

small intestine. Accordingly, formulating this kind of drugs with too much release time resulted in very low bioavailability.

So, we tried to formulate the matrix tablet containing CFT with short-term sustained release so that we should minimize the portion of the unabsorbed drug through the small intestine. We chose various hydroxypropylmethylcelluloses (HPMC) as a matrix carrier and estimated how the drug to polymer ratio and polymer type had an influence on the release of the drug. In more, we evaluated not only the effect of various diluents and lubricants, but also the influence of granulation on the release of the drug.

As a result of our research, we could formulate the robust short-term sustained release tablet with the duration time of about 2 hours. It is supposed to make other similar drugs, which has the narrow absorption window, a sustained release form with relatively high bioavailability than ever since.

[PE1-4] [04/21/2000 (Fri) 10:30 - 11:30 / [1st Fl, Bldg 3]]

PREPARATION AND EVALUATION OF MICROEMULSION CONTAINING IBUPROFEN

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Oleic acid, linoleic acid, and several kinds of glycerides and triglycerides were used as an oil phase with several surfactants, which consist of saturated polyglycolized glycerides (Labrasol) , diethylene glycol monoethyl ether(Transcutol) , and polyoxyethylene(4) lauryl ether(Brij 30). The solubilities of ibuprofen in oils and surfactants were about 100 times higher than that of water. The three phase diagrams show o/w microemulsion domain. When oleoyl macroglycerides EP(Labrafil) or caprylic/capric triglyceride polyethylene glycol-4 complex(Labrafac) as oil phase and diethylene glycol monoethyl ether(Transcutol) or saturated polyglycolized glycerides (Labrasol) as surfactant were used, the domain of microemulsion was wide. In dissolution test, it was showed 23.7 %, 24.3%, 19.1 % and 15.4 % for caprylic/capric triglyceride polyethylene glycol-4 complex (Labrafac) , oleoyl macroglycerides EP(Labrafil) , linoleic acid and suspension, respectively. Following oral administration of microemulsion containing ibuprofen, the C_{max} was more increased and the T_{max} was more rapid than these of suspension. The relative bioavailability of microemulsion was increased as 165.5 %, 166.1 % and 134.8 % for caprylic/capric triglyceride polyethylene glycol-4 complex(Labrafac) , oleoyl macroglycerides EP(Labrafil) , linoleic acid and suspension, respectively.

[PE1-5] [04/21/2000 (Fri) 10:30 - 11:30 / [1st Fl, Bldg 3]]

Synthesis and characterization of a ketoprofen prodrug

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The objective of this study is to prepare ketoprofen (KP) - polyethylene glycol (PEG) conjugate and to study its degradation kinetics and solution behavior. KP-PEG conjugate were synthesized from ketoprofen and PEG methylester by esterification in the presence of DCC. The KP-PEG conjugate (KPEG750) was characterized by IR, ¹H-NMR spectroscopy. The quantitation and separation of KPEG750 were performed by HPLC with mobile phase consists of acetonitril/ammonium phosphate buffer (pH 3.0). The conjugation between KP and PEG could be observed by the disappearance and appearance of some specific IR bands: ① the disappearance of very broad peak by hydrogen