than former gastro-soluble polymer-containing one and extract itself.
Consequently, the use of enteric polymer as the carrier for improving the absorption is more possible than gastro-soluble one in spite of its nearly complete dissolution in gastric circumstance.

[PE1-9] [04/19/2001 (Thr) 15:30 - 16:30 / Hall 4]

Controlled Release of Triprolidine from EVA membrane

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Oral administration of triprolidine, antihistamines, may cause many adverse effects such as dry mouth, sedation, dizziness, and transdermal drug delivery was considered. EVA (ethylene vinyl acatate) membrane which is heat-processible, flexible, inexpensive material was used for transdermal drug delivery. The permeability of triprolidine through EVA membrane was studied. The EVA matrix containing triprolidine were fabricated and the release patterns were observed. The effects of polyethylene glycol 400, membrane thickness, drug concentration, temperature, and vinyl acetate content of EVA were studied. The solubility of triprolidine increased exponentially as the increased volume fraction of PEG 400 in saline. The release rate of drug from EVA matrix increased with increased temperature, loading dose, and vinyl acatate content of EVA. The EVA membrane might be useful for the development of transdermal drug delivery system.

[PE1-10] [04/19/2001 (Thr) 15:30 - 16:30 / Hall 4]

Solubilized formulations of ibuprofen in soft capsule employing SMEDDS

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Ibuprofen, a poorly water soluble nonsteroidal antiinflammatory drug was incorporated in self-microemulsifying drug delivery system(SMEDDS) to improve oral absorption and bioavailability. First of all, solubility of ibuprofen in various ingredients was determined to optimize SMEDDS formulations, revealing the results of several hundred times higher solubility in oils and surfactants than that of water. Phase diagram with various regions including microemulsion area was depicted. Secondly, comparative dissolution tests were carried out under the various conditions of different media with two generic tablets from different company as control preparation. Soft capsules of SMEDDS formulation showed the better dissolution profiles, especially in acidic condition, than the other controls. For the period of 2 hr dissolution in pH 1.2 medium, it reached over 70% dissolution from soft capsules, compared to less than 40% dissolution from control tablets. Finally, in vivo pharmacokinetic parameters were obtained after an oral administration of ibuprofen preparations to Sprague-Dawley rats. SMEDDS formulations of ibuprofen showed higher Cmax with greater AUC(0-12hr) than the suspension of control tablets or ibuprofen powder. Therefore, it is possible to conclude that a newly developed soft capsules containing SMEDDS-formulated ibuprofen might provide an alternative preparation to improve oral bioavailability of water-insoluble ibuprofen.

[PE1-11] [04/19/2001 (Thr) 15:30 - 16:30 / Hall 4]

Development of Targeted Gene Delivery Systems

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Transferrin-conjugated cationic liposome (Tf-liposome) was developed as a targeted gene delivery system by using heterobifunctional cross-linking agent, SPDP, and gradient metrizamide ultracentrifugation method. Physico-chemical properties of Tf-liposome were determined by scanning/transmission electron microscopy (SEM/TEM) and dynamic laser-light scattering method (DLS) with the mean diameter being 584±15nm. Gel retardation assay was performed using various DDAB:DNA ratios and proved the 6:1 weight ratio formulation being the most compact with a slight positive zeta-potential. In vitro transfection was done in human cervical cancer cell line, HeLa, and the transfection efficiency of Tf-liposome was found to be 5-fold higher than that of un-conjugated (plain) DDAB liposome and 2-fold higher than that of Lipofectin™. Biocompatibility of Tf-liposome was also tested using human red blood cells (RBC) and their morphology remained unaffected after incubation with Tf-liposome at 10μg/ml concentration. In conclusion, a target-oriented gene delivery system of transferrin-conjugated cationic liposome (Tf-liposome) was made successfully and proved to be very efficient in DNA delivery into the cells in culture. Furthermore, its possible use as an in vivo gene delivery system is highly expected as suggested by its biocompatibility test using human RBC.

[PE1-12] [04/19/2001 (Thr) 15:30 - 16:30 / Hall 4]

The studies of interaction between methamphetamine and melanin pigment in hair

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There were many studies that suggested the amount and type of melanin present were major factors in determining how much drugs deposited in hair after exposure but the mechanism of drug entrapment in hair had been unknown. In vitro methamphetamine (MA)-melanin interaction was firstly studied using ultrafilteration membrane systems to interpret the deposition mechanism of methamhetamine in hair. The solutions of MA-melanin in amber vials were shaken by Recipro shaker and equilibrated at ambient temperature (20±0.5℃) for 24 hours. The concentrations of free drug were determined with HPLC systems. The binding parameters, association constant (K) and the number (n) of binding site per weight (mg) of melanin, were obtained from the Scatchard equation. The binding or association constant (K) and the number (n) of binding site of methamphetmaine to melanin polymer were 604 L/mole and 3.46 X 10^{-5} M mg^{-1}, respectively. This binding constant indicated that the interaction of methamphetamine to melanin was somewhat stronger than the published binding constants of some small molecules (p-toluene sulfonic acid (1), etc) to polymers(serum albumin, polyvinylpyrrolidone, etc). The Scatchard plot showed curvature at high concentrations of methamphetamine. This curvature usually indicated the existence of more than one type of binding site. The IR spectrum methamphetamine-melanin mixture showed band shift from 3420 cm^{-1} to 3376 cm^{-1} at N-H stretching region of methamphetamine. This shifting of the N-H stretching band of methamphetamine to lower frequency would be from hydrogen bonding with some groups (would be carboxyl or hydroxyl groups) of melanin. This MA-melanin interaction suggested that melanin as one component of hair was contributing to methamphetamine deposition in hair.

[PE1-13] [04/19/2001 (Thr) 15:30 - 16:30 / Hall 4]

Application of Vitamin E TPGS forming the solid dispersion with furosemide

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