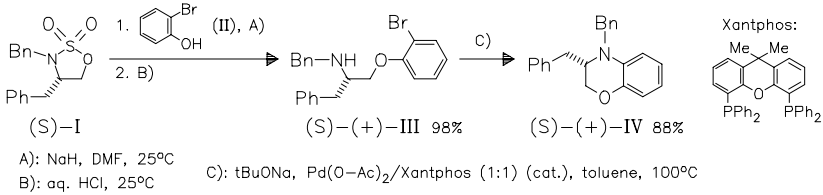
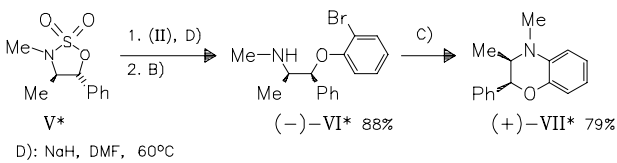
Oxazine derivatives

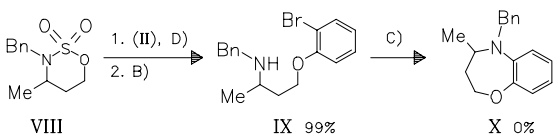
R 0595

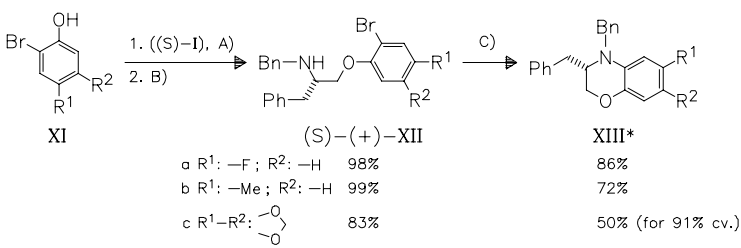
01- 159

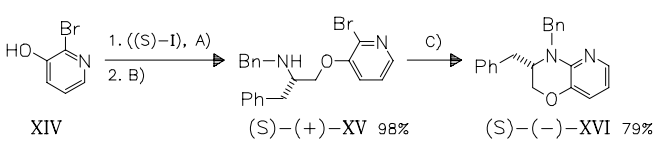
Enantiopure 1,4-Benzoxazines via 1,2-Cyclic Sulfamidates. Synthesis of Levofloxacin. — The novel two-step protocol yields enantioenriched 1,4- benzoxazine, quinoxaline and 1,4-benzothiazine scaffolds. The method is applied for the preparation of (XXIII) as a precursor for the blockbuster antibiotic levofloxacin (XXIV). — (BOWER, J. F.; SZETO, P.; GALLAGHER*, T.; Org. Lett. 9 (2007) 17, 3283-3286; Sch. Chem., Univ. Bristol, Cantock's Close, Bristol BS8 1TS, UK; Eng.) — R. Steudel

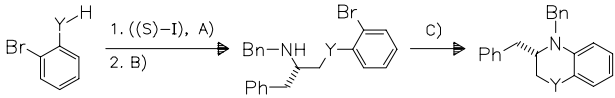








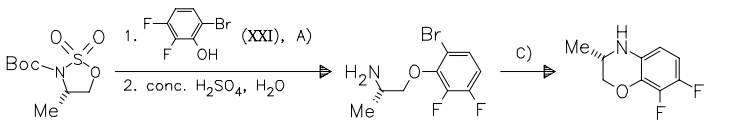




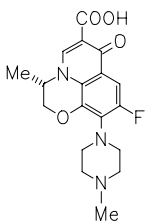
XVII (S)–(+)–XVIII (S)–XIX

a Y: –S– 100% 89%

b Y: NH 56% 22%



(S)–XX (S)–(+)–XXII 99% (S)–(–)–XXIII 84%



(S)–XXIV