Physical characterizations of microemulsion systems using tocopheryl polyethylene glycol 1000 succinate (TPGS) as a surfactant for the oral delivery of protein drugs

何秀娥;許明照
Ke WT;Lin SY;Ho HO;Sheu MT

Abstract

Attempts were to develop microemulsion systems using medium chain triglyceride, deionized water, and TPGS as surfactant for the oral delivery of protein drugs or poorly water-soluble drugs. Phase diagrams were constructed to elucidate the phase behavior of systems composed of Captex 300 and water with D-alpha-tocopheryl polyethylene glycol 1000 succinate (TPGS) as main surfactant, polysorbates (Tween 20, Tween 40, Tween 60 and Tween 80) as adjuvant surfactants, and polyethylene glycols (PEG 400 and PEG 600) and polyols (ethanediol, 1,2-propanediol, 1,3-propanediol, 1,3-butanediol, 1,4-butanediol and glycerin) as cosurfactants. The ratios of TPGS to Tweens, PEGs or polyols (K(m)) were set at 4/1, 2/1, 1/1, 1/2, and 1/4. The phase diagram for H(2)O/Captex 300/TPGS system reveals that when TPGS was used as a sole surfactant, it is not capable of producing isotropic solutions of water and oil over a wide range of the compositions. H(2)O/Captex 300/TPGS/Tweens systems with various K(m), regardless of the adjuvant surfactant used were capable of producing an isotropic phase. The extension of microemulsion phase and the presence and extension of the gel phase were found to be dependent on the surfactant mixture. The phase diagrams of H(2)O/Captex 300/TPGS systems using polyols as cosurfactants demonstrate that the types of polyols have a slight effect on the region of existence of the microemulsions. Comparison between the isotropic regions for the polyols system reveals that as the relative concentration of polyols increase, the isotropic region decrease in size. This decrease is towards the S(mix)-water axis indicating that as the relative concentration of polyols increases the maximum amount of oil solubilized decreases. The gel region decreased in size with the increase of polyols weight ratio. All polyols do not solubilized Captex 300 without using TPGS as surfactant.