To prepare the pharmacosome, drug-lipid complex was synthesized from ketoprofen and monostearin using active titanium catalysts. Fine particle was prepared by homogenization of melted ketoprofen-monostearin complex dispersed in aqueous solution.
In vitro dissolution experiments showed that dissolution rate of KT-pharmacosome is 2-fold higher than that of ketoprofen in pH 1.2, 5.5, and 6.8 dissolution media. Dissolution profiles of ketoprofen were different in various dissolution media. On the other hand, KT-pharmacosome demonstrated similar dissolution profiles except in pH 1.2 dissolution medium.

[PE1-6] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

ME-based Hydrogel Containing Lidocaine for Premature Ejaculation

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Several topical preparations containing lidocaine, a widely used local anesthetic agent, have been developed and marketed recently for the treatment of premature ejaculation. In this study, microemulsion(ME)-based hydrogels containing lidocaine were prepared by dispersing ME to hydrogel bases such as carbomer gel, sodium alginate gel, and sodium carboxymethylcellulose gel. Lidocaine-containing ME was thermodynamically stable and has a diameter ranging from 10 to 100nm. In vitro skin permeation of lidocaine from ME-based hydrogels followed apparent zero-order kinetics. ME-based hydrogel showed higher drug permeation during fifteen minutes compared with alcoholic hydrogel, reference preparation. On the other hand, tail flick test in rats was introduced to compare in vivo local anesthetic effects of different hydrogels, showing the superior results with ME-based hydrogel. In optical microscopy, recrystallization of lidocaine was observed within 5min after application of reference hydrogel, but there was no change in ME-based hydrogels even after 30min. These results indicated that ME-based hydrogels had some advantages of the increased skin penetration, controlled release and physical stability compared with alcoholic hydrogels. Finally it is possible to conclude that ME-based hydrogels containing lidocaine could be a good topical delivery system for the treatment of premature ejaculation.

[PE1-7] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

Synthesis, physiochemical characterisation study of pluronic -PLL graft copolymer for gene transfer agents

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The cationic copolymers for DNA delivery were synthesized by conjugating PEO-PPO-PEO (pluronic) with poly-*L*-lysine(PLL). Pluronic partially functionalized with 4-nitrophenyl carbonate groups was obtained by reaction of pluronic with 4-nitrophenyl chloroformate. Free amine group of PLL was bound to pluronic activated with 4-nitrophenyl carbonate group in carbonate buffer.

The structural analysis of pluronic-g-PLL was carried out using FT-IR, H^1-NMR measurment and fluorescamine assay. The physical characterization of graft copolymer was measured by zeta-potential
and electron microscopy. Potential for using pluronic-g-PLL as a non-viral
gene delivery vector will be elucidated.

[PE1-8] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

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 temperatue(85℃).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 tabletted 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 37oC/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