including osteoarthritis. But chronic patients suffer from gastrointestinal disturbances such as discomfort, nausea, peptic ulcer and severe bleeding because NSAIDs inhibit not only COX-2 associated with anti-inflammatory activity, but also COX-1 accompanied with side effects in the stomach and kidney. Therefore, in this study, we designed a new 2-thiohydantoin derivatives as selective COX-2 inhibitors is that the 5-membered heterocycle ring is substituted with two aryl groups. These compounds were prepared through esterification, bromination, C-N bond formation and cyclization from commercially available (p-H or halo)phenylacetic acid. 1,5-Diaryl-2-thiohydantoin ring was synthesized through methyl α-(p-H , methoxy, sulfamyl)phenyl-(p-H or halo)phenylacetates with potassium isothiocyanate. Particularly, N-aralkylgroup could be introduced in 3-position of 2-thiohydantoin ring by one-pot reaction of methyl α-(p-H, methoxy, sulfamyl)phenyl-(p-H or halo)phenylacetates with aralkyl isothiocynate.

[PD1-56] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

Structure Activity Relationships of Thiazole and Thiadiazole Derivatives as Potent and Selective Human Adenosine A_3 Receptor Antagonists

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4-(4-Methoxyphenyl)-2-aminothiazole and 3-(4-methoxyphenyl)-5-aminothiadiazole derivatives have been synthesized and evaluated as selective antagonists for human adenosine A_3 receptors. A methoxy group in the 4-position of the phenyl ring and N-acetyl or propionyl substitutions of the aminothiazole and aminothiadiazole templates displayed great increases of binding affinity and selectivity for human adenosine A_3 receptors. The most potent A_3 antagonist of the present series, N-[3-(4-methoxy-phenyl)-[1,2,4]thiadiazol-5-yl]-acetamide exhibiting a K_i value of 0.79 nM at human adenosine A_3 receptors, showed antagonistic property in a functional assay of cAMP biosynthesis involved in one of the signal transduction pathways of adenosine A_3 receptors. Molecular modeling study of conformation search and receptor docking experiments to investigate the dramatic differences of binding affinities between two regioisomers of thiadiazole analogs, N-[3-(4-Methoxyphenyl)-[1,2,4-thiadiazole-5-yl]-acetamide and N-[5-(4-Methoxyphenyl)-1,3,4-thiadiazole-2-yl]-acetamide, suggested possible binding mechanisms in the binding pockets of adenosine receptors.

[PD2-1] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]

Antioxidant activity compounds from Euryale ferox

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Seeds of Euryale ferox have been used for disorder of kidney, hysterorrhea of female and a tonic. In this study, in order to investigate the efficacy of antioxidant activity, the bio-activity guided fraction and isolation of physiologically active substance were performed. Roots, stems, flowers(seeds) were extracted with MeOH and each fractions were examined antioxidant activity by DPPH method. It was revealed that flowers(seeds) frtion has significantly antioxidant activity. From flowers(seeds) frction, H_2O, 30%, 60%, 100% MeOH and acetone fractions were examined antioxidant activity by DPPH method. It was revealed that 30% MeOH frtion has significantly antioxidant activity. From 30% MeOH fraction, three phenolic compounds (methyl gallate, 1-O-galloyl-2,3-HHDP-α-D-glucose, gallic acid) were isolated. To investigate the antioxidant activities of each compounds, we were measured radical scavening activity with DPPH method and anti-lipid peroxidative efficacy on low density lipoprotein(LDL) with TBARS assay.

[PD2-2] [2003-10-11 09:00 - 12:30 / Grand Ballroom Pre-function]