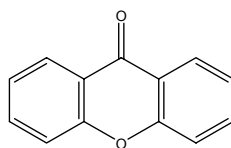


XANTHONE DERIVATIVES: NEW INSIGHTS IN ANTITUMOUR ACTIVITY AND RELATED ACTIVITIES

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The xanthone is an important tricyclic framework in many compounds documented as antitumor agents.



Xanthone

Naturally and synthetic xanthenes have been reported by our group as having antiproliferative effect on human tumour cell lines and lymphocytes [1,2] and modulatory activity on protein kinase C (PKC) [3-5]. In this communication are presented the recent improvements on these activities, based on molecular modifications, chiral resolution and drug delivery systems, as well as the scavenger effect on peroxy radical and the effect on NO and ROS production. The most promising xanthone derivatives for the following activities will be displayed: (i) inhibition of the *in vitro* growth of human cancer cell lines, MCF-7 (breast), NCI- H460 (non-small cell lung), SF-268 (central nervous system) and UACC-62 (melanoma);(ii) inhibition of NO and ROS production; (iii) antiproliferative effect of human T-lymphocytes; (iv) *in vivo* modulatory activity on isoforms $-\alpha$, $-\beta$ I, $-\delta$, $-\eta$ and $-\zeta$ of PKC.

The improvement of biological performance of some xanthenes by incorporation on new formulations (nanospheres and nanocapsules) is also presented. Additionally, the tumor cell growth inhibitory effect of some enantiomeric xanthonolignoids is demonstrated to be enantioselective.

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