[PD1-29] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

Ring enlargement reaction of 5,6-dimethoxyindan-2-one

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2-Aminoindan derivatives has been shown the serotonergic activities. In order to find new serotonergic agent, we trid to enlarge the indan ring. 3,4-Dimethoxybenzaldehyde, used as starting material was condensed with malonic acid, piperidine to form 3,4-dimethoxycinnamic acid. It was catalytically hydrogenated and sudsequently cyclized by Friedel-Crafts acylation reaction to yield 5,6-dimethoxyindanone. This compound was reacted with pyrrolidine and then acrylamide to be synthesized the 3-membered ring. Whereas, indanone was converted to oxime, and oxime was reduced with H2 in the presence of 10% Pd-C to obtain cis and trans isomer mixture of 2-amino-1-indanol. It was reacted with benzaldehyde, and then reduced with sodium borohydride to yield N-benzyl-2-amino-indan-1-ol derivative. It was cyclized by Friedel-Crafts intramolecular alkylation to synthesize the 4-membered rings.

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

Synthesis of 1,2,3-and 1,2,4-Triazole Isonucleosides as Potential antiviral agents

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Inosine monophosphate dehydrogenase(IMPDH) catalyzes the NAD^+-dependent oxidation of IMP to XMP, the rate limiting step in the de novo biosynthesis of guanine nucleotide. Its critical role at the metabolic branch point in purine nucleotide biosynthesis makes it a useful target in the development of drugs for antiviral and anticancer chemotherapy and in immunosupressant area. Several compound with antiviral activity have been found to be inhibitors of IMPDH. For example, ribavirin, a competitive inhibitor of IMPDH, has broad spectrum antiviral activities against DNA and RNA viruses. Isonucleosides are a novel class of nucleosides in that base is transposed from the natural 1'-position to the isomeric 2'-position. Isonucleosides have attracted great interest because of higher stability towards acids and enzymatic deamination. It has been reported that both D- and L-isonucleosides exhibit some activity against a broad spectrum of viruses and tumor cell lines. In view of these interesting biological activity of isonucleosides as well as triazole nucleosides, it was great interest to design and synthesize triazole (2S,4S)-isonucleosides. Here we report the synthesis of novel 1,2,4-and 1,2,3-triazole isonucleosides, starting from D-ribose and D-xylose, respectively.

[PD1-31] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

3D-QSAR (CoMFA, CoMSIA) study of PPAR-γ agonists.

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Comparative molecular field analysis (CoMFA) and comparative molecular similarity indices analysis (CoMSIA) were performed on 60 PPAR-g agonists. Partial Least Squars (PLS) analysis produced good predicted models with q^2 value of 0.62 (SDEP=0.33, F value=93.22, r^2=0.92) and 0.56 (SDEP=0.47 F value=27.65, r^2=0.86), respectivly. The key spatial properties were detected by careful analysis of the isocontour maps.

[PD1-32] [2003-10-10 14:00 - 17:30 / Grand Ballroom Pre-function]

Synthesis of 6-Formyl-pyridine-2-carboxylate Derivatives and their Telomerase Inhibitory Activities

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