

A MILD AND DIRECT SITE-SELECTIVE sp^2 C-H SILYLATION OF (POLY)AZINES

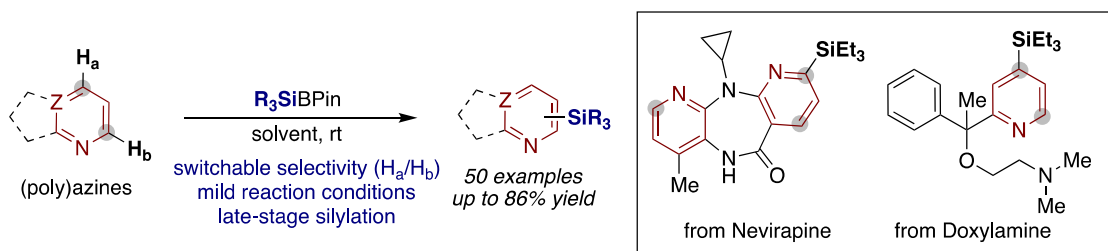
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(Poly)azines rank amongs the most prevalent motifs in a myriad of natural products and compounds that display important biological properties.^[1] Not surprisingly, chemists have recently been challenged to develop a series of C–H functionalization reactions that allows to control the site-selectivity profile of the protocol, thus allowing to access a series of polysubstituted azines from simple precursors.^[2] As part of our interest in the functionalization of inert chemical bonds, we have recently discovered a base-mediated site-selective C-H silylation of (poly)azines.^[3] This method is distinguished by its mild conditions and experimental ease – even in the context of late-stage functionalization –, while exhibiting orthogonal reactivity with classical silylation reactions.



[1] Blakemore, D. C.; Castro, L.; Churcher, I.; Rees, D. C.; Thomas, A. W.; Wilson, D. M.; Wood, A. *Nat. Chem.* **2018**, *10*, 383. (b) Vitaku, E.; Smith, D. T.; Njardarson, J. T. *J. Med. Chem.* **2014**, *57*, 10257.

[2] Selected references: (a) Murakami, K.; Yamada, S.; Kaneda, T.; Itami, K. *Chem. Rev.* **2017**, *117*, 9302. (b) Nakao, Y. *Synthesis* **2011**, *20*, 3209.

[3] Gu, Y.; Shen, Y.; Zarate, C.; Martin, R. *J. Am. Chem. Soc.* **2019**, *141*, 127.