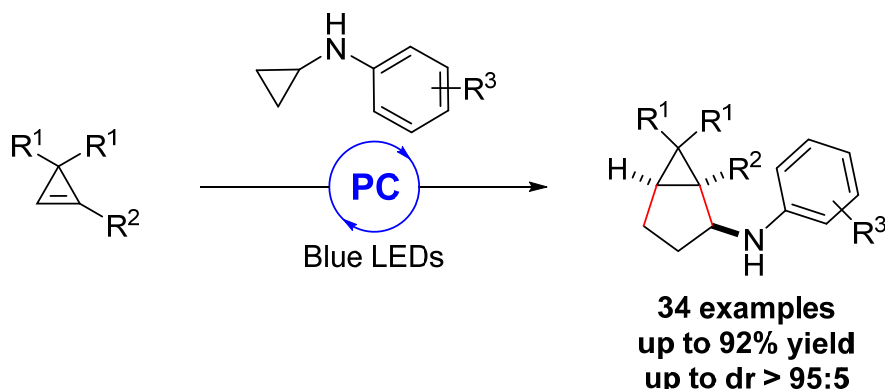


# PHOTOREDOX-MEDIATED RADICAL DIFUNCTIONALIZATION OF CYCLOPROPENES

Bastian Muriel, Alec Gagnebin, and Jérôme Waser

Laboratory of Catalysis and Organic Synthesis,  
Ecole Polytechnique Fédérale de Lausanne, 1015 Lausanne, Switzerland

With a growing number of efficient methods for their synthesis combined with high reactivity, cyclopropenes have emerged as key building blocks for a straightforward access to highly substituted and stereodefined cyclopropanes. [1] While most existing methods rely on carbometalation and related processes, [2] examples involving a radical pathway for the difunctionalization of the cyclopropene olefinic bond remain scarce. [3] We report herein the first photoredox-mediated radical difunctionalization of cyclopropenes proceeding with retention of the three-membered carbocycle. [4] Cyclopropylanilines were used as partners in a new [3+2] annulation process, based on opening of the cyclopropyl ring upon oxidation of the nitrogen to the radical cation with an appropriate photoredox catalyst. A broad scope of cyclopropene and cyclopropylaniline derivatives could be used in the transformation. Good diastereomeric ratios could be obtained with an optimized removable substituent on the cyclopropylaniline leading to high yields and selectivity. With efficient methods existing for the synthesis of both reaction partners, this methodology grants a fast access to diastereomerically enriched, highly substituted bicyclic scaffolds.



[1] R. Vincente, *Synthesis*, **2016**, *48*, 2343-2360.

[2] Z. Zhu, Y. Weib, M. Shi, *Chem. Soc. Rev.*, **2011**, *40*, 5534-5563.

[3] N. S. Dange, F. Robert, Y. Landais, *Org. Lett.*, **2016**, *18*, 6156-6159.

[4] B. Muriel, A. Gagnebin, J. Waser, *Manuscript in Preparation*.