

# ASYMMETRIC BRØNSTED ACID-CATALYZED FRIEDEL-CRAFTS REACTION OF CYCLIC *N*-SULFIMINES AND SULFAMIDATES WITH INDOLES

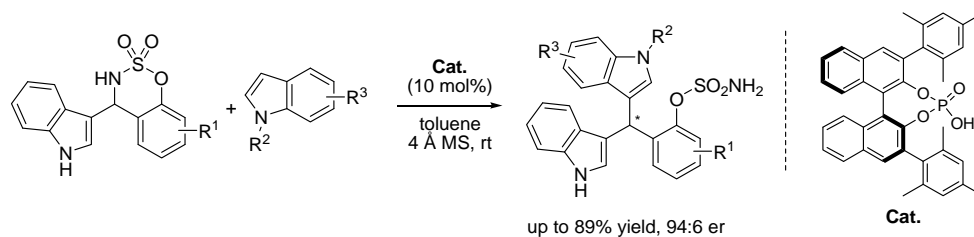
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The indole skeleton is the heterocycle structure in nature and well established as a privileged scaffold that is commonly encountered in many biologically active natural products and pharmaceutical compounds. Owing to the great structural diversity of biologically active indoles, indole units are of great importance in medicinal chemistry and are widely used in the pharmaceutical industry for the design of compounds with pharmacological properties.<sup>[1]</sup>

We recently demonstrated a highly enantioselective Friedel–Crafts reaction of indoles with cyclic *N*-sulfimines catalyzed by a chiral phosphoric acid.<sup>[2]</sup> This method represents the first aza-Friedel–Crafts reaction with cyclic *N*-sulfimines as electrophiles and provides the corresponding optically active 3-indolyl sulfamidate derivatives in good yields and with high enantioselectivities (up to 97% ee) with a broad range of functional groups and substitution patterns.

We also developed the method for the synthesis of enantioenriched bisindolylarylmethane phenylsulfamate derivatives which has been developed through an asymmetric Friedel–Crafts ring opening reaction 3-indolylsulfamidates with indoles. The reaction using chiral BINOL-derived phosphoric acid as the catalyst is tolerant to a diverse range of 3-indolylsulfamates and indoles, and provides bisindolylarylmethane sulfamate derivatives in good yields and with moderate to high enantioselectivities (up to 89% yield, 94:6 er).



[1] Sundberg, R. J. *Indoles*; Academic: New York, **1996**.

[2] Lee, S. G.; Kim, S.-G. *RSC Adv.* **2017**, 7, 34283-34286.