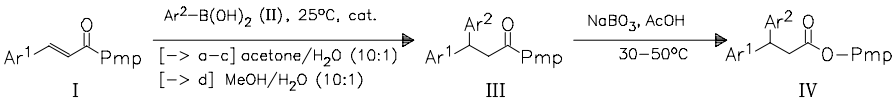
Enantioselective syntheses

O 0031

50- 026

**Stepwise Palladium-Catalyzed 1,4-Addition of Arylboronic Acids to Enones and Regioselective Baeyer—Villiger Oxidation for Enantioselective Synthesis of β-Diaryl Esters and (+)-(R)-Tolterodine.** — A two-step procedure is developed for the synthesis of optically pure diaryl esters (IV) and 4-aryldihydrocoumarins (VII). This method is successfully applied for the enantioselective synthesis of the potent competitive muscarinic receptor antagonist tolterodine (X). — (KOBAYASHI, K.; NISHIKATA, T.; YAMAMOTO, Y.; MIYAURA*, N.; Bull. Chem. Soc. Jpn. 81 (2008) 8, 1019-1025; Div. Chem., Grad. Sch. Eng., Hokkaido Univ., Sapporo 060, Japan; Eng.) — R. Staver

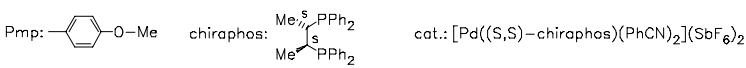


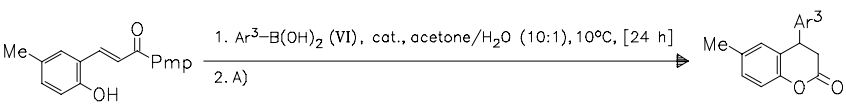

a $Ar^1$: –Ph ; $Ar^2$: 2-MeO-C6H4 — 99% (95% e.e.) — a 73% (95% e.e.)

b $Ar^1$: 4-iPr-C6H4 ; $Ar^2$: 2-MeO-C6H4 — 90% (95% e.e.) — b 0%

c $Ar^1$: 3,4-(MeO)2-C6H3 ; $Ar^2$: 2-MeO-C6H4 — 86% (95% e.e.) — c 72% (97% e.e.)

d $Ar^1$: 3,4-methylenedioxy-C6H3 ; $Ar^2$: 2-MeO-C6H4 — 74% (97% e.e.) — d 67% (95% e.e.)



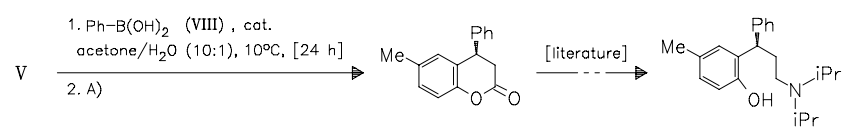




A): $(Me_3Si–O)_2$, $SnCl_4$, $CH_2Cl_2$, 0 -> 25ºC

a $Ar^3$: 4-MeO-C6H4 — 75% (98% e.e.)

b $Ar^3$: 2-Me-4-MeO-C6H3 — 74% (97% e.e.)

c $Ar^3$: 2-Me-3,4-methylenedioxy-C6H2 — 70% (97% e.e.)




83% (96% e.e.)