**Synthesis of the 6-Azaindole Containing HIV-1 Attachment Inhibitor Pro-Drug, BMS-663068**


**Significance:** Attachment inhibitor BMS-663068 is currently in clinical development for the treatment of HIV infection. Key steps in the synthesis depicted are (1) a radical-mediated redox-aromatization to generate the 6-azaindole (B → C) and (2) the regioselective bromination of an N-oxide using PyBroP (D → E).

**Comment:** High regioselectivity was observed in the copper(I)-mediated Ullmann–Goldberg–Buchwald coupling (H → K) using the diamine ligand J (N1/N2 = 22:1), whereas a thermal SNAr reaction gave N1/N2 = 1:1. Alternative conditions for the bromination of the N-oxide D led mainly to deoxygenation.

**SYNFACTS Contributors:** Philip Kocienski

Synfacts 2014, 10(12), 1239 Published online: 18.11.2014

DOI: 10.1055/s-0034-1379407; Reg-No.: K05514SF