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Double Fluorogenic π -Extended Rhodamines

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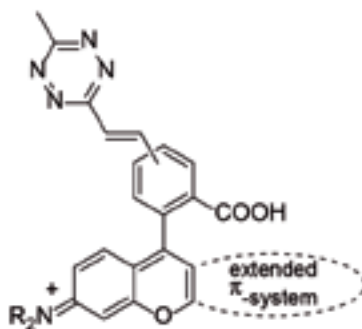
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The emergence of super-resolution microscopy techniques revolutionized the study of biological functions in living cells. There is still need for further development of the microscopy methods, but the main challenge is the unavailability of dyes with the proper optical properties suitable for site-specific labeling of biomolecules inside living cells.

Fluorogenic (or turn-on) probes have the advantage that they exist in a non-fluorescent form. Their fluorescence is only turned on while reacting with the target structure. This means that there is minimal to no background fluorescence caused by the unreacted or unspecifically bound species eliminating the need of purification procedures. The preceding years have brought substantial developments in the design and synthesis of bioorthogonally applicable fluorogenic labels mainly based on the quenching effects of azide and tetrazine moieties. The quenching effect of these bioorthogonal motifs typically becomes less efficient on more conjugated systems, i.e. on probes with red-shifted emission wavelength. In order to reach efficient quenching, thus fluorogenicity even in the red range of the spectrum we introduced the concept of multiple fluorogenicity, lately^[1–3].

Herein we present the synthesis, fluorogenic characterization and preliminary biological application of bioorthogonal double fluorogenic π -extended rhodamines with emission maxima between 600–750 nm. The double fluorogenicity is achieved by the quenching effect of the tetrazine and the polarity dependent lactonization and ring opening of the rhodamine core.



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