

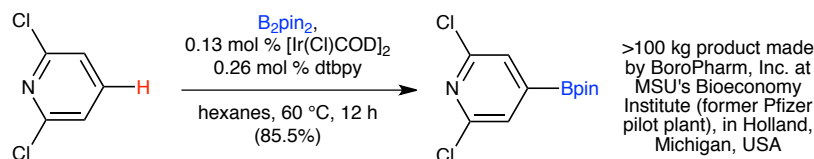
C–H BORYLATIONS: GREEN CHEMISTRY THAT INSPIRED GREEN AMBITIONS

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Ir-catalyzed C–H borylations can eliminate the need for halogens, alkyllithiums, and/or cryogenic conditions for the syntheses of cross-coupling partners. Moreover, their chemoselectivity and atom economy allows for the combination of catalytic borylations with subsequent chemical events.¹ Given the prominent role cross-couplings play in the preparation of pharmaceuticals, agrochemicals, and organic electronic materials, industry has shown considerable interest in such C–H activation processes. Indeed, the Pharmaceutical Roundtable of the American Chemical Society's Green Chemistry Institute deemed cross-couplings that avoid haloaromatics as one of their top aspirational reactions.²



With this in mind, my colleague Mitch Smith and I co-founded BoroPharm a company dedicated to the commercialization of this technology. At the same time as academics, teamed up with Merck³ to advance Ir-catalyzed C–H borylations and eliminate the need for halogens in both electrophilic and nucleophilic cross-coupling partners. We are also working with Dow⁴ to overcome other barriers to this chemistry being broadly adopted by industry. This talk will describe the founding of BoroPharm and how research aimed at making C–H borylations industrially viable is helping advance our "academic" understanding of the chemistry.

References

- [1] (a) J.-Y. Cho, M. K. Tse, D. Holmes, D.; Maleczka, R. E. Maleczka, Jr., M. R. Smith, III, *Science* **2002**, *295*, 305–308. (b) I. A. I. Mkhaliid, J. H. Barnard, T. B. Marder, J. M. Murphy, J. F. Hartwig, J. F. *Chem. Rev.* **2010**, *110*, 890–931. (c) Kallepalli, V. A.; Gore, K. A.; Shi, F.; Sanchez, L.; Chotana, G. A.; Miller, S. L.; Maleczka, R. E., Jr.; Smith, M. R., III *J. Org. Chem.* **2015**, *80*, 8341–8353.
- [2] D. J. C. Constable, P. J. Dunn, J. D. Hayler, G. R. Humphrey, J. Leazer, Jr., R. J. Linderman, K. Lorenz, J. Manley, B. A. Pearlman, A. Wells, A. Zaks and T. Y. Zhang, *Green Chem.* **2007**, *9*, 411–420.
- [3] (a) S. M. Preshlock, B. Ghaffari, P. E. Maligres, S. W. Krska, R. E. Maleczka, Jr., M. R. Smith, III, *J. Am. Chem. Soc.* **2013**, *135*, 7572–7582. (b) S. M. Preshlock, D. L. Plattner, P. E. Maligres, S. W. Krska, R. E. Maleczka, Jr., M. R. Smith, III, *Angew. Chem. Int. Ed.* **2013**, *42*, 12915–12919. (c) B. Ghaffari, S. M. Preshlock, D. L. Plattner, R. J. Staples, P. E. Maligres, S. W. Krska, R. E. Maleczka, Jr., M. R. Smith, III, *J. Am. Chem. Soc.* **2014**, *136*, 14345–14348.
- [4] C. R. K. Jayasundara, J. M. Unold, J. Oppenheimer, M. R. Smith, III, R. E. Maleczka, Jr., *Org. Lett.* **2014**, *16*, 6072–6075.