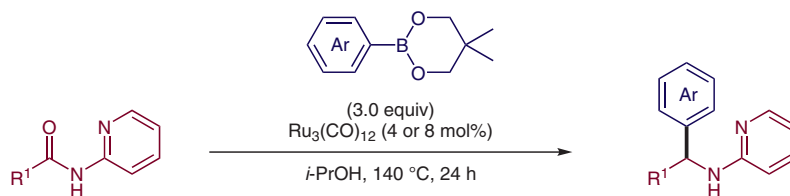


T. O. RONSON, E. RENDERS, B. F. V. STEIJVOORT, X. WANG, C. C. D. WYBON, H. PROKOPCOVÁ, L. MEERPOEL, B. U. W. MAES* (UNIVERSITY OF ANTWERP AND JANSSEN PHARMACEUTICA NV, BEERSE, BELGIUM)

Ruthenium-Catalyzed Reductive Arylation of *N*-(2-Pyridinyl)amides with Isopropanol and Arylboronate Esters
Angew. Chem. Int. Ed. 2019, 58, 482–487.

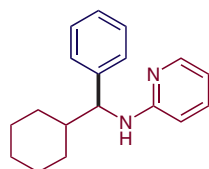
Ruthenium-Catalyzed Amide Arylation Using Arylboronic Esters



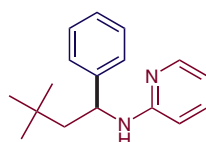
R¹ = Alk, Bn, Ar, Het(Ar)

>40 examples
up to 97% yield

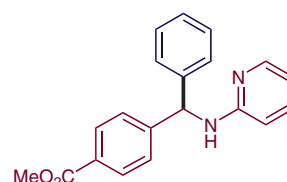
Selected examples:



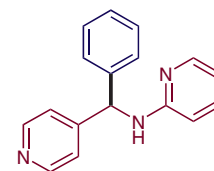
75% yield



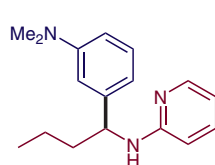
75% yield



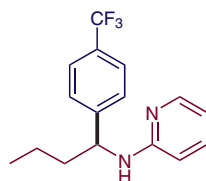
69% yield



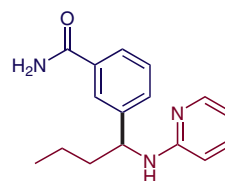
53% yield



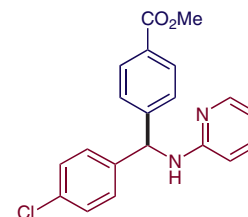
79% yield



83% yield

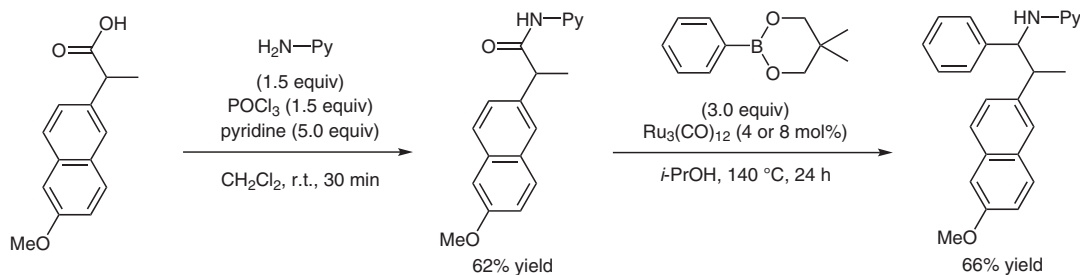


64% yield



53% yield

Derivatization of naproxen (nonsteroidal anti-inflammatory drug):



Significance: The authors report a three-component reductive arylation of *N*-(2-pyridinyl)amides using arylboronic esters, *i*-PrOH and a ruthenium catalyst.

Comment: The required *N*-Py-amides can be easily prepared from carboxylic acids and, after the arylation, transformed into the corresponding chloride using HCl.

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