Enantioselective Radical Addition to Heteroarenes

Significance: Heteroarenes with basic nitrogen centers, such as pyridines or quinolines, can be found in pharmaceuticals and in bioactive molecules. Minisci-type reactions are direct methods to synthesize such classes of compounds, but the generation of highly enantioselective stereocenters is challenging. The authors have developed a highly enantio- and regioselective addition of α -amino alkyl radicals to pyridines and quinolines in the presence of an iridium photoredox catalyst and a chiral Brønsted acid catalyst.

Comment: The chiral Brønsted acid activates the heteroarene and induces the enantioselectivity of the reaction, whereas the iridium photoredox catalyst plays a role in the electron-transfer process. In addition to being applicable to a broad scope of substrates, the reaction can be used in late-stage functionalizations of molecules in a highly chemo-, regio-, and enantioselective manner.

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