optimization of the PMMs which were formulated using Pluronic P123 and Pluronic F127 together with Cremophor EL. To confirm the role of Cremophor EL, PMMs formulation lacking Cremophor EL was prepared for the purpose of comparison. Results showed that the optimal PMMs formulation (F7, where the ratio of total Pluronics to drug was 40:1, the weight ratio of Pluronic P123 to Pluronic F127 was 4:1, and the percentage of Cremophor EL in aqueous phase was 5%) had a high micellar incorporation efficiency (92.98 ± 0.40%) and a very small micellar size (33.23 ± 8.00 nm). Transmission electron microscopy revealed that PMMs possess spherical shape and good dispersibility. The optimal PMMs exhibited superior physical stability when compared with the PMMs formulation of the same composition but lacking Cremophor EL. Ex vivo studies demonstrated that the optimal PMMs formula markedly improved the dermal TCZ delivery compared to PMMs lacking Cremophor EL and TCZ suspension. In addition, it was found that the optimal PMMs exhibited a greater extent of TCZ deposition in the rat dorsal skin relative to TCZ suspension. Moreover, histopathological studies revealed the safety of the optimal PMMs upon topical application to rats. Consequently, PMMs enriched with Cremophor EL, as a stable nano-system, could be promising for the skin delivery of TCZ.

Reference:

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