[PD1-29] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Synthesis and Cytotoxicity of 3,4-Diaryl-2(5H)-Furanone Derivatives

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2(*5H*)-Furanone is a common moiety incorporated in a number of drugs with diverse biological activities such as antitumor, antifungal, antibacterial and antiinflammatory. One of them, a 3,4-diaryl-2(*5H*)-furanone analogues, in which the two aromatic rings are tethered directly into the 2(*5H*)-furanone ring, a biomoiety found in a number of drugs with diverse biological activities were synthesized and evaluated against for their cytotoxicity in a small panel of cancer cell lines. Four of ten compounds in this series, e.g. 3-(3,4,5-trimethoxyphenyl)-4-(4-methoxyphenyl)-, 3-(3,4,5-trimethoxyphenyl)-4-(3-hydroxy-4-methoxyphenyl)-, 3-(3,4,5-trimethoxyphenyl)-4-(3-amino-4-methoxyphenyl)-, and 3-(3,4,5-trimethoxyphenyl)-4-(2-naphthyl)-2(*5H*)-furanones, were found to have potent cytotoxic activities with ED_{50} values of less than 20 nM in most of the cell lines tested.

[PD1-30] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Epoxidation of [25R]-1,4,6-Spirostatriene-3-one

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The [25R]-5-spirosten-3β-ol was oxidized with dichlorodicyanobenzoquinone(DDQ) to give [25R]-1,4,6-spirostatriene-3-one. Treatment of the triene with alkaline hydrogen peroxide afforded the [25R]-1,2-epoxy-4,6-spirosta
dien-3-one. Epoxdation of the triene with m-chloroperoxybenzoic acid produced the[25R]-6,7-epoxy-1,4-spirostadien-3-one. These products were reduced with litium metal and ammonium chloride in liquid ammonia, to yield [25R]-6-spirosten-1,3-diol and [25R]-1-spirosten-3,6-diol, respectively. [25R]-1,2-epoxy-4,6-spirostadien-3-one was reduced with lithium metal in absolute ethanol to give [25R]-1-ethoxy-4,6-spirostadien-3-one.

[PD1-31] [10/19/2001 (Fri) 14:00 - 17:00 / Hall D]

Aminoacyl adenylate analogues as inhibitors of aminoacyl-tRNA synthetase

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The emergence of drug resistant *Staphylococcus aureus* poses a significant health treat to human. Thus there is a critical need to develop new antimicrobial agents with novel mode of action. Aminoacyl-tRNA synthetases(ARSs) are essential in protein biosynthesis, catalyzing the attachment of amino acids to their cognate tRNA prior to the ribosome. Selective inhibition of bacterial ARS has proved to be a successful strategy for the production of antibacterial compounds. Pseudomonic acid(generic name: mupirocin) is a potent inhibitor of isoleucyl-tRNA synthetase. Recently, structure-activity relationship