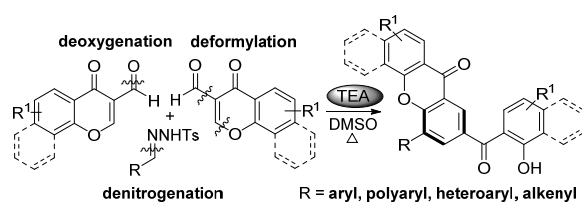


# METAL- FREE BASE MEDIATED TANDEM BENZANNULATION OF N-TOSYLHYDRAZONES WITH 3 FORMYLCHROMONES: A GENERAL ROUTE TO DIVERSE AND POLYFUNCTIONALIZED XANTHONES

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*N*-Tosylhydrazones have been emerged as versatile synthons in transition-metal-catalyzed and transition-metal-free reactions which affords novel methodologies carbon-carbon and carbon-heteroatom bonds.<sup>1</sup> Despite of remarkable and excellent developments of novel methodologies using *N*-tosylhydrazones in organic synthesis, there are no reports on the reactions of *N*-tosylhydrazones with 3-formylchromones so far. In this context, the reaction of *N*-tosylhydrazones with 3-formylchromones in the presence of base was examined leading to unexpected xanthenes. They are important oxygenated heterocycles found in many natural products exhibiting prominent biological and pharmacological activities.<sup>2</sup> Especially, xanthenes moiety exhibit potent anticancer, antimicrobial, antimalarial, anti-HIV, antioxidant, antialzheimer, protein kinase C,  $\alpha$ -glucosidase, and cholesterol acyltransferase inhibitory activities. Although several approaches for the synthesis of xanthenes have been well described, more facile and efficient protocols for diverse and functionalized xanthenes are still highly desirable. Herein, we present simple and efficient base-promoted denitrogenative/deoxygenative/deformylative condensation for the regiospecific construction of biologically interesting polyfunctionalized xanthenes starting from *N*-tosylhydrazones and two molecules of 3-formylchromones (Scheme 1).<sup>3</sup> This protocol proceeds *via* a cascade diazo formation/Michael addition/denitrogenation/[4+2] cycloaddition/deformylation/ring opening. The synthesized compounds showed potent UV-filter properties compared to common sunscreen agents, excellent turn-off fluorescence sensing properties for Fe<sup>3+</sup> ions, and antioxidant activities comparable to standard BHT.



Scheme 1. A Novel Strategy for Diverse and Polyfunctionalized Xanthenes from *N*-Tosylhydrazones

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