Bioassay-guided fractionation of elderflower extract revealed potential anti-diabetic compounds

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ABSTRACTS

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BIOASSAY-GUIDED FRACTIONATION OF ELDERFLOWER EXTRACT REVEALED POTENTIAL ANTI-DIABETIC COMPOUNDS

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Extracts of elderflowers (*Sambucus nigra*) are in the traditional medicine primarily used for treating colds and as a diuretic. The extracts are also consumed as soft drinks, and it is believed that the health-promoting effects of the elderflowers are due to their antiviral and antioxidant properties. Areas, in which elderflowers have been suggested to have beneficial effects, are in lipid lowering, diabetes, and protection against infections such as HIV and herpes simplex. In a screening of plant extracts for potential anti-diabetic effects, extracts of elderflowers have been found to contain compounds with activities similar to those of partial peroxisome proliferator-activated receptor (PPAR) γ agonists. PPARγ agonists are used in the clinical treatment of type 2 diabetes but are associated with severe side effects and hence, alternatives are needed. To identify the potential bioactive compounds of the elderflower extract a bioassay-guided fractionation was carried out. A large scale methanol extract of elderflowers was made and fractionated using reversed phase flash chromatography. This provided a very good separation of both the phenolic acids and flavonoids in the extract and only a single step using semi-preparative HPLC was needed for final isolation of the bioactive compounds. Major metabolites such as 5-*O*-caffeoylquinic acid, quercetin-3-*O*-rutinoside, and 1,5-di-*O*-caffeoylquinic acid were obtained in pure form by the first chromatographic step. Bioactivity was assessed using a PPARγ transactivation assay. The minor metabolites linoleic acid, α-linolenic acid, and the flavanone naringenin were found to be able to activate PPARγ, whereas the major metabolites of elderflowers were found not to be active. The content of the active metabolites as well as the other phenolics was shown to vary within different varieties of elderflowers. So the results of the present study clearly shows that it is very important to identify elder varieties with an optimal metabolite profile in order to produce effective elderflower foods and/or herbal products for the treatment/prevention of type 2 diabetes.