Concise and Practical Asymmetric Synthesis of a Challenging Atropisomeric HIV Integrase Inhibitor


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)sulfonylimide.

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