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Selective COX-2 inhibition by a Pterocarpus marsupium extract characterized by pterostilbene, and its activity in healthy human volunteers.

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Source

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Abstract

In this study, an extract of Pterocarpus marsupium Roxb. containing pterostilbene has been evaluated for its PGE2-inhibitory activity in LPS-stimulated PBMC. In addition, the COX-1/2 selective inhibitory activity of P. marsupium (PM) extract was investigated. Biological activity, as well as safety of PM extract was evaluated in healthy human volunteers. PM extract, pterostilbene and resveratrol inhibited PGE2 production from LPS-stimulated human peripheral blood mononuclear cells (PBMC) with IC50 values of 3.2 +/- 1.3 microg/mL, 1.0 +/- 0.6 microM and 3.2 +/- 1.4 microM, respectively. When pterostilbene content of PM extract is calculated, PGE2 production inhibition of PM extract is comparable to PGE2 production inhibition of purified pterostilbene. Furthermore, in a COX-1 whole blood assay (WBA) PM extract was not effective while in a COX-2 WBA, PM extract decreased PGE2 production indicating COX-2 specific inhibition. In healthy human volunteers, the oral use of 450 mg PM extract did not decrease PGE2 production ex vivo in a WBA. Pterostilbene levels in serum were increased, but were 5-fold lower than the observed IC50 for PGE2 inhibition in LPS-stimulated PBMC. No changes from base-line of the safety parameters were observed and no extract-related adverse events occurred during the study. In conclusion, this is the first study to describe the selective COX-2 inhibitory activity of a Pterocarpus marsupium extract. Moreover, the PGE2 inhibitory activity of PM extract was related to its pterostilbene content. In humans, 450 mg PM extract resulted in elevated pterostilbene levels in serum, which were below the active concentration observed in vitro. In addition, short-term supplementation of 450 mg PM extract is considered to be a safe dose based on the long history of use, the absence of abnormal blood cell counts and blood chemistry values and the absence of extract-related adverse events. This strongly argues for a dose-finding study of PM extract in humans to corroborate the in vitro observed inhibitory activity on PGE2 production in order to resolve the potential use of PM extract in inflammatory disorders and/or inflammatory pain.