evaluated in vitro. The key intermediate 2β-formyl penam ester was obtained by multistep synthesis starting from 6-amino penicillanic acid. The wittig reaction of 2β-formyl penam ester with various phosphonium ylide containing double bond resulted in conjugated double bond at 2 position. The β-lactamase inhibitory activity of the prepared compounds was determined by microiodometric assay using the β-lactamase type I, III, IV, and E.coli TEM.

[PD1-14] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Synthesis of Pyridine, Thiophene and Furane-containing Compounds and their Antitumor Activities

Lee ES°, Zhao LX, Ahn SH, Kim TH, Kim EK, *Choi JW and Kim JA

College of Pharmacy, Yeungnam University, *College of Pharmacy, Kyungsung University

Terpyridine has been extensively studied as a ligand in a wide range of metal complexes and DNA binding agents. In our research program for the discovery and development of novel antitumor agents, a series of terpyridine derivatives containing pyridine, thiophene and furane moiety were synthesized and their cytotoxicity against several human solid tumor cell lines were evaluated. Selective cytotoxicity were also investigated. Some of the terpyridine derivatives showed high antitumor cytotoxicity with GI_{50} values in the range of 10^{-5} - 10^{-7} μg/ml while the GI_{50} value of Doxorubycin was larger than 10^{-3} μg/ml. The structure-activity relationships of these new antitumor agents would be further discussed.

[PD1-15] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

SYNTHESIS AND HIV-1 INTEGRASE INHIBITORY ACTIVITIES OF CAFFEOYLGLUCOSIDES

Sun Nam Kim1, Jae Yeol Lee°1, Hyoung Ja Kim1, Cha-Gyun Shin2, Hokoon Park1, and Yong Sup Lee1

1Division of Life Sciences, KIST; 2Department of Biotechnology, Chung Ang University

Human immunodeficiency virus type 1 (HIV-1) is the probable causative agent of acquired immune deficiency syndrome (AIDS). The recent understanding of life cycle of this virus has afforded targets for anti-HIV-1 therapy, one of which is HIV-1 Integrase (IN). HIV-1 integrase is an enzyme that mediates the integration of HIV-1 DNA into a host chromosome and is essential to replication of the virus. This enzyme inhibitor is therefore thought to be a suitable drug for chemotherpeutic agent. In an effort to identify new structural leads for anti-HIV-1 agent, caffeoylglucosides were synthesized from methyl D-glucosides and their anti-HIV-1 activities were tested. Among them, a few of dicaffeoylglucosides showed HIV-1 integrase inhibitory activity as potent as chicoric acid.

[PD1-16] [04/21/2000 (Fri) 14:50 - 15:50 / [1st Fl, Bldg 3]]

Synthesis of Thienopyrimidines as Potential NMDA Receptor Antagonists

Lee CM°, Hwang KJ, Kim KW

Department of Chemistry and Researchcenter for Bioactive Materials, College of Natural Science, Chonbuk national University

2-substituted quinoline derivatives, represented by L-695902 and L-701324, are one of the most important class of compounds for NMDA glycine binding site antagonists. The characteristic feature