A215 Stemofurans, a new class of antifungal stilbenoids from *Stemona collinsae*

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A bioactivity guided search for antifungal compounds yielded a new class of 2-phenylbenzofurane derivatives from the roots of *Stemona collinsae* Craib (1). Besides five known (2,3,4) stilbenoids, a set of fifteen new compounds - eleven benzofurans (stemofurans A-K) and four dihydrostilbenes (stilbostemins A, C, E, F) - were isolated and identified. The bioautographic tests with *Cladosporium herbarum* displayed high antifungal activity for members of all structural types. The spore germination inhibition assay (5) performed with *Alternaria citri*, *Fusarium avenaceum*, *Pyricularia grisea*, *Botrytis cinerea*, and *Cladosporium herbarum* allowed to evaluate the fungitoxic spectrum of this substance classes in more detail. The structure elucidation was supported by the use of different 2D-NMR techniques and was challenged by the occurrence of inseparable mixtures of some of the derivatives.

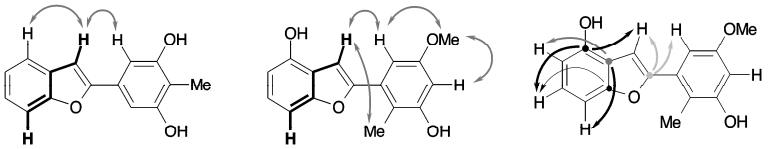

Figure: Selected dipolar (NOESY) and scalar (COSY, HMBC) couplings for two of the phenylbenzofurane derivatives.

References: 1. Pacher T. et al. (2002) J. Nat. Prod., in press. **2.** Sekine, T. et al. (1997) Phytochemistry 44: 763-764. **3.** Zhao, W. et al. (1995) Phytochemistry 38: 711-713. **4.** Tahara, S. et al. (1993) Z. Naturforsch. 48c: 757-765. **5.** Hadacek F. et al. (2000) Phytochem. Anal. 11: 137-147.

A216 Neuraminidase inhibitors from *Microporus affinis* and *Reynoutria elliptica*

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Neuraminidase (EC 3.2.1.18) plays an important role in splitting off the α-linked-*N*-acetylneuraminic acid at the terminal position in glycoconjugate. It has been postulated that in influenza, the virus surface neuraminidase helps to release newly synthesized virions from infected cells. It may also assist the movement of virus through the mucus within the respiratory tract. Inhibition of this enzyme might therefore restrict the establishment and progression of infection by the influenza virus. There have been considerable interests, particulary over the last few years, in the synthesis of inhibitors of neuraminidase. On the contrary, only two natural neuraminidase inhibitors, siastatin and nobiloside have been reported, presumably due to the complicated and time-consuming enzyme assay methods.

As part of a program aimed at the development of new inhibitors of this enzyme from natural products, we have recently established simple screening method using image analyzer. By means of this simple assay, we screened over 580 samples and isolated emodin 3-methyl ether, ω-hydroxyemodin and *trans*-resveratrol from *Reynoutria elliptica* with IC_{50} values of 2.81, 10.49, and 8.77 μM, respectively. In addition, lupeol, methyl linoleate, methyl oleate, and palmitic acid were purified from the mushroom *Microporus affinis* with IC_{50} values of 5.65, 7.07, 7.12, and 7.52 μM, respectively. They did not inhibit other glycosidase such as glucosidase, galactosidase, and mannosidase, indicating that they were relatively specific inhibitors of neuraminidase.

The fundamental relationship between fatty acid structure and inhibitory activity was investigated. As a result, a carbonyl moiety and the total number of carbon (longer than C12) were necessary requirements for the potent inhibition (IC_{50} <11 μM) whereas saturated, unsaturated, free, and ester form did not affect on the activity.