

OR18 – Mild and Efficient Palladium-Catalyzed Direct Trifluoroethylation of Aromatic Systems by C–H Activation

Balázs L. Tóth, Szabolcs Kovács, Gergő Sályi and Zoltán Novák*

MTA-ELTE "Lendület" Catalysis and Organic Synthesis Research Group, Eötvös University, Institute of Chemistry, Pázmány Péter stny. 1/a. Budapest, H-1117,Hungary

E-mail: novakz@elte.hu

Introduction of trifluoroalkyl groups into aromatic molecules is an important transformation in the field of organic and medicinal chemistry. The fluorine containing functional groups (mainly trifluoromethyl, trifluoromethylthio) are often used order to their effect on lipophilicity and metabolic stability. Due to the presence of fluorine atoms the electronic properties of the molecules also change and allow further reactions on multifunctionalized aromatic cores. However, the direct installation of fluoroalkyl groups onto aromatic molecules still represents a challenging and highly demanding synthetic task.



A simple trifluoroethylation process that relies on the palladium-catalyzed C–H activation of aromatic compounds will be discussed. With the utilization of a highly active trifluoroethyl(mesityl)iodonium salt,^{1,2} the developed robust catalytic method enables the first highly efficient and selective trifluoroethylation of aromatic compounds providing the desired products in up to 95% yield at 25 °C in 1.5-3 hours.³

References

- 1. Umemoto, T.; Gotoh, Y. Bull. Chem. Soc. Of Japan, 1987, 60, 3307.
- Tolnai, G. L.; Székely, A.; Makó, Z.; Gáti, T.; Daru, J.; Bihari, T.; Stirling, A. Novák, Z. Chem. Commun. 2015, 51, 4488.
- 3. Tóth, B. L.; Kovács, Sz.; Sályi, G.; Novák, Z. Angew. Chem. Int. Ed. 2016, 55, 1988.