prodrug. The conjugates were characterized by FT-IR spectroscopy and prepared as nanoparticles formulation by simple dialysis method. Morphology of the nanoparticles was spherical observed by transmission electron microscopy (TEM). Particle size distribution was 72.5 ±12.5 nm measured by photon correlation spectroscopy (PCS). Drug release study was performed in vitro. Release rate of 5-aminosalicylic acid from GMD nanoparticles was dependent on the existence of dextranase.

[PE1-13] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

Preparation of PLGA microparticles loaded zidovudine: Effects of preparation conditions on entrapment efficiency and physical characteristics

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Zidovudine(AZT) is an effective drug for AIDS. However, bioavailability of AZT after oral administration is only 60% because of the first pass effect. If AZT is delivered in microparticles, bioavailablility would increase, AZT would be targeted to macrophage and dose-dependent side effects could decrease. The problem is low entrapment efficiency(EE) of AZT due to the high solubility in water. The purpose of this study is finding the optimum conditions for high EE by changing the conditions of preparations. Microparticles were prepared by solvent extraction-evaporation method using poly(DL-lactide-co-glycolide)(PLGA, 50:50) and emulsion types were oil in water(O/W) and water in oil in water(W/O/W). In case of O/W, 3% of polyvinylpyrrolidone (PVP) concentration, pH 5 of water phase and 10% of isopropyl alcohol(IPA) concentration showed the highest EE. As PLGA concentration in oil phase increased, EE increased. In case of W/O/W, 0.1% of span 60, 20% of IPA and 10% of PLGA showed the highest EE. When AZT was pre-saturated in water phase, EE was highly increased in W/O/W and O/W. When gelatin was in the first water phase, EE was highly increased too. The size and morphology of microparticles and release pattern were controlled by conditions of preparations.

[PE1-14] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

Characterization and Growth Inhibitory Effect of the PEGylated Liposome - incorporated Camptothecin derivative

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Camptothecin(CPT) and derivatives of camptothecin are specific inhibitors of the DNA unwinding enzyme Topoisomerase I that produce higher steady-state levels of protein-linked DNA breaks. Therefore, they lead to DNA damage as a result of cellular toxicity. PEGylated liposome-associated CPT derivative composed of dipalmitoylphosphatidylcholine(DPPC), cholesterol, distearoyl-N-{monoethoxy poly (ethylene glycol)succinyl}phosphatidylethanolamine(DSPE-PEG) was prepared by reverse-phase evaporation technique. Liposome was characterized in terms of morphology, size and encapsulation efficiency. To know the PEGylation effect, PEGylated liposome was incubated in human plasma, and the adsorbed proteins were applied to the SDS-PAGE. *In vitro cytotoxicity of CPT derivative encapsulated in PEGylated liposome is carried out in* two different cell lines : human ovarian carcinoma cell line(HeLa) and human hepatoblastoma cell line(HepG2), and the result will be presented.