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Garcinone E, a xanthone derivative, has potent cytotoxic effect against hepatocellular carcinoma cell lines.

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Treatment of hepatocellular carcinomas (HCCs) with chemotherapy has generally been disappointing and it is most desirable to have more effective new drugs. We extracted and purified 6 xanthone compounds from the rinds (peel) of the fruits of *Garcinia mangostana* L., using partitioned chromatography and then tested the cytotoxic effects of these compounds on a panel of 14 different human cancer cell lines including 6 hepatoma cell lines, based on the MTT method. Several commonly used chemotherapeutic agents were included in the assay to determine the relative potency of the potential new drugs. Our results have shown that one of the xanthone derivatives which could be identified as garcinone E has potent cytotoxic effect on all HCC cell lines as well as on the other gastric and lung cancer cell lines included in the screen. We suggest that garcinone E may be potentially useful for the treatment of certain types of cancer.

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J Pharm Pharmacol. 1996 May;48(5):539-44.

Xanthone derivatives as potential anti-cancer drugs.

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Xanthone derivatives have been shown to be potent inhibitors of tumour growth. Oxygenated xanthenes and [3-(dialkylamino)-2-hydroxypropoxy]xanthenes have been prepared and tested for in-vitro inhibition of human PLC/PRF/5, KB and 212 cells. Structure-activity analysis indicated epoxidation of the hydroxyxanthone increased cytotoxicity against tumour cells but ring-opening of the epoxide group with dialkylamine did not enhance the anti-tumour activity. Further evaluation of three of the most active compounds 2, 6-, 3, 6-, and 3, 5-di(2,3-epoxypropoxy)xanthone (compounds 10a, 11a, and 12a, respectively) in DNA, RNA and protein synthesis of tumour cells showed potent inhibitory activity. The 3,5-di(2,3-epoxypropoxy)xanthone also showed potent inhibitory activity against 212 cells, a Ha-ras oncogene-transformed NIH 3T3 cell line. The results indicated that compounds 10a and 12a are potent anti-tumour agents which not only suppressed cellular DNA, RNA and protein synthesis but also specifically inhibited the Ha-ras oncogene in 212 cells.

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Gamma-pyrone compounds as potential anti-cancer drugs.

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The gamma-pyrones, artomunoxanthotriene epoxide, cyclocommunol, cyclomulberrin, and cyclocommunin exhibited potent inhibition of human PLC/PRF/5 and KB cells in-vitro.

Dihydroisocycloartomin showed significant and potent inhibition of human PLC/PRF/5 and KB cells in-vitro, respectively. Cyclomorusin, dihydrocycloartomin and artomoxanthone showed significant inhibition of KB cells in-vitro. Based on the above finding and the reported antileukaemic activity of xanthone psorospermin, a series of natural gamma-pyrones was prepared and the inhibition of human PLC/PRF/5 and KB cells in-vitro was measured. Structure-activity analysis indicated the epoxide group substituted at 3-hydroxyl and 2,6-; 3,6-; and 3,5-dihydroxyl xanthone enhanced the anti-tumour activity. The epoxide group substituted at the 6-hydroxyl group of 1,6-dihydroxyxanthone did not show anti-tumour activity.

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Antitumor effect of 2,6-di(2,3-epoxypropoxy)xanthone on tumor cell lines.

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2,6-di(2,3-epoxypropoxy)xanthone (EPX), a newly synthesized xanthone derivative, is a potent antitumor agent, which is more cytotoxic than the antitumor drug mytomycin C. EPX also demonstrated stronger growth inhibition to T24 (bladder carcinoma with Ha-ras gene mutation) and 212 cells (a NIH/3T3 derivative, transformed by Ha-ras oncogene) than to PLC/PRF/S (hepatoma with normal Ha-ras gene) and NIH/3T3 cells. The preferential repression of EPX on the cell proliferation of 212 and T24 cells was further demonstrated by decreasing Ha-ras oncogene expression levels while EPX dosage increased. The drug concentrations for 50% inhibition (IC50) of cell growth, DNA synthesis Ha-ras oncogene expression and colony formation of T24 and 212 cells are in the same range and lower than the values for RNA and protein synthesis. Moreover, EPX irreversibly reversed 212 cell morphology from a transformed phenotype to a normal one. These data indicate that EPX probably suppresses tumor cell proliferation by inhibiting DNA synthesis and reverses the transformed properties by suppressing Ha-ras gene expression. The mechanisms of biochemical action and cytotoxicity of EPX remain to be determined. However, our data suggest that the EPX-mediated inhibition of cell proliferative capacity of 212 and T24 cells was preceded by a selective down-regulation of Ha-ras oncogene RNA levels. EPX may have the potential to be used broadly against diverse tumors or specifically against Ha-ras oncogene initiated malignancy.

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Xanthenes as inhibitors of growth of human cancer cell lines and their effects on the proliferation of human lymphocytes in vitro.

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Twenty-seven oxygenated xanthenes have been assessed for their capacity to inhibit in vitro the growth of three human cancer cell lines, MCF-7 (breast cancer), TK-10 (renal cancer) and UACC-62 (melanoma). The effect of these xanthenes on the proliferation of human T-lymphocytes was also evaluated. Differences on their potency towards the effect on the growth of the human cancer cell lines as well as on the proliferation of human T-lymphocytes can be ascribed to the nature and positions of the substituents on the xanthonic nucleus.

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