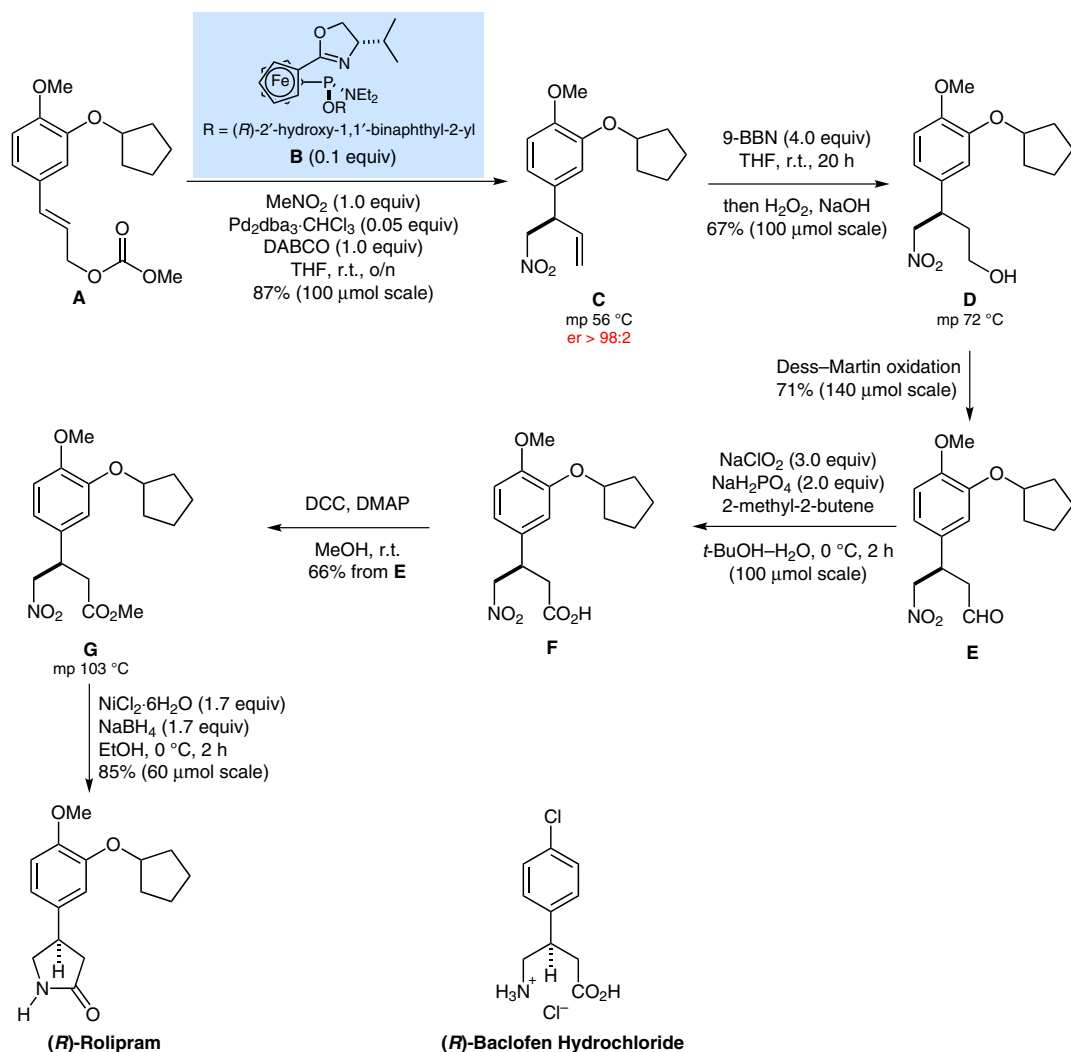


X.-F. YANG, C.-H. DING, X.-H. LI, J.-Q. HUANG, X.-L. HOU,* L.-X. DAI, P.-J. WANG
(SHANGHAI INSTITUTE OF ORGANIC CHEMISTRY, P. R. OF CHINA)

Regio- and Enantioselective Palladium-Catalyzed Allylic Alkylation of Nitromethane with Monosubstituted Allyl Substrates: Synthesis of (*R*)-Rolipram and (*R*)-Baclofen
J. Org. Chem. **2012**, *77*, 8980–8985.

Synthesis of (*R*)-Rolipram



Significance: Rolipram is a phosphodiesterase-4 (PDE-4) inhibitor that displays potentially useful anti-inflammatory, antidepressant and antipsychotic effects. The key step in the micro-scale synthesis depicted is the palladium-catalyzed asymmetric allylic alkylation of nitromethane with the allylic carbonate **A**. High regio- and enantioselectivities were observed using the ferrocene-based SIOCPbox chiral ligand **B**.

SYNFACTS Contributors: Philip Kocienski
Synfacts 2013, 9(1), 0011 Published online: 17.12.2012
DOI: 10.1055/s-0032-1317720; Reg-No.: K09312SF

Comment: The scope of the asymmetric allylic alkylation of nitromethane was explored using eleven aryl-substituted allyl methyl carbonates giving yields of 80–92% (one exception) and enantiomeric excesses of 90–98%. The reaction was also applied to an asymmetric synthesis of the anti-spasmodic agent (*R*)-baclofen.