

CONFÉRENCE DU LABORATOIRE DE CHIMIE DE
COORDINATION

Mercredi 12 juin 2019 à 15h00

“Phosphatriazenes: Versatile Ligands for bio-active molecules modification via sustainable palladium catalysis”

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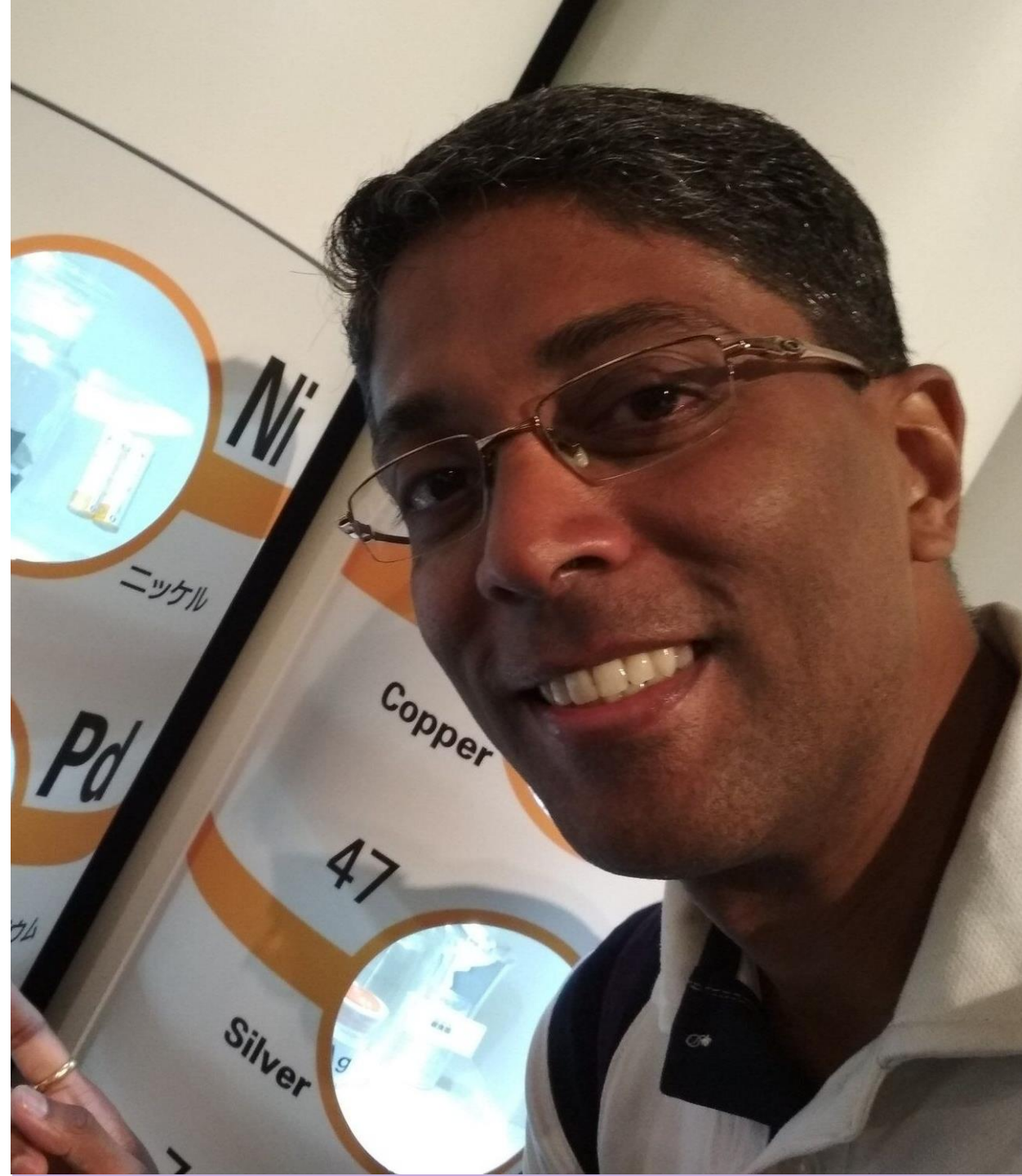
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Phosphatriazenes: Versatile Ligands for bio-active molecules modification via sustainable palladium catalysis

Transition-metal catalyzed processes have revolutionized organic synthesis in the past several decades providing excellent reactivity and selectivity. Advancements in this area have been brought about by the introduction of modular ligand systems, which through steric and electronic factors influenced the reactivity of the transition metals, thus providing solutions to a variety of synthetically challenging problems. One such problem that has plagued the synthesis of substitute heteroarenes (with promising biological activity) is to find an efficient catalytic system that could activate C-Cl bond in chloroheteroarenes at close to ambient temperature. In spite of the availability of sterically bulky and highly electron-rich Buchwald-type of phosphines-based ligands as well as the N-heterocyclic carbene ligands, a sustainable solution to this problem has not been found till date. Recently, we have reported on the application of phosphadamantane-based ligands namely, PTABS and PTAPS (containing a sulfonate group for better water solubility) for the modification of nucleosides in water under palladium-catalyzed cross-coupling conditions. Application of the Pd/PTABS catalytic system for C—N, C—O, C—S bond formation in heteroarenes proved to be extremely useful as a room temperature amination, low temperature etherification (60 °C), low temperature thioetherification (<60 °C) was achieved via the C—Cl bond activation in chloroheteroarenes.