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Schiff Bases of Tetrahydrocurcumin as Potential Anticancer Agents

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Dedictation (To Prof. Salem Mahal, in his memory)

Abstract

Tetrahydrocurcumin (THC) is a metabolite of curcumin and a valuable lead structure in medicinal chemistry due to its curcumin-induced biological effects and its derivatives can be promising antitumor agents. Thirteen Schiff base derivatives of THC (**1**-**13**) were synthesized by direct condensation of THC with various primary amines in moderate to very good yield (45-94%) and their structures confirmed by ^{1}H NMR, ^{13}C NMR, HR-ESI-MS and IR techniques. Furthermore, these compounds were screened for *in vitro* anticancer activity against three human cancer cell lines including human epithelial lung carcinoma (A549), human epithelial cervical cancer (HeLa) and human breast adenocarcinoma (MCF-7). Most compounds exhibit moderate to good anticancer activity against all three tested cell lines and are significantly more active than THC. Compound **12** bears an *N*-(4-trifluromethyl)phenylethyl group and is the most active compound with IC_{50} values ranging from 4.8 to 12.7 μM. The results obtained herein are important for further structure modifications of THC and the exploitation of the therapeutic potential of THC derivatives as anticancer agents.