ABSTRACT

Synthesis of xanthone derivatives for *in vitro* and *in vivo* biological activity studies

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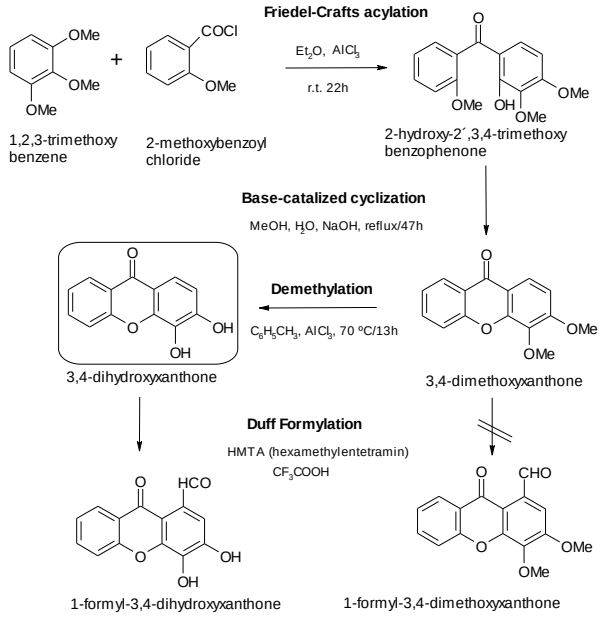
Xanthone derivatives are heterocyclic compounds with the dibenzo-γ-pyrone as the main molecular moiety. They contain different types of substituents in different positions, leading to a large variety of pharmacological activities. 3,4-Dihydroxyxanthone was revealed as a *hit* compound in a study involving the investigation of the inhibitory effect of oxygenated xanthones on several human tumor cell lines.

In order to obtain enough quantity for *in vivo* assays and for further molecular modifications, the synthesis of 3,4-dihydroxyxanthone was accomplished: the condensation of 1,2,3-trimethoxyphenol with the appropriate substituted benzoyl chloride (2-methoxybenzoyl chloride) afforded benzophenone (2-hydroxy-2´,3,4-trimethoxy benzophenone) which was further cyclized to give 3,4-dimethoxyxanthone.



The 3,4-dimethoxyxanthone was demethylated to furnish 3,4-dihydroxyxanthone.

Additionally, the synthesis of reactive formylated derivatives of xanthones, 1-formyl-3,4-dihydroxyxanthone and 1-formyl-3,4-dimethoxyxanthone, was attempted by Duff formylation. Only 1-formyl-3,4-dihydroxyxanthone was obtained. Due to a small amount of 3,4-dihydroxyxanthone obtained from the first synthesis, the synthesis was repeated without the formylation of 3,4-dimethoxyxanthone. 0,724 g of 3,4-dihydroxyxanthone obtained from the second synthesis will be used for *in vivo* antitumour activity studies.