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 alkynitrile (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).


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