

bonding of -OH in KP ② the shift of carbonyl band from 1697cm^{-1} to higher frequency (1732cm^{-1})
③ the appearance of larger and sharper aliphatic C-H stretching band at 2872cm^{-1} The result of $^1\text{H-NMR}$ (300 MHz, CDCl_3) is δ 1.75 (d, 3H, $J=7.1\text{Hz}$), 3.59 (s, 3H), 3.74-3.92 (m, 6H-72H), 4.02-4.09 (m, 1H), 4.38-4.52 (m, 2H), 7.65-8.03 (m, 9H). The hydrolysis rate constant was high at low and high pHs, and showed minimum at pH 4 and 5. Increase in pH from 1 to 4 resulted in a linear decrease in rate (slope=-0.90) and further increase in pH from 6 to 10 resulted in a linear increase in rate (slope=0.88). These slopes are close to unity, indicative of specific hydrogen/hydroxide ion catalysis. When the concentration was above 1 mg/ml ($1 \times 10^{-3}\text{M}$), micelles with average size of 90-140 nm were observed, suggesting that CMC is about $1 \times 10^{-3}\text{M}$.

[PE1-6] [04/21/2000 (Fri) 10:30 - 11:30 / [1st Fl, Bldg 3]]

The preparation of O/W microemulsion and micelle containing propofol

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Propofol, the recently marketed intravenous injection agent for anaesthesia, is highly lipophilic agent. The purpose of this study is to develop O/W microemulsion and micelle system containing propofol (1%) for intravenous injection. To make the best system, we used various oils, surfactants, and water-phase. The o/w microemulsion systems were made from oil (soybean oil or ethyl oleate) and nonionic surfactant such as polyoxyethylene castor oil derivatives (Cremophore RH 40, Cremophore EL), polyoxyethylene sorbitan fatty acid esters (Tween 20, 80), poloxamer and polyethylene glycol 660 12-hydroxystearate (Solutol HS 15). In addition, pH 7.4 phosphate buffer solution that contained propylene glycol, Kollidon 17 PF (Pyrogen Free) or Glycerin used as water phase. We investigated the Oil- and Surfactant-related changes at the microemulsion system and observed particle size using dynamic light scattering, transmittance at 540nm, and viscosity. The release pattern of propofol is performed by the Dialysis test (MWCO 12000) and is quantified using HPLC.

[PE1-7] [04/21/2000 (Fri) 10:30 - 11:30 / [1st Fl, Bldg 3]]

Sustained release of L-arginine from nanospheres for prevention of restenosis

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Recurrent luminal narrowing, restenosis, as a result of excessive intimal hyperplasia remains a major limiting factor for the long-term success of vascular surgery. For prevention of restenosis, various devices, such as polymeric matrices, microspheres and circumferential wraps, have been developed for perivascular local delivery. And many pharmacological agents have been tested to reduce restenosis. In order to inhibit vascular smooth muscle cell proliferation, drugs must be delivered at a high concentration for a prolonged period of time. Nanoparticles could be delivered more efficiently to the arterial tissue than microarparticles because they are capable of cellular internalization and connective tissue permeation. In this study, biodegradable nanospheres containing L-arginine (antiproliferative agents) were formulated using poly(D,L-lactic-co-glycolic acid) (PLGA) as a sustained drug delivery systems for the prevention of restenosis. The drug loaded PLGA nanospheres were prepared by an emulsion-solvent extraction method. As a result of particle size distribution, the size of nanospheres was average 200-300nm. The release of the drug was sustained in vitro, and the nanospheres showed an antiproliferative effect.