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.