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Gold-Catalyzed Multicomponent Synthesis of Aminoindolizines from Aldehydes, Amines, and Alkynes under Solvent-Free Conditions or in Water
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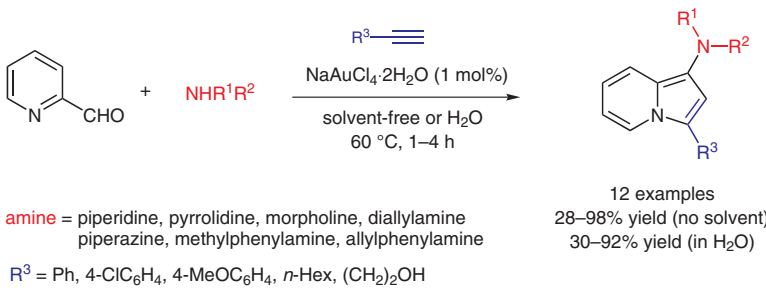
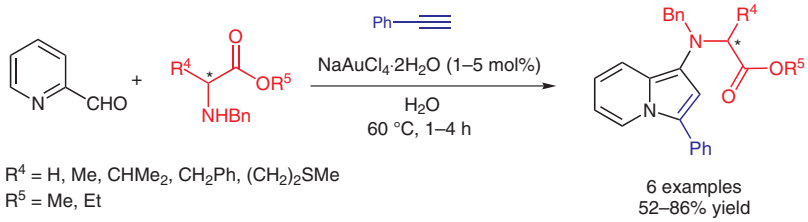
Gold-Catalyzed Three-Component Synthesis of Aminoindolizines





Significance: Reported is a three-component, Au(III)-catalyzed coupling–heteroannulation reaction of pyridine-2-aldehyde with amines (or amino acids) and alkynes to give aminoindolizines. Optical purity was retained in the products for reactions of chiral amino acids. While secondary amines participate well in this interesting sequence, primary amines fail to give the indolizidine products. Copper catalysis under the same reaction conditions failed. The present method shows 'green' advantages since it is a one-step procedure either under solvent-free conditions or in water. The substrate scope was not sufficiently explored.

Comment: Metal-catalyzed C–N bond-forming methodologies for the synthesis of indolizines is an area of current active investigation (see review below). Recent, potentially competitive methods for the synthesis of indolizidines are highlighted by the Gevorgyan studies which have shown CuX-mediated cycloisomerization of alkynyl pyridines (J. T. Kim, V. Gevorgyan *J. Org. Chem.* **2005**, *70*, 2054) and Au-catalyzed 1,2-migration followed by cycloisomerization of propargylic substrates (I. Seregin, V. Gevorgyan *J. Am. Chem.Soc.* **2006**, *128*, 12050).

Review: I. Nakamura, Y. Yamamoto *Chem. Rev.* **2004**, *104*, 2127.

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