bisarylthio-5,8-dimethoxy-1,4-naphthoquinones

Shim Ju-Yeon[O], You Hea-Jung, Choi Ko Un, Choi Ik Hwa, Chae Mi Jin, Ryu Chung-Kyu

College of Pharmacy, Ewha Womans University, Seoul 120-750, Korea

2-Arylthio-, 2-arylthio-5-methoxy-, 2,3-bisarylthio-juglones and 2,3-bisarylthio-5,8-dimethoxy-1,4-naphthoquinones were newly systhesized for the evaluation of antifungal activities. These derivatives were prepared by methylation of juglone and 2,3-dichloro-5,8-dihydroxy-1,4-naphthoquinone, and by resioselective nucleophilic subsitution with arylthiols. All compounds were tested in vitro for their growth inhibitory activities against pathogenic fungi by the standard method. The MIC values were determined by comparison to flucytosine as a fungicidal standard agent. In general, most juglone derivatives shows in vitro antifungal activities. Among them, 2-arylthio-5-methoxy-juglones showed most potent antifungal activities against all pathogenic fungi.

[PD1-36] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Synthesis of Selenoflavonoid and Selenoisoflavonoid.

Kim DongMyung[O], Jeong JinHyun

Department of Pharmaceutical Science Graduate School Kyung Hee University Seoul, Korea

Synthesis of Selenoflavonoid and Selenoisoflavonoid.

Heterocyclic compounds with oxygen atoms are known to have potent biological effect.
The flavonoids, isoflavonoids, and coumarins which form the bulk of these compounds are very polar and have limited use as drugs which have to pass through membranes.
The non-polar property is increased by exchange oxygen to selenium as a part of heterocyclic compound.
Our group is focused on synthesizing selenoheterocyclic compound with the above property.

[PD1-37] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Synthesis of Benzoquinoxalines

NamKoong Kwon[O], Lee Heesoon*

College of Pharmacy, Chungbuk National University

We have previously reported the synthesis and cytotoxic activities of a series of azaanthraquinone derivatives on the model of doxorubicin(Dox). Dox is known to intercalate into DNA and to inhibit topoisomerase II activity. But in the case of quinone compounds like Dox, its use is limited because of systemic toxicities, primarily cardiotoxicity and myelosuppression. In this study, we describe the synthesis of benzoquinoxaline derivatives as DACA analogue. DACA has a neutral chromophore and acridine moiety and poisons both topoisomerases I and II with DNA intercalating activity. In order to delineate the SAR of benzoquinoxaline derivatives, an efficient synthetic rout to the target compounds without quinone group. Various attempted removal of quinone from benzoquinoxalinedione was unsuccessful. Diels-Alder rout applied for the synthesis of the target compounds will be discussed.

[PD1-38] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Design and Synthesis of Chromenone derivatives as Potential Antioxidants

Kang Hae Eun[O1], Lee Kum Ho[1], Lee Dae Hee[1], Cho Jungsook[2], Lee Heesoon[1*]

[1]College of Pharmacy, Chungbuk National University;[2]College of Medicine, Dongguk University

Oxygen radicals are produced in many pathophysiologic states whether the event is a causal factor of illness or is a result of their progression. Oxygen radicals including superoxide anions, hydrogen peroxide are thought to be involved in a number of type of acute, and chronic pathologic condition in the brain and neural tissue. So the antioxidants have recently received much attention as therapeutic agent for the treatment of neurodegenerative disease.
In this study, we describe synthesis of a series of chromenone derivatives as antioxidant agents. The target compounds are designed to include the structural feature of caffeic acid, flavonoid, and tocopherol known as antioxidants.

[PD1-39] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Synthesis and Antifungal Activities of 2,5-Disubstituted-6-Arylamino-4,7-benzimidazolediones

Choi Ko Un°, You Hea-Jung, Shim Ju-Yeon, Choi Ik Hwa, Chae Mi Jin, Ryu Chung-Kyu

College of Pharmacy, Ewha Womans University, Seoul 120-750, Korea

2,5-Disubstituted-6-arylamino-4,7-benzimidazolediones were synthesized and tested for in vitro antifungal activities against pathogenic fungi. The 2-aryl-6-arylamino-5-chloro-4,7-benzimidazolediones were prepared by nucleophilic substitution on 2-Aryl-5,6-dichloro-4,7-benzimidazolediones with appropriate arylamines in good yields. The synthesized 4,7-benzimidazolediones were tested in vitro for their growth inhibitory activities against pathogenic fungi by the standard method. The MIC values were determined by comparison to flucytosine as a fungicidal standard agent. The most active potential among the 4,7-benzimidazoledione series was found for 6-arylamino-2-(2-pyridyl)-4,7-benzimidazolediones, which showed generally good activities against all tested Candida species and A. niger.

[PD1-40] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Synthesis and evaluation of antifungal activities of 5-arylamino-6-chloro-4,7-dioxoindazoles

You Hea-Jung°: Shim Ju-Yeon, Song Eun-Ha, Choi Ko Un, Choi Ik Hwa, Chae Mi Jin, Ryu Chung-Kyu

College of Pharmacy, Ewha Womans University, Seoul 120-750, Korea

5-Arylamino-6-chloro-4,7-dioxoindazoles (DZs) were newly synthesized for the evaluation of antifungal activities. The compounds DZs were prepared by regioselective nucleophilic substitution of 5,6-dichloro-4,7-dioxoindazoles with appropriate arylamines in high yield. DZs were tested for their growth inhibitory activities against Candida species and Aspergillus niger. The MIC values were determined by the two-fold dilution method. In general, DZs showed in vitro antifungal activities. Among the tested compounds, DZ1, 3, 6, 7and 12 showed potent antifungal activities against Candida species and Aspergillus niger. DZ7 was the most effective in preventing the growth of Candida species.

[PD1-41] [10/17/2002 (Thr) 09:30 - 12:30 / Hall C]

Synthesis of N-arylalkylbenzimidazolones(thiones) and 3-arylalkyl-3,4-dihydro-1H-quinazolinones (thinones) as conformatonally restricted PETT analogs for inhibition of HIV-1 reverse transcriptase

Lee JeeHyun°, Cho SooHyun, Dang The Hung, Lee ChongKyo, Kim HaeSoo, Jung SangHun

College of Pharmacy, Chungnam National University, Daejon 305-764, Korea, Korea research Institute of Chemical Technology, Daejon 305-764, Korea