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Highly Enantioselective Lewis Acid Catalyzed Conjugate Addition of Imidazo[1,2-a]pyridines to α,β -Unsaturated 2-Acylimidazoles under Mild Conditions

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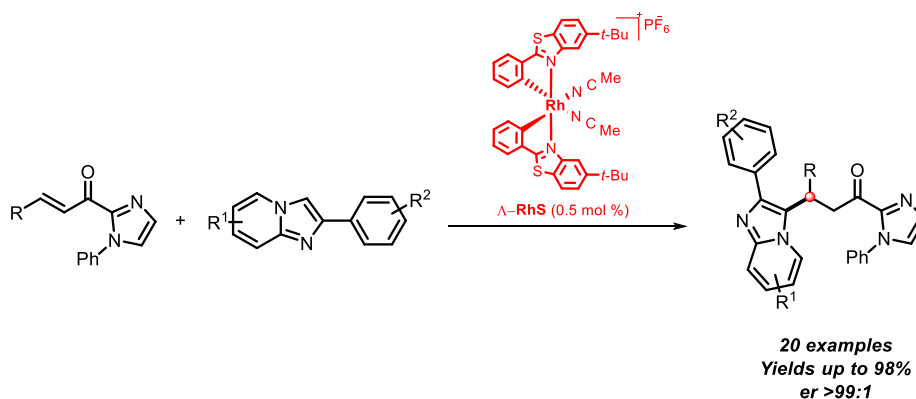
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ABSTRACT

Chirality is of great relevance for the drug development processes; however, the enantioselective synthesis of chiral compounds remains a challenge nowadays. In this context, asymmetric catalysis is an emergent and attractive tool for the enantioselective synthesis of chiral molecules.¹ Additionally, new synthetic methods that prioritize the use of mild reagents along with smooth, safe, and practical reaction conditions are also very desirable.² In this work, we describe a simple and robust catalytic asymmetric conjugate addition of 2-arylimidazo[1,2-a]pyridines to α,β -unsaturated 2-acylimidazoles in the presence of a rhodium-based chiral Lewis acid catalyst, using mild reaction conditions with very high stereoselectivity. Our method provided the corresponding adducts in yields of 25–98% with enantioselectivities up to *er* > 99:1.³ The imidazo[1,2-a]pyridine moiety is embedded in the structure of many commercial drugs and can be associated with a wide range of biological activities, which make them an interesting study skeleton in organic synthesis.⁴



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