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Pharmacometrics of pterostilbene: preclinical pharmacokinetics and metabolism, anticancer, antiinflammatory, antioxidant and analgesic activity.

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Abstract

The present study evaluated the preclinical pharmacokinetics and pharmacodynamics of trans-pterostilbene, a constituent of some plants. Right jugular vein cannulated male Sprague-Dawley rats were dosed i.v. with 20 mg/kg of pterostilbene and samples were analysed by the reverse phase HPLC method. Serum AUC, serum t(1/2), urine t(1/2), Cl(total) and Vd(beta) were 17.5 +/- 6.6 microg/h/mL, 1.73 +/- 0.78 h, 17.3 +/- 5.6 h, 0.960 +/- 0.025 L/h/kg and 2.41 +/- 1.13 L/kg (mean +/- SEM), respectively. A pterostilbene glucuronidated metabolite was detected in both serum and urine. The in vitro metabolism in rat liver microsomes furthermore suggests phase II metabolism of pterostilbene. Pterostilbene demonstrated concentration-dependent anticancer activity in five cancer cell lines (1-100 microg/mL). An in vitro colitis model showed concentration-dependent suppression of PGE(2) production in the media of HT-29 cells. Antiinflammatory activity was examined by inducing inflammation in canine chondrocytes followed by treatment with pterostilbene (1-100 microg/mL). The results showed decreased levels of MMP-3, sGAG and TNF-alpha compared with control levels. Pterostilbene exhibited concentration-dependent antioxidant capacity measured by the ABTS method. Pterostilbene increased the latency period to response in both tail-flick and hot-plate analgesic tests.