

The aim of this study is to evaluate the permeability of PEG-conjugated salmon calcitonin (sCT) across monolayers of Caco-2 cells that represent a model of the intestinal barrier. Caco-2 cells were grown to confluency on a permeable polycarbonate membrane to permit transport through it. Permeability experiments were performed with native-sCT and PEG-conjugated sCT (PEG M.W. 2000) at various concentrations (5 μ M, 10 μ M, 25 μ M, 50 μ M, 100 μ M) in the apical to basolateral direction. The barrier properties were assessed by detecting transport of marker molecules (³H-mannitol) and by measuring transepithelial electrical resistance (TEER). The transported compounds were identified by MALDI-TOF Mass and were quantified by sCT RIA-kit and gamma counter. PEG-conjugated sCT as well as native sCT were transported through Caco-2 cell monolayers. The transfer of PEG-conjugated sCT from the apical to the basolateral compartment appeared quantitatively. This study suggest that the PEG-conjugated sCT is transported through Caco-2 cell monolayers and the transported amount can be assessed quantitatively.

[PE1-27] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Biocompatible polymeric rods as implants for enhanced cartilage regeneration

Yook Yeojoo^o, Hwang Jeonghyo, Shim Inkyung, Lee Jueyeon, Lee Sangyoung, Ahn Hyunjeong, Lee Sanghoon, Lee Myungchul, Lee Seungjin

College of Pharmacy, Ewha Womans University, Seoul National University Hospital

With an aim of obtaining high efficacy in cartilage regeneration, implantable polymeric rods were fabricated. These rod-type matrices were anticipated to perform structural tissue supporting activity and enhance extracellular matrix (ECM) formation by releasing specific agent, DHEA-S, in controlled manner. It is expected that application for the drilling operation on the articular cartilage of OA patients as the implants may promote regeneration of their cartilage. Osteoarthritis (OA) is a degenerative joint disease characterized by progressive loss of articular cartilage, subchondral bone remodeling, spur formation, and synovial inflammation. In OA, the principal cause of joint morbidity results from the degradation of the articular ECM of articular cartilage, which results from the activation of various proteases and proinflammatory cytokines; IL-1 β and TNF- α . IL-1 β has been implicated in the transcriptional upregulation of various MMPs, including MMP-1 and MMP-3. The activity of MMPs is controlled by the tissue inhibitor of metalloproteinase (TIMP). It was investigated that dehydroepiandrosterone (DHEA) has an ability to modulate the imbalance between MMPs and TIMP-1 during OA at the transcriptional level, which suggests that DHEA has a protective role against articular cartilage loss. Its ester form is DHEA sulfate. Poly(D,L-lactide-co-glycolide) and Poly(L-lactide), which were proven to be biocompatible, have been chosen as materials for implant.

[PE1-28] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Buccal Mucosal Ulcer Healing Effect of rhEGF by Using Mucoadhesive Formulations

Park Jeongsook^o, Kang Soohyun, Li Hong, Han Kun

College of Pharmacy, Chungbuk National University

Purpose : To develop the buccal delivery system of rhEGF for the treatment of buccal mucosal ulcer, polymer films and hydrogels were investigated. Methods : Hydrogels for thermosensitive sol/gel systems were prepared by the cold method (Schmolka, 1972). And mucoadhesive films were prepared by mixing sod. alginate/polycarbophil 974p. To find an optimum buccal mucosal adhesive gel or film, the gel strength of the poloxamer and sod. alginate/polycarbophil 974p hydrogels were determined by the Simple Rheology Method and their mucoadhesiveness were measured by the Instron[®] (M 4400, Instron Co., U.S.A.) method. To evaluate the ulcer healing effect of rhEGF, the buccal mucosal ulcer was induced in golden hamsters using acetic acid (Okabe and Pfeiffer, 1972). The ulcer area was measured and the ulcer healing effect of rhEGF was evaluated after administration of rhEGF by using this gel or film for 24hrs. Results : The gel strength and mucoadhesive force of sod. alginate/polycarbophil 974p hydrogels generally were higher than poloxamer sol/gel systems. On the other

hand, the swelling ratio of films were smaller than hydrogels. Sod. alginate/ polycarbophil 974p film (sod. alginate : polycarbophil 974p = 7 : 3) containing rhEGF showed 1.80 times of the curative ratio compared with poloxamer sol/gel system. Conclusions : Hydrogel/film was evaluated as optimal when the mixing ratio of sod. alginate:polycarbophil 974p was 7:3. Film was better than hydrogel in ulcer healing effect. Accordingly, film (sod. alginate : polycarbophil 974p = 7:3) containing rhEGF might be applicable for the convenient treatment of buccal mucosal ulcers. Acknowledgement : This work was supported by Korean Research Foundation Grant (KRF-2001-005-F20014).

[PE1-29] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Evaluation of solid surface properties by analysis of liquid penetration rate into powder bed - Examination of surface free energy -

Choi Woo Sik^o, Ha Jong Hak

Pusan National University

Evaluation of solid surface properties is very important for formulation of solid dosage form, specially insoluble drugs. The contact angle of insoluble drugs was measured by the penetration rate into powder bed using Washburn equation and wicking method. From the measured contact angle data, the surface free energy value of pharmaceutical powders γ_s was divided and analyzed into the polar component, γ_s^p and the dispersion component, γ_s^d . Furthermore, the data was interpreted for acid part, γ_s^+ and base part, γ_s^- of surface free energy. The pharmaceutical powders such as DDB, UDCA and Phenytoin were used as model test samples of insoluble drugs. Octane, CCl₄, CH₂Cl₂, C₂H₅NO₂, DMF, ethylene glycol, formamide, and water were used as the test liquids.

[PE1-30] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Skin Permeation and Crosslinking of a Biological Tissue with Hydrolyzed Product of Gardeniae Fructus

Jaе-Heon Yang^o, Mi-jeong Kim, Nam-Hee Lee, Jin-Woong Lee, Dong-Hoon Min

College of Pharmacy, Woosuk University,

For the purpose to treatment of skin disease geniposide and hydrolyzed product of Gardeniae Fructus were studied on skin permeation and crosslinking of a biological tissue. Geniposide was hydrolyzed to genipin by β -glucosidase and the rate of hydrolysis was rapid on the condition of high temperature of medium and high concentration of β -glucosidase. The permeation enhancing effects of geniposide and genipin under cream and gel preparations were tested using Franz type diffusion cell and the skin of hairless mouse. Genipin was showed more lipophilic property and increased absorption ratio through the skin of hairless mouse than geniposide. The crosslinking of keratinous, epidermic and endodermic tissue with genipin under cream and gel preparation were observed using light microscopy. The remaining proportion of geniposide and genipin crosslinked with keratinous, epidermic and endodermic tissue were measured in the cream and gel preparations

[PE1-31] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Micronization of water-soluble pharmaceuticals with a low-temperature Bubble Dryer²

Seol Eunyoung^o, Jung Young Hwan, Kim Jung In, Seo Youn Mi, Chung Hye-Shin, Lee Heeyong

Pepton Inc. Dept. of DDS, Hannam University, Dept. of Microbiology

Fine particles of water-soluble pharmaceuticals were prepared using a new micronization method, Carbon Dioxide Assisted Nebulization in a Bubble Dryer² (CAN-BD). The process utilized mixtures of CO₂ in aqueous solution at supercritical conditions to form an emulsion. The aerosols were dried with pre-heated nitrogen, and the