Dissolution-Equivalent to Core Tablet

Seo JG1°, Yong CS1, Rhee JD1, Kim CK2, Choi HG1

1 College of Pharmacy, Yeungnam University, 214-1, Dae-Dong, Gyongsan / 2 College of Pharmacy, Seoul National University, San 56-1, Shinlim-Dong, Kwanak-Ku, Seoul

The present terfenadine-pseudoephedrine dosage from is the sustained release core tablet composed of outer (fast release) and inner (sustained release) layer. To develop the double layered tablet dissolution-equivalent to core tablet, the fast and sustained release layers were prepared using various disintegrants and polymers, respectively. The layer composed of [terfenadine/pseudoephedrine/lactose/corn starch/sodium bicarbonate/HPC/sodium laurylsulfate/microcrystalline cellulose (60/10/90/30/20/1/40/1/293 mg)], which gave the fast disintegrating time and high dissolved amounts of drugs, was selected as the fast release layer. The dissolved amount of pseudoephedrine from sustained release layers were more increased with smaller ratio of ethylcellulose and HPMC. Dissolution mechanism analysis showed the release of pseudoephedrine was proportional to the square root of time, indicating that drug might be released from the layers by Fickian diffusion. The layer composed of [pseudoephedrine/ethylcellulose/HPMC (110/30/155 mg)], which had the similar dissolution amounts of pseudoephedrine to the inner layer of core tablet, was selected as the sustained release layer. Furthermore, the dissolved amounts of drugs from the core and double layered tablet had the deviation of less than 5% against the average dissolved amounts of drugs at each time, respectively. There was no significant difference between the dissolved amounts of drugs from these tablets at each time in pH 1.2, 4.0 and 6.8, respectively ($P>0.05$). Our results suggest that this double layered tablet was dissolution-equivalent to the core tablet

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

Evaluation of a polymeric matrix for the controlled release of cefadroxil

Lee Sun Hee, Kim Seung-Ryul, Lee Chi-Ho, Kim Dae-Duk

College of Pharmacy, Pusan National University

The purpose of this study was to evaluate the efficacy of a polymeric matrix developed for the prevention of bacterial adhesion and growth on its surface by controlled release of antibiotics. Cefadroxil was incorporated into a polyurethane matrix by the solvent casting method. The matrix was then coated with polyurethane in tetrahydrofuran solution. The release of cefadroxil from the matrix into the distilled water at 37℃ was measured by HPLC. The morphological change of matrices before and after release studies was investigated by the scanning electron microscopy (SEM). The duration of antimicrobial activity of matrix against E.coli and S.aureus was evaluated by measuring the diameters of inhibition zone and the optical density of the broth. The matrices were also implanted subcutaneously in rats, and the duration of the antibacterial activity was determined by measuring the inhibition zone. The results showed that the release of cefadroxil from the polymeric matrix was successfully determined by measuring the inhibition zone and the optical density of the broth. It was also possible to determine the duration of the matrix in vivo by implanting the matrix in rats and measuring the inhibition zone. A good relationship was observed among the methods used in this study for the evaluation of the polymeric matrix.

[PE1-12] [04/21/2000 (Fri) 10:30 - 11:30 / [1st Fl, Bldg 3]]

Cyclosporin A adsorption to surfaces and prevention with serum

Lee YJo°, Chung SJ, Lee MH, Shim CK

College of Pharmacy, Seoul National University

Polystyrene (i.e., material for Transwell) and acrylic (i.e., material for Ussing chamber) compounds are frequently found in laboratory wares that are typically used in drug transport studies. However, adsorption of cyclosporin A (CsA), a lipophilic polypeptide, has been recognized as a potential problem in the analyzing of data obtained from transport studies involving these materials. Therefore, the objective of this study is to identify agent(s) that prevents CsA adsorption to the plastic laboratory apparatus. Addition of polyehtylene glycol, a compound that is known to prevent drug adsorption, did not block the adsorption of the drug to Transwell. However, addition of serum reduced adsorption of CsA by more than 95% compared with that found in untreated laboratory wares, probably by a preferential binding of the drug to protein in serum. Therefore, the result suggested that this simple modification may be applicable in the prevention of CsA adsorption in quantitive studies involving Transwell.

[PE1-13] [04/21/2000 (Fri) 10:30 - 11:30 / [1st Fl, Bldg 3]]

The Ultra-fine Grinding Mechanism of Inorganic Powders and surface Modification in a Stirred Grinding Media Mill : Discussion for Mechanochemical Effect

Kwak EO°2, Choi HK2, Kwak JS2, Park SY2, Chung HY2, Kwon KA3, Choi WS1,2

1Department of Pharmaceutical Manufacturing,2Interdisciplinary Program in Powder Technology,Graduate School, Pusan National University,3Handok Pharmaceutical Co., LTD.

Recently, the grinding accompanying mechanochemical phenomenon has been researched variously. However, it is difficult to evaluate the mechanochemical phenomenon of the relationship between mechanical energy added in grinding accompanying mechanochemical phenomenon and structure change of material in uniform quantity. The mechanochemical change have widely correlation with chemical reactivity such as dissolution, oxidation, reduction, decomposition, polymerization, synthesis, and physical property, so that the fields of application are very wide and important. In this experiment, the mechanochemical phenomenon of talc, changed into talc with hydrophilic surface when hydrophobic talc is ground in stirred media mill, is studied and applied to surface modification. The results show that the hydrophilic talc is produced when hydrophobic talc is ground finely without any additive due to structure change of talc by grinding. Also, the hydrophilic characteristics are examined experimentally in related with species and addition amount of additives and grinding operation conditions. From the this research, the possibility of controlling hydrophilic characteristic according to experimental conditions was confirmed.

[PE1-14] [04/21/2000 (Fri) 10:30 - 11:30 / [1st Fl, Bldg 3]]

A Study on the Test Methods in Korean Pharmacopoeia(II)

Lee SH, Kang CS, Choi BK, Park SH, Park SA, Choi MS°, Kang MH, Hong CH, Choi MH, Lee DM, *You SD

Drug Evaluation Department, KFDA * College of Pharmacy, Sungkyunkwan University

Dissolution test plays an important role both in the quality control process and in predicting release and absorption behaviors of drug using in vitro test methods. We developed simple matrix systems for the controlled delivery of highly soluble drug, Diltiazem·HCl. Hydroxypropylcellulose (HPC) was used as release-regulating materials. The purpose of this study is to compare in vitro dissolution test with in vivo bioavailability test by in vivo-in vitro correlation. Physical properties of tablets such as hardness, thickness, friability, content uniformity and dissolution profiles were evaluated. Release kinetics of diltiazem from matrix tablets were dependent on pH and HPC contents. As HPC content increased, release rate of diltiazem was slower. In water, simulated intestinal fluid TS without