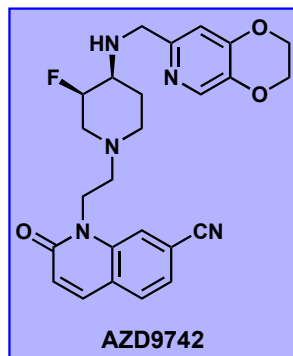


Background:



- AZD9742 is a novel bacterial type II topoisomerase inhibitor (NBTI), targeting the DNA gyrase and topoisomerase IV

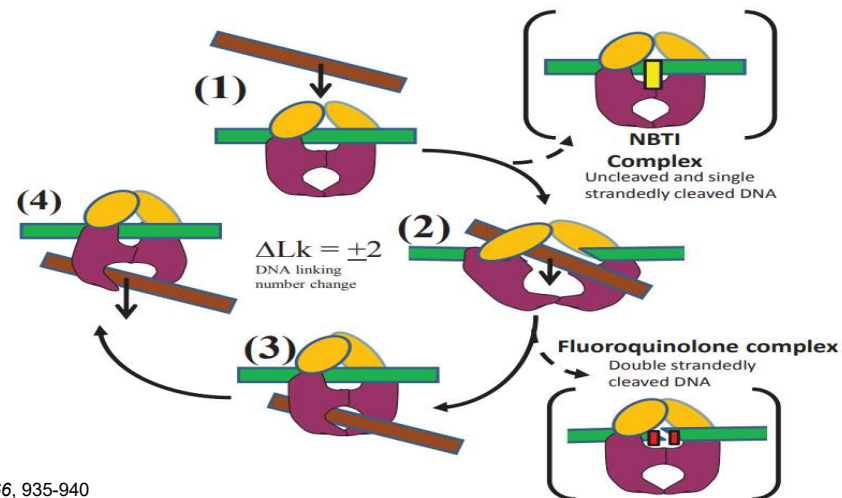
- While stopped in Phase I trials, the API seemed like a candidate for the treatment of Gram-positive bacterial pathogens, community and hospital pneumonias, and skin infections

- The quinolone binds to DNA, and the quinoline binds to the topoisomerase

AstraZeneca

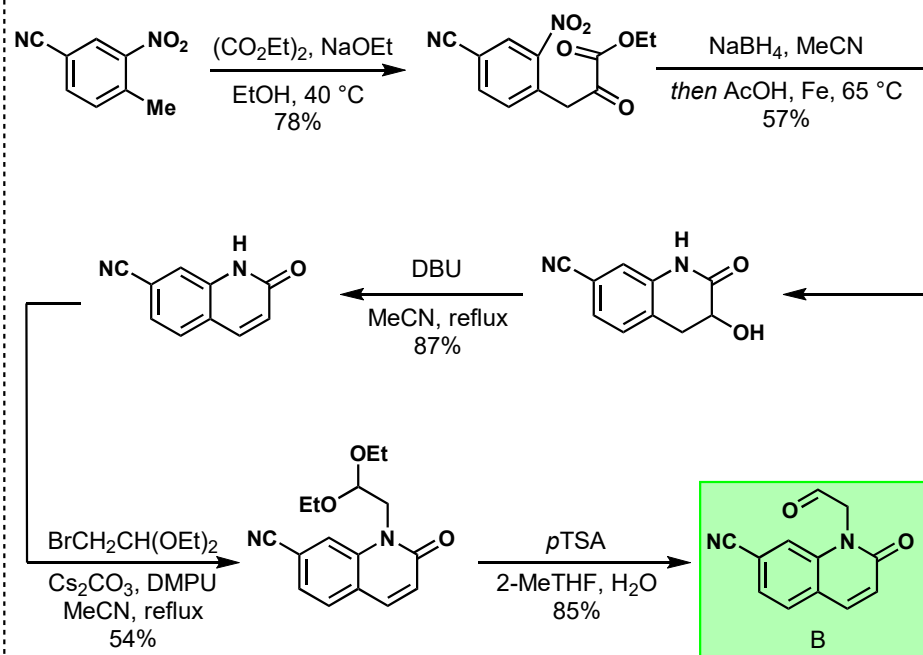
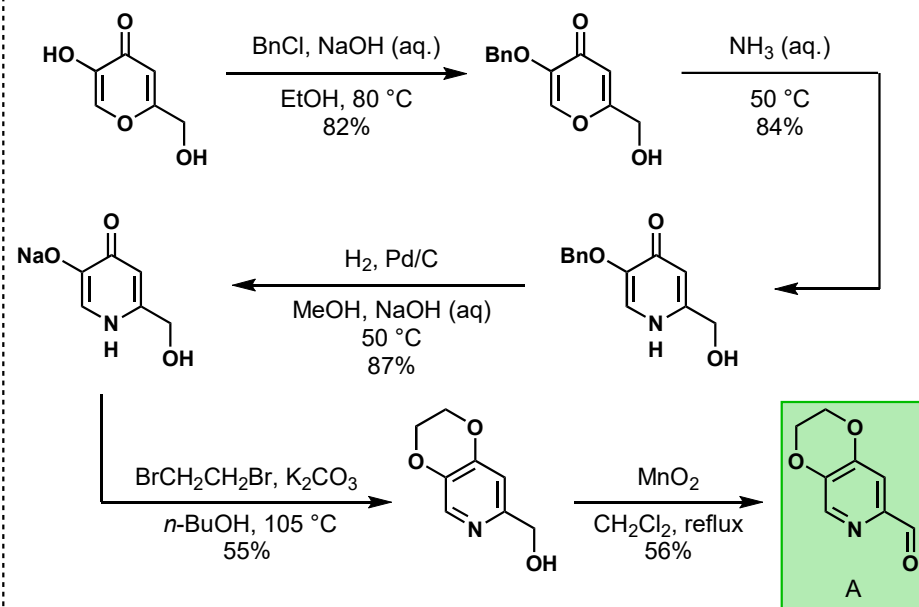
NBTI Target Binding:

- Brown and green lines represent DNA Duplexes passing through a type II topoisomerase



Nature 2010, 466, 935-940

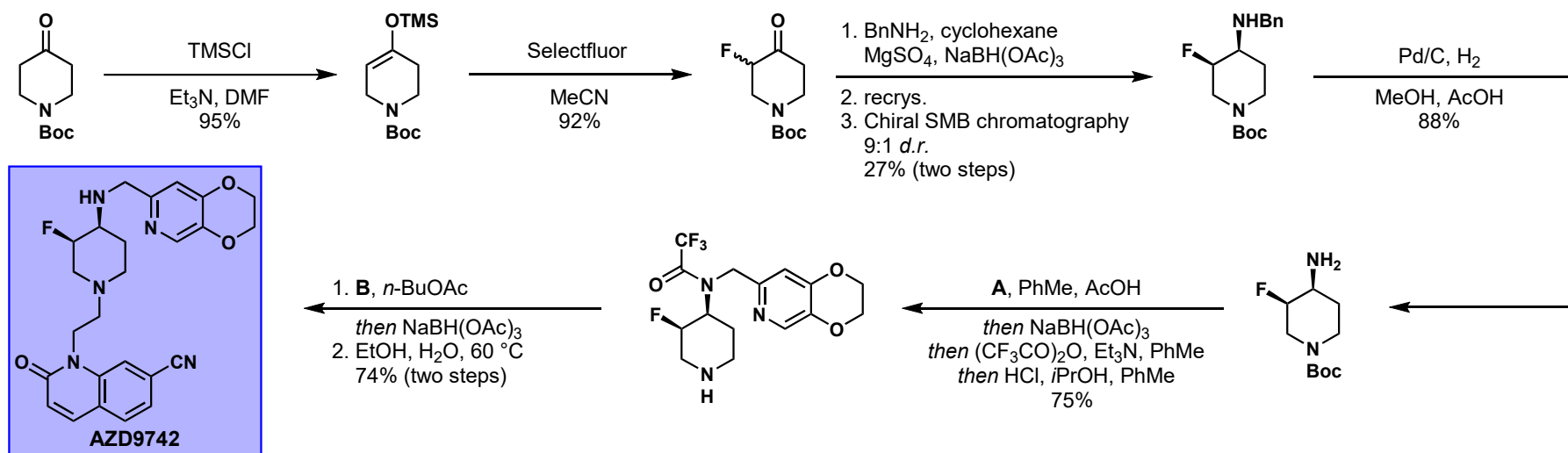
Synthesis of the Aldehyde Fragments



see *Tetrahedron Lett.* 2010, 51, 5038-5040.

WO 2002/096907 A1

Modified Discovery Process:



Chemical Development Route:

