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Mansonone F and Biflorin which are the members of the naturally occurring ortho-naphthoquinone consist of the unusual oxaphenalene skeleton. Biflorin, the first oxaphenalene natural product, was found to have antibiotic properties. More interestingly, mansonone F of a tricyclic sesquiterpenoid has been reported as a phytoalexin which is accumulated in the heartwood of the genus Ulmus in response to infections. Recently, mansonone F has been also isolated from the root bark of Ulmus davidiana which has been traditionally used as a medicinal plant for the infection diseases in Korea. In addition, the highly potent anti-MRSA activities of mansonne F comparable to that of vancomycin have been disclosed in our laboratory. However, the paucity of natural mansonone F as well as its inherent structural constraint has limited the optimization of its biological properties by structural modification and its therapeutic application. These reasons prompted us to develop a practical and divergent synthetic route to mansonone F .
The total synthesis of mansonone F has been accomplished via 10 step sequence, starting from the readily available 5-methoxy-1-tetralone. The key part of this synthesis involves an efficient preparation of 1,6-dimethyl-5-alkoxynaphthalene as a divergent cyclization precursor and its facile conversion to the oxaphenalene skeleton by peri ring closure.
This concise and practical synthetic procedure, providing a variety of substituents at C3, C6 and C9 positions, offers a useful synthetic route to the important anti-MRSA drug prospect.

[OD-3] [04/21/2000 (Fri) 11:40 - 11:55 / Rm B113, Bldg 26]

Kalopanaxsaponin A Is a Basic Saponin Structure for the Antitumor Activity of Hederagenin Monodesmosides

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Hederagenin (1), delta-hederin (2), kalopanaxsaponin A {3, hederagenin 3-O-alpha-L-rhamnosyl (1-2)-alpha-L-arabinoside}, kalopanaxsaponin I {4, hederagenin 3-O-beta-D-xylosyl (1-3)-alpha-L-rhamnosyl (1-2)-alpha-L-arabinoside} and sapindoside C {5, hederagenin 3-O-beta-D-glucosyl (1-4)-beta-D-xylosyl (1-3)-alpha-L-rhamnosyl (1-2)-alpha-L-arabinoside} were isolated from a saponin fraction of the MeOH extract of Kalopanax pictus Nakai (Araliaceae). 1 disaccharide (3), 1 trisaccharide (4), 1 tetrasaccharide (5) showed significant cytotoxicity on several tumor cell lines in contrast to no cytotoxicity of 1 monosaccharide (delta-hederin). We found that 3 commonly named also alpha-hederin is a basic structure of most 1 monodesmosides for the cytotoxicity. When the mice were treated with 37.5 mg/kg and 75 mg/kg of 3 or 15 mg/kg of cisplatin, a significant antitumor activity was obtained against colon cancer (% T/C of 124-169) and lung cancer (% T/C of 175.5-205), respectively. Throughout the cytotoxicities of 3 derivatives on several tumors, many saponins such as 1 disaccharides bearing (1-2) glycoside linkage and their serial saponins were suggested to have significant anti-tumor effect.

[OD-4] [04/21/2000 (Fri) 11:55 - 12:10 / Rm B113, Bldg 26]

Inhibitory Effect of Gyrophora esculenta on α-Glucosidase

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Diabetes mellitus is classified into insulin-dependent diabetes mellitus(IDDM) and noninsulin-dependent diabetes mellitus(NIDDM). It makes serious problems caused by its subsequent complications rather than by its own symptoms. α-Glucosidases are the key enzymes for these carbohydrate digestion. Therefore, α-glucosidase inhibitors could prevent and improve the