Synthesis of novel psoralen analogues and their anti-proliferative effect on human cancer cell lines

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Psoralen derivatives have been used as photosensitizing drugs in the treatment of various skin diseases, blood decontamination and some AIDS-related infections. 1 These compounds were found to be effective in inhibiting the in vitro growth of different human tumor cell lines. 2

In the present work we describe the synthesis of 3H-benzofuro[3,2-f]chromen-3-ones 1. Compound 1a was prepared from 2-hydroxydibenzofuran by Reimer-Tiemann formylation followed by condensation with diethyl malonate to build the pyranone ring. To synthesize 1e (R = H) the method of Harayama and Ishii was used where the cinnamate was obtained by the Wittig reaction followed by ring closure. Compound 1b was obtained by basic hydrolysis of 1a and was coupled to amino acids to give products 1c and 1d. The products were characterized by elemental analysis, 1H and 13C NMR. Moreover, the anti-proliferative effect of compounds 1a-1e on human cancer cell lines (MDA-MB231 and HeLa) was evaluated using a commercial MTS assay. Results suggest that the synthesized psoralen analogues possess a potent cytotoxic effect against the cell lines studied.

![Chemical structures of psoralen analogues](image)

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References