

## A PRELIMINARY STUDY ON THE REGIOSELECTIVE C-H ARYLATION OF IMIDAZO[2,1-*b*]THIAZOLE

Fabio Bellina<sup>a</sup>, Paolo Ronchi<sup>b</sup>, Angela Cuzzola<sup>a</sup>, Marco Lessi,<sup>a</sup> Silvia Rizzo<sup>a</sup>,  
Filippo Lipparini<sup>a</sup>, Guariento Sara<sup>b</sup>, Matteo Biagetti<sup>b</sup>

<sup>a</sup> Dipartimento di Chimica e Chimica Industriale, Università di Pisa, 56124 Pisa, Italy

<sup>b</sup> Chiesi Farmaceutici, Largo Belloli, 10/A - 43122 Parma, Italy

Arylazoles are important structural units frequently found in natural products, pharmaceuticals, agrochemicals and organic functional materials. Due to their widespread applications, the development of straightforward functional group-tolerant synthetic methods that enable direct and selective heterocycle elaboration under mild conditions has aroused considerable attention.

Recently, the transition metal-catalyzed direct arylation reactions of azoles with aryl halides have emerged as an attractive strategy for the effective construction of aromatic Csp<sup>2</sup>–Csp<sup>2</sup> bonds. These reactions, unlike the traditional metal-catalyzed cross-coupling protocols involving the use of preformed organometallics, enable the direct elaboration of heteroaromatic cores without the pre-activation of both the coupling partners.

Over the last years we have been interested in studies aimed to broaden the substrate scope of the direct arylation of  $\pi$ -electron-rich heteroarenes and, in particular, to develop efficient protocols for the synthesis of aryl azoles involving palladium-catalyzed regioselective direct C-H arylations of azoles with aryl halides. During these studies, we very recently became interested in exploring the viability of a regioselective C-H arylation of imidazo[2,1-*b*]thiazole (1), an heteroaromatic core found in several natural compounds and bioactive derivatives [1]. The presence of four different and potentially reactive C-H bonds on the imidazole- and thiazole-like halves of this molecule represents an intriguing test bench for the development of a regioselective direct arylation protocol[2]. In this communication the preliminary results on the Pd-catalyzed arylation of 1 with aryl halides will summarize and discuss.

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[1] Fascio, M. L.; Errea, M. I.; D'Accorso, N. B. *Eur. J. Med. Chem.* **2015**, *90*, 666, and references therein cited.

[2] Examples of transition metal-catalyzed direct arylation of substituted imidazo[2,1-*b*]thiazole have been described: (a) Huang, G.; Sun, H.; Qiu, X.; Ji, C.; Shen, Y.; Jiang, J.; Wang, L. *Org. Lett.* **2011**, *13*, 5224 (Cu); (b) Park, J-H.; El-Gamal, M. I.; Lee, Y. S.; Oh, C-H. *Eur. J. Med. Chem.* **2011**, *46*, 5769 (Pd).