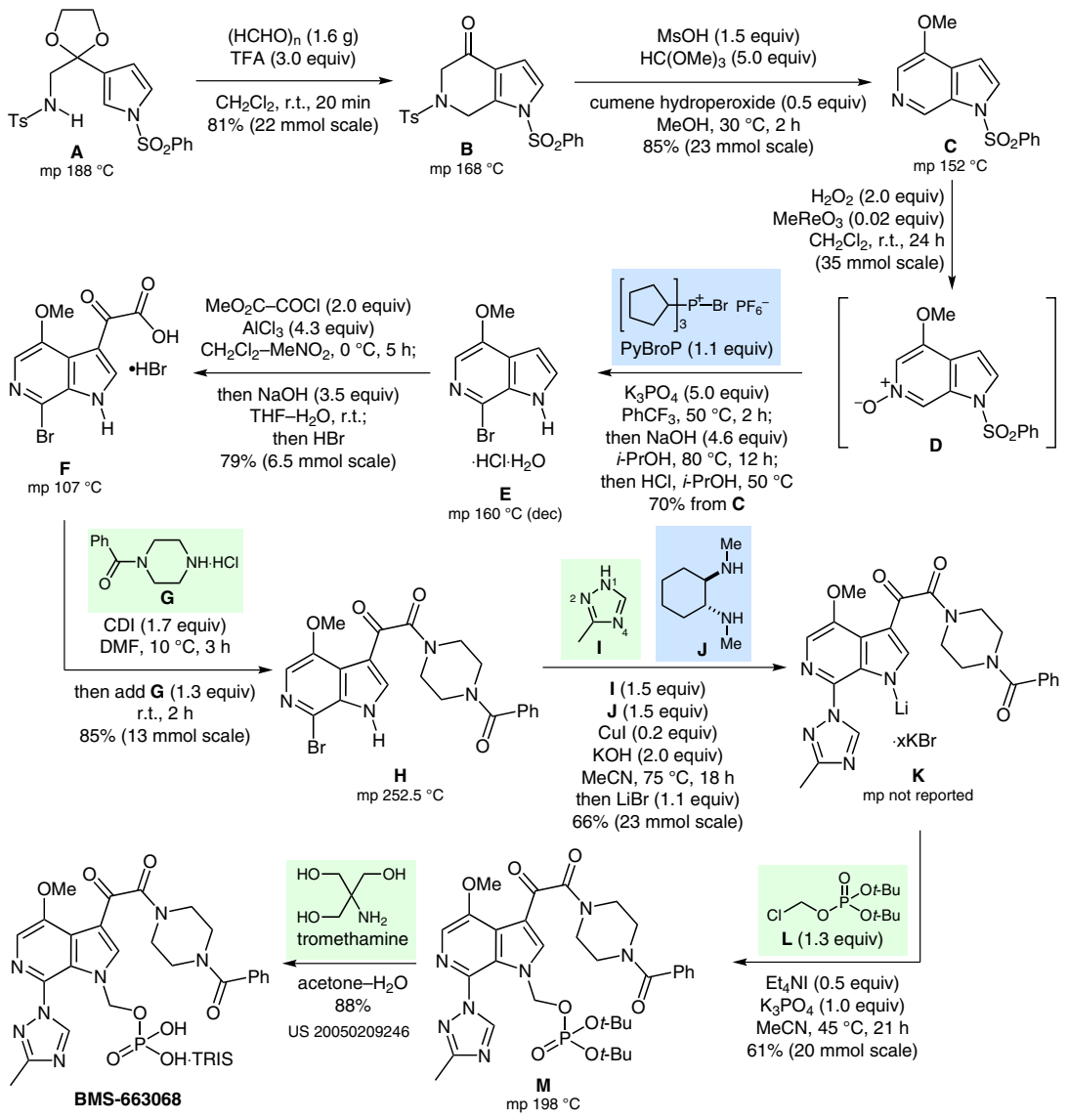
M. D. EASTGATE* (BRISTOL-MYERS SQUIBB, NEW BRUNSWICK, USA)
Synthesis of the 6-Azaindole Containing HIV-1 Attachment Inhibitor Pro-Drug, BMS-663068
J. Org. Chem. **2014**, *79*, 8757–8767.

Synthesis of 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 S_NAr reaction gave N1/N2 = 1:1. Alternative conditions for the bromination of the *N*-oxide **D** led mainly to deoxygenation.

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Synfacts 2014, 10(12), 1239 Published online: 18.11.2014
DOI: 10.1055/s-0034-1379407; **Reg-No.:** K05514SF

Category
Synthesis of Natural Products and Potential Drugs
Key words
BMS-663068
HIV attachment inhibitors
6-azaindoles
Ullmann–Goldberg–Buchwald coupling
bromination