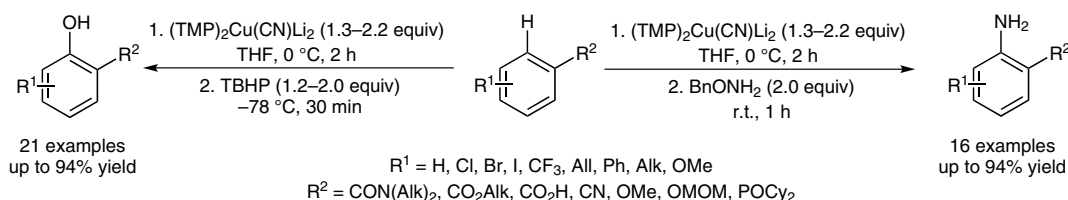


N. TEZUKA, K. SHIMOJO, K. HIRANO,* S. KOMAGAWA, K. YOSHIDA, C. WANG,
K. MIYAMOTO, T. SAITO, R. TAKITA, M. UCHIYAMA* (THE UNIVERSITY OF TOKYO AND
RIKEN CENTER FOR SUSTAINABLE RESOURCE SCIENCE, SAITAMA, JAPAN)

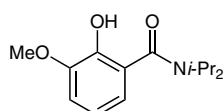
Direct Hydroxylation and Amination of Arenes via Deprotonative Cupration

J. Am. Chem. Soc. **2016**, *138*, 9166–9171.

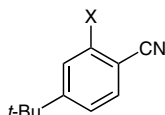
Aromatic Hydroxylation and Amination through Deprotonative Cupration



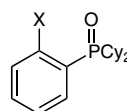
Selected examples:



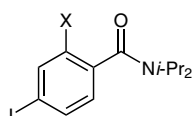
79% yield



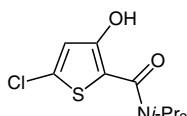
X = NH₂: 84% yield
X = OH: 87% yield



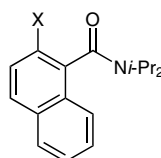
X = NH₂: 94% yield
X = OH: 86% yield



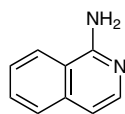
X = NH₂: 84% yield
X = OH: 92% yield



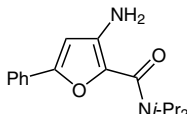
81% yield



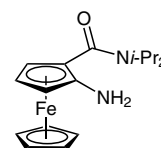
X = NH₂: 76% yield
X = OH: 89% yield



87% yield



46% yield



63% yield

Significance: The authors report an efficient aromatic hydroxylation and amination reaction through directed *ortho* cupration. The method is applicable to a wide range of functionalized aromatic and heteroaromatic compounds, and shows high chemo- and regioselectivity.

Comment: The reported reaction is the first example of an efficient, one-pot synthesis of functionalized phenols and anilines from the same substrates.

SYNFACTS Contributors: Paul Knochel, Marthe Ketels
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