Category

Organo- and Biocatalysis

Key words

silylium catalysis

C–C bond formation

Lewis acid catalysis

C. OBRADORS, B. LIST* (MAX-PLANCK-INSTITUT FÜR KOHLENFORSCHUNG, MÜLHEIM AN DER RUHR, GERMANY)
Azine Activation via Silylium Catalysis
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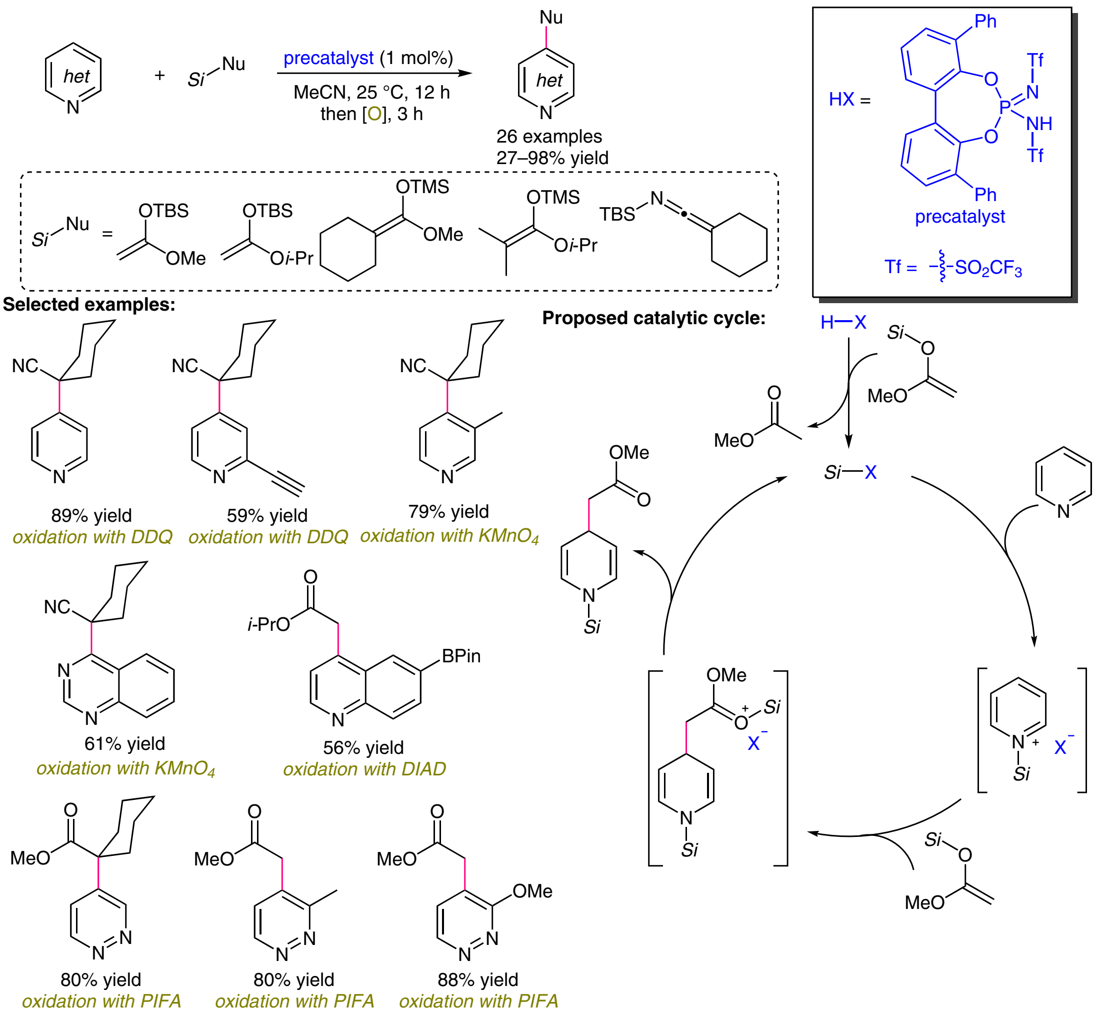
Activation of N-Heterocycles by Silylium Catalysis for C–C Bond Formation



Significance: Obradors and List report a nucleophilic addition to nitrogen-based heterocycles through silylium activation for C–C bond formation. The silylated catalyst, formed in situ by deprotosilylation of a Brønsted acid with a silylated nucleophile, coordinates to the heterocyclic substrates, activating them toward nucleophilic attack to produce the corresponding dihydropyridine derivatives. In situ oxidation with an oxidant gives the functionalized azines in moderate to excellent yield for a variety of functionalized and, notably, unfunctionalized substrates.

Comment: N-Heteroaromatics are motifs widely present in many natural products, agrochemicals, and pharmacophores. However, direct functionalization of these molecules typically requires transition-metal catalysis, preactivation of the substrates, strong bases, and harsh reaction conditions. The present method involving the use of a highly reactive silylated catalyst permits the use of N-heteroaromatics for selective C-4 functionalization under mild reaction conditions.

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