Photorelease of neurotransmitter amino acids from coumarin derivatives

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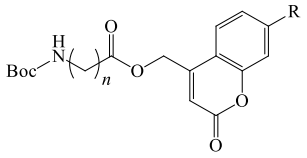
Light has been proposed as an effective external stimulus that allows to control temporally and locally the release of active agents such as drugs.[1-3] One strategy to design a photoactive prodrug is to use a photolabile group that masks the active compound and can be removed by light irradiation. Its reactivity is affected by the light's excitation wavelength, thus enabling the control of the release rate. Moreover, a fluorescent photolabile group allows to follow the prodrug's spatial distribution and its depletion.[2,4] Coumarin is an example of a photolabile fluorescent group. Some of its derivatives display large molar absorption coefficients (even at high wavelengths), stability, fluorescence and have been proposed as efficient and promising photolabile group with high release rates.[5]

In this work it is presented the photorelease of two model neurotransmitter amino acids, namely glycine and β-alanine, from two different coumarins. These model neurotransmitters were chosen due to their biological importance as well as their pharmacological activities. The coumarin-amino acid conjugates were irradiated at 245, 300, 350 and 419 nm using 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: n=1 , R=H
1b: n=1 , R=NH_2
2a: n=2 , R=H
2b: n=2 , R=NH_2

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