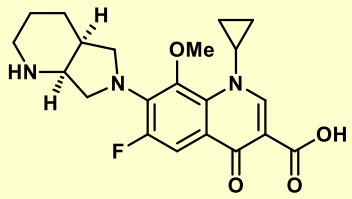
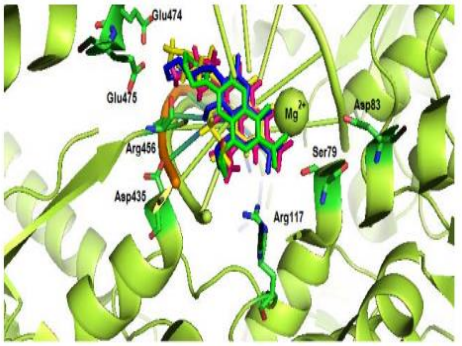
DOTW: Moxifloxacin

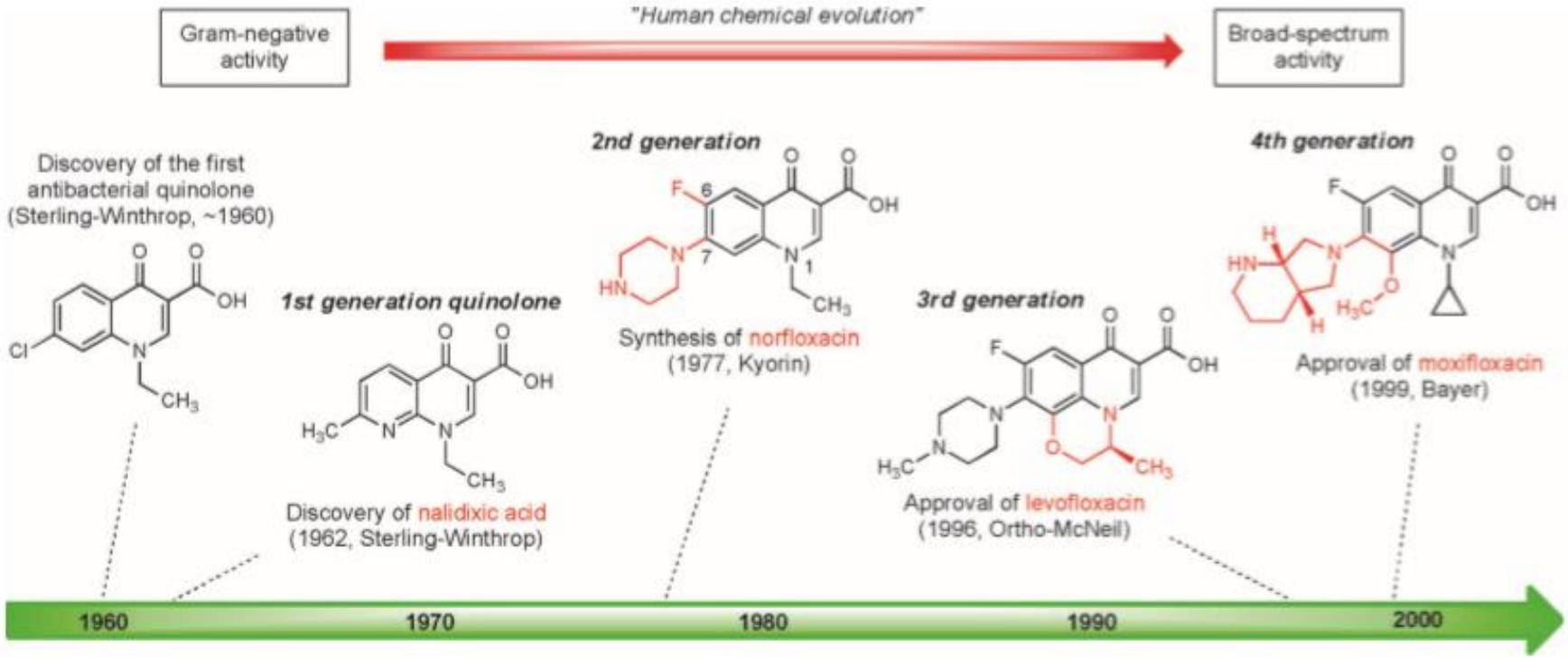
References: Baumann and Baxendale, *Beilstein J Org Chem*, **2013**, *9*, 2265. Perez *et al.*, *Current Topics in Medicinal Chemistry*, **2014**, *14*, 40. Myers *et al.*, *Angew. Chem. Int. Ed.*, **2014**, *53*, 8840. Wuest *et al.*, *Chem. Rev.*, **2017**, *117*, 12415



Moxifloxacin

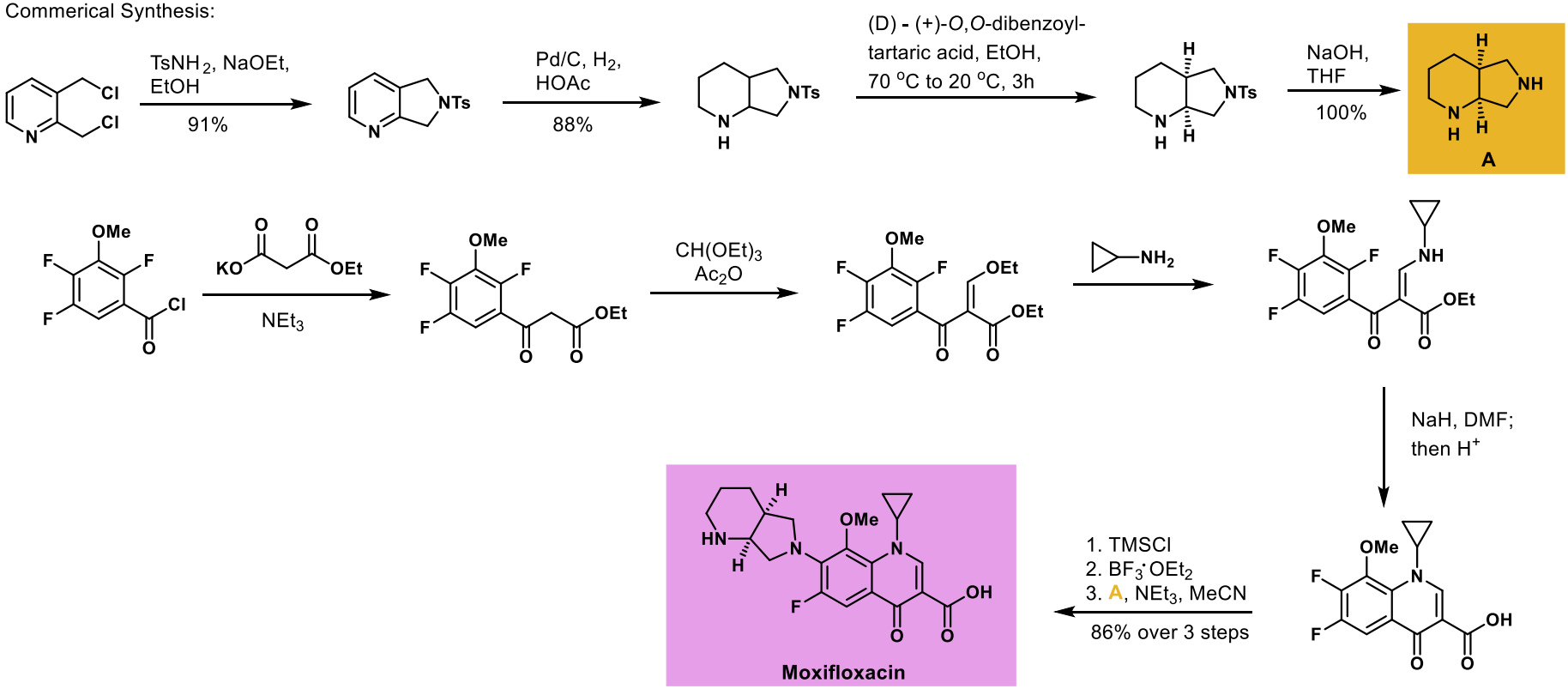
– synthetic fluoroquinolone broad spectrum antibiotic
– blocks bacterial DNA replication by binding to DNA gyrase and topoisomerases II and IV (100 times higher affinity for bacterial over mammalian DNA)
– fluorine at C6 enhances microbial activity
– methoxy at C8 increases potentcy and decreases toxicity
– cyclopropyl group is benefical for enzyme-DNA binding complex
– bulky nitrogen based group at C7 helps bind to DNA gyrase and hinders drug efflux





DOTW: Moxifloxacin

Commerical Synthesis:



GX Li *et al.*, *Sci China Chem*, **2013**, *56*, 307