S. M. PRESHLOCK, D. L. PLATTNER, P. E. MALIGRES, S. W. KRSKA,* R. E. MALECZKA, JR.,* M. R. SMITH, III* (MICHIGAN STATE UNIVERSITY, EAST LANSING AND MERCK RESEARCH LABORATORIES, RAHWAY, USA)
A Traceless Directing Group for C–H Borylation
Angew. Chem. Int. Ed. **2013**, 52, 12915–12919.

N-Bpin-Directed Borylation of (Hetero)Aryls

Selected examples:

Significance: The authors report a regioselective pinacoloboron (Bpin) functionalization of C–H bonds of nitrogen heterocycles and anilines. Traceless Bpin installation does not require the installation and removal of a directing group. This methodology clearly opens a new route to complex unsaturated boronic esters.

Comment: For nitrogen heterocycles with less acidic NH groups, the addition of a tertiary amine is critical for successful borylation. For azaindoles, this preparation enables the formation of borylated heterocycles that are inaccessible with Boc-directed methods.

SYNFACTS Contributors: Paul Knochel, Thomas Klatt
 Synfacts 2014, 10(2), 0185 Published online: 20.01.2014
 DOI: 10.1055/s-0033-1340578; Reg-No.: P17813SF

2014 © THIEME STUTTGART • NEW YORK

Category

Metal-Mediated
Synthesis

Key words

borylation

catalysis

nitrogen
heterocycles

SYNFACT
of the month

This document was downloaded for personal use only. Unauthorized distribution is strictly prohibited.