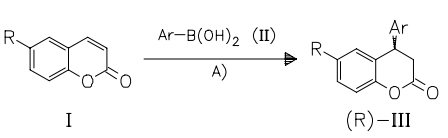
Benzopyran derivatives

R 0350

42- 153

Rhodium-Catalyzed Asymmetric 1,4-Addition of Arylboronic Acids to Coumarins: Asymmetric Synthesis of (R)-Tolterodine. — Best results in the title procedure are achieved using (R)-Segphos as chiral ligand. Product (IIIa) is readily converted into the important urological drug (R)-tolterodine (IV) providing an efficient route to enantiomerically enriched chiral diarylmethanes. Phenylation of the linear ester (V) results in formation of addition product (S)-(VI) as another possible precursor of tolterodine. In this case, however, low enantioselectivity is obtained. — (CHEN, G.; TOKUNAGA, N.; HAYASHI*, T.; Org. Lett. 7 (2005) 11, 2285-2288; Dep. Chem., Grad. Sch. Sci., Kyoto Univ., Sakyo, Kyoto 606, Japan; Eng.) — S. Adam



A): 3mol% $Rh(acac)(C_2H_4)_2$/(R)–SEGPHOS (cat.), dioxane/H_2O (10:1), 60°C

E: –CO–O–Me

SEGPHOS: