Category

Synthesis of Natural Products and Potential Drugs

Key words

candesartan cilexetil

angiotensin II receptor antagonists

C–H arylation

ruthenium

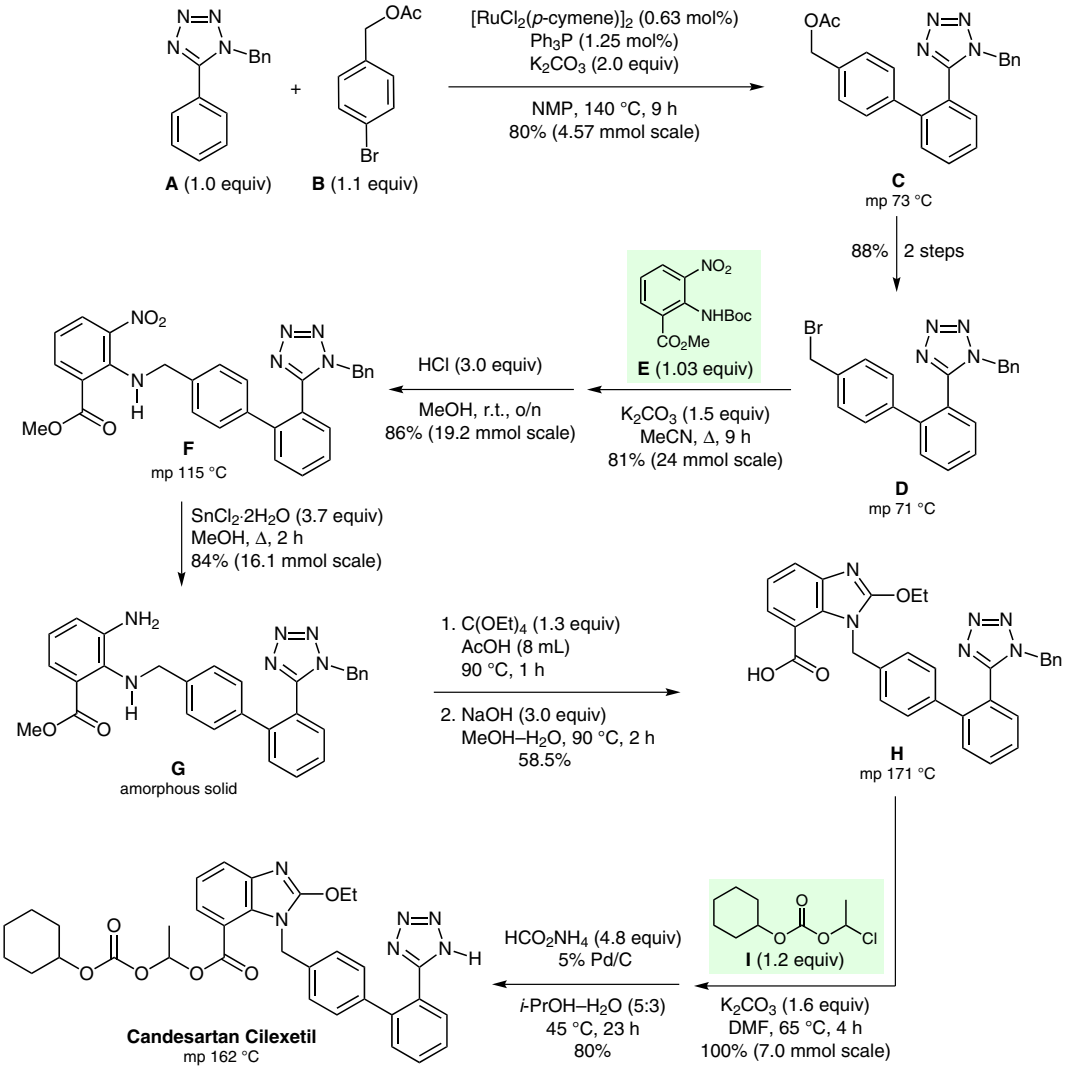
transfer hydrogenation

M. SEKI* (MITSUBISHI TANABE PHARMA CORPORATION, OSAKA, JAPAN)

An Efficient C–H Arylation of a 5-Phenyl-1*H*-tetrazole Derivative: A Practical Synthesis of an Angiotensin II Receptor Blocker

Synthesis **2012**, *44*, 3231–3237.

Synthesis of Candesartan Cilexetil



Significance: Candesartan cilexetil (Atacand®) is an angiotensin II receptor antagonist that is prescribed for the treatment of hypertension. It is a prodrug that is hydrolyzed to candesartan in the gut. The synthesis depicted, features an efficient protocol for ruthenium-catalyzed C–H arylation of the tetrazole **A**.

Comment: A significant challenge in this small-scale synthesis was the final removal of the benzyl protecting group from the tetrazole unit using transfer hydrogenation. Best results were obtained using a 'thickshell' Pd/C catalyst from Evonik.

SYNFACTS Contributors: Philip Kocienski
Synfacts 2013, 9(1), 0006 Published online: 17.12.2012
DOI: 10.1055/s-0032-1317726; **Reg-No.:** K09912SF