Synthesis of Efavirenz via Asymmetric Alkynylation

**Significance:** Efavirenz (Sustiva®) is an HIV-1 reverse transcriptase inhibitor that was approved by the FDA in 1998 for the treatment of HIV/AIDS. The classic DuPont–Merck synthesis depicted incorporates a highly enantioselective addition of lithium acetylide $K$ (as the tetrameric complex $F$) to ketone $E$ mediated by chiral chaperone $J$. The synthesis proceeds in 62% overall yield in just seven steps. Since all intermediates were crystalline, no chromatography was required.