DEVELOPING NEW WAYS TO INTRODUCE THE BORON ATOM IN ORGANIC MOLECULES BY RING-OPENING REACTIONS

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Introducing boron atom in organic molecules in a regio- and stereoselective fashion is a fascinating challenge for the organic chemist. In fact, boronic acids and esters are important intermediates in synthetic organic chemistry and have a wide range of applications in medicinal chemistry.[1] After seminal contributions about the ring opening of vinyl epoxides and vinyl aziridines with nucleophilic diboron reagents,[2] some other advances in the field of borylative ring opening of epoxides and aryl aziridines using diboron reagents have been quite recently made.[3] However, a general approach for the borylative ring opening of alkyl aziridines is still lacking.

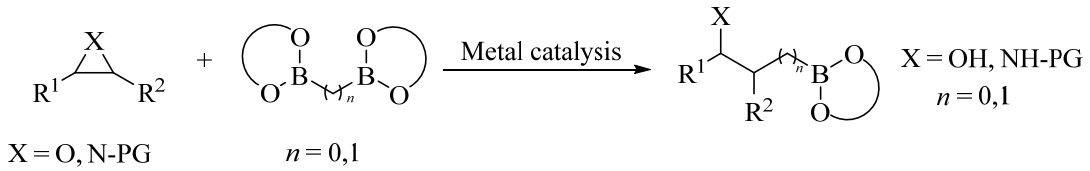

Figure 1: Ring-opening reactions with boron-containing reagents.

We herein report our study about the individuation of reaction conditions able to open a variety of alkyl aziridines using diboron reagents (n = 0, Figure 1) and diborylmethane derived reagents (n = 1).

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[1] Yang, W.; Gao, X.; Wang, B. *Med. Res. Rev.* **2003**, *23*, 346-368.

[2] (a) Sebelius, S.; Olsso, V. J.; Szabó, K. J. *J. Am. Chem. Soc.* **2005**, *17*, 13124. (b) Crotti, S.; Bertolini, F.; Macchia, M.; Pineschi, M. *Org. Lett.* **2009**, *11*, 3762. (c) Review: Pineschi, M. *Synlett* **2014**, *25*, 1817.

[3] (a) Takeda, Y.; Kuroda, A.; Sameera, W. M. C.; Morokuma, K.; Minakata, S. *Chem. Sci.* **2016**, 7, 6141. (b) Ahmed, E.-A. M. A.; Lu, X.; Gong, T.-J.; Zhang, Z.-Q.; Xiao, B.; Fu, Y. *Chem. Comm.* **2017**, *53*, 909.