

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

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

Cho SooHyun<sup>o</sup>, Yang HyunMo, The Hung Dang, Ju JungHun, Kim MiKyung, Lee SeungHo, Ryu JaeChun, Kim Youngsoo, Jung SangHun

College of Pharmacy, Chungnam National University, Daejeon 305-764 Korea

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.

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### Reagentselective and positionselective epoxidation of 25(R)-1,4,6-spirostatrien-3-one and 25(R)-4,6-spirostadien-3 $\beta$ -ol

Ma Eunsook, Kim HakSoon<sup>o</sup>, Kim EunJung, Jung WonYoung

Department of Pharmacy, Catholic University of Daegu, Hayang, 712-702

Diosgenin(25(R)-spirost-5-en-3 $\beta$ -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-3 $\beta$ -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 NaBH<sub>4</sub> to give 25(R)-4,6-spirostadien-3 $\beta$ -ol(2). Compound 1 and 2 was epoxidized with hydrogen peroxide, m-chloroperoxybenzoic acid, (R,R) and (S,S)-Jacobsen's catalyst with NaOCl, D-(-)-diisopropyltartrate and L-(+)-diisopropyltartrate, titanium tetrakispropoxide with TBHP, respectively.

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### Novel Heteroaromatic Arylsulfonylimidazolones as Anticancer Agent

The Hung Dang<sup>o</sup>, Lee JeeHyun, Cho SooHyun, Hong ChangYong, Jeong ShinWu, Jeon Kiwan, Lee SungBae, Choi WhanGeun, Jung SangHun

College of Pharmacy, Chungnam National University, Daejeon 305-764, Korea

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-