Category

Synthesis of Natural Products and Drugs

Key Words

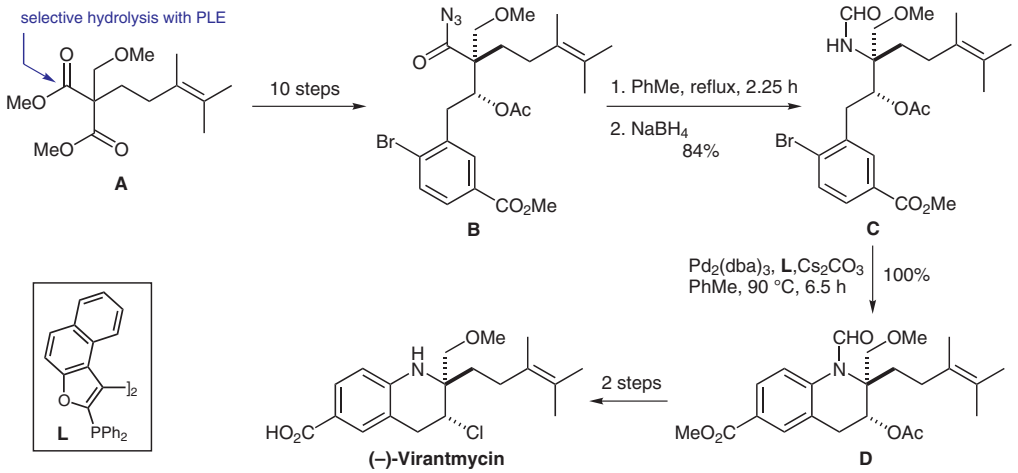
palladium
amination
Curtius rearrangement
esterase
desymmetrization

T. G. BACK,* J. E. WULFF (UNIVERSITY OF CALGARY, CANADA)

A Stereodivergent Synthesis of Virantmycin by an Enzyme-Mediated Diester Desymmetrization and a Highly Hindered Aryl Amination

Angew. Chem. Int. Ed. **2004**, *43*, 6493-6496.

A Synthesis of Virantmycin via Enzyme-Mediated Diester Desymmetrization



Significance: (–)-Virantmycin was isolated from *Streptomyces nitrosporeus*. It has antifungal activity and it inhibits RNA and DNA viruses.

Comment: Key steps to the target molecule were (a) the pig liver esterase-mediated desymmetrization of the malonate **A** (89%, ee = 95%); (b) use of a Curtius rearrangement (**B** to **C**) to create the α-quaternary formamide; (c) a rare Pd-catalyzed intramolecular aryl amination (**C** to **D**) of an amine derivative with an α-quaternary center. The Keay ligand **L** was crucial to the success of the aryl amination.

SYNFACTS Contributors: Philip Kocienski
Synfacts 2005, 0, 0002-0002
DOI: 10.1055/s-2005-865340; **Reg-No.:** K00105SF