ANTHOCYANINS IN CHERRIES INHIBIT THE COX I AND COX II ENZYMES (FOR PAIN RELIEF)

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Cyclooxygenase inhibitory and antioxidant cyanidin glycosides in cherries and berries.

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Anthocyanins from tart cherries, Prunus cerasus L. (Rosaceae) cv. Balaton and Montmorency; sweet cherries, Prunus avium L. (Rosaceae); bilberries, Vaccinum myrtillus L. (Ericaceae); blackberries, Rubus sp. (Rosaceae); blueberries var. Jersey, Vaccinium corymbosum L. (Ericaceae); cranberries var. Early Black, Vaccinium macrocarpon Ait. (Ericaceae); elderberries, Sambucus canadensis (Caprifoliaceae); raspberries, Rubus idaeus (Rosaceae); and strawberries var. Honeoye, Fragaria x ananassa Duch. (Rosaceae), were investigated for cyclooxygenase inhibitory and antioxidant activities. The presence and levels of cyanidin-3-glucosylrutinoside 1 and cyanidin-3-rutinoside 2 were determined in the fruits using HPLC. The antioxidant activity of anthocyanins from cherries was comparable to the commercial antioxidants, tert-butylhydroquinone, butylated hydroxytoluene and butylated hydroxyanisole, and superior to vitamin E, at a test concentration of 125 microg/ml. **Anthocyanins from raspberries and sweet cherries demonstrated 45% and 47% cyclooxygenase-I and cyclooxygenase-II inhibitory activities, respectively, when assayed at 125 microg/ml. The cyclooxygenase inhibitory activities of anthocyanins from these fruits were comparable to those of ibuprofen and naproxen at 10 microM concentrations. Anthocyanins 1 and 2 are present in both cherries and raspberry.** The yields of pure anthocyanins 1 and 2 in 100 g Balaton and Montmorency tart cherries, sweet cherries and raspberries were 21, 16.5; 11, 5; 4.95, 21; and 4.65, 13.5 mg, respectively. Fresh blackberries and strawberries contained only anthocyanin 2 in yields of 24 and 22.5 mg/100 g, respectively. Anthocyanins 1 and 2 were not found in bilberries, blueberries, cranberries or elderberries.

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Degradation products of cyanidin glycosides from tart cherries and their bioactivities.

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The bioactive anthocyanins present in tart cherries, Prunus cerasus L. (Rosaceae) cv. Balaton, are cyanidin 3-glucosylrutinoside (1), cyanidin 3-rutinoside (2), and cyanidin 3-glucoside (3). Cyanidin (4) is the major anthocyanidin in tart cherries**. In our continued evaluation of the in vivo and in vitro efficacy of these anthocyanins to prevent inflammation and colon cancer**, we have added these compounds to McCoy's 5A medium in an effort to identify their degradation products during in vitro cell culture studies. This resulted in the isolation and characterization of protocatechuic acid (5), the predominant degradation product. In addition, 2,4-dihydroxybenzoic acid (6) and 2,4,6-trihydroxybenzoic acid (7) were identified as degradation products. However, these degradation products were not quantified. Compounds 5-7 were also identified as degradation products when anthocyanins were subjected to varying pH and thermal conditions. In cyclooxygenase (COX)-I and -II enzyme inhibitory assays, compounds 5-7 did not show significant activities when compared to the NSAIDs Naproxen, Celebrex, and Vioxx, or Ibuprofen, at 50 microM concentrations. **However, at a test concentration of 50 microM, the antioxidant activity of protocatechuic acid (5) was comparable to those of the commercial antioxidants tert-butylhydroquinone (TBHQ), butylated hydroxytoluene (BHT), and butylated hydroxyanisole (BHA), and superior to that of vitamin E at 10 microM concentrations.**

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