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Preparation and characterization of rapamycin-loaded nanoemulsions as an approach for increasing anti-proliferative activity. i. phase behavior study

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Background and Aims: . Nanoemulsions are translucent, almost thermodynamically stable systems containing surfactant, oil, water (and co-surfactant, if necessary) with droplet diameter within the range of 50-200 nm. The main goal of this study was to develop a nanoemulsion-base system for Rapamycin as an approach to increase its solubility and anti-proliferative activity.
Methods: As the preliminary step, the phase behavior of quaternary systems containing different surfactants (i.e., Tween 20, Tween 80, Labrasol, Labrafil and Cremophor RH 40), oils (i.e., oleic acid, Caproyl 90, Triacetin and isopropyl myristate) and cosurfactant (short chain alcohols, Transcutol, PG and PEG 400) at various surfactant/cosurfactant weight ratios, Rsm (i.e., 1:1, 2:1 and 1:2) was investigated. Appropriate amounts of surfactant, oil and cosurfactant were mixed until a clear oily solution was obtained. Phase diagrams were constructed by the titration of these mixtures with aliquots of triple distilled water and stirring for a sufficiently long time to attain equilibrium. The course of each titration was monitored through cross polaroids in order to determine the boundaries micro/nanoemulsion and birefringent liquid crystalline domains.
Results: In most systems studied, a transparent/translucent, area was seen along the surfactant/oil axis. The existence of a second water rich, transparent/translucent region was observed in only a few instances. In general, the most and less extensive nanoemulsion regions were obtained in the presence of Caproyl 90 and IPM, respectively. Transcutol, PG and PEG 400 were capable of producing larger nanoemulsion domains in comparison with short chain alcohols.
Conclusions: It was found that the extent of the transparent/translucent area in the water-poor and the existence of transparent/translucent area in the oil-poor part of the phase diagram were very dependent upon the type and nature of the components and Rsm investigated.

Keywords: Nanoemulsion; Rapamycin; Phase diagram; Phase behavior