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

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 \((C \rightarrow D)\) and (2) a CuH-catalyzed asymmetric conjugate reduction of an \(\alpha,\beta\)-unsaturated nitrile \((D \rightarrow E)\).

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


**Key words**
- tolterodine
- hydroarylation
- asymmetric conjugate reduction
- boronic acids
- copper