

qualitative and quantitative analysis. This system includes a tungsten halogen lamp for light source, a fiber optics connected a light source, and a sample module to the microspectrometer. The size of spectrometer can be as small as 2.5 cm x 1.5 cm x 0.1 cm. Wavelength ranges can be chosen as 360–800 nm, 800–1100nm and 1100–1900 nm depending on the type of detector. The software consists of various tools for multivariate analysis and pattern recognition techniques. To evaluate the system, long and short-term stability, wavelength accuracy, and stray light have been investigated compared with conventional scanning type NIR spectrometer. This developed system can be sufficiently used for quantitative and qualitative analysis for various samples such as agricultural product, herbal medicine, food, petroleum, and pharmaceuticals, etc.

Poster Presentations – Field E1. Pharmaceuticals

[PE1-1] [04/19/2001 (Thr) 15:30 – 16:30 / Hall 4]

Possibility of Enteric Polymer to Sustain Absorption of Drug with Narrow Absorption Window

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Enteric polymers were used as a modulator for release of cefatrizine (CFT), which reported to be absorbed at the region of upper small intestine. Among those polymers, hydroxypropylmethylcellulose acetate succinate (HPMCAS) was chosen owing to the better formation of matrix tablet upon the simple physical pressure. In this tablet CFT was released wholly after about 2 hours in gastric fluid and more rapidly released when the tablet was transferred into intestinal fluid due to the faster erosion of enteric polymer.

As a result of in vivo absorption study using beagle dogs it was strikingly evident that there was no difference of total absorption of drug between the enteric matrix tablet and plain immediate-release capsule. They showed a little but significant difference in T_{max} and insignificant C_{max}. These results suggest that this enteric matrix tablet could displace the present immediate-release dosage form into sustained-release one with relatively prolonged action.

[PE1-2] [04/19/2001 (Thr) 15:30 – 16:30 / Hall 4]

Development of Liposomal Formulations of a Camptothecin Derivative

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Camptothecin derivative, a synthetic and water-soluble analogue of topoisomerase I inhibitor, can be used in treatment of various solid tumors. To develop liposomal formulations of a camptothecin derivative, we prepared DPPC(dipalmitoylphosphatidylcholine) and DSPE-PEG2000(distearoyl-N-monoethoxy poly(ethyleneglycol) succinylphosphatidylethanolamine) liposome with a camptothecin derivative entrapped. DPPC liposome composed of DPPC/Chol (2:1 molar ratio) and PEGylated liposome composed of DPPC:Chol:DSPE-PEG2000 (22:11:2 molar ratio) were prepared by reverse-phase evaporation method. Formed liposome was characterized in terms of morphology, size and encapsulation efficiency. To elucidate steric stability of PEGylated liposome, the PEGylated liposome

was incubated with human plasma and the adsorbed serum proteins on the surface of liposomes were applied to the SDS-PAGE. In vitro cytotoxicity of camptothecin derivative encapsulated in PEGylated liposome was carried out in human cervical cancer cell line (HeLa). Camptothecin derivative in PEGylated liposome was found to be 20-fold more effective (IC₅₀=2.5nM) than free camptothecin derivative (IC₅₀=50nM) for growth inhibition of HeLa cells in vitro.

[PE1-3] [04/19/2001 (Thr) 15:30 - 16:30 / Hall 4]

Evaluation of poly-L-lysine-g-pluronic copolymer as a gene transfer agent

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Genes are attractive candidates as therapeutic agents, and the development of gene carriers is essential for human gene therapy. In order to investigate the delivery of DNA into cells, poly-L-lysine-g-pluronic copolymer was synthesized by conjugating free amino group of poly-L-lysine and pluronic partially functionalized with 4-nitrophenyl carbonate groups. The new graft copolymers were characterized by FT-IR, ¹H-NMR, UV spectroscopy. ¹H-NMR spectrum of copolymer shows peaks at δ =1.13ppm, 1.37~1.6ppm, 3.0ppm, 3.5ppm, 3.66ppm which can be assigned to reaction poly-L-lysine and pluronic. The reaction between activated pluronic and poly-L-lysine results in a loss of 4-nitrophenoxy groups and a corresponding decrease in absorbance at 274nm, which can be monitored spectrophotometrically. Gel retardation assay and EtBr assay confirmed that the new gene carriers make a compact complex with plasmid DNA. pCMV β -gal and pGL3 plasmid were used as reporter genes, and in vitro gene transfection efficiency was measured in HeLa cell by using X-gal assay and luciferase assay, respectively. The highest transfection efficiency was achieved at a 1:1 weight ratio of polymer:DNA.

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

Iontophoretic transport of GHRP-6

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The purpose of this study is to characterize the iontophoretic transport of growth hormone releasing peptides (GHRP-6) through hairless mouse skin from aqueous solution. The effect of various factors, such as pH, polarity, current profile, current density, current duration, ionic strength, drug concentration, and enhancer application were studied to obtain basic knowledge on the transport. We have also studied the stability of GHRP-6 in solution with/without current. The donor chamber was filled with phosphate buffer solution containing GHRP-6 and the receptor chamber was filled with phosphate buffer solution (pH 7.4). Ag/AgCl electrode was used for their stability and reversibility. At a predetermined time interval, sampling was made and the concentration of drug was analysed using HPLC system. The results showed that, compared to passive flux, the total amount of drug transported increased about 7 folds by the application of 0.4 mA/cm² anodal current. Cathodal flux was similar to passive flux. Flux increased with the current density, the duration of current application and loading amount. The effect of enhancers on the flux was studied using hydrophilic (5% N-methyl pyrrolidone) and hydrophobic (5% propylene glycol monolaurate, 5% oleic acid) enhancers. Application of enhancer also increased the flux.