

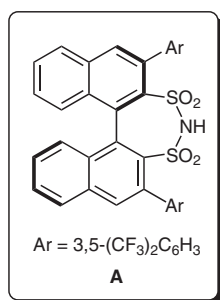
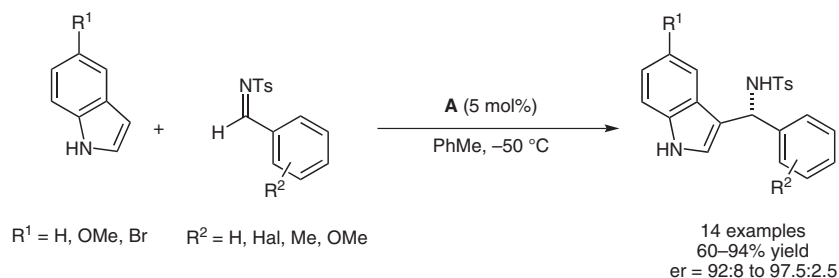
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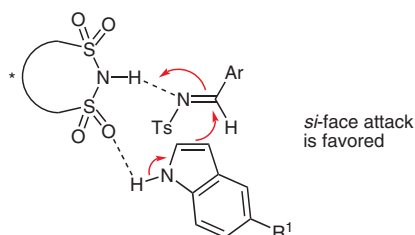
Chiral Sulfonimide as a Brønsted Acid Organocatalyst for Asymmetric Friedel–Crafts Alkylation of Indoles with Imines

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## Brønsted Acid Catalysis: Friedel–Crafts Alkylation with Chiral Disulfonimides



Proposed mode of action:



**Significance:** Lee and co-workers report the asymmetric Friedel–Crafts alkylation of indoles with tosylated arylimines. The reaction is catalyzed by the binaphthyl-based disulfonimide **A**, which is proposed to act as hydrogen-bridge donor and acceptor, thereby assembling the substrates within its chiral environment and favoring the *si*-facial attack. While unsubstituted indole and derivatives with electron-donating groups reacted with equally high enantioselectivities, electron-withdrawing groups were found to be detrimental to the enantioselectivity. When other sulfonyl groups were employed instead of the tosyl group, reduced yields and/or enantioselectivities were observed in all cases.

**Comment:** While catalyst **A** has already been used in asymmetric Mukaiyama aldol reactions of silyl ketene acetals with aldehydes (P. García-García et al. *Angew. Chem. Int. Ed.* **2009**, *48*, 4363; L. Ratjen et al. *Angew. Chem. Int. Ed.* **2011**, *50*, 754) it was shown that in these reactions the Brønsted acid **A** is silylated and then acts as a Lewis acid organocatalyst. Therefore, the work of Lee and co-workers is the first example of **A** acting as a real Brønsted acid organocatalyst. The Lee group confirmed the necessity of the previously reported acid wash after column chromatography, as strongly decreased activity was observed when this step was omitted in the catalyst synthesis. With this work the authors demonstrate the high potential of disulfonimide organocatalysts in Brønsted as well as Lewis acid catalysis.

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