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Highly Enantioselective Friedel–Crafts Alkylations of Pyrroles and Indoles with α' -Hydroxy Enones under Cu(II)-Simple Bis(oxazoline) Catalysis

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Enantioselective Friedel–Crafts Alkylations of Pyrroles and Indoles via α' -Hydroxyenones

Significance: The Friedel–Crafts reaction is of great value in organic synthesis. In terms of metal-catalyzed Friedel–Crafts alkylations, there are few examples demonstrating high enantioselectivity. In many of the reported cases, strongly coordinating bidentate Michael acceptors are required for good enantiocontrol. Presented herein, non-enolizable α' -hydroxyenones represent the above characteristics and, in the presence of easily accessible *tert*-butyl-bis(oxazoline) Cu(II) complexes and electron-rich heteroaromatics, provide highly enantioenriched Friedel–Crafts alkylation products. This method is efficient with both pyrrole and indole as nucleophile, and with alkyl or aryl β -substituents.

Comment: The Cu(II)-*t*-BOX-enone complex makes the enone *Si* face accessible for nucleophilic attack. Although numerous alkyl and aryl β -substituents were tested, it is noted that aryl β -substituents resulted in a marked reduction in ee. Electron-donating substituents on the benzenoid ring of indole had no adverse effects, although electron-withdrawing substituents were not evaluated. Finally, the products of these reactions were elaborated into various examples of pyrrole- and indole-substituted aldehydes, ketones, and carboxylic acids.

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