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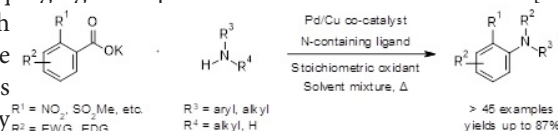
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Decarboxylative amination of benzoic acids

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Decarboxylative couplings of aromatic carboxylic acids have emerged as an efficient synthetic tool in the last decade.[1-2] While redox-neutral C-C bond forming reactions have attracted most of the attention of the synthetic community, their oxidative counterparts have only been scarcely studied and the vast majority of reported transformations require the presence of stoichiometric amounts of toxic silver salts.[3]

The development of efficient methods for the synthesis of substituted anilines with absolute regiocontrol is a central theme in organic synthesis. In that regard, we were particularly interested in developing a general procedure for C-N bond formation [4-5] via the arylation of weakly acidic amines with benzoic acids. In line with the palladium/copper co-catalyzed decarboxylative coupling rationale developed in the Goossen group, we now report silver-free conditions under which primary and secondary aliphatic amines as well as diversely substituted anilines can undergo selective mono-arylation with benzoic acids in good to excellent yields (Scheme 1).



- Possibility of coupling primary aliphatic amines
- Silver-free procedure

Scheme 1 Arylation of aliphatic and aromatic amines via Pd/Cu co-catalyzed oxidative decarboxylative coupling

This presentation will focus on the holistic aspects of the reaction development. The choice of a suitable oxidant/solvent system proved crucial for an efficient C-N bond formation to take place. An interesting feature of the transformation is that a wide range of palladium and copper salts can act as efficient catalysts. Remarkably, primary aliphatic amines and, to a lesser extent, secondary acyclic amines can undergo N-arylation using this procedure. An example of short synthesis of a complex substituted arylamine will be presented in which the C-N bond formation via decarboxylative coupling is an early key step in the overall process. Mechanistic investigations pointing to transmetalation being the rate determining step will be presented. We expect that this methodology will provide new concepts upon which the development of more environmentally friendly oxidative decarboxylative couplings can build for the future.

Biography

Dominik Lichte has completed his Undergraduate studies in Chemistry at the Technische Universität Dortmund. He started his PhD in January 2018 under supervision of Prof. Dr. Lukas J Goossen at the Ruhr Universität Bochum.

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