

PEG 600, PEG 900, and PEG 1500 were studied. The results show that PEGs decreased the flux of AAP and thus the electroosmotic flow. This decrease in flux (electroosmotic flow) was larger as the molecular weight of PEG increased.

[PE1-24] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Effect of Vehicles and Penetration Enhancers on the Percutaneous Absorption of Ketorolac Tromethamine across Hairless Mouse Skin

Cho YoungAh^o, Gwak HyeSun

Chosun University, College of Pharmacy

The effects of vehicles and penetration enhancers on the in vitro permeation of ketorolac tromethamine (KT) across excised hairless mouse skins were investigated. Among pure vehicles examined, propylene glycol monolaurate (PGML) showed the highest permeation flux, which was 94.3 ± 17.3 mg/cm²/hr. Even though propylene glycol monocaprylate (PGMC) alone did not show high permeation rate, the skin permeability of KT was markedly increased by the addition of diethylene glycol monoethyl ether (DGME); the enhancement factors were 19.0 and 17.1 at 20 and 40 % of DGME, respectively. When DGME was added to PGML, the permeation fluxes were almost two times at 20-60% of DGME compared to PGMC alone. The combination of propylene glycol and oleyl alcohol enhanced the permeation fluxes dramatically compared to PG alone; however it failed to show significant enhancing effects compared to oleyl alcohol. In the study to investigate the effect of drug concentration on the permeation rate of KT, four pure vehicles (DGME, PGMC, PGML, isopropyl alcohol) and two binary co-solvents (DGME-PGMC, DGME-PGML) were employed. The permeation rates increased as the drug concentration increased in all vehicles used, and the dramatic increase in permeation rate was obtained when the drug concentration was higher than its solubility. For the effects of fatty acids on the permeation of KT, five fatty acids were added to propylene glycol (PG) at the concentrations of 1, 3, 5 and 10%-caprylic acid, capric acid, lauric acid, oleic acid, and linoleic acid. The penetration fluxes generally increased as the fatty acid concentration increased. The highest enhancing effect was attained with 10% of caprylic acid in PG; the permeation flux was 113.6 ± 17.5 mg/cm²/hr. The lag time of KT was reduced as the concentration of fatty acids decreased except for caprylic acid.

[PE1-25] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Ultra-fine Grinding Mechanism of Pharmaceutical Additive by Stirred Ball Mill - Consideration of particle size distribution on ground nano-particle

Choi Woo Sik, Choi Hee Kyu^o

Pusan National University

Recently, the need for ultra-fine particles, especially nano-sized particles has increased in the fields preparing raw powders such as pharmaceutical additive and high value added products in the Nano-Technology processes. Therefore, the research in ultra-fine grinding is very important, especially, in nanometer grinding. In the previous paper, a series of wet grinding experiments using grinding aids using a stirred ball mill have been performed on grinding rate constant based on grinding kinetics. In this study, firstly the relationship between the change of median diameter of products and the specific grinding consumption energy was discussed with the experimental factors such as the grinding ball size and the concentration of grinding aids using pharmaceutical additive powders such as CaCO₃ by the wet grinding process in a stirred ball mill. Secondly the production rate below particle size could be expressed as an exponential of un-ground fraction based on the rate process and the effect of above experimental factors on the grinding rate constant had examined with the change of particle size distribution of nano-particle size products.

[PE1-26] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Investigation of transport of PEGylated salmon calcitonin through caco-2 cell monolayers

Oh Seung Huyn, Youn Yu Seok, Lee Jeong Eun, Park Yun Sang, Lee Kang Choon

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