

Highly regio and enantioselective synthesis of functionalized piperidine derivatives triggered by Heck coupling of monocyclopropanated pyrroles.

Julietta Yedoyan, Urszula Klimczak, and Oliver Reiser

Institute of Organic Chemistry, University of Regensburg, Universitätsstraße 31, 93053, Regensburg, Germany

julietta.yedoyan@chemie.uni-regensburg.de

Over the last few years our group has been intrigued by catalytic cyclopropanations of N-Boc-pyrroles to give rise to products of type **1**, which provides a stereoselective entry into bicyclic donor-acceptor substituted cyclopropanes that can be manipulated in various ways to natural products and synthetically useful building blocks.^{1,2}

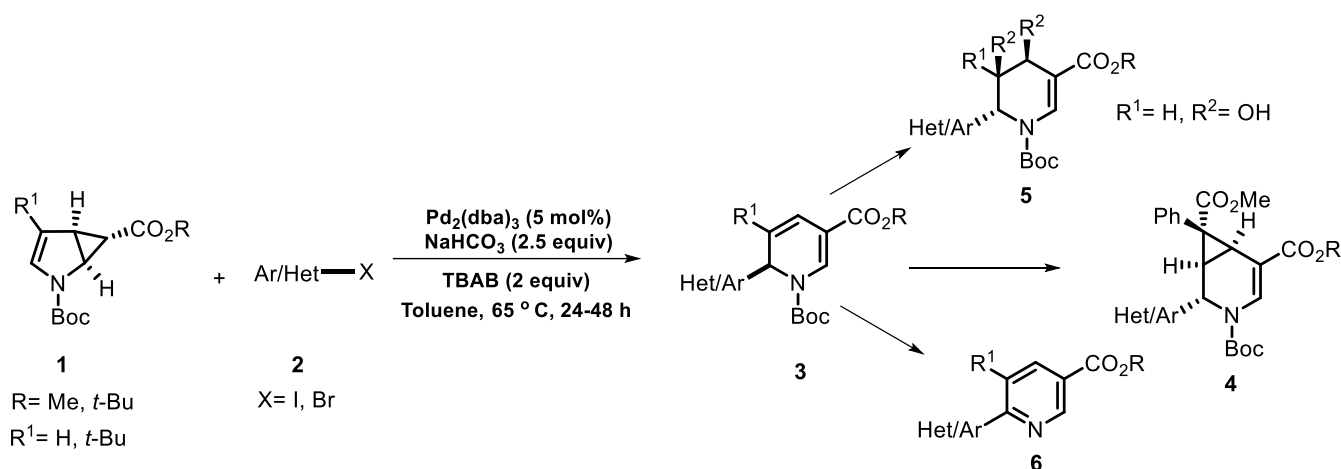


Figure 1. Highly regio and enantioselective synthesis of substituted 1,2-Dihydro pyridines and their further transformations.

Herein we report the palladium catalyzed Heck–Mizoroki cross-coupling reaction between monocyclopropanated N-Boc-pyrroles **1** and hetero/aryl halides **2** leading to substituted 1,2-dihydropyridine **3** preparation in moderate to good yields (40-77%). The arylation occurs selectively from the convex face of **1**, giving **3** with an excellent transfer of chirality. The developed approach offers an attractive general method toward accessing important six-membered nitrogen containing scaffolds, which are in high demand in the pharmaceutical sciences and beyond.³

References

1. O. Reiser, *Isr. J. Chem.* **2016**, *56*, 531–539
2. L. Pilsl; T. Ertl, and O. Reiser. *Org. Lett.* **2017**, *19*, 2754–2757.
3. M. Hilton, R. Dolewski, and A. McNally *J. Am. Chem. Soc.* **2016**, *138*, 13806–13809