

conventional liposomes. However, there are couple of problems in SSL preparation due to the instability of phospholipid during storage and the degradation of drug in aqueous conditions. Lyophilization has been studied for conventional liposomes, but rarely studied for SSL. Therefore, in this study, effects of lyophilization on SSL were evaluated for physical characteristics changes upon redispersion of lyophilized SSL in the points of particle size, turbidity, drug entrapment, and drug release. SSL were prepared with DSPC and DSPE-PEG 2000. The size was controlled by polycarbonate extrusion to 100nm and sucrose was used as a cryoprotectant for lyophilization. The size change in rat plasma was observed by turbidity measurement to investigate the interaction of SSL and plasma protein. Even though there was a small increase in size after rehydration, encapsulation efficiency and turbidity were kept as its original state. In vitro drug release in plasma also revealed the similar results. Therefore, we can conclude that lyophilized SSL might be useful for the entrapment of labile drugs in aqueous environments.

[PE1-11] [10/19/2000 (Thr) 15:00 – 16:00 / [Hall B]]

Preparation and Evaluation of Aceclofenac Microemulsion for Transdermal Delivery System

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To develop novel formulation containing water-insoluble drug, aceclofenac, using microemulsion consisting of surfactant, oil phase, and water phase was prepared for increasing its skin permeability. Aceclofenac was very soluble in Triacetin and Labrafac hydro as oil phase, in Transcutol and Labrasol as surfactants. The microemulsion domain was wide when Triacetin or Labrafac hydro were used as oil phase and Labrasol as surfactant. The mean diameters of microemulsion were about 90 nm and the system was very stable as the results centrifugal acceleration method. The pattern of dissolution ratios of each preparations were similar. And pH 6.8 buffer medium was suitable for the dissolution of aceclofenac. Skin permeation of aceclofenac from microemulsion preparations were increased then that of cream. These effects were important when linoleic acid or oleic acid was used as oil phase. Permeation rate (J_s) of all types microemulsion were increased significantly than that of cream. The decreasing of the plasma ingredients of rat following muscle injury were more effective in the case of microemulsion than cream. The plasma ingredients following DOMS were decreased rapid in the treatment of microemulsion than that of cream.

[PE1-12] [10/19/2000 (Thr) 15:00 – 16:00 / [Hall B]]

Drug release from glycidyl methacrylate dextran nanoparticles

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Dextrans are colloidal, hydrophilic and water-soluble substances, inert in biological systems and do not affect cell viability. Dextrans can be degraded by the dextranase which was found to be present in the colon. Taking advantages of these enzymes, polymeric prodrugs for colonic drug delivery based on dextran were designed. Biodegradable nanoparticles were prepared from glycidyl methacrylate dextran (GMD) by coupling of glycidyl methacrylate to dextran in the presence of 4-(N,N-dimethylamino)pyridine (DMAP) using dimethylsulfoxide (DMSO) as an aprotic solvent. In this study, 5-aminosalicylic acid was conjugated with the GMD as a new colon-specific

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, bioavailability 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.