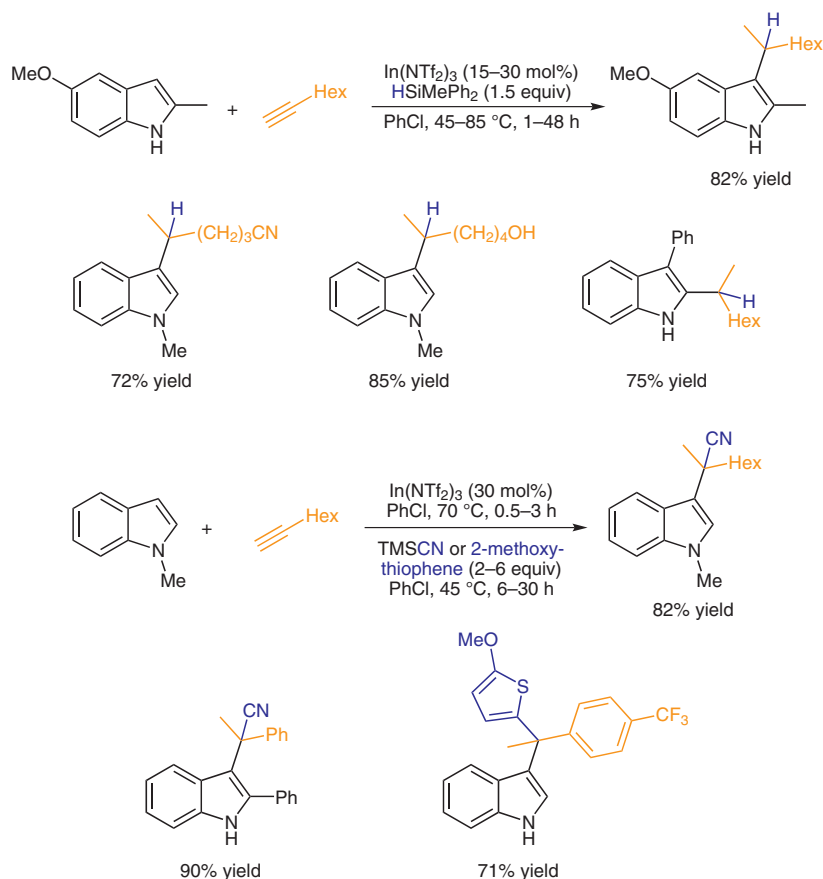


Indium-Catalyzed Reductive Alkylation of Indoles



Significance: Herein, an indium-catalyzed reductive alkylation of indoles is reported. The protocol tolerates a broad scope of functional groups and allows a flexible combination of indoles and alkynes affording alkylindoles in good yields. The preferred nucleophiles are hydrosilanes; however, carbon nucleophiles, such as trimethylsilyl cyanide or methoxythiophene, can also be used.

Comment: Depending on the structure of the substrate, the authors suggest two different reaction mechanisms. For 2-substituted indoles, the first step is a single addition to the indium-activated alkyne followed by hydride reduction and regeneration of the catalyst. Unsubstituted indoles undergo a double addition to the alkyne resulting in diindolylalkanes before indium elimination and hydride transfer occurs.