

Poster Presentations – Field A1. Pharmacology

[PA1-1] [04/18/2002 (Thr) 14:00 – 17:00 / Hall E]

Determination of Optical Purity of α -Arylmethylpropionic acids by Normal Phase Liquid Chromatography

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A variety of 2-arylmethylpropionic acids (profens) have been widely used as non-steroidal anti-inflammatory drugs for the relief of acute and chronic rheumatoid arthritis and osteoarthritis, as well as for other connective tissue disorders and pains. Example are fenoprofen, ibuprofen, ketoprofen, and naproxen. All are chiral and, except for naproxen, are marketed in racemic form. Enantioseparations of profens have been of considerable interest because their anti-inflammatory and analgesic effects have been attributed almost exclusively to their S-enantiomer.

A simple method for determination of (+) and (-) - α -arylmethylpropionic acids has been developed. By means of EEDQ, α -arylmethylpropionic acids is coupled to (S)-naphthylethylamide, a reaction which is complete in 3 hr at room temperature. The diastereoisomeric derivatives are then separated by normal-phase high-performance liquid chromatography.

[PA1-2] [04/18/2002 (Thr) 14:00 – 17:00 / Hall E]

Effect of cationic homopolypeptide on the mucin release from airway goblet cells in vitro and in vivo.

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In this study, we tried to investigate whether poly-L-arginine (PLA) (MW 10,800) significantly affect mucin release from cultured hamster airway goblet cells and the mucosubstances of hypersecretory airway goblet cells of rats. Confluent primary hamster tracheal surface epithelial (HTSE) cells were metabolically radiolabeled with 3H-glucosamine for 24 hr and chased for 30 min in the presence of varying concentrations of PLA to assess the effects on 3H-mucin release. Possible cytotoxicities of PLA were assessed by measuring both Lactate Dehydrogenase (LDH) release and by checking the possible changes on the morphology of HTSE cells during treatment. For in vivo experiment, hyperplasia of rat airway goblet cells and increase in intraepithelial mucosubstances were induced by exposing rats to SO₂ for 3 weeks and varying concentrations of PLA were administered inhalationally to assess the effects on the mucosubstances of airway goblet cells of rats. The results were as follows: (1) PLA significantly inhibited mucin release from cultured HTSE cells in a dose-dependent manner, (2) there was no significant release of LDH and no significant change on the morphology of cultured HTSE cells during treatment, (3) PLA also affected the intraepithelial mucosubstances of hypersecretory rats and restored them to the levels of control animals. We conclude that PLA inhibit mucin release from airway goblet cells without significant cytotoxicity and possibly normalize the hypersecretion of airway mucosubstances in vivo. This finding suggests that PLA might function as an airway mucoregulative agent.

[PA1-3] [04/18/2002 (Thr) 14:00 – 17:00 / Hall E]

High-Throughput Fluorometric Assay for HCV NS3 Protease Inhibitors

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