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Category

Synthesis of Natural Products and Potential Drugs

Key words

hydroxyphthioceranic acid

lithiation

borylation

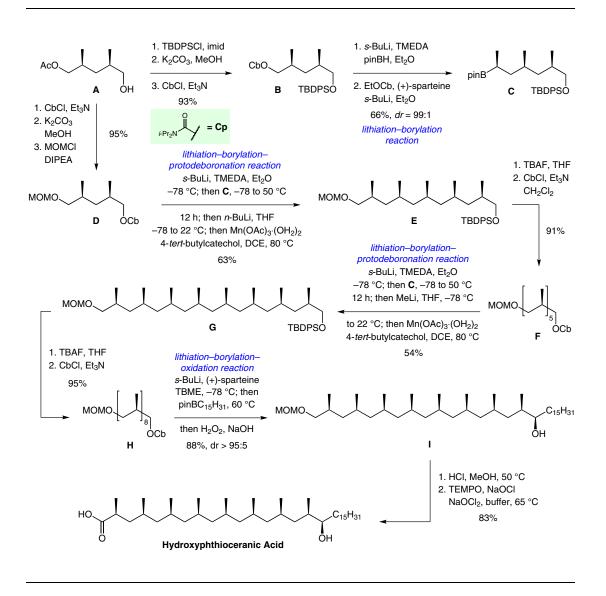
protodeboronation

boron

manganese

R. RASAPPAN, V. K. AGGARWAL* (UNIVERSITY OF BRISTOL, UK) Synthesis of Hydroxyphthioceranic Acid Using a Traceless Lithiation–Borylation–Protodeboronation Strategy *Nature Chem.* **2014**, *6*, 810–814.

Synthesis of Hydroxyphthioceranic Acid



Significance: A novel synthetic route towards hydroxyphthioceranic acid, a constituent of the major cell-wall lipid of virulent human *Mycobacterium tuberculosis*, is reported. After the preceding work by the groups of Minnaard and Schneider in 2013 (both 34 steps in total), it is the third successful total synthesis of this natural product. By following a distinct strategy which is heavily based on a methodology developed by the Aggarwal group, the target was reached in only 17 steps.

SYNFACTS Contributors: Erick M. Carreira, Nikolas Huwyler Synfacts 2014, 10(10), 1008 Published online: 17.09.2014 DOI: 10.1055/s-0034-1379079; Reg-No.: C05414SF

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Comment: The key element of this work is the development of a protodeboronation protocol for pinacol boronic esters, which in conjunction with the previously reported lithiation–borylation procedure, leads to a new methodology for traceless one-pot C–C bond formation. Clever tactical use of lithiation–borylation ($\mathbf{B}\rightarrow\mathbf{C}$), lithiation–borylation–protodeboronation ($\mathbf{D}\rightarrow\mathbf{E}$ and $\mathbf{F}\rightarrow\mathbf{G}$) methodologies led to a very efficient overall strategy.