[PD1-24] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Design and Synthesis of Benzoquinoxalinediones

NamKoong Kwon°, Choi ByungGil, Lee Heesoon*

College of Pharmacy, Chungbuk National University

In cancer chemotherapy, it is becoming increasingly clear that the DNA topoisomerases play an active role in the expression of the cytotoxic action of drugs. The amino substituted azaanthraquinones have attracted much interest due to their possible role as topoisomerase inhibitors. In connection with our interests in the design and synthesis of potent topoisomerase inhibitor, we herein described the preparation of a series of benzoquinoxalinedione derivatives. These were designed based on the SAR of azaanthraquinones and structural analysis of products which are fitted with doxorubicin.

[PD1-25] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Diasteroselective synthesis of long chained keto amino acids derivatives

Kim Eunyoung°, Kim Eunjung, Ko Hyojin

서울대학교 천연물과학연구소

The unusal keto amino acid, (s)-2-amino-8-oxodecanoic acid(Aoda) is a biologically important constituent of the naturally occurring cyclic tetrapeptides such as apicidins. Consequently extensive chemical modifications of Aoda residue of apicidin were studied, and we are obtained the practical and versatile synthesis of the long-chained keto amino acids in enantiomerically pure form by alkylation with bromoketone and chiral Scholkopf auxiliary.

[PD1-26] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Design, Synthesis and Antitumor Evaluation of Terpyridine Derivatives Containing Pyridines at 4'-Position

Lim HyunTae°, Moon YoonSoo, Zhao Longxuan, Kim Eunkyung, Kim TaeHyung, Lee EungSeok

College of Pharmacy, Yeungnam University, Kyongsan 712-749, Korea

Recent study indicated that terpyridine and its derivatives displayed highly active antitumor properties. In this presentation, derivatives of terpyridines having three pyridine moieties at 2',4',6'-position of central pyridine skeleton were prepared, and evaluated their cytotoxicity against several human cancer cell lines and topoisomerase I inhibitory activities. Most of the prepared compounds showed strong cytotoxicity compared to doxorubicin. In addition, several compounds displayed better cytotoxicity than that of doxorubicin. Structure-activity relationship study was also performed to be indicated that [2,2':6',2"]terpyridine skeleton is important to show strong cytotoxicity. Significant topoisomerase I inhibitory activity was not observed for prepared compounds.

[PD1-27] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Synthesis and Antiinflammatory Activity of 1,5- and 4,5-Disubstituted Imidazoles

Truong NgocTuyen°, Sin KwanSeog, Kim HyunPyo, Park Haell