Category

Metal-Catalyzed Asymmetric Synthesis and Stereoselective Reactions

Key words

alkylation

quinoline oxides

vinylarenes

copper catalysis

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Enantioselective Copper-Catalyzed Alkylation of Quinoline *N*-Oxides with Vinylarenes
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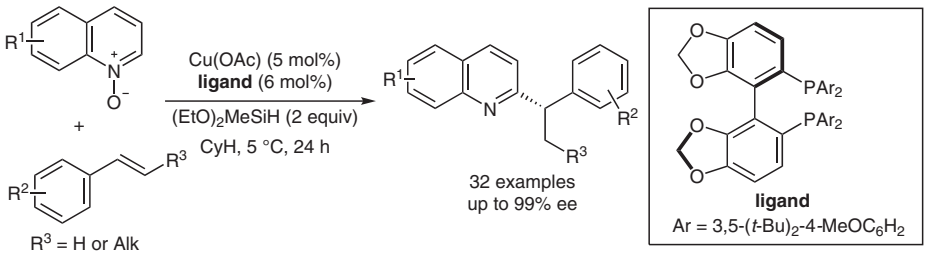
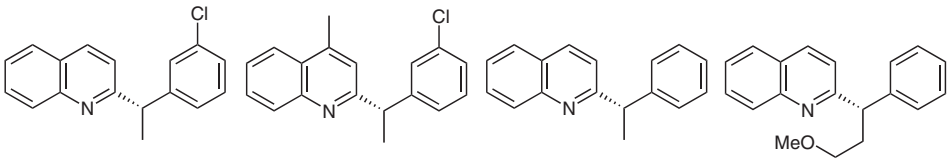
Asymmetric Alkylation of Quinoline *N*-Oxides with Vinylarenes

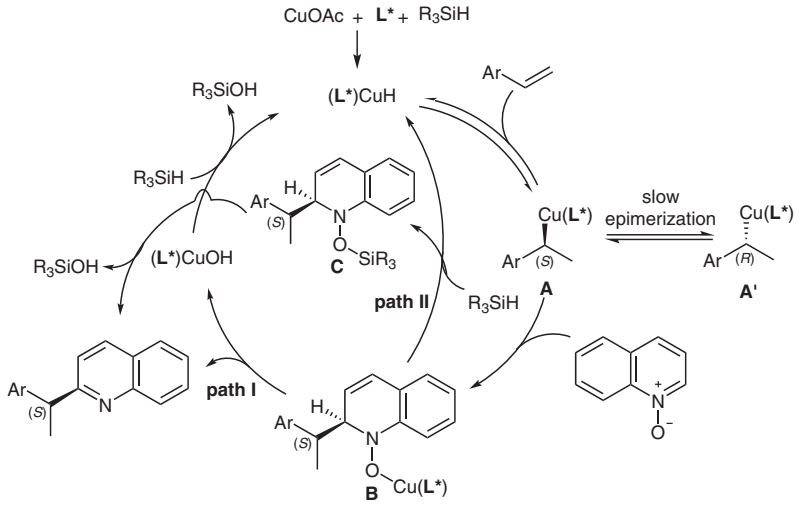


Selected examples:



92% yield, 97% ee | 86% yield, 95% ee | 77% yield, 99% ee | 41% yield, 92% ee

Proposed catalytic pathways:



Significance: An asymmetric alkylation of quinoline *N*-oxides with vinylarenes was achieved by using a copper–bisphosphine catalytic system. The reaction delivers a series of optically pure 2-substituted quinolones with excellent enantioselectivities (≤99% ee) from readily available starting materials.

Comment: Ge and co-workers reported the first asymmetric protocol for the alkylation of quinolone *N*-oxides with vinylarenes. A broad range of quinoline *N*-oxides and vinylarenes can be used in this transformation. Of note, this novel reaction can be performed on a gram scale with a very low catalyst loading (1.5 mol%).

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