The normal penile length(18±5mm) was increased to 39±8mm after application of intraurethral solution, which was similar to that after intracavernosal injection 1g of PGE1(38±6mm). Duration of erectile response of intraurethral solution(287±34min), however, was much longer than that of control (32±8min). Histological examination revealed no or very little irritancy. Conclusions. PGE1 intraurethral solution for erectile dysfunction could be developed employing feline erection model.

[PE1-25] [04/19/2001 (Thr) 15:30 - 16:30 / Hall 4]

The investigation on adhesive properties of an anti-immflammatory plaster containing ketoprofen

Choi YG, Kim JJ, Sim YC

Pacific R&D center, Pharmaceutical & Health Institute, 314-1, Bora-ri Kiheung-eup, Yonhin-si, Kyounggi-do, 449-900

This study was conducted to get the available information that could be applied into development of a drug-in-adhesive (DIA) type plaster containing ketoprofen (KP). When an anti-immflammatory DIA type plaster is developed, we should consider several properties such as drug absorption, drug stability, skin irritation, appearance, and adhesion on skin. Because plasters are applied on skin for long time (above 12 h), adhesive property is very important factor in DIA-plaster formulation. Actually, main patient's discontent on commercial products is that plasters do not show acceptable adhesive property as good as a patient is satisfied. Therefore, it is required to develop a plaster with reasonable skin adhesion. DIA-type plaster has an adhesive-layer consisted of adhesive and additives such as drug and enhancers, etc. These additives usually convert original PSA property to unwanted direction. Thus, it is difficult to control the adhesive property of an adhesive-layer. Additionally, even if same adhesive-layer formulation is applied to various backings, one final plaster adhesive property is different with one another. In this study, adhesive properties of each DIA formulation containing KP were observed according to the combination of an acrylic adhesive, KP, penetration enhancers, and backings. The adhesive property of a formulation was evaluated by in-vitro test such as 180o peel adhesion, ball tack, and shear test.

[PE1-26] [04/19/2001 (Thr) 15:30 - 16:30 / Hall 4]

Evaluation of Disintergration Test of Soft Capsules

Choi MS, Jang SJ, Kang CS, Choi BK, Park SH, Park SA, Kang MH°, Hong JH, Kim KS *

Department of Drug Evaluation, KFDA

The crosslinking process in gelatin causes formation of a swollen, rubbery, water insoluble gelatin resulting in increasing disintegration time. The effect of crosslinking and disintegration medium on dissolution time and the effect of disintegration apparatus on disintegration of soft capsules exceeding 20.0 mm in diameter were studied.
Soft capsules were filled with three solutions of aqueous formaldehyde in PEG(0.05, 0.3, 0.5 %), stored at ambient conditions for 96 hr, emptied, disintegration tested scanned in NIR spectrophotometer. The more increased concentration of formaldehyde, the more increased disintegration time in water, KP disintegration medium I and USP simulated gastric fluid. But in USP simulated gastric fluid, the differences of disintegration time among crosslinking amounts were less than in water.
In the case of marketed samples, the differences of disintegration time among test mediums were not different and accepted by KP disintegration test criteria.
We conducted disintegration test with KP apparatus and USP apparatus B in the soft capsules of which diameter was over 20.0 mm. The disintegration time between KP apparatus and USP apparatus

B were not different and accepted by KP disintegration test criteria.
So, the disintegration test in soft capsules is applicable in present KP disintegration test.

Poster Presentations - Field E2. Pharmacokinetics

[PE2-1] [04/19/2001 (Thr) 15:30 - 16:30 / Hall 4]

HPLC, BLOOD PARTITION AND PROTEIN BINDING OF A NEW REVERSIBLE PROTON PUMP INHIBITOR, DBM-819

Kim EJ (a), Kim SH (b), Yu SY (a), Jung WS (a), Kim S (c), Lee DH (c), Lim H (c) and Lee MG (a)

a College of Pharmacy, Seoul National University, Kwanak-Gu, Seoul 151-742, South Korea. b of Dentistry, Kangnung National University,123, Chibyon-Dong, Kangnung, Kangwon-Do 210-702, South Korea. c Dongbu Hannong Chemical Company

A high-performance liquid chromatographic method was developed for the determination of a new proton pump inhibitor, DBM-819, in human plasma and urine, and rat tissue homogenates using KR-60461 as an internal standard. A 100-ml aliquot of acetonitrile (containing 0.5 mg/ml of the internal standard) and a 200-ml aliquot of 0.1 M Na2HPO4 (adjusted pH 11 with 1 N NaOH) were added to a 100-ml aliquot of biological sample. After vortex-mixing, the mixture was extracted with 1 ml of ethylacetate. After centrifugation at 12,000 g for 3 min, the organic layer was collected and evaporated under nitrogen gas. The residue was then reconstituted with a 100-ml aliquot of mobile phase, and a 40-ml aliquot was injected onto the HPLC column. The mobile phase, 0.02 M phosphate buffer (pH 5) : acetonitrile : methanol (46:44:10, v/v/v), was run at a flow rate of 0.5 ml/min and the column effluent was monitored by the fluorescence detector set at an excitation wavelength of 340 nm and an emission wavelength of 470 nm. The retention times for DBM-819 and the internal standard were approximately 10.5 and 12 min, respectively. The detection limits of DBM-819 in human plasma and urine, and rat tissue homogenates (including blood) were 0.01, 0.02 and 0.02 mg/ml, respectively. The coefficients of variation of the assay (within-day and between-day) were below 10.6% for human plasma and urine, and rat tissue homogenates. No interferences from endogenous substances were found.
The blood partition of DBM-819 between plasma and blood cells, and the factors influencing the binding of DBM-819 to 4% human serum albumin (HSA) were also evaluated. DBM-819 reached equilibrium rapidly between plasma and blood cells of rabbit blood. The equilibrium plasma/blood cells partition ratios were independent of initial rabbit blood concentrations of DBM-819, 0.5, 2, and 10 mg/ml; the values were in the range of 0.376 ?2.30. Binding of DBM-819 to 4% HSA was dependent on HSA concentrations, DBM-819 concentrations, incubation temperature, 멿he buffer?pHs, alpha-1-acid glycoprotein concentrations, and addition of acetylsalicylic acid. However, the binding of DBM-819 was independent of heparin concentration and buffers containing various concentrations of chloride ion.

[PE2-2] [04/19/2001 (Thr) 15:30 - 16:30 / Hall 4]

HIGH-PERFORMANCE LIQUID CHROMATOGRAPHIC ANALYSIS, BLOOD PARTITION AND PROTEIN BINDING OF OF A NEW NEUROPROTECTIVE AGENT FOR ISCHEMIA-REPERFUSION DAMAGE, KR-31378