Category

Metals in Synthesis

Key words

copper catalysis

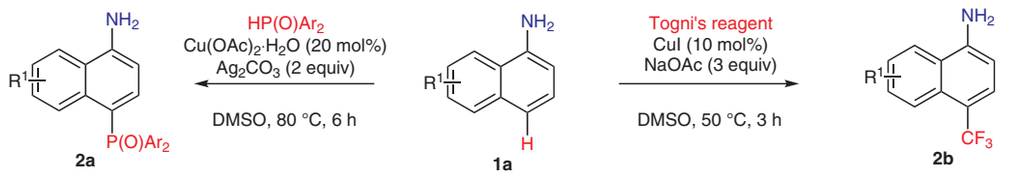
naphthylamines

Togni's reagent

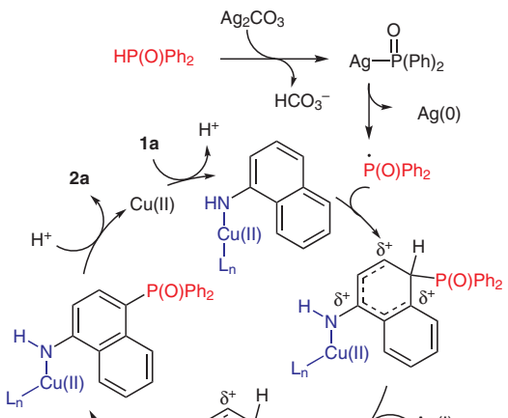
C. JING, X. CHEN*, K. SUN, Y. YANG, T. CHEN, Y. LIU, L. QU, Y. ZHAO, B. YU*
(ZHENGZHOU UNIVERSITY, XIAMEN UNIVERSITY, AND HIGH AND NEW TECHNOLOGY RESEARCH CENTER OF HENAN ACADEMY OF SCIENCES, ZHENGZHOU, P. R. OF CHINA)

Copper-Catalyzed C4–H Regioselective Phosphorylation/Trifluoromethylation of Free 1-Naphthylamines
Org. Lett. **2019**, *21*, 486–489.

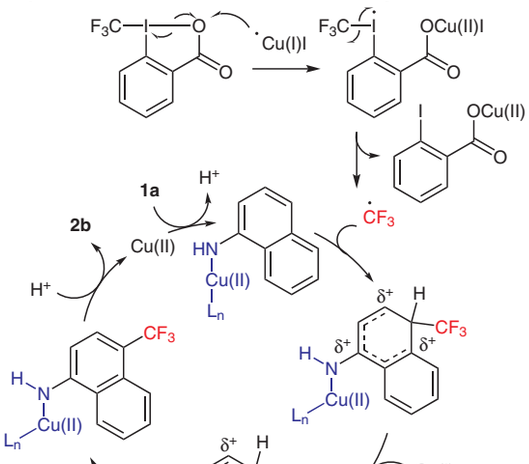
Copper-Catalyzed Regioselective Functionalization of Naphthylamines



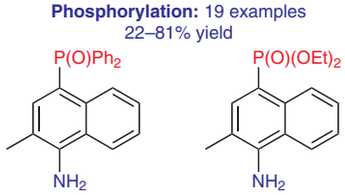
Proposed reaction mechanism: phosphorylation



Proposed reaction mechanism: trifluoromethylation

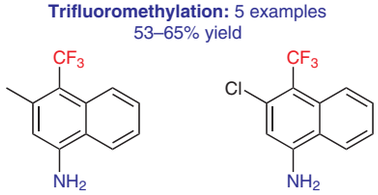


Phosphorylation: 19 examples
22–81% yield



81% yield 22% yield

Trifluoromethylation: 5 examples
53–65% yield



65% yield 53% yield

Significance: This reaction affords access to a privileged structural motif that is widely utilized in pharmaceuticals and agrochemicals. Chen, Yu, and co-workers report a novel copper-catalyzed, regioselective C4–H trifluoromethylation/phosphorylation of 1-naphthylamines, without the need for a protecting group on the amine.

Comment: This reaction proceeds under mild conditions with a relatively inexpensive catalyst. The yields are moderate to good, the reaction takes place in a single step, and displays high atom economy. Further, this reaction shows good tolerance to a variety of substitutions around the naphthyl ring.

SYNFACTS Contributors: Mark Lautens, Randy Sanichar
Synfacts 2019, 15(03), 0280 Published online: 15.02.2019
DOI: 10.1055/s-0037-1612241; **Reg-No.:** L01119SF