SYNTHESIS AND CHARACTERIZATION OF PSORALEN ANALOGUES BASED ON DIBENZOFURAN

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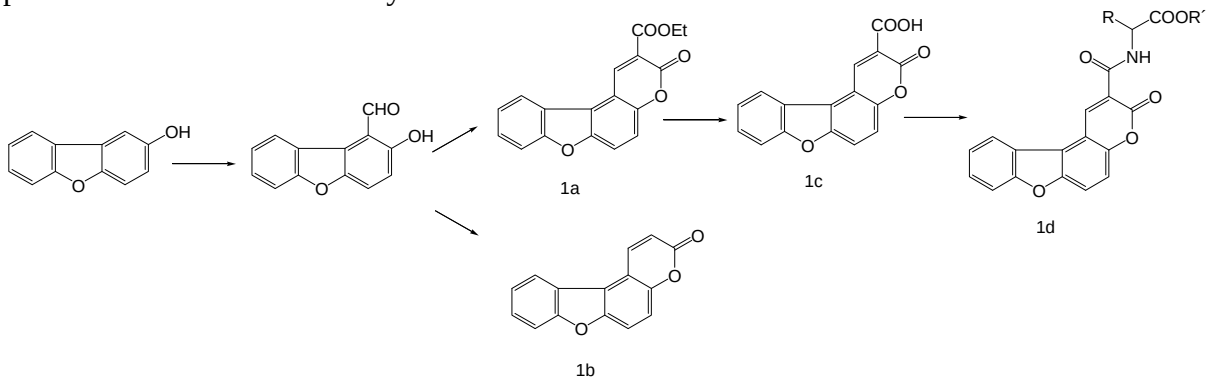
Psoralens are natural products known as photosensitizers drugs that were used for many years in the treatment of skin diseases such as lupus and psoriasis.[1] It was also reported that they can be used in cancer (cutaneous malignant melanoma)[2] and T cell lymphoma[1] treatment as well as in the prevention of rejection of organ transplants,[1] in the treatment of autoimmune diseases[1] and viral diseases such as HIV-1.
Our group has been involved in the synthesis of psoralen analogues based on dibenzofuran[3], on carbazole and xantone and some compounds showed in vitro antitumoral activity (MCF-7, NCI-H460, SF-268).[4,5]
Here we report the synthesis of 3*H*-benzofuro[3,2-*f*]chromen-3-ones **1**. For compound **1a** we started from 2-hydroxydibenzofuran which after Reimer-Tiemann formylation was condensed with diethyl malonate to build the pyranone ring. To synthesize **1b** (R = H) the method of Harayama and Ishii was used where the cinnamate was obtained by the Wittig reaction followed by ring closure (scheme 1). Compound **1c** was obtained by basic hydrolysis of **1a**. The latter derivative was further coupled to amino acids to give products **1d**. The final products were characterized by ^{1}H and ^{13}C NMR.



Scheme 1

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