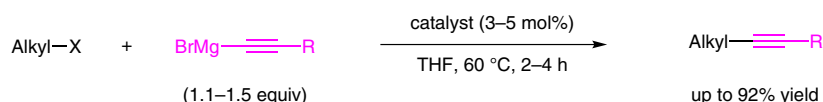


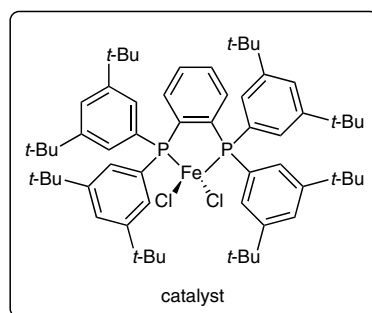
T. HATAKEYAMA, Y. OKADA, Y. YOSHIMOTO, M. NAKAMURA* (KYOTO UNIVERSITY, JAPAN)

Tuning Chemoselectivity in Iron-Catalyzed Sonogashira-Type Reactions Using a Bisphosphine Ligand with Peripheral Steric Bulk: Selective Alkynylation of Nonactivated Alkyl Halides
Angew. Chem. Int. Ed. **2011**, *50*, 10973–10976.

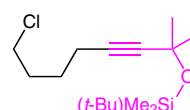
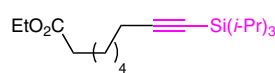
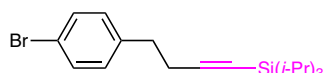
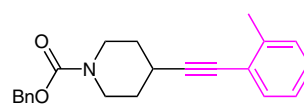
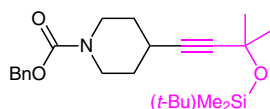
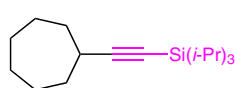
Fe-Catalyzed Cross-Coupling of Alkyl Halides with Alkynyl Grignard Reagents



Alkyl = *n*-Hept, Cy, substituted piperidines and aliphatics
X = Cl, Br, I
R = Cy, *o*-methylbenzyl, C(Me)₂OSiMe₂(*t*-Bu), Si(*i*-Pr)₃, SiMe₂(*t*-Bu)



Selected examples:



Significance: The authors report a novel coupling of primary and secondary alkyl halides with alkynyl-magnesium reagents with iron catalysis. The use of a bisphosphine ligand bearing peripheral steric bulk as well as slow addition of the Grignard reagent suppress undesired side reactions.

Comment: By using starting materials with two potential reactive sites, for example C(sp³)-Br and C(sp²)-OTf, and applying the reported iron-catalyzed cross-coupling with an alkynyl Grignard reagent, the C(sp)-C(sp³)-coupled products are obtained in excellent yields.

SYNFACTS Contributors: Paul Knochel, Andreas K. Steib
Synfacts 2012, 8(2), 0193 Published online: 19.01.2012
DOI: 10.1055/s-0031-1290007; **Reg-No.:** P17311SF

2012 © THIEME STUTTGART • NEW YORK

Category

Metal-Mediated
Synthesis

Key words

iron

alkynes

cross-coupling

SYNFACTS
of the month