[PE1-15] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

POLYMERIC DEVICES FOR THE CONTROLLED DELIVERY OF CEFADROXIL

Kim SR°, Ha EJ, Lee SH, Kim DD, Lee CH

College of Pharmacy, Pusan National Unuversity

In order to develop a novel implantable polymeric device that prevents bacterial adhesion and biofilm formation, we fabricated and investigated various antibiotic-loaded polymeric formulations using non-biodegradable polymer, polyurethane (PU), and biodegradable polymers, polycaprolactone (PCL), poly (DL-lactide-co-glycolide)[50:50] copolymer (PLGA) . In order to optimize the formulation for controlling the release property of cefadroxil from the polymeric devices, we examined the various factors, particle size and loading dose of pore former, molecular weight and solvent fraction of polymers. Cefadroxil was incorporated into PU, PCL and PLGA polymers by solvent casting and freeze-drying using several pore formers, especially BSA. The release of cefadroxil from three polymeric devices increased as increasing the fraction and particle sizes of the BSA/cefadroxil mixtures. Changing the weight fraction and particle size of the BSA/cefadroxil mixtures could control the release of cefadroxil from the matrix. The release of cefadroxil-loaded these polymeric matrices without the pore former was more sustained and lower than that of BSA/cefadroxil mixtures. The release of cefadroxil from PCL increased as decreasing average molecular weight of polymer and increasing the solvent fraction of polymer solution. The weight of PLGA matrix began to decrease since 30days and pH of IPB solution decreased as weight loss increase. For duration of antibacterial activity, in vivo was longer than in vitro and Cefadroxil-loaded these polymeric matrices without the pore former were sustained for more than 10days. SEM studies confirmed the results of release properties in release studies.

[PE1-16] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

Preparation and evaluation of the glutaraldehyde crosslinking chitosan microspheres containing cefaclor

Cha YK°, Ku YS

College of Pharmacy, Ewha Womans University

Chitosan microspheres were prepared by glutaraldehyde crosslinking of an aqueous acetic acid dispersion of chitosan containing cefaclor in liquid paraffin stabilized using span80 as a surfactant. The morphological characteristics were examined using a scanning electron microscope(SEM). Drug incorporation efficiencies of the microspheres were variable(16.4-36.9%) depending on the preparation conditions. In vitro release of the drug in simulated gastric and intestinal fluids at 37℃ was affected by the crosslinking density, particle size and initial drug loading in the microspheres. Drug release was retarded by increasing glutaraldehyde concentration and crosslinking time. On the other hand, using high initial drug loading and surfactant concentration showed a high drug release.

[PE1-17] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

Development of bioadhesive gels for enhanced local anesthetic effects

Shin SC, Lee JW°, Oh IJ

College of Pharmacy, Chonnam National University

In relieving local pains, lidocaine, procaine, tetracaine, ester type local anesthetics, has been

used. In case of their application such as ointments, creams, it is difficult to expect their effects, because they are easily removed by wetting, temperature, movement and contacting. We need to develop the new formulations that have suitable bioadhesion using HPMC and poloxamer 407. Bioadhesive forces of various HPMC gels at 2% concentration was tested using Auto-peeling tester. HPMC-K100M gels showed the best bioadhesive force. As the concentration of HPMC-K100M increased, the bioadhesive forces increased.
The effects of drug concentration on drug release was studied from the prepared 2% HPMC-20% poloxamer 407 gels at 37±0.5℃. As the drug concentration in the gels increased to 3%, the permeation of drug increased, thereafter slightly increased. As the temperature increased, the permeation of drug increased. Activation energy for drug permeation was 3.29 kcal/mol for lidocaine, 4.35 kcal/mol for procaine, and 4.47 kcal/mol for tetracaine.
The enhancing effects through skins, using some kinds of enhancers such as glycols, non-ionic surfactants, bile salts was studied. Among the enhancers used, diethylene glycol showed the most enhancing effects. The analgesic effects was studied using tail-flick analgesimeter. According to the rat tail flick test, 3% drug gels containing diethylene glycol showed the better local analgesic effects.
For the percutaneous delivery of water soluble anesthetics, the enhanced local anesthetic gels containing penetration enhancer and vasoconstrictor could be developed by using the bioadhesive polymers, HPMC and Poloxamer 407.

[PE1-18] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

Studies on Chitosan strip containning Doxycycline hydrochloride nanopaticles

JH Yang, KJ Kim, MJ Kim

College of Pharmacy, woo suk Univ., Won-kwang Health college.

In the field of dental therapy, doxycycline is usually first choice because of its broad-spectrum antibiotic. To examine the preparation and evaluation of chitosan strip, nanoparticle strip containing doxycycline hydrochloride, and to examine the antimicrobial activity, dissoultion, and biodegrability of the prepared samples containing doxycycline hydrochloride in vitro. The weight of cast strip containing a 5mg of doxycycline hydrochloride and a 45mg of chitosan polymer was 57.67±0.17mg. In vitro release test, the drug from chitosan strip and nanoparticle strip showed zero order release with initial burst effects, and release rate was showed to 50㎍/mL in first 24 hours. In antimicrobial test, 1 day to 7 days of release experiments showed growth inhibitory activity after 24hrs anaerobic incubation. In vitro degradability showed demolished weight of 93.74 ±0.08% chitosan strip, 82.48±1.29% chitosan nanoparticle strip, 2.47±1.99% polycarprolactione strip(control), respectively, at 7 days($p<0.001$).

[PE1-19] [10/19/2000 (Thr) 15:00 - 16:00 / [Hall B]]

Drug release from cholic acid conjugated glycidyl methacrylate pullulan nanoparticles

Kim IS, Jeong YI, Kim SH

College of Pharmacy, Chosun University, Kwangju 501-759, Korea

Pullulan is edible and has been extensively used for food and pharmaceutical additives. Pullulan tends to accumulate to the liver to a significant extent compared with other water-soluble polymers, such as poly(ethylene glycol), poly(vinyl alcohol), and dextran. Pullulan is widely under investigation as a polymeric carrier in drug delivery systems. Because of its good biocompatibility, pullulan is also a sutiable polymer to be used for the preparation of hydrogels, which are becoming increasingly important in the biomedical, pharmaceutical, and biotechnological fields. Glycidyl