MediaChrom: synthesis and spectroscopical evaluation of an original class of pyrimidoindolone based polarity-sensitive dyes

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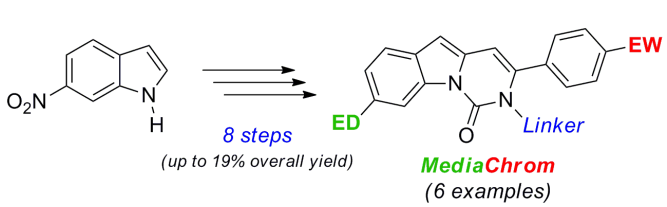
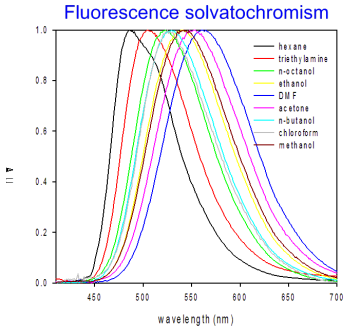
The modern biological research asks for a continuous development of new fluorescent dyes characterized by improved performances and suitable to be used as markers or probes.[1] A particular class of dyes, called polarity-sensitive dyes[2] have the unique features to display a different emission maximum as a function of the polarity of their molecular environment (media). This peculiarity makes polarity-sensitive dyes the ideal probes to monitor the local properties of particular cell districts as well as different type of biomolecular interactions. Several polarity-sensitive dyes have been developed, but most of them are far to meet simultaneously all the optimal spectroscopic requirements for biological applications. Since many years, we have been interested in the development of new strategies for the synthesis and the functionalization of indoles and polycyclic indole-based heterocycles. In this context, we reported a domino approach to pyrimidoindolones[3] that displayed interesting fluorescence properties. Starting from these findings, a small library of original polarity-sensitive fluorescent dyes, nicknamed MediaChrom, has been prepared. This class of dyes is characterized by a pyrimidoindolone core fitted out with a conjugated push-pull system, and a linker for an easy coupling with biomolecules. The carefully planned and optimized synthetic strategy involves a highly chemo- and regioselective gold catalyzed cycloisomerization step. The photophysical properties of MediaChrom dyes have been evaluated, and some potential biological applications have been spottily investigated.



ED: -NEt_2, morpholine; **EW**: -SO_2Me, -NO_2, -CF_3, -CN.



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