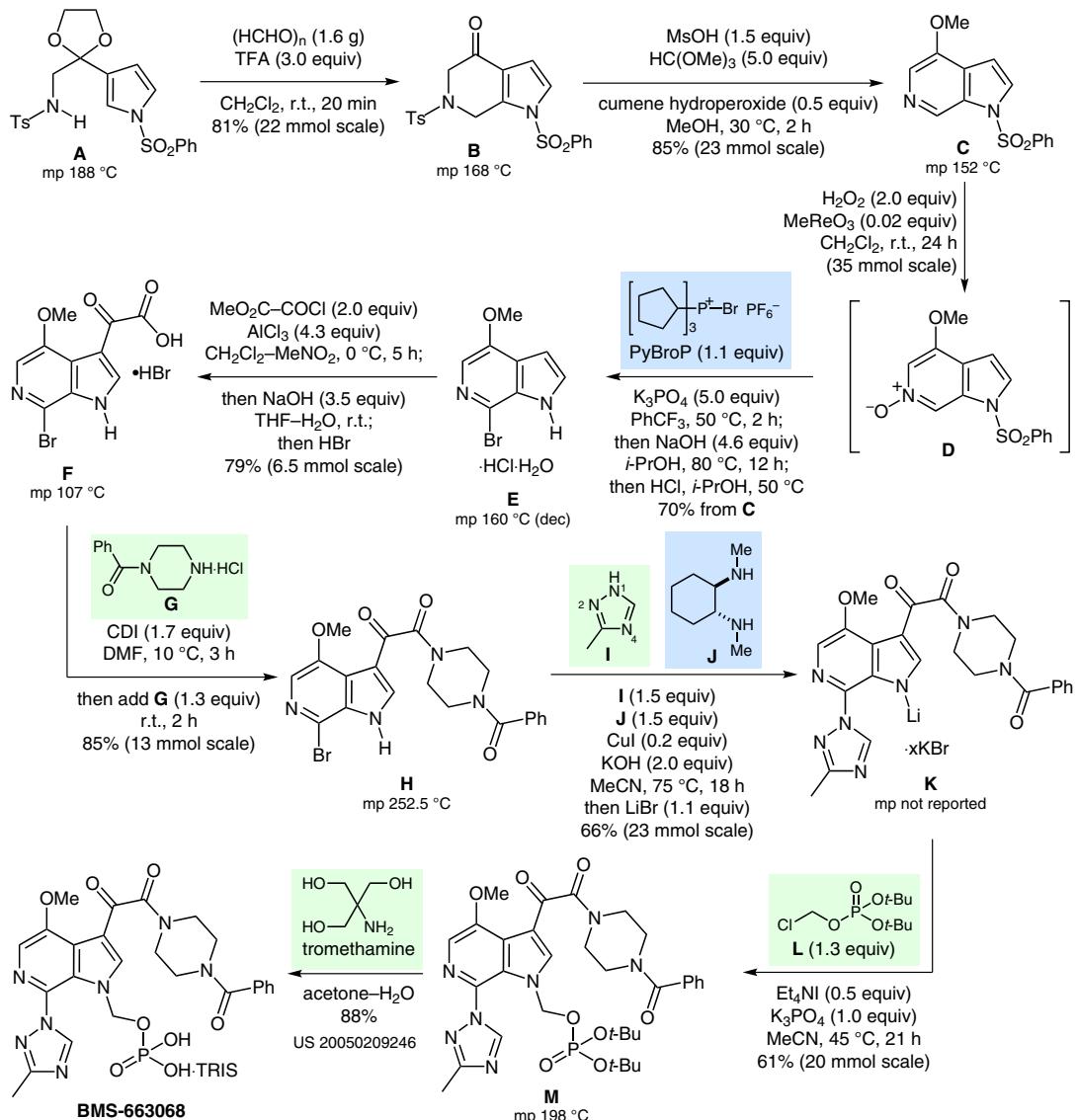


## Synthesis of BMS-663068



**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** ( $\text{N}1/\text{N}2 = 22:1$ ), whereas a thermal  $\text{S}_{\text{N}}\text{Ar}$  reaction gave  $\text{N}1/\text{N}2 = 1:1$ . Alternative conditions for the bromination of the *N*-oxide **D** led mainly to deoxygenation.