Synthesis of marine-derived xanthone analogues with potential anti-inflammatory activity

Our group has a vast experience in synthesis and biological/pharmacological activity evaluation of xanthone derivatives (XDs) [1], including anti-inflammatory activity.

Recently, we described in silico studies and in vitro inhibitory assays of cyclooxygenases (COX-1 and COX-2) for different XDs. All the evaluated compounds exhibited COX-1 and COX-2 inhibition potential [2].

In another study, the anti-inflammatory activity of marine-derived XDs was evaluated based on their capacity to decrease the concentration of the pro-inflammatory cytokine IL-6 on lipopolysaccharide (LPS)-stimulated macrophages. Among the compounds tested some of them led to a higher decrease in the amount of pro-inflammatory cytokine comparing with the well-known nonsteroidal anti-inflammatory drugs (NSAIDs) [3].

Aims

- Total synthesis of promising XDs analogues;
- Structure elucidation of XDs and intermediates by spectroscopic methods (1H NMR, 13C NMR and IR);
- Subsequent screening of anti-inflammatory activity.

Results and Discussion

Structure Elucidation

One carboxyoxanthone derivative (XCar) was successfully synthesized by a multi-step synthetic pathway via diaryl-ether route. The synthesis of other two XCars is in development by using the same synthetic methodology. The obtained XCars will be evaluated in anti-inflammatory activity assays.

References


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