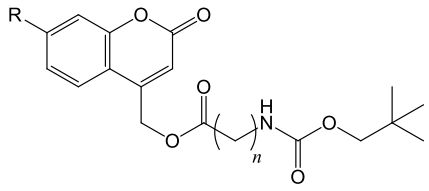
NEW PHOTOTRIGGERS BASED ON COUMARINS WITH EXTENDED π-SYSTEMS

Rafaela C.O. Conceição, Susana P. G. Costa, M. Sameiro T. Gonçalves

Centre of Chemistry, University of Minho, Campus of Gualtar, 4710-057 Braga Portugal
msameiro@quimica.uminho.pt

The 2*H*-1-benzopyran-2-one, commonly designated as coumarin, is a well-known oxygen heterocycle with several applications in various areas, including as food additive, in the preparation of insecticides and cosmetics, and exhibiting a broad range of therapeutic activities, such as anticancer, antimicrobial, analgesic, anti-HIV, anticoagulant and antioxidant.[1] In addition, coumarin derivatives have also been used as photocleavable protecting groups (PPGs), with particular importance in biological applications, due to their good molar extinction coefficients at high wavelengths. Also, they reveal a great potential in two-photon uncaging as the release of the target entity can occur under irradiation at wavelengths that are harmless to cells. [2]

Considering our research interest in the field of PPGs,[3] namely for the delivery of biologically relevant molecules, the present work describes the synthesis of new coumarin derivatives possessing an extended π-system, in order to bathocromically shift the wavelength of maximum absorption, and consequently of photolysis (Fig. 1). These compounds were tested as phototriggers of two neurotransmitter amino acids, glycine and β-alanine, at 254, 300, 350 and 419 nm, in a Rayonet RPR-100 photochemical reactor, and the release of the active compound was monitored by HPLC-UV detection, with collection of kinetic data.



1a: R= H, *n*=1 **1b**: R= H, *n*=2
2a: R= NH_2, *n*=1 **2b:** R= NH_2, *n*=2
3a: R= C_6H_5; *n*=1 **3b**: R= C_6H_5; *n*=2
4a: R= *p*-OMe-C_6H_4; *n*=1 **4b**: R= *p*-OMe-C_6H_4; *n*=2
5a: R= CH=CH-C_6H_5; *n*=1 **5b**: R= CH=CH-C_6H_5; *n*=2

Figure 1: Coumarin ester cages of glycine and β-alanine.

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