Copper- and Phosphine-catalyzed stereoselective borylation methods for sustainable chemistry

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Organoboron reagents represent a unique class of compounds because of their utility in modern synthetic organic chemistry, often affording unprecedented reactivity. The transformation of the carbon–boron bond into a carbon–X (X = C, N, and O) bond in a stereocontrolled fashion has become invaluable in medicinal, agro- and natural products chemistry as well as materials science. Therefore, development of methods towards their synthesis is important. In this presentation, we will discuss our efforts in developing reactions for the addition of B-X (X=B, Si, P) reagents to carbon-carbon bonds in a stereoselective manner. Our efforts utilize environmentally friendly conditions involving earth abundant and air stable copper(II) using water as the solvent or organocatalysts to effect addition to alkynes, allenes, and α,β-unsaturated carbonyls. We will describe experimental and theoretical studies, principles learned, and provide insights into the reaction mechanisms.

Webster Santos received his BS and PhD from the University of Virginia under Prof. Timothy Macdonald. Following his doctoral studies, he moved to Harvard University as a Ruth Kirschtein NIH fellow with Prof. Gregory Verdine. At Harvard, he performed chemical biology studies targeting RNA structures as well as HIV-1 integrase. In 2006, he started as an assistant professor of chemistry at Virginia Tech and was promoted to associate then full professor in 2018. He currently serves as the director of the Virginia Tech Center for Drug Discovery and executive officer of the Virginia Drug Discovery Consortium. He held a chaired position as the Blackwood Junior Faculty fellow of Life Science and is currently the Agnes and Cliff Lilly faculty fellow of drug discovery. He is a co-founder of four start-up companies: SphynKx Therapeutics, Continuum Biosciences, S1P Therapeutics and Uncoupler Biosciences. He serves on the editorial boards of Medicinal Research Reviews, Molecules (Medicinal Chemistry Section) and Current Topics in Medicinal Chemistry, and is the recipient of numerous awards, including the Innovators Award, American Chemical Society Young Investigator, Chemical Communications Emerging Investigator, Molecular Biosystems Emerging Investigator, and Fellow of the Royal Society of Chemistry. He serves as a standing member of the National Institutes of Health Chemical Biology and Probes study section and is an inventor on 21 issued and pending patents.