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Synthesis of functionalized alkynes via palladium-catalyzed Sonogashira reactions

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Abstract

A highly efficient protocol for the copper and phosphine free Sonogashira cross-coupling reactions of aryl iodides with terminal alkynes under aerobic conditions has been developed. Using 1 mol% of the palladium-bis(oxazoline) complex, Pd-BOX A, in the presence of KOH, and a CH₃CN-H₂O solvent system allowed for the cross-coupling reactions to proceed at room temperature or 60 °C. This new catalytic system was found to be highly active for the cross-coupling reaction of aryl diiodo substrates with unactivated alkyl alkynes to produce various symmetrical dialkynes, as well as for the cross-coupling of terminal dialkynes with aryl iodides to generate symmetrical disubstituted internal alkynes.

Keywords: Palladium-bis(oxazoline), Sonogashira coupling, diiodobenzene, alkyl alkynes, dialkynes

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