showed potent cytotoxic activity (IC50, 11.8 microg/ml against 3LL cell) whereas 1b exhibited the cytotoxicity (IC50, 69.6 microg/ml against 3LL cell) less than of 1c. However, the bisdesmosyl saponin (1) exhibited no cytotoxicity (IC50, >150 microg/ml against 3LL cell). This result indicated that glycoside linkage of glucuronic acid at C-3 enhances the cytotoxicity of sapogenin (1a) and that additive glycosylation of xylose to 1b strongly enhances the cytotoxicity of 3-O-monosaccharide of 1a (1b). Therefore, the most biologically active moiety of the saponin (1) was attributable to be 3-O-disaccharide of 1a (1c).

[PD2-19] [04/20/2001 (Fri) 13:30 - 14:30 / Hall 4]

Structures of Three New Terpenoids, Spiciformisins a and b, and monocyclosqualene, Isolated from the Herbs of Ligularia fischeri var. spiciformis and Cytotoxicity

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The plant Ligularia fischeri var. spiciformis (Compositae) is a candidate for available functional foods. We have reported the isolation of an eremophilanolide named 6-oxoeremophilenolide, a cytotoxic intermedeol and dicaffeoylquinic acids from this plant. For further isolation of cytotoxic terpenoids, diethyl ether fraction was subjected to silica gel column chromatography and yielded three new terpenoids named spiciformisins a and b, and monocyclosqualene. Structures of acyclic diterpenes, spiciformisins a and b, were established as 6,7,10,11,14,15-hexahydro-beta-springene and 4-dehydro-17-hydro-beta-springene, respectively. A monocyclic triterpene, monocyclosqualene, was determined as 3,8,12,16,16-pentamethyl-3,7,11,15-hexadecatetraenyl-3,3,5-trimethyl-1-cyclohexene. The structures were determined on the basis of NMR and MS analysis. Spiciformisin b with a partial structure of trans-conjugated dienyl exomethylene showed potent cytotoxicity (IC50, <9.7 microg/ml against HL-60) in contrast to no cytotoxicity (IC50, >200 microg/ml against HL-60) of spiciformisin a with a cis-conjugated dienyl diexomethylene. In addition, monocyclosqualene with endo-olefin exhibited significant cytotoxicity (IC50, 15.8 microg/ml against HL-60).

[PD2-20] [04/20/2001 (Fri) 13:30 - 14:30 / Hall 4]

Isolation of lectin from Korean mistletoe and its apoptosis-inducing activity

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The lectins (KML-C) were isolated from an extract of Korean mistletoe [Viscum album C. (coloratum)] by affinity chromatography on a hydrolysed Sepharose 4B, and the chemical and biological properties of KML-C were examined. The hemagglutinating activity of KML-C was inhibited by N-acethyl D-galactosamine and D-galactose at the minimum concentration of 6.3 and 12.5 mM/ml, respectively. Further biochemical analyses indicated that KML-C consists of four chains (Mr = 27.5, 30, 31 and 32.5 kDa) which, in some of the molecules, are disulfide-linked, and that the chains of KML-C are distributed in broad range of isoelectric point (pI), 8.0 to 9.0, whereas EML-1 is in the range of 6.6 to 7.0. The difference between KML-C and EML-1 was also observed in comparison of N-terminal sequence of both lectins. The isolated lectins showed strong cytotoxicity against various human and murine tumor cells, and the cytotoxic activity of KML-C was higher than that of EML-1. Tumor cells treated with KML-C exhibited typical patterns of apoptotic cell death, such as apparent morphological changes and DNA fragmentation, and its apoptosis-inducing activity was blocked by addition of Zn2+ an inhibitor of Ca2+/Mg2+-dependent endonucleases, in a dose-dependent manner. These results