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Microencapsulations of piroxicam using the mixture of Eudragit RS with RL or Eudragit L or E or S according to Eudragit RS were carried out. The Eudragit microspheres of piroxicam were prepared by solvent method. Piroxicam and Eudragit polymer were dissoved in methylene chloride and dispersed in 0.5% polyvinyl alcohol solution and solvent evaporated. The average diameters of various Eudragit microspheres were from 40 to 43 ㎛. A good and smooth surface of microspheres observed by SEM were shown in all type of microspheres. The incorporation ratios of piroxicam into the all type of microspheres were higher than 93 %. The dissolution of piroxicam from Eudragit microspheres is not related with the pH of dissolution mediumm but related with the combination of Eudragit types used for preparation. Increase of Eudragit RS portion to Eudragit RL decreased the release of piroxicam. In vivo evaluation of piroxicam from Eudragit microspheres of different polymer types showed that the bioavailability of piroxicam from microspheres were increased about 1.5 times than that of the suspension. The carrageenan induced swelling was reduced rapidly until 24 h and gradually reduced until 72 h from the Eudragit microspheres of piroxicam. while, increased until 24 h and continued until 72 h from the control group.
The similar patterns were observed when the serum enzyme activity was determined following carrageenan induced paw edema. All type of enzyme LDH and CPK was significantly reduced from the Eudragit RS/RL microspheres compare with suspension.

[PE1-6] [10/18/2002 (Fri) 13:30 - 16:30 / Hall C]

Solid Lipid Nanoparticles(SLN) as Controlled Release Subcutaneous Injections of Local Anesthetics

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Local anesthetics are used to reduce pain, but they are so frequently injected to patients. So we prepared lidocaine solid lipid nanopaticles for long acting subcutaneous injection to decrease the number of times of injection. Solid lipid nanoparticles were prepared by spray drying method. First, drug, lipid, plasticizer and surfactant were dissolved in methylene chloride, and we operated spray dryer using this solution at setting value. To evaluate the products we tested the dissolution rate in dialysis sacks, determined the particle size and zeta potential, and performed animal test in mice. It was enough to control the drug dissolution and the particle size was about 30㎛~100㎛ enough to inject into subcutaneous tissue. And spray drying method improved the entrapment efficiency. Almost 100% degrees of the lidocaine was entrapped into nanopaticles. surfactant and plasticizer improved about 20~30% degrees of the burst effect.

[PE1-7] [10/18/2002 (Fri) 13:30 - 16:30 / Hall C]

Ketoprofen-Polyethylene Glycol Conjugate: Pharmacokinetics, anti-inflammatory and analgesic activity

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Ketoprofen (KP), a potent analgesic and non-steroidal anti-inflammatory drug, has some disadvantages such as gastro-intestinal irritation, short half-life (1.5-4 hour) in plasma and low solubility in aqueous solution. In order to minimize these disadvantages, we have recently prepared a KP prodrug, KP-polyethylene glycol conjugate (KPEG750, PEG Mw=750), and investigated its pharmacokinetic behavior, anti-inflammatory and analgesic effect. The change of plasma concentration of free KP with time was studied using rat after intravenous or intramuscular administration of KP and KPEG750 containing equivalent amount of free KP. Analgesic effect of KP and KPEG750 after intramuscular administration was estimated by Tail-flick method using rat. Anti-inflammatory effect after intramuscular administration was measured by carrageenan-induced paw edema in rats given KP and KPEG750 containing equivalent amount of free KP. Pharmacokinetic data showed that KPEG750 was hydrolysed rapidly in