

The Preparation and Evaluation of Solid Lipid Nanoparticles(SLNs) containing 5 – Fluorouracil and its derivative

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Solid Lipid Nanoparticles(SLNs) are particulate systems for parenteral drug administration and have good biocompatibility, stability. SLNs were produced by homogenization process using hot dispersion technique of a melted lipid(lauric acid) dispersed in an aqueous surfactant solution at increased temperature(85°C). SLNs were made from lipid(lauric acid) and nonionic surfactant such as polyoxyethylene sorbitan fatty acid esters (Tween20,80). We used several drugs(5-Fluorouracil, 1-Benzoyl-5-Fluorouracil) to estimate the effect of partition coefficient to the loading efficiency and to study the release behavior. 1-Benzoyl-5-Fluorouracil, the derivative of 5-Fluorouracil(the hydrophilic antitumor agent) was synthesized to show more lipophilic than 5-FU and characterized by 1H-NMR, Infrared(IR) and UV spectroscopy. We investigated the effect of surfactant-related (the kind of surfactant, concentration) changes, rpm of homogenization in the formation of SLNs and observed the drug contents, particle size using laser diffraction analysis, and release pattern performed by the dialysis test.

[PE1-9] [10/19/2000 (Thr) 15:00 – 16:00 / [Hall B]]

Tabletting of Drug-loaded Sugar Spheres and Release Behaviors

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Drug-loaded sugar spheres were tableted using various types of diluents to investigate release behaviors of poorly water-soluble drug. Thereafter, the release was performed in simulated gastric fluid (pH 1.2) for 2h followed by intestinal fluid (pH 6.8) for 10h. The drug was at first loaded onto the nonpareil sugar spheres and then coated with drug-loaded polymeric suspension. The drug-loaded polymeric suspension contained drug, solubilizers and polymeric in a solvent of acetone and water (1:1 v/v). Hydroxypropylmethylcellulose (HPMC), Avicel, starch and lactose was selected as diluents for tabletting of the drug-loaded sugar spheres. The release behaviors and stability of matrix tablets were highly dependent on the types of diluents. Matrix tablets showed sustained release over 5h and then reached plateau levels. The starch, lactose and Avicel gave higher release rate compared with drug-loaded sugar spheres only. However, release rate was lower in case of HPMC matrix tablet. Cracking and physical unstability of the matrix tablet were observed during storage condition at 37°C/75% RH when lactose and starch were used for tabletting. However, HPMC and Avicel. From these finding, tabletting of drug-loaded sugar spheres was available. However, release rate and physical stability of matrix tablet was quite variable, depending on the types of diluents for tabletting.

[PE1-10] [10/19/2000 (Thr) 15:00 – 16:00 / [Hall B]]

Effects of lyophilization on the physical characteristics of sterically stabilized liposomes

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Sterically stabilized liposomes(SSL) have been introduced for longer circulation in blood than