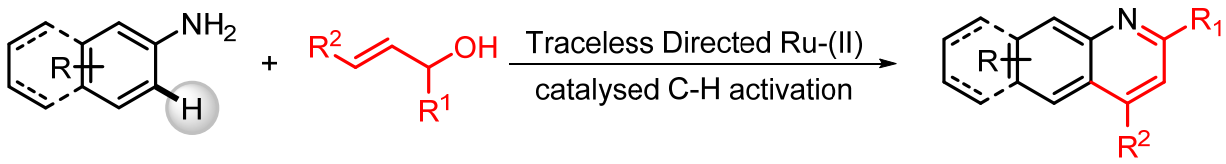
Ruthenium-II catalyzed C-H Activation of Anilines by Traceless directing group: A facile access to Quinolines by employing allylic alcohols as coupling partner

Gangam Srikanth Kumar, Pravin Kumar and Manmohan Kapur*
Department of Chemistry, Indian Institute of Science Education and Research Bhopal
(E-mail: mk@iiserb.ac.in)

Abstract: The Directed C-H functionalization has emerged as an efficient strategy for the selective construction of new carbon-carbon (C-C) and carbon-heteroatom (C-X) bonds in arenes.[1] The use of chelation-assisted strategy empowers, excellent regioselectivity, and structural diversity of products. However, these strategies require extra steps for the installation and removal of a directing group which limits the utility of the transformations. This limitation can be overcome by employing traceless directing groups, in which the installation and removal of a directing group do not require additional steps.[2] This strategy would be attractive alternatives to more traditional approaches, can emerge as an appealing synthetic protocol in the construction of organic functional molecules and natural products. Over the years many protocols have been developed for the synthesis of quinolines due to their biological relevance.[3] In continuation to our work on heteroatom-directed, site-selective C-H allylation of indoles[4] we disclose herein, a Ruthenium-II catalyzed C-H activation of anilines by traceless directing group for the synthesis of quinolines by using allylic alcohols as coupling partners. The highlight of the work is the use of allyl alcohols as coupling partners as well as traceless directing group.



Scheme-1

References:

1. (a) Li, B.-J.; Shi, Z.-J. *Chem. Soc. Rev.* **2012**, *41*, 5588. (b) Kuhl, N.; Schröder, N.; Glorius, F. *Adv. Synth. Catal.* **2014**, *356*, 1443.
2. Zhang, F.; Spring, D. R. *Chem. Soc. Rev.* **2014**, *43*, 6906.
3. Kaur, K.; Jain, M.; Reddy, R. P.; Jain, R. *Eur. J. Med. Chem.* **2010**, *45*, 3245.
4. Kumar, G. S. and Kapur, M. *Org. Lett.* **2016**, *18*, 1112.