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Effects of herbal components on cDNA-expressed cytochrome P450 enzyme catalytic activity

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Abstract

We evaluated the effects of 25 purified components of commonly used herbal products on the catalytic activity of cDNA-expressed cytochrome P450 isoforms in *in vitro* experiments. Increasing concentrations of the compounds were incubated with a panel of recombinant human CYP isoforms (CYP1A2, CYP2C9, CYP2C19, CYP2D6 and CYP3A4) and their effects on the conversion of specific surrogate substrates measured fluorometrically in a 96-well plate format. For each test substance, the IC50 (the concentration required to inhibit metabolism of surrogate substrates by 50%) was estimated and compared with IC50's for the positive control inhibitory drugs furafylline, sulfaphenazole, tranylcypromine, quinidine, and ketoconazole. Constituents of *Ginkgo biloba* (ginkgolic acids I and II), kava (desmethoxyyangonin, dihydromethysticin, and methysticin), garlic (allicin), evening primrose oil (*cis*-linoleic acid), and St. John's wort (hyperforin and quercetin) significantly inhibited one or more of the cDNA human P450 isoforms at concentrations of less than 10 uM. Some of the test compounds (components of *Ginkgo biloba*, kava, and St. John's wort) were more potent inhibitors of the isoforms 1A2, 2C19, and 2C19 than the positive controls used in each assay (furafylline, sulfaphenazole, and tranylcypromine, respectively), which are known to produce clinically significant drug interactions. The enzyme most sensitive to the inhibitory of effects of these compounds was CYP2C19, while the isoform least effected was CYP2D6. These data suggest that herbal products containing evening primrose oil, *Ginkgo biloba*, kava, and St. John's Wort could potentially inhibit the metabolism of co-administered medications whose primary route of elimination is via cytochrome P450.