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Enantioselective Synthesis of (*R*)-Tolterodine via CuH-Catalyzed Asymmetric Conjugate Reduction
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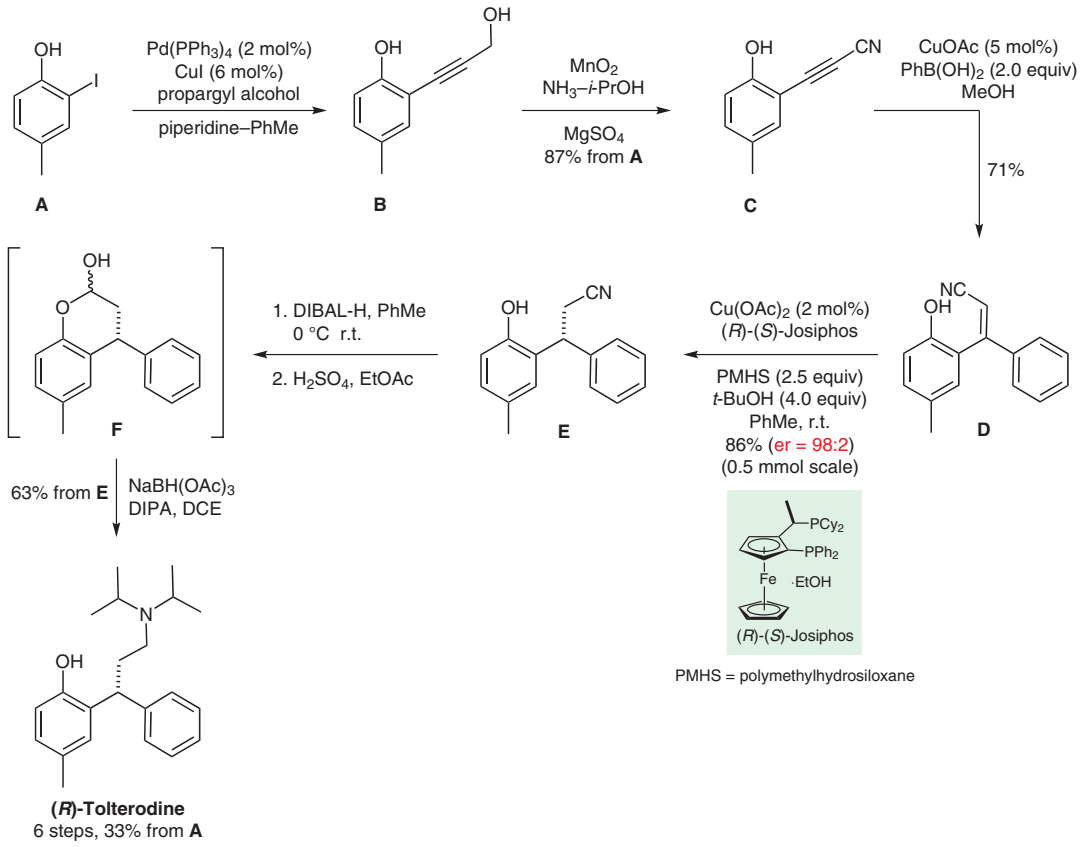
# Synthesis of (*R*)-Tolterodine




**Significance:** (*R*)-Tolterodine is a muscarinic antagonist used for the treatment of urinary incontinence. Key steps in the short synthesis depicted are (1) a copper-catalyzed addition (hydroarylation) of phenylboronic acid to an alkynylnitrile (**C** → **D**) and (2) a CuH-catalyzed asymmetric conjugate reduction of an α,β-unsaturated nitrile (**D** → **E**).

**Comment:** Copper-catalyzed hydroarylation of alkynoates had been reported previously by Y. Yamamoto, N. Kirai and Y. Harada (*Chem. Commun.* **2008**, 2010).

**Review:** *CuH-Catalyzed Reactions*, C. Deutsch, N. Krause, B. C. Lipshutz, *Chem. Rev.* **2008**, *108*, 2916-2927.

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**Category**

**Synthesis of Natural Products and Potential Drugs**

**Key words**

**tolterodine**

**hydroarylation**

**asymmetric conjugate reduction**

**boronic acids**

**copper**