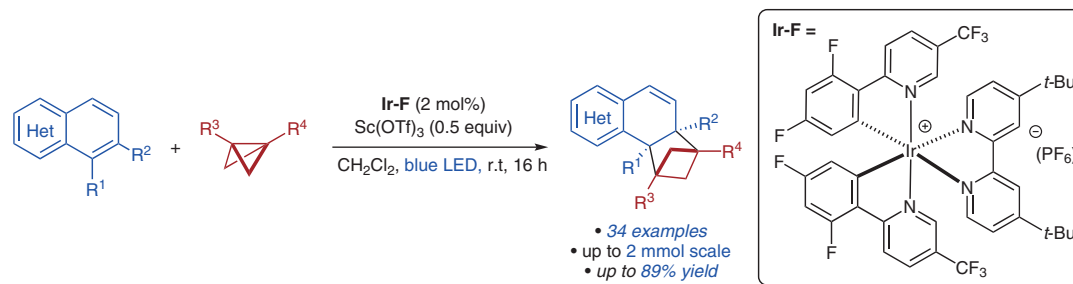
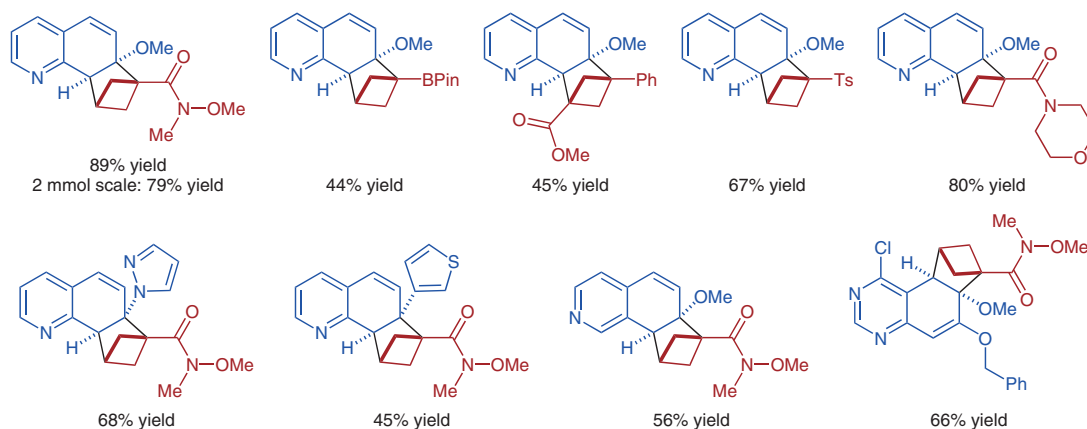


R. KLEINMANS, S. DUTTA, K. OZOLS, H. SHAO, F. SCHÄFER, R.-E. THIELEMANN, H. T. CHAN, C. G. DANILIUC, K. N. HOUK*, F. GLORIUS* (UNIVERSITY OF CALIFORNIA, LOS ANGELES, USA AND WESTFÄLISCHE WILHELMS-UNIVERSITÄT MÜNSTER, GERMANY)
ortho-Selective Dearomative $[2\pi + 2\sigma]$ Photocycloadditions of Bicyclic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

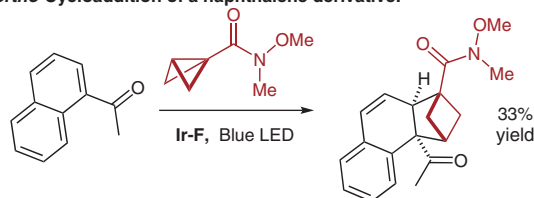
Leveraging Strain-Release in Dearomative Photocycloadditions of Bicyclic Aza-Arenes



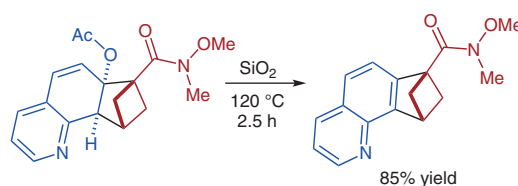
Selected examples:



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

This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.