

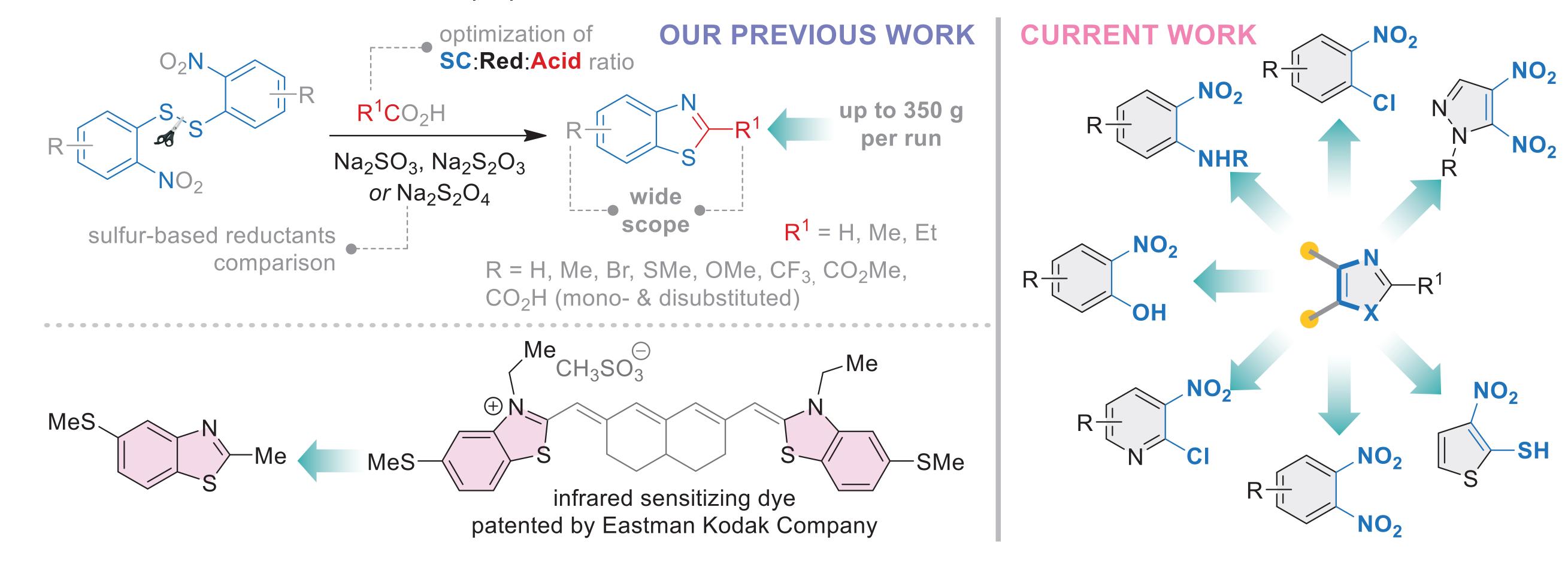


Single-Step Semi-Industrial Synthesis of 2-Alkyl-Substituted Benzo- and Heteroannelated 1,3-Azoles

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Background and synthetic strategy

- Previously, we proposed a semi-industrial procedure for one-pot synthesis of 2-alkyl-substituted benzothiazoles1.
- Our current work is devoted to the preparation of various benzo- and heteroannelated 1,3-azoles under similar conditions.



Scope of the reaction and diversity of the products

- A general strategy for the synthesis of diverse benzo- and heteroannelated azoles in 10–100-gram scales was proposed. Tolerance towards various substituents and functional groups was demonstrated.
- At this scale, not only chemical but also economic and ecological issues become critical. The designed procedures are cost-effective, safe, scalable, based on simple reaction sequences, and easy to scale up
- Various carbo- and heterocyclic cores were constructed that would be useful for the "scaffold hopping" strategy

