Lecture 2: Multifunctional Organoboron Compounds for Scalable Natural Product Synthesis

9:45 a.m. Thursday, September 24, 2015, 331 Smith Hall

Efficient catalytic reactions that generate C–C bonds enantioselectively and those that produce trisubstituted alkenes diastereoselectively are central to research in chemistry. Transformations that accomplish these two tasks simultaneously in a single operation are prized, particularly if the catalysts, substrates and reagents are easily accessed at low cost and reaction conditions are mild. In this Lecture facile multicomponent catalytic processes that begins with chemo-, site- and diastereoselective copper–boron addition to a mono-substituted allene or enyne will be presented. The resulting boron-substituted organocopper intermediates then participate in a chemo-, site- and enantioselective allylic substitution. Products, containing a stereogenic carbon center, a mono-substituted alkene and an easily modifiable Z-trisubstituted alkenylboron group, may be obtained in up to 89% yield, with >98% branch- and stereoselectivity and >99:1 enantiomeric ratio. The copper-based catalysts are derived from a commercially available phosphine or a robust heterocyclic salt that can be prepared in multi-gram quantities from inexpensive starting materials and without costly purification procedures. Utility of the approach is showcased through enantioselective synthesis of gram quantities of various natural products such as herboxidiene (anti-tumor).