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PGE1 has been paid attention as a remedy of impotence by the improvement of blood flow. For the transdermal delivery, we investigated terpenes as penetration enhancer in comparison to oleic acid and lauryl alcohol and their mechanism in the transdermal delivery of PGE1. The terpenes included (S)-(+)-carvone, cineol, eugenol, (R)-(+)-limonene, L-(-)-menthol, menthone, nerolidol at 5%w/v concentrations in 50% ethanol. The penetration rate of PGE1 across excised hairless mouse skin was experimented using Keshary-Chien diffusion cell at 37℃. Fourier transform infrared (FT-IR) spectroscopy, differential scanning calorimetry (DSC) and cholesterol solubility test studies were undertaken to investigate the effect of enhancers on the biophysical properties of the stratum corneum in order to understand the mechanism of percutaneous absorption enhancement of PGE1 by terpenes. The results of permeation studies suggest the eugenol should be the effective penetration enhancer in the delivery of PGE1. In addition, FT-IR results indicate that most terpenes, especially limonene and menthol caused the lipid extraction and DSC data show eugenol and oleic acid clearly increased the average lipid acyl chain disorder of treated sample. The cineol among terpenes has the best cholesterol solubility. The eugenol is found to have an influence on the lipid matrix of the stratum corneum the most significantly.

[PE1-2] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

Controlled release of mefenamic acid(MFA) from MFA-soid dispersion system-hollow type suppository inserted polyvinyl alcohol hydrogel capsule

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Solid dispersion system of mefenamic acid, a model poorly water-soluble drug with povidone(K-30) was prepared by the solvent method to improve its solubility. A marked increase in the dissolution rate of mefenamic acid was attained by solid dispersion system. Hollow type suppositories inserted polyvinyl alcohol(PVA) hydrogel capsule were prepared using Witepsol H-15 as a base to improve the controlled release of drug. Mefenamic acid was loaded in both hydrogel capsule and suppository base. The hollow type suppositories with capsule significantly retarded release rate of drug as compared with hollow type suppositories without capsule and conventional suppositories. When the suppositories loaded with mefenamic acid in both hydrogel capsule and base were administered to rats, controlled release of drug was observed from the plasma concentration-time profile. These suppositories showed the enhancement of both AUC and MRT of drug compared with those of control suppositories. The application of the hollow type suppositories inserted PVA hydrogel capsule might be beneficial to not only water-soluble drug but poorly water-soluble drug in the controlled rectal delivery of drug.

[PE1-3] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

in vivo Evaluation of Ketoprofen-Monostearin Pharmacosome

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Ketoprofen, a potent analgesic non-steroidal anti-inflammatory drug, is effective in the treatment