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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-[β-D-glucopyranosyl-(1→3)-α-L-arabinopyranoside), collinsonidin (4, hederagenin 3-O-[β-D-glucopyranosyl-(1→3)-α-L-arabinopyranoside]), hederoside D_2 (5, hederagenin 3-O-[β-D-glucopyranosyl-(1→2)-α-L-arabinopyranoside]), kalopanaxsaponin A (6, hederagenin 3-O-[α-L-rhamnopyranosyl (1→2)-α-L-arabinopyranoside]), as oleanane disaccharides together with patrinia glycoside B-II (7, oleanolic acid 3-O-{α-L-rhamnopyranosyl-(1→2)-[β-D-glucopyranosyl-(1?3)]-α-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 α-L-rha*p*-(1→2)-α-L-ara*p* moiety exhibited distinctly higher cytotoxicity (IC_{50} 1.8-2.7 μM) against all the tested cell lines (A549, SK-OV-3, SK-MEL-2, XF498 and HCT15) than other saponins (IC_{50}, 4-8 μM). The cytotoxicity of hederagenin (IC_{50} 20-40 μM) was more potent that oleanolic acid (IC_{50} 60-100 μM). These results suggested that α-L-rha*p*-(1→2)-α-L-ara*p* 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*

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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_{50}) values of 112.2 and 198.2 μg/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_{50} value of 208.2 μg/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 grandoflorum

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A novel triterpene saponin (1), deapioplatycoside E [3-O-β-D-glucopyranosyl-(1→6)-β-D-gluco- pyranosyl-(1→6)-β-D-glucopyranosyl-2β,3β,16α,23,24-pentahydroxyolean-12-ene-28-oic acid 28-O-β-D-xylopyranosyl-(4→1)-α-L-rhamnopyranosyl-(1→2)-α-L-arabinopyranoside] including seven known saponins (2-7) was