K. R. FANDRICK* ET AL. (BOEHRINGER-INGELHEIM PHARMACEUTICALS INC., RIDGEFIELD, USA AND BOEHRINGER INGELHEIM (CANADA) LTD., LAVAL, CANADA)
Concise and Practical Asymmetric Synthesis of a Challenging Atropisomeric HIV Integrase Inhibitor
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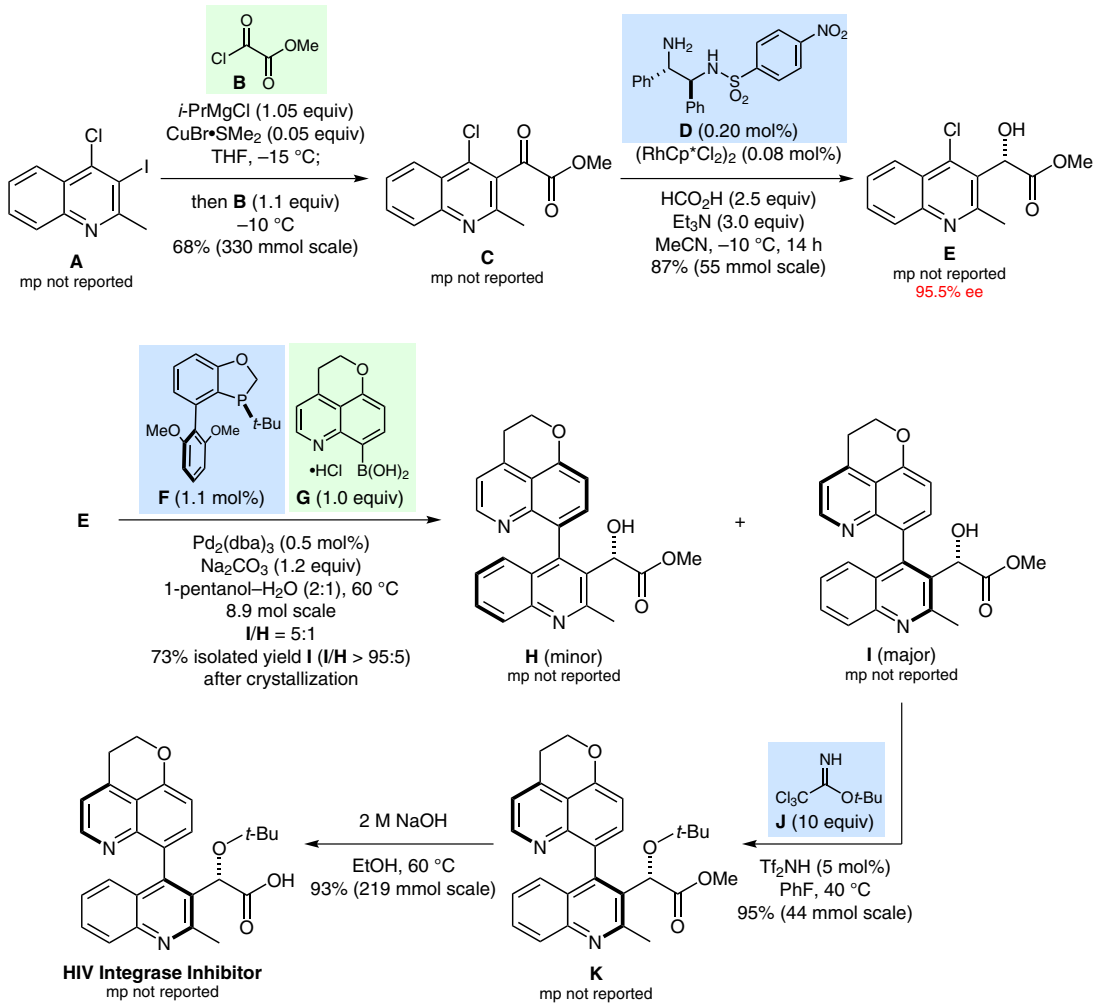
Synthesis of an Atropisomeric HIV Integrase Inhibitor



Significance: The target molecule is an atropisomeric integrase inhibitor that is of interest for the treatment of HIV. Noteworthy steps in the synthesis depicted include (1) a copper(I)-catalyzed acylation of quinoline **A**, (2) an asymmetric transfer hydrogenation of the α-keto ester **C** mediated by the ligand **D**, and (3) a ligand-controlled asymmetric Suzuki–Miyaura reaction mediated by the ligand **F**.

Comment: The installation of the *tert*-butyl ether group on the bis(quinoline) scaffold of **I** was challenging, because intermediate **I** contains two basic nitrogen atoms and the *tert*-butyl ether is buried within a very sterically crowded environment. Best results were obtained using the trichloroacetimidate **J** together with bis(trifluoromethane)-sulfonimide.

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