METAL-FREE REGIOSELECTIVE C-H ARYL-ARYL CROSS-COUPLING – ARYLATION OF 2-NAPHTHOLS WITH DIARYLIODONIUM SALTS

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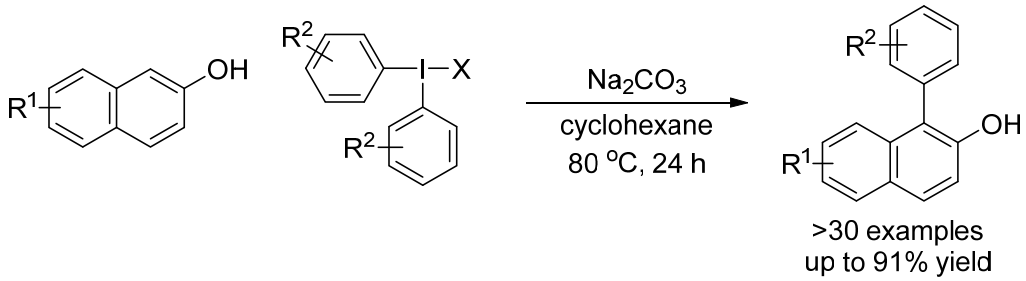
Aryl-aryl cross-coupling is one of the most commonly performed reactions nowadays, due to wide applications of aromatic compounds as building blocks in the synthesis of natural products and therapeutics, as well as scaffolds of important ligands and catalysts. The formation of aryl-aryl linkages is in the majority of cases accomplished with aid of transition-metal catalysis. However, the use of metals has both environmental and economical drawbacks. Therefore, the development of metal-free methodologies, including the metal-free synthesis of biaryls, is currently one of the central challenges in organic chemistry [1].

In this context, have developed a metal-free C-H arylation of 2-naphthols using diaryliodonium salts. The reaction has a broad scope with respect to the naphthol substrate, tolerating many functional groups and substitution patterns. Importantly, our method allows for the introduction of electron-poor aryl moieties, including ones with pharmacophoric fluorine substituents, which is not feasible with the existing metal-free protocols for the arylation of phenols [2]. The reaction proceeds under very simple experimental conditions and with a complete regioselectivity, providing a straightforward entry to an array of biaryl products in good to excellent yields.



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[1] C.-L. Sun, Z.-J. Shi, *Chem. Rev.* **2014**, *114*, 9219-9280.

[2] Selected examples: (a) K. Morimoto, K. Sakamoto, T. Ohshika, T. Dohi, Y. Kita, *Angew. Chem. Int. Ed.* **2016**, *55*, 3652-3656. (b) H. Gao, Q.-L. Xu, C. Keene, M. Yousufuddin, D. H. Ess, L. Kürti, *Angew. Chem. Int. Ed.* **2016**, *55*, 566-571. (c) M. Hori, J.-D. Guo, T. Yanagi, K. Nogi, T. Sasamori, H. Yorimitsu, *Angew. Chem. Int. Ed.* **2018**, *57*, 4663-4667.