



Selectivity in Metal-Catalyzed C–H Functionalizations

Lutz Ackermann*

Institute for Organic and Biomolecular Chemistry, Georg-August-University Göttingen, 37077 Göttingen, Germany

*e-mail: Lutz.Ackermann@chemie.uni-goettingen.de

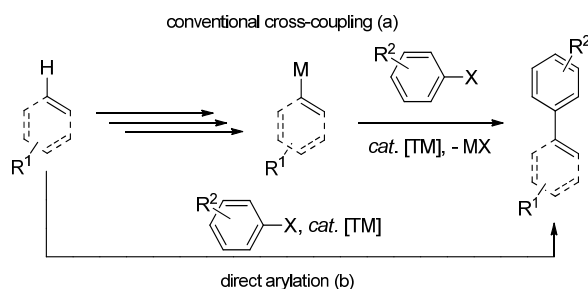
Keywords: C–H activation, ruthenium, catalysis

Abstract Speech

Direct C–H bond functionalizations are highly attractive tools for an overall streamlining of organic chemistry, since these methods avoid the preparation and use of prefunctionalized starting materials.¹ We have introduced carboxylates as catalytic additives for site-selective direct arylations and alkylations employing versatile ruthenium complexes,² which were found to display complementary chemo- and site-selectivities as compared to palladium(0)- or copper(I) catalysts.³ Detailed mechanistic insight into the working mode of the C–H bond ruthenation step set the stage for the development of ruthenium-catalyzed twofold C–H bond functionalizations as well as step-economical oxidative annulations of alkynes.⁴ These oxidative C–H bond functionalizations could be performed in an aerobic fashion with ambient air as the terminal oxidant,⁵ and provided atom- and step-economical access to various important bioactive heterocycles.⁶

⁵ Ackermann, L.; Wang, L.; Lygin, A. V. *Chem. Sci.* **2012**, 3, 177.

⁶ Koszushkov, S. I.; Ackermann, L. *Chem. Sci.* **2013**, 4, 886.



REFERENCES

- ¹ (a) Alberico, D.; Scott, M. Lautens, M. E. *Chem. Rev.* **2007**, 107, 174. (b) Ackermann, L. *Modern Arylation Methods*, Wiley-VCH, Weinheim, **2009**. (c) Ackerman, L.; Vicente, R.; Kapdi, A. *Angew. Chem. Int. Ed.* **2009**, 48, 9792.
- ² (a) Ackermann, L.; Novák, P.; Vicente, R.; Hofmann, N. *Angew. Chem. Int. Ed.* **2009**, 48, 6045. (b) Hofmann, N.; Ackermann, L. *Am. Chem. Soc.* **2013**, 135, 5677. (c) Schinkel, M.; Marek, I., Ackermann, L. *Angew. Chem. Int. Ed.* **2013**, 52, 3977. Reviews: (d) Ackermann, L. *Chem. Commun.* **2010**, 46, 4866. (e) Ackermann, L. *Chem. Rev.* **2011**, 111, 1315.
- ³ (a) Ackermann, L.; Althammer, A. *Angew. Chem. Int. Ed.* **2007**, 46, 1627. (b) Ackermann, L.; Althammer, A.; Fenner, S. *Angew. Chem. Int. Ed.* **2009**, 48, 201.
- ⁴ (a) Ackermann, L.; Lygin, A. V.; Hofmann, N. *Angew. Chem. Int. Ed.* **2011**, 50, 6503. (b) Ackermann, L.; *Acc. Chem. Res.* **2013**, 46, DOI:10.1021/ar3002798.