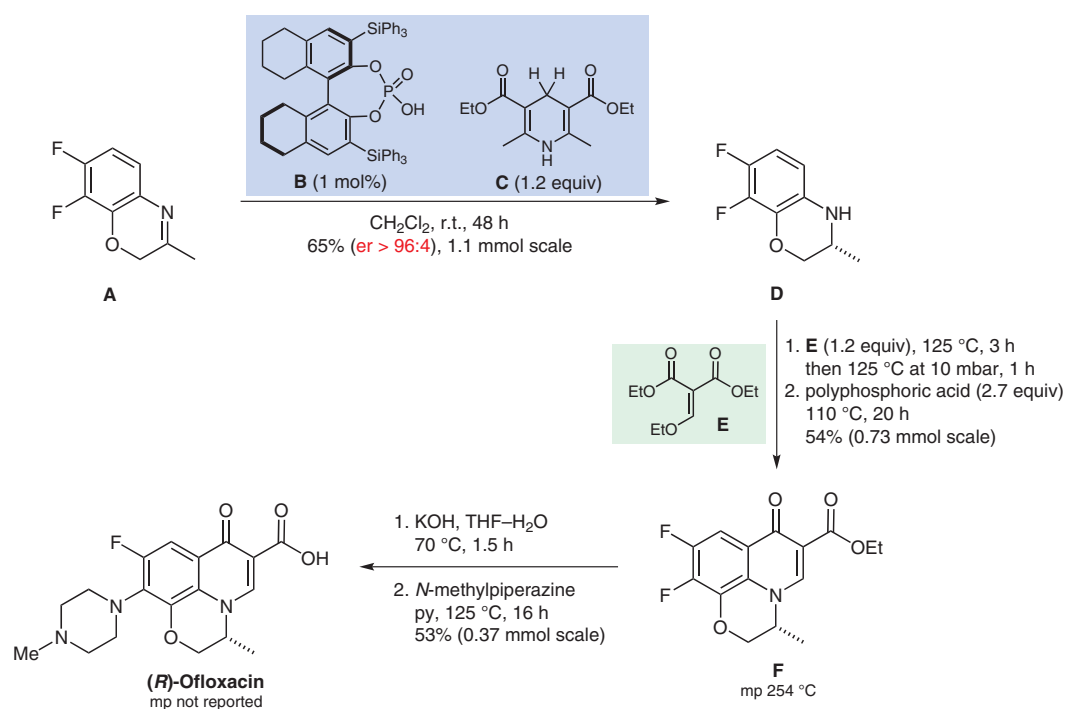


Synthesis of (*R*)-Ofloxacin



Significance: The key step in the small-scale synthesis of (*R*)-ofloxacin depicted here is the first step, a chiral Brønsted acid catalyzed transfer hydrogenation in which the hydride source is the dihydropyridine **C**. These reactions give excellent enantiofacial discrimination with low catalyst loadings under mild conditions. A synthesis of the simpler fluoroquinolone antibiotic flumequine is also described.

Comment: Ofloxacin is a DNA gyrase inhibitor that was initially marketed as a racemate. The (*S*)-(-)-enantiomer, levofloxacin, is more active than the (*R*)-enantiomer and has now supplanted the racemate for the treatment of life-threatening bacterial infections.

Review: For a review about asymmetric Brønsted acid catalyzed transfer hydrogenations, see: M. Rueping, E. Sugiono, F. R. Schoepke *Synlett* **2010**, 852–865.

Category

Synthesis of Natural Products and Potential Drugs

Key words

(*R*)-ofloxacin

flumequine

fluoroquinolones

organocatalysis

Brønsted acid catalyzed transfer hydrogenation

SYNFACT
of the month