[PD1-3] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

Structural Requirement of New Chalcones for the Inhibitory Activity of Interleukin-5

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Interleukin (IL)-5 appears to be one of the main proinflammatory mediators among a growing number of cytokines and chemokines that induce eosinophilic inflammation. Sophoricoside and their analogs isolated from Sophora japonica show relatively potent inhibitory activity of interleukin (IL)-5 as a small molecule. Initial attempt to identify the structural requirement of this isoflavonone led to find new chalcones to exhibit the inhibitory activity of IL-5. Among them, 4-[3-(2-benzyl-6-hydroxyphenyl)-3-oxopropen]benzoic acid show the compatible activity with that of sophoricoside. The structure activity relationship of these chalcones will be discussed.

[PD1-4] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

Reagentselective and positionselective epoxidation of 25(R)-1,4,6-spirostatrien-3-one and 25(R)-4,6-spirostadien-3β-ol

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Diosgenin(25(R)-spirost-5-en-3β-ol) is the steroid saponin, was isolated from Mexican yam (Dioscorea).Estrogenic, progesterogenic and anti-inflammatory effects of diosgenin has been hypothesized due to its structural similarity to estrogen, progesterone precursors. And diosgenin had been reported to lower serum cholesterol in chicken and rabbits fed cholesterol and to decrease liver cholesterol in cholesterol-fed rats. Diosgenin was used commercially to produce steroid hormones such as cortisone, estrogen, and progesterone by in vitro chemical modification.
In order to synthesize the various diosgenin derivatives, 25(R)-spirost-5-en-3β-ol was oxidized with 2,3-dichloro-5,6-dicyanobenzoquinone to form 25(R)-1,4,6-spirostatrien-3-one(1). And compound(1) was reduced with NaBH4 to give 25(R)-4,6-spirostadien-3β-ol(2). Compound 1 and 2 was epoxidized with hydroren peroxide, m-chloroperoxybenzoic acid, (R,R) and (S,S)-Jacobsen's catalyst with NaOCl, D-(-)-diisopropyltartrate and L-(+)-diisopropyltartrate, titanium tetriospropoxide with TBHP, respectively.

[PD1-5] [04/18/2003 (Fri) 13:30 - 16:30 / Hall P]

Novel Heteroaromatic Arylsulfonylimidazolones as Anticancer Agent

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Novel arylsulfonylimidazolidinones were previously demonstrated to have broad and highly potent cytotoxicities against a wide range of cancer cell line. Among them 4-phenyl-1N-(p-