Synthesis of curcumin and ethylcurcumin bioconjugatesas potential antitumor agents,

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Abstract

Some new curcumin and ethylcurcumin bioconjugates with various functionalities supported on the curcumin skeleton were synthesized and evaluated for antitumor activity. Most of the newly synthesized compounds are more active than curcumin and ethyl curcumin but are less cytotoxic than the reference compound doxorubicin. Surprisingly, many of these compounds are not cytotoxic to noncancer cells. Compounds 5c, 5e, 5g, 5j, 6b, and 6g having 5-methylthiadiazole, 6-methoxy-benzothiazole, diethylaminoethyl and the usual alkylating bis(2-chloroethyl)amino moieties showed the highest cytotoxic activity against SK-MEL cancer cells. Compounds 5k, 6c, and 6g are less cytotoxic to KB cancer cells. Moreover, compounds 5c, 5e, 5j, 5k, 6d, 6e, 6f, and 6g showed cytotoxicity against BT-549 cancer cells with 5j being the most active compound. Curcumin and the new intermediate di-O-chloroacetylcurcumin (3a) were also cytotoxic against the same cell line but are less active than the target compounds. Compound 6b is the only one exhibiting cytotoxicity against SK-OV-3 cancer cells.

Med Chem Res (2012) 21: 874-890. 2011, February