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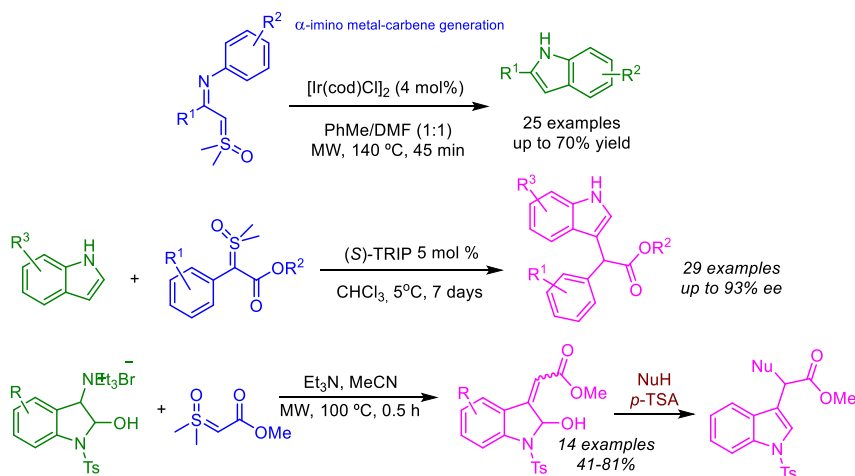
Synthesis and functionalization of indoles with α -carbonyl sulfoxonium ylides: Expanding the synthetic toolbox

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In the realm of transition metal catalysis and organocatalysis, the utilization of sulfoxonium ylides has emerged as a versatile strategy for diverse synthetic transformations. Herein, we present a comprehensive exploration of the reactivity of different classes of sulfoxonium ylides, elucidating their unique roles in catalytic processes for the synthesis of indole derivatives. Firstly, we introduce the use of imido sulfoxonium ylides as precursors for the generation of α -imino metal-carbene intermediates, facilitated by $[\text{Ir}(\text{cod})\text{Cl}]_2$ catalysis. This methodology enables the direct C–H functionalization of indoles, affording 2-substituted indoles in a single step with promising yields. Building upon this foundation, we report the enantioselective indole insertion reactions of α -carbonyl sulfoxonium ylides under the catalysis of phosphoric acid. Notably, this represents the first example of organocatalytic enantioselective C–H insertion reactions of indoles and sulfoxonium ylides, offering access to enantioenriched products without the need for nitrogen protection on the indole moiety. Expanding the synthetic utility of sulfoxonium ylides, we unveil a novel strategy for epoxide ring-opening reactions using α -ester sulfoxonium ylides. This approach provides a facile route to 2-hydroxyindolinylienes from in situ-formed epoxide indoles, demonstrating efficient synthesis with favorable yields and exclusive Z isomers. Furthermore, the photophysical properties of the synthesized indolinylienes are explored, along with their derivatization using various nucleophiles under acid catalysis. Collectively, these studies (Scheme 1) showcase the diverse reactivity and synthetic potential of sulfoxonium ylides, offering valuable insights into their application in the construction of indole-based frameworks and beyond.¹



Scheme 1: Synthesis and functionalization of indoles with sulfoxonium ylides..

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References:

1. Camara, V. S.; da Silva, A. L.; da Luz, L. C.; Rodembusch, F. S.; Santiago, P. H. O.; Ellena, J. Burtoloso, A. C. B. *Org. Lett.* **2024**, *26*, 1034.

