SYNTHESIS OF FLUORESCENT INDOLES FROM PYRENYLDEHYDROAMINO ACID DERIVATIVES

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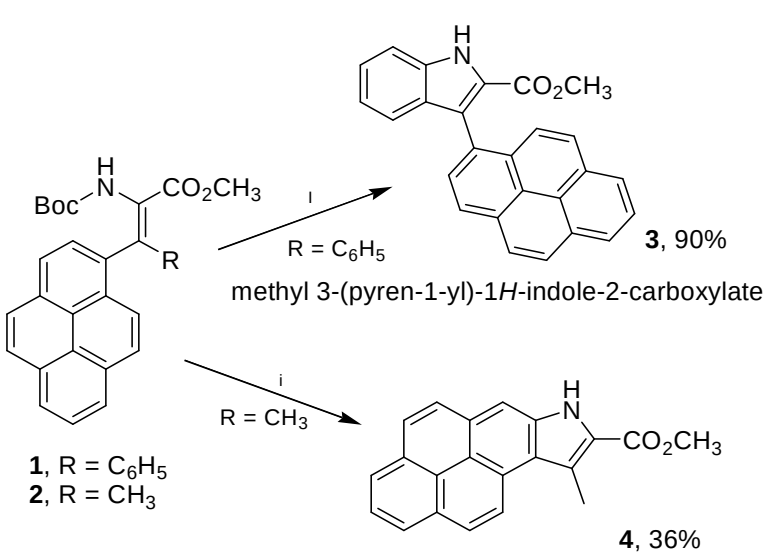
In our laboratories we have been interested in the synthesis of beta-(hetero)aryldehydroamino acids by Suzuki cross-couplings of beta-bromodehydroamino acids with several (hetero)aryl boronic acids and in the metal-assisted C-N intramolecular cyclization of the Suzuki coupling products [1,2].
Pyrenylalanine has been used as a fluorescent probe in peptides and proteins and there are several reports describing the synthesis and applications of this amino acid [3].
Herein we present the synthesis of pyrenyldehydroamino acids **1** and **2** by Suzuki coupling of the beta-bromodehydroamino acids with pyren-1-yl boronic acid. The Suzuki coupling products were cyclized to indoles **3** and **4** using our metal-assisted intramolecular C-N cyclization method Fig. 1 [1,2].



i) $Pd(OAc)_2$ (50 mol%), $Cu(OAc)_2$ (3 equiv.), DMF, 160ºC, 3-4h, under Ar.

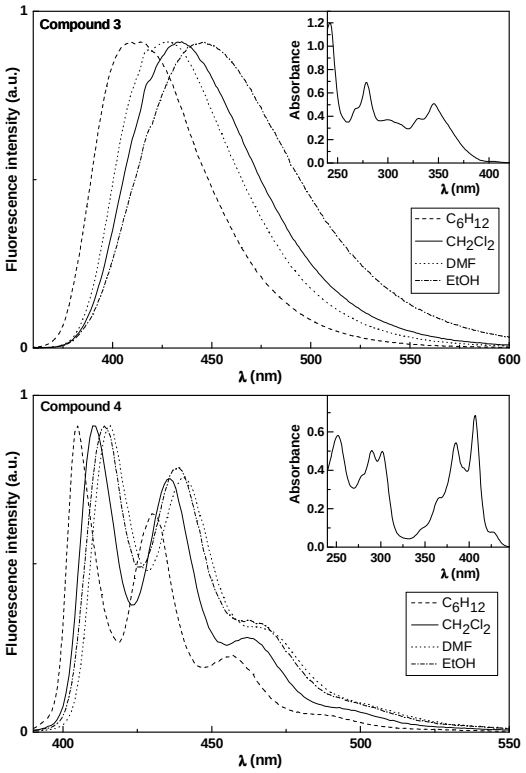


Figure 1 – Synthesis and fluorescence spectra of indoles **3** and **4**.

Fluorescent studies on compounds **3** and **4** were performed in several solvents (Fig. 1). Both compounds present high fluorescence quantum yields (Φ_F) in all solvents and a solvent sensitive emission, especially compound **3**.

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References

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