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› Phytochemistry. 2009 Jul;70(10):1255-61. doi: 10.1016/j.phytochem.2009.06.003.
Epub 2009 Aug 12.

Elderberry Flavonoids Bind to and Prevent H1N1 Infection in Vitro

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PMID: 19682714 DOI: 10.1016/j.phytochem.2009.06.003

Abstract

A ionization technique in mass spectrometry called Direct Analysis in Real Time Mass Spectrometry (DART TOF-MS) coupled with a Direct Binding Assay was used to identify and characterize anti-viral components of an elderberry fruit (Sambucus nigra L.) extract without either derivatization or separation by standard chromatographic techniques. The elderberry extract inhibited Human Influenza A (H1N1) infection in vitro with an IC(50) value of 252+/-34 microg/mL. The Direct Binding Assay established that flavonoids from the elderberry extract bind to H1N1 virions and, when bound, block the ability of the viruses to infect host cells. Two compounds were identified, 5,7,3',4'-tetra-O-methylquercetin (1) and 5,7-dihydroxy-4-oxo-2-(3,4,5-trihydroxyphenyl)chroman-3-yl-3,4,5-trihydroxycyclohexanecarboxylate (2), as H1N1-bound chemical species. Compound 1 and dihydromyricetin (3), the corresponding 3-hydroxyflavonone of 2, were synthesized and shown to inhibit H1N1 infection in vitro by binding to H1N1 virions, blocking host cell entry and/or recognition. Compound 1 gave an IC(50) of 0.13 microg/mL (0.36 microM) for H1N1 infection inhibition, while dihydromyricetin (3) achieved an IC(50) of 2.8 microg/mL (8.7 microM). The H1N1 inhibition activities of the elderberry flavonoids compare favorably to the known anti-influenza activities of Oseltamivir (Tamiflu; 0.32 microM) and Amantadine (27 microM).

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