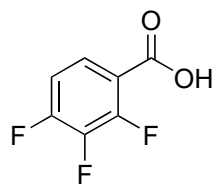


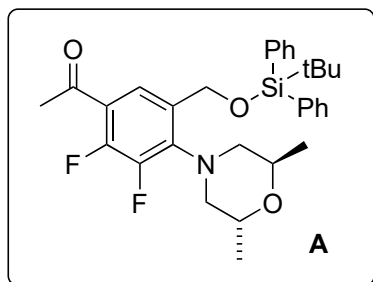
Novel DNA Gyrase Inhibiting Spiropyrimidinetriones with a Benzisoxazole Scaffold

G. S. Basarab, P. Brassil, P. Doig, V. Galullo, H. B. Haimes, G. Kern, A. Kutschke, J. McNulty, V. J. A. Schuck, G. Stone, and M. Gowravaram

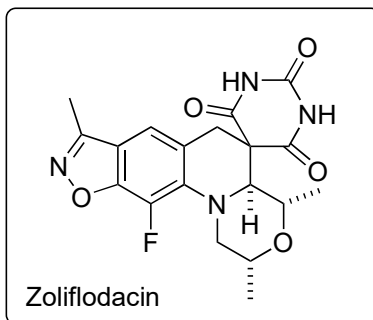
J. Med. Chem., 2014, 57, 9078-9095



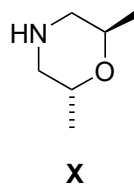
1-4



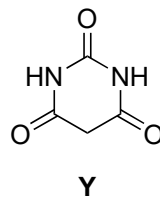
5-7



- 1) **X**, LHMDS, THF, -78 °C
- 2) NaBH₄, I₂, EtOH, reflux
- 3) TBDPSCI, imidazole
- 4) *s*-BuLi, CH₃C(=O)N(OMe)Me, MTBE, -78 °C



- 5) acetone-oxime, *t*BuOK then HCl (aq.)
- 6) MnO₂
- 7) **Y**, 3:1 AcOH:H₂O, 120 °C



- 4) Explain the regioselectivity of this step

Ref: *Tetrahedron Lett.*, **1992**, 33, 7495

- 5) Provide a mechanism and name the heterocycle that is formed.

- 7) Name the reactions and provide a mechanism

Tertiary amino effect reaction:
Knovenagel adduct
 [1,5] hydride shift
Mannich Cyclization

