

DEVELOPMENT AND PHYSICOCHEMICAL CHARACTERIZATION OF PHASE INVERTED W/O MICROEMULSION CONTAINING CYCLOSPORIN A.

Sang-A Ryuu^o and Chong-Kook Kim

College of Pharmacy, Seoul National University, Seoul 151-742, Korea

Cyclosporin A (CyA) is widely used in the inhibition of graft rejection in organ transplantation. However, the bioavailability of CyA after oral administration is very low due to its poor solubility and dispersability in water. To improve the solubility of CyA, microemulsion systems were developed and its physicochemical characteristics were evaluated by phase studies, solubility and dispersability tests.

Phase studies on the systems composed of ethyl oleate (EO), PPG-20 methyl glucose ether (GP-20), poloxamer 123 (PL) and water (W) were carried out to make stable w/o emulsions. Besides, based on CyA solubility test in various compositions of surfactant systems, a reasonable surfactant composition (GP-20/PL=4/1) was selected to enhance its solubility.

According to these results, optimal composition of emulsion system (GP-20/PL/EO/W=57/14.25/23.75/5) was determined, and 10, 15 and 20% odd CyA were loaded to this system. After dilution of these three formulations to sufficient amount of water, the stability of emulsion was evaluated with the measurement of drug content and particle size. As increasing dilution ratio, the stability of emulsion was increased. The formulation contained 15% of CyA was shown more stable than other formulation. The particle size of phase inverted emulsion was less than 1 μm .

Based on the experimental results, it is concluded that phase inverted emulsion system could improve the solubility and stability of poorly water soluble CyA. This system also might be applicable to enhance the bioavailability of CyA after oral administration.