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]]