

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

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2-Arylthio-, 2-arylthio-5-methoxy-, 2,3-bisarylthio-juglones and 2,3-bisarylthio-5,8-dimethoxy-1,4-naphthoquinones were newly synthesized 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 regioselective nucleophilic substitution 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.

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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

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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 route to the target compounds without quinone group. Various attempted removal of quinone from benzoquinoxalinedione was unsuccessful. Diels-Alder route 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

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