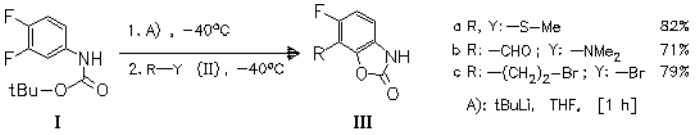
1,4-oxazine derivatives (morpholine)

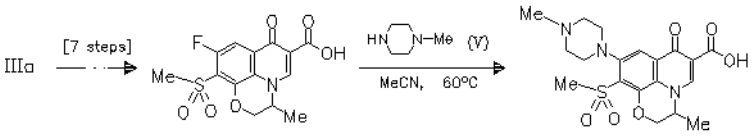
R 0600

42 - 166

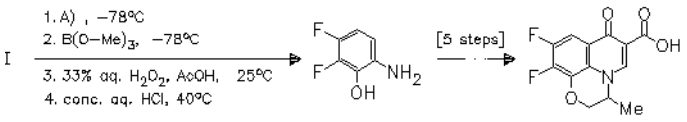
An Efficient Synthesis of Ofloxacin and Levofloxacin from 3,4-Difluoroaniline. — Based on an ortho-selective lithiation of N-Boc-3,4-difluoroaniline (I) a synthesis of the title compounds is envisaged. Whereas the attempt to substitute the sulfonyl group in (VI) by N-methylpiperidine fails, the fluoro analogue (VIII) is a suitable precursor for ofloxacin (IX). Its (S)-enantiomer levofloxacin is analogously available from optically active (VIII). — (ADRIO, JAVIER; CARRETERO, JUAN C.; GARCIA RUANO, JOSE L.; PALLARES, ANTONIO; VICIOSO, MERCEDES; Heterocycles 51 (1999) 7, 1563-1572; Dep. Quim. Org., Fac. Cienc., Univ. Auton., Cantoblanco, E-28049 Madrid, Spain; EN)



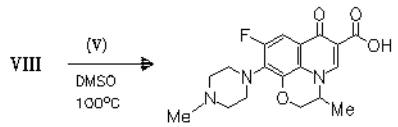
I III



IV VI 67%



VII 85% VIII



IX ~60%