(LCC) method. The monolayer of passage 2 and 3 exhibited tight barrier (TEER>1,000 ohmxcm^2) in 2~3 days after seeding. In the morphological studies by actin staining and SEM/TEM, the existence of tight junction was clearly observed. The transport of various anti-allergic drugs (albuterol, fexofenadine, dexamethasone, triamicinolone acetonide and budesonide) was investigated by using the HPLC. There was no significant difference in TEER value before and after transport studies for 60 min, which demonstrated the integrity of the monolayers. The amount of fexofenadine and dexamethasone across the monolayer linearly increased as the concentration of drug in the apical side increased. It was interesting to note a sigmoidal relationship between the drug lipophilicity and the permeability coefficient across the passage cultured human nasal epithelial monolayers, which is consistent with the permeability characteristics of β-blockers across primary conjunctiva and corneal culture in the literatures. Thus, the passage cultured human nasal epithelial monolayer in this study seemed to be a useful model for *in vitro* nasal drug transport studies.

[PE1-2] [04/18/2003 (Fri) 09:30 - 12:30 / Hall P]

Formulation and sustained release of acetaminophen hydroxypropylmethylcellulose (HPMC) matrix tablet

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Purpose. To develop a new heterodisperse 650mg acetaminophen HPMC matrix tablet with biphasic sustained release profiles.
Methods. Hydroxypropylmethylcellulose(HPMC) matrix tablets were prepared by wet-granulating drug with other excipients, followed by direct compression of the dried granule mixtures into tablet using a rotary tablet machine. Different kinds of disintegrants and solubilizers were also added to control the dissolution rate of acetaminophen matrix tablet. The dissolution was performed using USP dissolution method II in simulated gastric fluid(pH 1.2) and intestinal fluid (pH 6.8), respectively and then compared with commercial two-layered Tylenol ER tablet. The tablet hardness was measured using Erweka hardness tester.
Results. The disintegration time and dissolution rate of the HPMC matrix tablet were influenced by the type and amount of disintegrant, solubilizer and other excipients used. Most of all, HPMC type and content in the tablet formulation together with tablet hardness were very crucial for drug release. The HPMC matrix tablet initially released 50% dose within a few minutes like a commercial two-layered tablet. Both formulated and commercial Tylenol ER tablets released over 90% of the drug in 3 hours in all mediums.
Conclusions. Unlike the two-layered commercial tablet, the new HPMC matrix tablet could be easily prepared by using conventional tablet machine. By combining excipients in the HPMC-based matrix tablet formulation, the distinct biphasic release could be obtained. The current HPMC matrix tablet can be an alternative to commercial two-layered Tyrenol ER tablet.

[PE1-3] [04/18/2003 (Fri) 09:30 - 12:30 / Hall P]

Receptor-mediated gene delivery to hepatocyte with galatosylated polyethylenimine

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In the gene therapy, viral gene delivery systems are limited in use because of several drawbacks like host immune reactions. Hence, non-viral gene delivery systems such as cationic polymers or synthetic gene carriers are being widely investigated to overcome the problems in the use of viral

vectors.
We synthesized a new conjugate of polyethyleniminet carrying galactose moieties as a targeting ligand for asialoglycoprotein (ASGP) receptors of hepatocytes.
Poly(ethylenimine) PEI (Mw=25kDa) was conjugated with lactobionic acid (LBA) using N,N'-dicyclohexylcarbodiimide and N-hydroxysuccinimide. The PEI-LBA conjugate was confirmed by FT-IR and 1H NMR spectroscopy. The capacity of DNA condensation of the LBA-PEI conjugate was observed by agarose gel electrophoresis with plasmid DNA. In vitro transfection experiments were carried out with beta-galactosidase reporter gene in HepG2 cells and HeLa cells. The transfection efficiencies in HeLa cells were entirely lower than those in HepG2 cells. The cytotoxicity of LBA-PEI conjugate was evaluated by MTT assay. The cell viability of the LBA-PEI conjugate was over 80% at all of the N/P ratios.
As a result, the LBA-PEI conjugate can be one of the gene carrier for the treatment of inherited and acquired disorders of liver.

[PE1-4] [04/18/2003 (Fri) 09:30 - 12:30 / Hall P]

Modulation of electroosmosis using penetration enhancers

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Electroosmotic flux during iontophoresis originates due to the net negative charge of the current passing channels (pores) in skin at physiological pH (pH 7.4). Thus, the channels are permselective to cations, and this causes the convective solvent flow from anode to cathodal direction. This solvent flow facilitates the flux of cations (from anode), inhibits that of anions (from cathode), and enables the enhanced transport of neutral, polar solutes. In this work, we have investigated the effect of chemical enhancer on electroosmosis to get more detail understanding of this phenomena. Using conventional in-vitro iontophoresis methodology, the change in electroosmotic flow was studied after enhancer treatment of skin. As a marker molecule for the direction and magnitude of electroosmotic flow, acetaminophen, a neutral molecule, was used. Four hydropilic and hydrophobic enhancers were studied. Without enhancer, anodal flux of acetaminophen was much higher than cathodal flux. Hydrophilic enhancer decreased the flux. This decrease in flux was proportional to the concentration of enhancer. On the other hand, hydrophobic enhancers enhanced the flux. Oleic acid showed the largest increase in flux. These results indicate that hydrophilic enhancer affect the current passing channels of the skin, and thus change the electroosmotic flow.

[PE1-5] [04/18/2003 (Fri) 09:30 - 12:30 / Hall P]

Glucocorticoids loaded beads for buccal ulcerative therapy

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Topical buccal therapy with steroid anti-inflammatory drugs is based on the concept that a high activity of steroids can be produced at the site of administration and, at the same time, the degree of systemic side effects can be minimized or avoided. In this study we developed a new formulation consisting of a mucoadhesive bead for buccal administration of glucocorticoids. Three types of beads were developed containing rose bengal, triamcinolone acetonide and betamethasone valerate. Moreover, the beads were coated with two other mucoadhesive