Preparation and evaluation of tretinoin microemulsion based on pseudo-ternary phase diagram

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Background and Aims: This purpose of the present was to formulate a transparent microemulsion as a topical delivery system for tretinoin for the treatment of acne by mixing appropriate amount of surfactant including Tween 80 and Labrasol, co-surfactant such as propylene glycol (PG) and oil phase including isopropyl myristate – transcotol P (10:1 ratio).

Methods: The prepared microemulsions were evaluated regarding their particle size, zeta potential, conductivity, stability, viscosity, differential scanning calorimetry (DSC), scanning electron microscopy (SEM), refractory index (RI) and pH.

Results: The results showed that maximum oil was incorporated in microemulsion system that was contained surfactant to co-surfactant ratio of 4:1. The mean droplets size range of microemulsion formulation were in the range of 14.1 to 365 nm, and its refractory index (RI) and pH were 1.46 and 6.1, respectively. viscosity range was 200-350 cps. Drug release profil showed 49% of the drug released in the first 8 hours of experiment. Also, Hexagonal and cubic structures were seen in the SEM photograph of the microemulsions.

Conclusions: This study demonstrated that physicochemical properties and in vitro release were dependent upon the contents of S/C, water and oil percentage in formulations. Phase diagrams indicated more width microemulsion region with a rise in S/C ratio. It seems that the effect of PG as co-surfactant in MEs release profile may be as retardant, since with decrease in S/C ratio and water and increase in oil phase ratio could be obtained high in vitro percentage release. ME-7 may be preferable for topical tretinoin formulation however, significant work still needs to be carried out to elucidate the mechanisms of drug delivery into the skin.

Keywords: Tretinoin; Phase diagram; Microemulsion; Differential scanning calorimetry