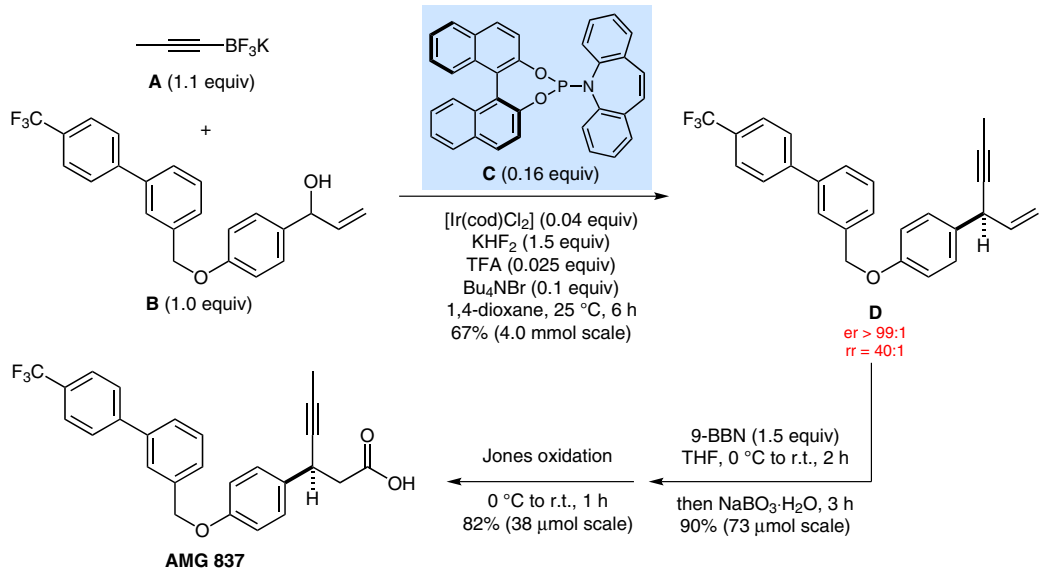
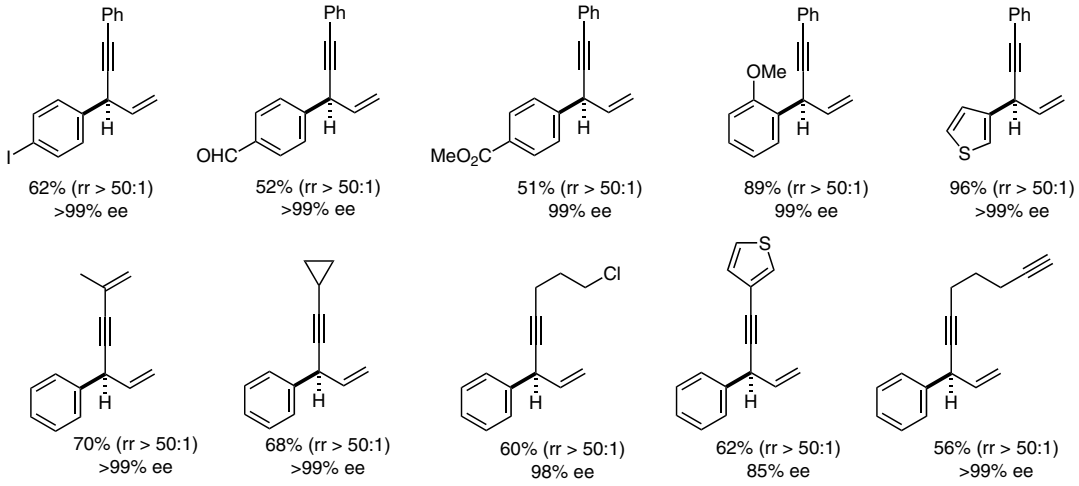
J. Y. HAMILTON, D. SARLAH, E. M. CARREIRA* (ETH ZÜRICH, SWITZERLAND)
Iridium-Catalyzed Enantioselective Allylic Alkynylation
Angew. Chem. Int. Ed. **2013**, *52*, 7532–7535.

Synthesis of AMG 837



Scope of the enantioselective allylic alkynylation of aromatic allylic alcohols:



Significance: A new versatile method for the iridium-catalyzed asymmetric substitution of racemic allylic alcohols is exemplified by the depicted synthesis of AMG 837, a GPR40 receptor agonist that is of interest for the treatment of type 2 diabetes.

Comment: The allylic alkynylation (27 examples) typically provides excellent branched-to-linear regioselectivity (rr > 50:1) and high enantioselectivity (≥99%). The scope of the allylic alkynylation was explored using 12 allylic alcohols and 15 potassium alkynyltrifluoroborates.

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Category

Synthesis of Natural Products and Potential Drugs

Key words

AMG 837

GPR40 receptor agonist

asymmetric alkynylation

asymmetric substitution

iridium

allylic alcohols

potassium alkynyltrifluoroborates