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Flavonoids eupatorin and sinensetin present in Orthosiphon stamineus leaves inhibit inflammatory gene expression and STAT1 activation.

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Abstract

Cytokines and other inflammatory mediators, such as prostaglandin E_2 (PGE_2) and nitric oxide (NO) produced by cyclooxygenase-2 (COX-2) and inducible nitric oxide synthase (iNOS), respectively, activate and drive inflammation and therefore serve as targets for anti-inflammatory drug development. Orthosiphon stamineus is an indigenous medicinal plant of Southeast Asia that has been traditionally used in the treatment of rheumatoid arthritis, gout, and other inflammatory disorders. The present study investigated the anti-inflammatory properties of Orthosiphon stamineus leaf chloroform extract (CE), its flavonoid-containing CE fraction 2 (CF2), and the flavonoids eupatorin, eupatorin-5-methyl ether (TMF), and sinensetin, identified from the CF2. It was found that CE (20 and 50 µg/mL) and CF2 (20 and 50 µg/mL) inhibited iNOS expression and NO production, as well as PGE_2 production. Eupatorin and sinensetin inhibited iNOS and COX-2 expression and the production of NO (IC_{50} 5.2 µM and 9.2 µM for eupatorin and sinensetin, respectively) and PGE_2 (IC_{50} 5.0 µM and 2.7 µM for eupatorin and sinensetin, respectively) in a dose-dependent manner. The extracts and the compounds also inhibited tumor necrosis factor α (TNF-α) production (IC_{50} 5.0 µM and 2.7 µM for eupatorin and sinensetin, respectively). Eupatorin and sinensetin inhibited lipopolysaccharide (LPS)-induced activation of transcription factor signal transducers and activators of transcription 1α (STAT1α). Furthermore, eupatorin (50 mg/kg i. p.) and sinensetin (50 mg/kg i. p.) inhibited carrageenan-induced paw inflammation in mice. The results suggest that CE and CF2, as well as the known constituents of CF2, i.e., eupatorin and sinensetin, have meaningful anti-inflammatory properties which may be utilized in the development of novel anti-inflammatory treatments.