J. H. KIM, T. CONSTANTIN, M. SIMONETTI, J. LLAVERIA, N. S. SHEIKH, D. LEONORI*
(UNIVERSITY OF MANCHESTER, UK)

A Radical Approach for the Selective C–H Borylation of Azines

Nature **2021**, DOI: 10.1038/s41586-021-03637-6.

An Organophotocatalytic Borylative Minisci Reaction

Proposed mechanism:

Selected examples:

Significance: Leonori and co-workers present an organophotocatalytic borylative Minisci reaction. Strongly acidic and oxidizing conditions ensure the generation of highly nucleophilic boryl radicals in situ, yielding C2-borylated nitrogen heterocycles with moderate regioselectivities and good to excellent yields. Additionally, the authors demonstrate that amine–borylated azines readily engage in conventional organoboron chemistry.

Comment: Polarity-reversal catalysis harnesses the electrophilic or nucleophilic character of radicals to render hydrogen atom-transfer reactions that would otherwise be polarity mismatched kinetically feasible. Because of the nucleophilic character of the respective radicals, amine–boranes themselves have previously been investigated as polarity-reversal catalysts (see Review below). The beauty of this work lies in the translation of this concept into one of the most sought-after transformations for the direct functionalization of N-heterocycles.

Review: B. P. Roberts *Chem. Soc. Rev.* **1999**, *28*, 25–35.

SYNFACTS Contributors: Benjamin List, Benjamin Mitschke
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Key words

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