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We synthesized a new conjugate of polyethylenimine 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 hydrophilic 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