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Abstract

2-Styrylchromones Modulate Prostaglandins Production through the Inhibition of COX-2 †

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Abstract: 2-Styrylchromones (2-SC) are heterocyclic compounds with a structure of at least 17 carbons and a styryl group attached to a benzoannelated γ-pyrone ring. Although the anti-inflammatory potential of 2-SC has become a subject of interest, their effects in inflammatory pathways are still unexplored. Therefore, to better understand the mechanisms of anti-inflammatory action of 2-SC, this study investigated the influence of 10 hydroxylated and methoxylated 2-SC on the inhibitory activity of cyclooxygenase (COX-2), through an *in vitro* non-cellular assay and an *ex vivo* assay in human whole blood, which were based on the fluorometric detection of prostaglandin (PG) G_2 and colorimetric detection of PGE_2, respectively. A 2-SC hydroxylated at C-7 and C-8 on the A-ring and C-3′ and C-4′ on the B-ring was the most active in the direct inhibition of COX-2 activity, whereas a 2-SC methoxylated at C-4′ on the B-ring was the most active in the *ex vivo* inhibition of PGE_2 production. The obtained results suggest that the presence of OH groups, especially at C-8 on the A-ring, favor the direct inhibition of COX-2. Conversely, for inhibition of PGE_2 production in a more complex matrix, human blood, it is the presence of an OCH_3 at C-4′ on the B-ring that seems to be important.

Keywords: 2-styrylchromones; cyclooxygenase-2; prostaglandin; structure–activity relationship

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