

Aryne coupling in heteroaromatic series: an efficient tool for heterobiaryl synthesis

Tarak Saied,^a Catherine Demangeat,^a Armen Panossian,^b Frédéric R. Leroux,^b
Yves Fort,^a Corinne Comoy^{*a}

Université de Lorraine, CNRS, L2CM UMR7053 B.P. 70239, 54506 Vandoeuvre-lès-Nancy,
France - tarak.saied@univ-lorraine.fr

Université de Strasbourg, Université de Haute-Alsace, CNRS, LIMA, UMR 7042
ECPM, 67000 Strasbourg

The most known (hetero)aryl-aryl bonding methods require the use of transition-metals, which induces a significant contamination problem for the pharmaceutical products.

This work reports our investigations concerning the arylation of thiophene using a transition metal-free "Aryne coupling" reaction. Particularly, the effect of ligand and salt on the coupling reaction was examined. The results underline the remarkable effect of such additives on the coupling reaction and the potency of the method to construct hetaryl-aryl backbones which open up a promising access to a wide range of heterobiaryl structures using the novel "Het-Aryne" route.

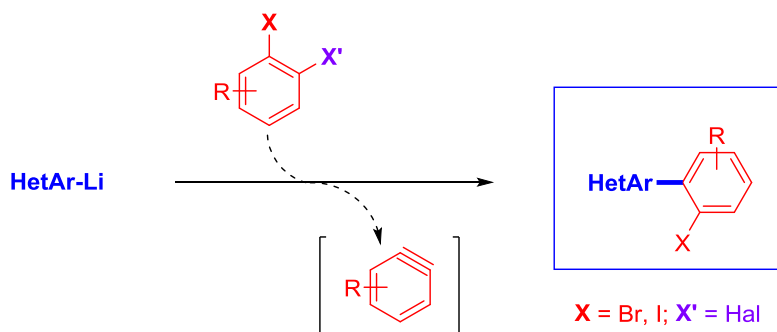


Figure 1 HetAryne Coupling.

[1] C. Demangeat, T. Saied, R. Ramozzi, F. Ingrosso, M. Ruiz-Lopez, A. Panossian, F. R. Leroux, Y. Fort, C. Comoy, *Eur. J. Org. Chem.* **2019**, 547-556.

[2] T. Saied, C. Demangeat, A. Panossian, F. R. Leroux, Y. Fort, C. Comoy, *Eur. J. Org. Chem.* **2019**, DOI: 10.1002/ejoc.201900130R1.

[3] Project supported by the French Agence Nationale pour la Recherche (ANR) (grant number ANR-14-CE06-0003-01, ChirNoCat).