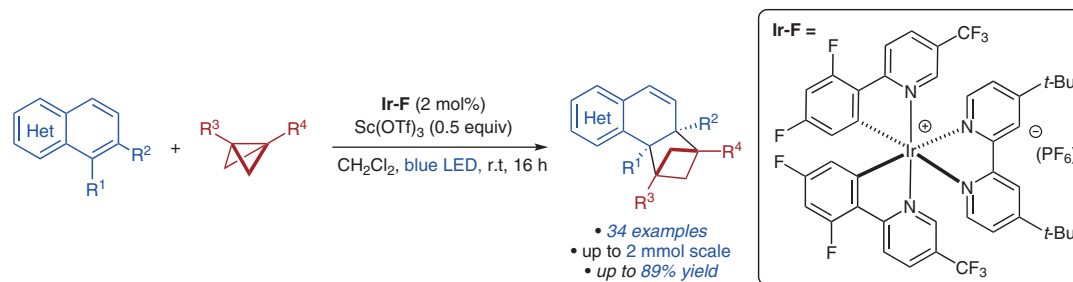
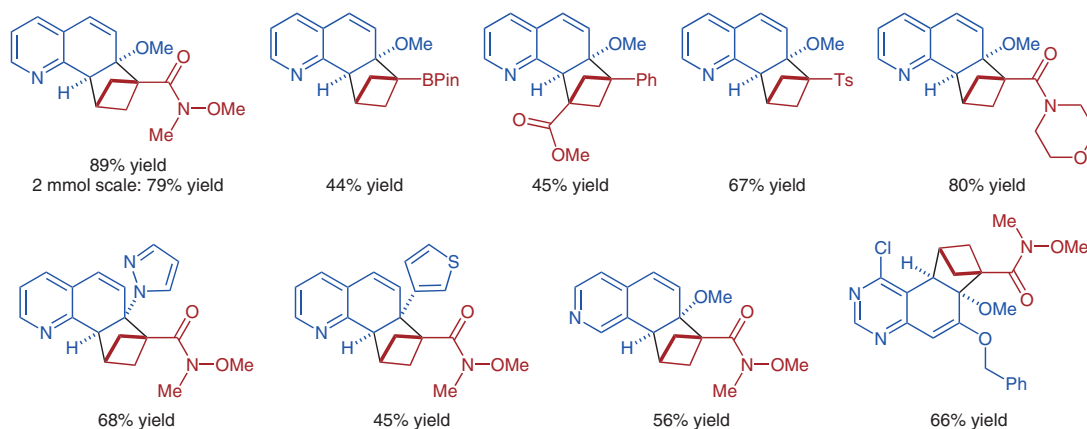


R. KLEINMANS, S. DUTTA, K. OZOLS, H. SHAO, F. SCHÄFER, R.-E. THIELEMANN, H. T. CHAN, C. G. DANILIUC, K. N. HOUK*, F. GLORIUS* (UNIVERSITY OF CALIFORNIA, LOS ANGELES, USA AND WESTFÄLISCHE WILHELMS-UNIVERSITÄT MÜNSTER, GERMANY)
ortho-Selective Dearomative [2 π + 2 σ] Photocycloadditions of Bicyclic Aza-Arenes
J. Am. Chem. Soc. **2023**, *145*, 12324–12332, DOI: 10.1021/jacs.3c02961.

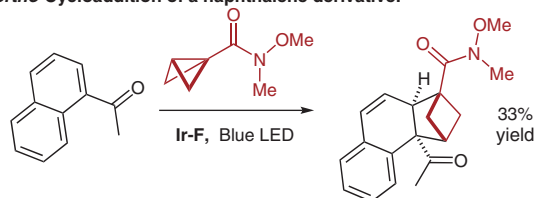
Leveraging Strain-Release in Dearomative Photocycloadditions of Bicyclic Aza-Arenes



Selected examples:



ortho-Cycloaddition of a naphthalene derivative:



Selected synthetic modification:



Significance: Dearomatization of (hetero)arene molecules is an ongoing goal in medicinal chemistry, as the increased three-dimensionality of the resulting molecules may have improved drug-like qualities. Glorius, Houk and co-workers report highly *ortho*-selective photocycloadditions of bicyclic heteroarenes, leveraging the strained bicyclo[1.1.0]butanes as reactive partners to generate highly functionalized, medicinally-relevant molecular scaffolds.

Comment: The authors ruled out thermal background reactivity by performing the reaction in MeCN at 100 °C. DFT studies are in support of an EnT mechanism; however, additional studies are underway to elucidate other productive pathways which may be operative.

SYNFACTS Contributors: Mark Lautens, Jonathan Bajohr
Synfacts 2023, 19(08), 0775 Published online: 14.07.2023
DOI: 10.1055/s-0042-1752815; Reg-No.: L12323SF

© 2023, Thieme. All rights reserved.
Georg Thieme Verlag KG, Rüdigerstraße 14, 70469 Stuttgart, Germany

Category

Metals in Synthesis

Key words

photocatalysis
iridium catalysis
cycloaddition

Synfact
of the
Month