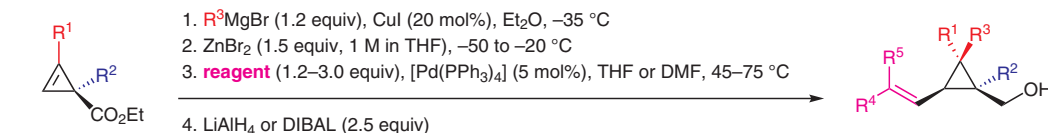


J. BRUFFAERTS, D. PIERROT, I. MAREK* (TECHNION-ISRAEL INSTITUTE OF TECHNOLOGY, HAIFA, ISRAEL)

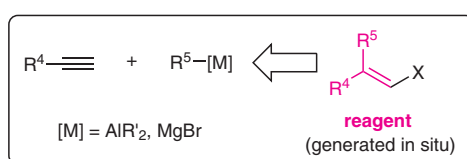
Efficient and Stereodivergent Synthesis of Unsaturated Acyclic Fragments Bearing Contiguous Stereogenic Elements
Nat. Chem. **2018**, DOI: 10.1038/s41557-018-0123-7.

Synthesis of Stereodefined Unsaturated Acyclic Fragments

Precursor synthesis:

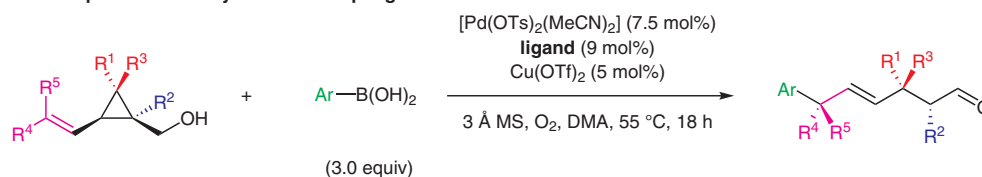


$R^1 = \text{Alk}$
 $R^2 = \text{H, D, Me, Ph}$

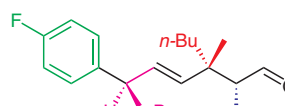
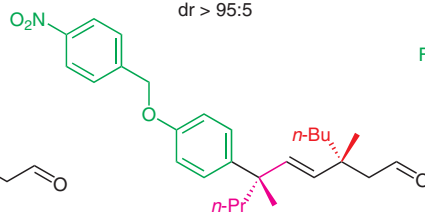
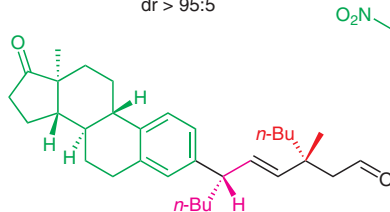
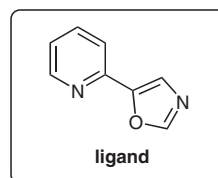
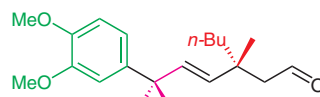
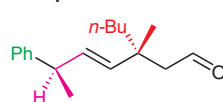


22–82% yield
22 examples
gram scale synthesis
dr > 95:5:0:0
either *E* or *Z* olefin

Oxidative palladium-catalyzed Heck coupling:



Selected examples:



Significance: Marek and co-workers report a highly stereodivergent route to unsaturated acyclic fragments in good to excellent yields. The key step for this transformation is the oxidative palladium-catalyzed Heck coupling of aryl boronic acids with alkenylcyclopropyl carbinols.

SYNFACTS Contributors: Paul Knochel, Moritz Balkenhohl
Synfacts 2018, 14(11), 1175 Published online: 18.10.2018
DOI: 10.1055/s-0037-1611035; Reg-No.: P13018SF

Comment: Remarkably, by using this method, all four stereoisomers of similar scaffolds can be synthesized. Furthermore, in addition to various arylboronic acids, alkenyl triflates or heteroarylboronic acids can be employed in the Heck reaction.