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).


**Synthesis of (R)-Tolterodine**

**Key words**

tolterodine  
hydroarylation  
asymmetric conjugate reduction  
boron acids  
copper