

# Novel Organoboron Synthesis Method

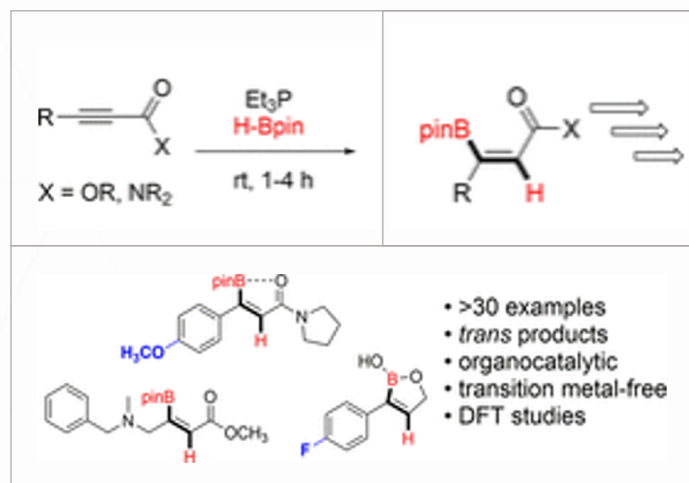
VTIP 19-001: “A Process for Making 3-Substituted-1, 2-Oxaborol-2(5H)-ol”

## THE CHALLENGE

Organoboron compounds are ubiquitous in organic chemistry due to the versatility of the C-B bond, as they efficiently undergo a wide variety of useful transformations, most notably the Suzuki-Miyaura cross-coupling reaction. Alkenyl-boronates in particular are excellent substrates for such cross-coupling reactions. Interest in organoboron compounds for medicinal applications highlights their significance not only as synthetic intermediates, but also as end products. Therefore, development of novel methods is warranted.

## OUR SOLUTION

Webster Santos and his team have reported a phosphine-catalyzed trans hydroboration of alkynoate esters and amides. The reaction proceeds under mild conditions with exclusive selectivity to afford borylacrylates and borylacrylamides in good to excellent yields. The reaction is tolerant of a variety of functional groups and allows efficient access to novel oxaboroles as well as a pargyline derivative. Theoretical calculations suggest an internal hydride generate a phosphonium allenoxyborane followed by the formation of a key phosphonocyclobutene intermediate that collapses in a stereoselective, rate-limiting step.



Step-by-step illustration of the process invented by Santos.



### CONTACT:

**Jason Piche**  
[jpiche@vt.edu](mailto:jpiche@vt.edu)  
 540-231-9783