G. ZHANG, Y. LUO, Y. WANG, L. ZHANG* (UNIVERSITY OF CALIFORNIA, SANTA BARBARA, USA)

Combining Gold(I)/Gold(III) Catalysis and C–H Functionalization: A Formal Intramolecular [3+2] Annulation towards Tricyclic Indolines and Mechanistic Studies
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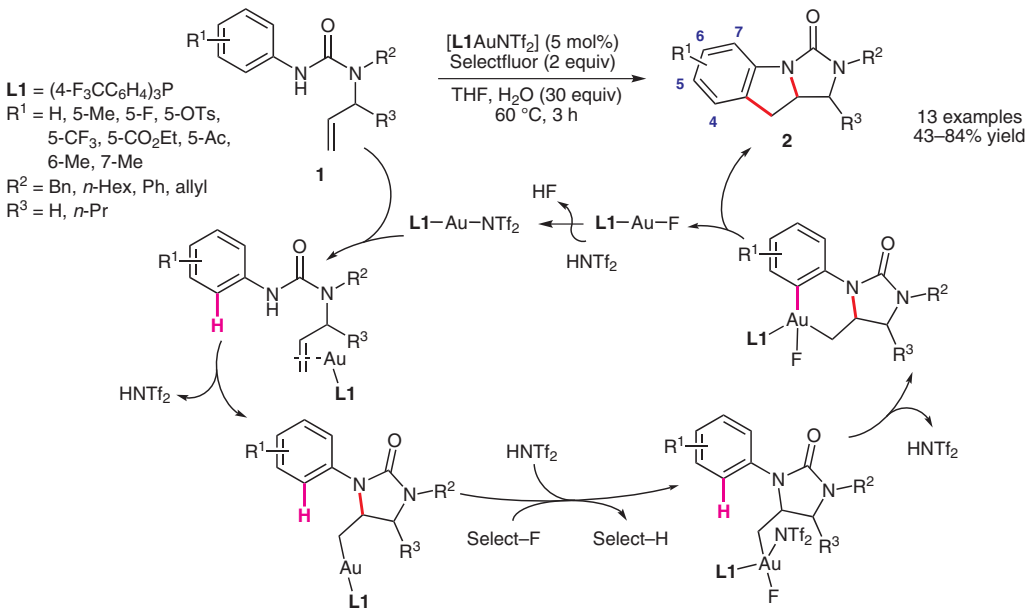
Category

Synthesis of Heterocycles

Key words

gold catalysis
tricyclic indolines
C–H functionalization
***N*-allyl ureas**

Gold-Catalyzed Heteroannulation Route of *N*-Allyl Ureas to Tricyclic Indolines



Significance: In the continuation of their research in gold-catalyzed oxidative cross-coupling reactions (e.g., coupling of alkylgold compounds with arylboronic acids: G. Zhang, L. Cui, Y. Wang, L. Zhang *J. Am. Chem. Soc.* **2010**, *132*, 1474), Zhang and co-workers studied the possibility of replacing the Csp^2–boron reagents by corresponding simple and economical Csp^2–H substrates. Herein, the Au(I)/Au(III)-catalyzed synthesis of tricyclic indolines **2** is reported from *N*-allyl urea **1** via 5-*exo*-*trig* aminoauration followed by intramolecular [3+2] annulation. Mechanistic studies using deuterium labeling, kinetic isotope effect, and isolation of alkylgold intermediates support an electrophilic aromatic auration followed by concerted reductive elimination to form the Csp^2–Csp^3 bond to give product **2**.

Comment: The first homogeneous Au-catalyzed oxidative cross-couplings for the formation of Csp^2–Csp^2 and Csp^2–O were reported by the same group (G. Zhang, Y. Peng, L. Cui, L. Zhang *Angew. Chem. Int. Ed.* **2009**, *48*, 3112; Y. Peng, L. Cui, G. Zhang, L. Zhang *J. Am. Chem. Soc.* **2009**, *131*, 5062). The present report demonstrates the first Au-catalyzed oxidative cross-coupling reaction between an aryl C–H and an in situ formed alkyl gold species leading to original structures from simple starting materials, a sequence that would be difficult to design and accomplish via other routes. The value of this report is raised by the reported mechanistic studies that will undoubtedly stimulate further developments in the field of homogeneous gold catalysis.

SYNFACTS Contributors: Victor Snieckus, Emilie David
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