XXII Encontro Luso-Galego

Química

9 a 11 novembro 2016

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Arylxanthones with anti-inflammatory potential in cellular systems

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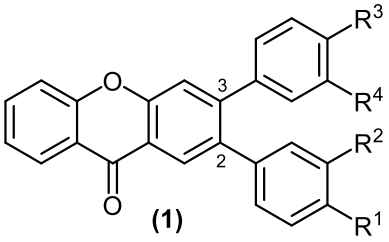
Xanthones are a family of naturally-occurring oxygenated heterocyclic compounds. A wide range of natural and synthetic analogues are known to possess diverse biological activities including antifungal, antimalarial, antioxidant and antitumor, among others [1]. There are only a few publications related to arylxanthones [2], most of them focused on arylxanthones synthetic strategies and their biomedical potential, mainly highlighting them as effective scavengers of reactive oxygen species (ROS) and reactive nitrogen species (RNS) [3,4]. As far as we know, the anti-inflammatory potential of xanthones bearing an aryl group has not been studied so far. With this idea in mind, our purpose was to evaluate the putative anti-inflammatory effects of several arylxanthones bearing hydroxyl groups in certain positions of their main core, namely through their ability to inhibit 5-lipoxygenase (5-LOX) and cyclooxygenase 1 (COX-1) and 2 (COX-2), both enzymes involved in the arachidonic acid metabolism [5,6].

Preliminary results showed that some of the studied arylxanthones were able to prevent leukotriene B_4 production in human neutrophils, the xanthone with a catechol group at position 2 being the most active one. The inhibition of prostaglandin E_2 production was assessed in human whole blood and the majority of the tested compounds were able to inhibit COX-1 while being completely ineffective in COX-2.



(1)

R^1,R^2, R^3, R^4 = H, OH

Fig.1. General structure of the 2,3-diarylxanthones studied.

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