

Li Gao<sup>0</sup>, Xu MingLu, Kim JaeHyon, Seo ChangSeob, Kim HyoJin, Lee ChongSoon, Woo MiHee, Son JongKeun\*

College of Pharmacy, Yeungnam University; Department of Biochemistry, College of Natural Sciences, Yeungnam University; College of Pharmacy, Catholic University of Daegu

The roots of *Juglans mandshurica* Maximowicz (Juglandaceae) have been used as a folk medicine for treatment of cancer in Korea. Several naphthoquinones and naphthalenyl glucosides from *Juglans* species have been reported (1-7). In the course of isolating cytotoxic compounds from the roots of this plant, we have isolated six naphthalene glycosides, four tetralone, one naphthalene carboxylic acid glucoside and nine diarylheptanoids (8-13). In this poster, we report three novel diarylheptanoids (1-3) from the roots of *Juglans mandshurica* and their structures were elucidated on the basis of spectroscopic studies.

[PD2-14] [ 10/17/2002 (Thr) 09:30 - 12:30 / Hall C ]

In vivo Antinociceptive and Anti-inflammatory Effect of the Two Triterpenes, Ursolic Acid and 23-Hydroxyursolic Acid, of *Cussonia bancoensis*

Tapondjou L.A.<sup>1</sup>, Choi Jongwon<sup>2</sup>, Lee KyungTae<sup>3</sup>, Jung HyunJu<sup>4</sup>, Park HeeJuhn<sup>04</sup>

<sup>1</sup>Department of Chemistry Faculty of Science University of Dschang Cameroon; <sup>2</sup>College of Pharmacy KyungSung Univ.; <sup>3</sup>College of Pharmacy Kyunghee Univ. and <sup>4</sup>Division of Applied Plant Sciences SangJi Univ.

Triterpenoids, Ursolic acid (1), 23-hydroxyursolic acid (2), and tormentic acid (3) were obtained by the hydrolysis of BuOH fraction of *Cussonia bancoensis* extract and further chromatographic isolation to test antinociceptive and anti-inflammatory effect of *C. bancoensis* (Araliaceae). Compound 1 and 2 exhibited anti-nociceptive effects, which were determined by acetic acid-induced writhing test and hot plate test. However, the effect of tormentic acid was not significant. The effect of 2 was much more potent than 1. Compounds 1 and 2 significantly inhibited 1%-carrageenan-induced edema in the rat. These results suggest that ursolic acid and 23-hydroxyursolic acid are responsible for the anti-nociceptive and anti-inflammatory effect of *C. bancoensis*.

[PD2-15] [ 10/17/2002 (Thr) 09:30 - 12:30 / Hall C ]

Antimicrobial effects of ocotillone isolated from the stem bark of *Ailanthus altissima*

Lee DongGun<sup>2</sup>, Chang YoungSu<sup>1</sup>, Park Yoonkyung<sup>2</sup>, Hahm KyungSoo<sup>2</sup>, Moon YoungHee<sup>1</sup>, Woo EunRhan<sup>01</sup>, 2

1 College of Pharmacy, Chosun University, 2 Research Center for Proteineous Materials, Chosun University, Kwang-ju 501-759, South Korea

Bioassay-directed chromatographic fractionation of a methylene chloride extract of *Ailanthus altissima* indicated the presence of 20(S), 24(R), epoxy-25-hydroxydammarane-3-one (compound 1, ocotillone), which was isolated from this plant for the first time. Antimicrobial activity of compound 1 was measured by its degree of growth inhibition against bacterial and fungal cells and by a hemolytic assay with human erythrocytes, respectively. The results revealed potent antibacterial activity against Gram-negative bacteria, *P. aeruginosa*, and *S. typhimurium* that were without hemolytic activity, whereas compound 1 had weak antimicrobial activity against Gram-positive bacteria and fungi. These results demonstrated that compound 1 has a more essential role in antibacterial activity against Gram-negative bacteria that is without hemolytic activity than Gram-positive bacteria and fungi. This is the first report of the biological activities of compound 1.

[PD2-16] [ 10/17/2002 (Thr) 09:30 - 12:30 / Hall C ]

Structure-Activity Relationship of Oleanane Disaccharides isolated from *Akebia quinata* on Both Cytotoxicity against Cancer Cells and NO inhibition against LPS-induced Macrophage 264.7

Jung HyunJu<sup>01</sup>, Lee JungOk<sup>2</sup>, Lee KyungTae<sup>3</sup>, Choi Jongwon<sup>4</sup>, Park HeeJuhn<sup>1</sup>

<sup>1</sup>Division of Applied Plant Sciences, Sangji University; <sup>2</sup>Pharmaceutical Screening Center Korea Research Institute of Chemical Technology; <sup>3</sup>College of Pharmacy KyungHee University; <sup>4</sup>College of Pharmacy KyungSung

We have reported cytotoxicities based on several types of sugar linkage in saponins in addition to antitumor and antiinflammatory effects. In order to find further structure-activity relationship on the cytotoxicity of saponins, we intended to isolate oleanane disaccharides from the saponin-containing extract of *Akebia quinata* (Lardizabalaceae). Repeated column chromatography yielded guaianin N (3, oleanolic acid 3-O- $\{\beta$ -D-glucopyranosyl-(1 $\rightarrow$ 3)- $\alpha$ -L-arabinopyranoside}), collinsonidin (4, hederagenin 3-O- $\{\beta$ -D-glucopyranosyl-(1 $\rightarrow$ 3)- $\alpha$ -L-arabinopyranoside}), hederoside D<sub>2</sub> (5, hederagenin 3-O- $\{\beta$ -D-glucopyranosyl-(1 $\rightarrow$ 2)- $\alpha$ -L-arabinopyranoside}), kalopanaxsaponin A (6, hederagenin 3-O- $\{\alpha$ -L-rhamnopyranosyl (1 $\rightarrow$ 2)- $\alpha$ -L-arabinopyranoside}), as oleanane disaccharides together with patrinia glycoside B-II (7, oleanolic acid 3-O- $\{\alpha$ -L-rhamnopyranosyl-(1 $\rightarrow$ 2)- $\{\beta$ -D-glucopyranosyl-(1 $\rightarrow$ 3)- $\alpha$ -L-arabinopyranoside}\}) as a trisaccharide. Complete hydrolysis on the saponin extract and further chromatographic separation afforded oleanolic acid (1) and hederagenin (2). Identification of the seven compounds was done by the measurement of mp,  $[\alpha]_D$  and NMR spectra. On SRB assay, kalopanaxsaponin A with  $\alpha$ -L-rham-(1 $\rightarrow$ 2)- $\alpha$ -L-arabin moiety exhibited distinctly higher cytotoxicity (IC<sub>50</sub> 1.8-2.7  $\mu$ M) against all the tested cell lines (A549, SK-OV-3, SK-MEL-2, XF498 and HCT15) than other saponins (IC<sub>50</sub> 4-8  $\mu$ M). The cytotoxicity of hederagenin (IC<sub>50</sub> 20-40  $\mu$ M) was more potent than oleanolic acid (IC<sub>50</sub> 60-100  $\mu$ M). These results suggested that  $\alpha$ -L-rham-(1 $\rightarrow$ 2)- $\alpha$ -L-arabin moiety in kalopanaxsaponin A occupies a very unique structural significance among sugar linkages of the oleanane glycosides on the aspects of cell biology. On the other hand, kalopanaxsaponin A exhibited the inhibitory effect on nitric oxide production by LPS-activated macrophage 264.7 whereas other saponins show very weak activities.

[PD2-17] [ 10/17/2002 (Thr) 09:30 - 12:30 / Hall C ]

#### Anti-complement Activity of Flavonoids from *Litsea japonica*

Lee SunYoung<sup>○</sup>, Min ByungSun, Kim JungHee, Moon HyungIn, Lee JoongKu, Kim TaeJin, Kim YoungHo\*, Lee HyeongKyu

Laboratory of Immunomodulator, Korea Research Institute of Bioscience and Biotechnology, Daejeon 305-600, Korea. \*College of Pharmacy, Chungnam National University, Daejeon 305-764, Korea

Afzelin (1) and quercitrin (2) isolated from the EtOAc-soluble fraction of the leaves of *Litsea japonica* Jussieu (Lauraceae) showed inhibitory activity against classical pathway complement system with 50% inhibitory concentration (IC<sub>50</sub>) values of 112.2 and 198.2  $\mu$ g/ml, respectively. For the structure-activity relationship of flavonoids on anti-complement system, myricitrin (3) from *Juglans mandshurica* Maximowicz (Juglandaceae) also tested anti-complement activity, while this was devoid of any significant activity. To obtain the aglycones of 1-3, these compounds were hydrolyzed with *naingenase* to give kaempferol (4), quercetin (5), and myricetin (6), which tested for their anti-complement activity. Of three aglycones, kaempferol (4) exhibited anti-complement activity with IC<sub>50</sub> value of 208.2  $\mu$ g/ml. These data demonstrated the role which the number of hydroxyl groups on B-ring and rhamnose of 5,7-dihydroxyflavone might play an important role in this assay system. The inhibitory potencies of 1 (4), 2 (5), and 3 (6) against anti-complement activity increased accompanies by a decrease in the number of free hydroxyls on the B-ring of 5,7-dihydroxyflavone.

[PD2-18] [ 10/17/2002 (Thr) 09:30 - 12:30 / Hall C ]

#### A novel triterpene saponin from the roots of *Platycodon grandiflorum*

Kim YoungSup<sup>○</sup>, Kim JeoungSeob, Kim SeongKie, Heor Junghee, Lee WooLak, Park EunKyung, Choi SangUn, Lee ChongOck, Ryu ShiYong

Korea Research Institute of Chemical Technology, Taejon 305-343

A novel triterpene saponin (1), deapioplatycoside E [3-O- $\beta$ -D-glucopyranosyl-(1 $\rightarrow$ 6)- $\beta$ -D-glucopyranosyl-(1 $\rightarrow$ 6)- $\beta$ -D-glucopyranosyl-2 $\beta$ ,3 $\beta$ ,16 $\alpha$ ,23,24-pentahydroxyolean-12-ene-28-oic acid 28-O- $\beta$ -D-xylopyranosyl-(4 $\rightarrow$ 1)- $\alpha$ -L-rhamnopyranosyl-(1 $\rightarrow$ 2)- $\alpha$ -L-arabinopyranoside] including seven known saponins (2-7) was