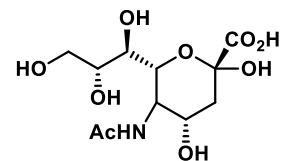


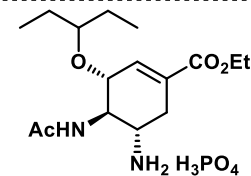
Drug of the Week: Oseltamivir Phosphate



- Anti-viral medication by the trade name Tamiflu®
- Discovered by Gilead, developed with Hoffmann-La Roche
- Competitive neuraminidase inhibitor
 - prevents replicating viral cells from being released from host cell
 - analogue of native sialic acid substrate
- Free carboxylate has low bioavailability – ethyl ester prodrug developed

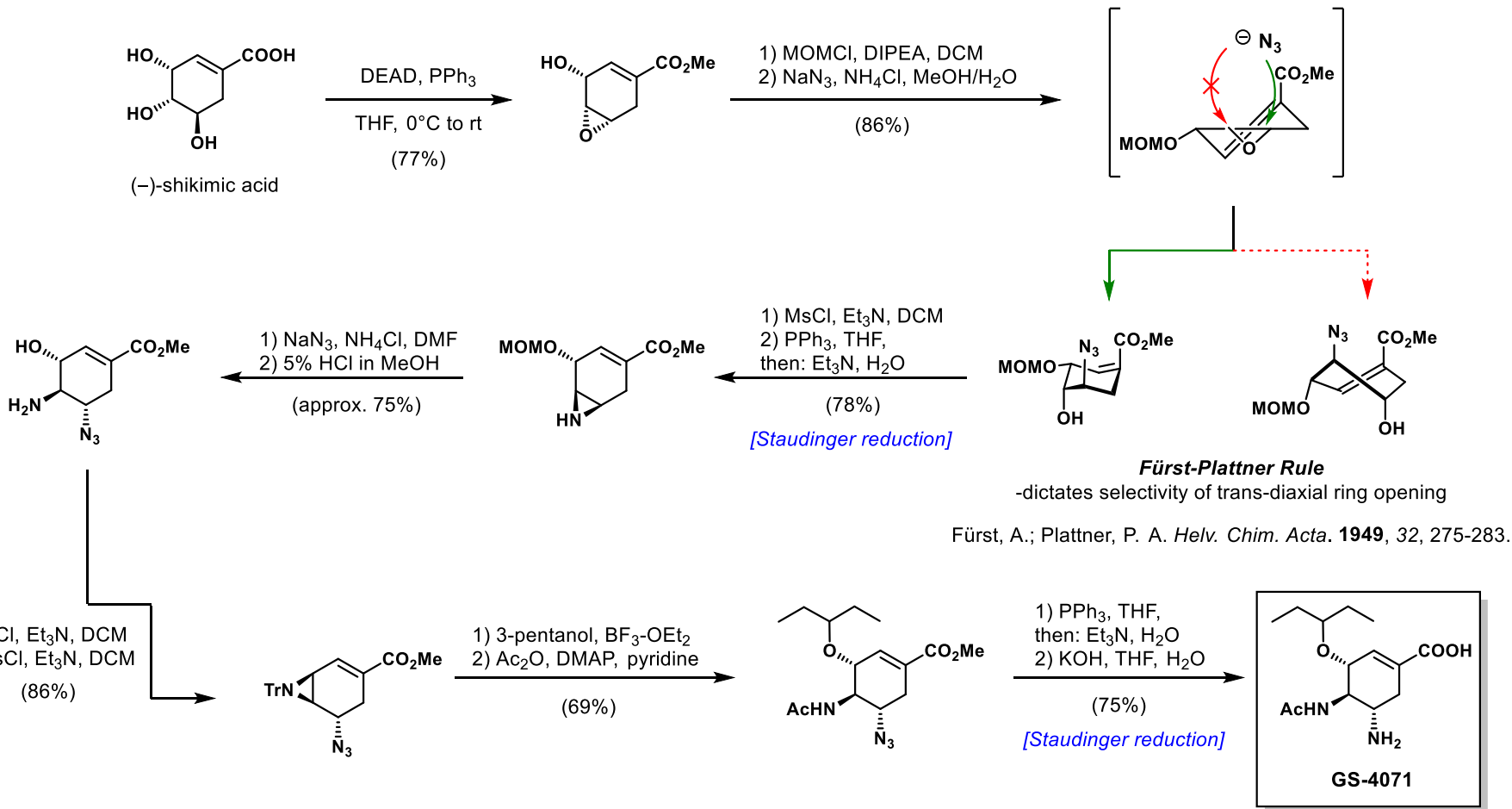


Sialic Acid



Oseltamivir Phosphate

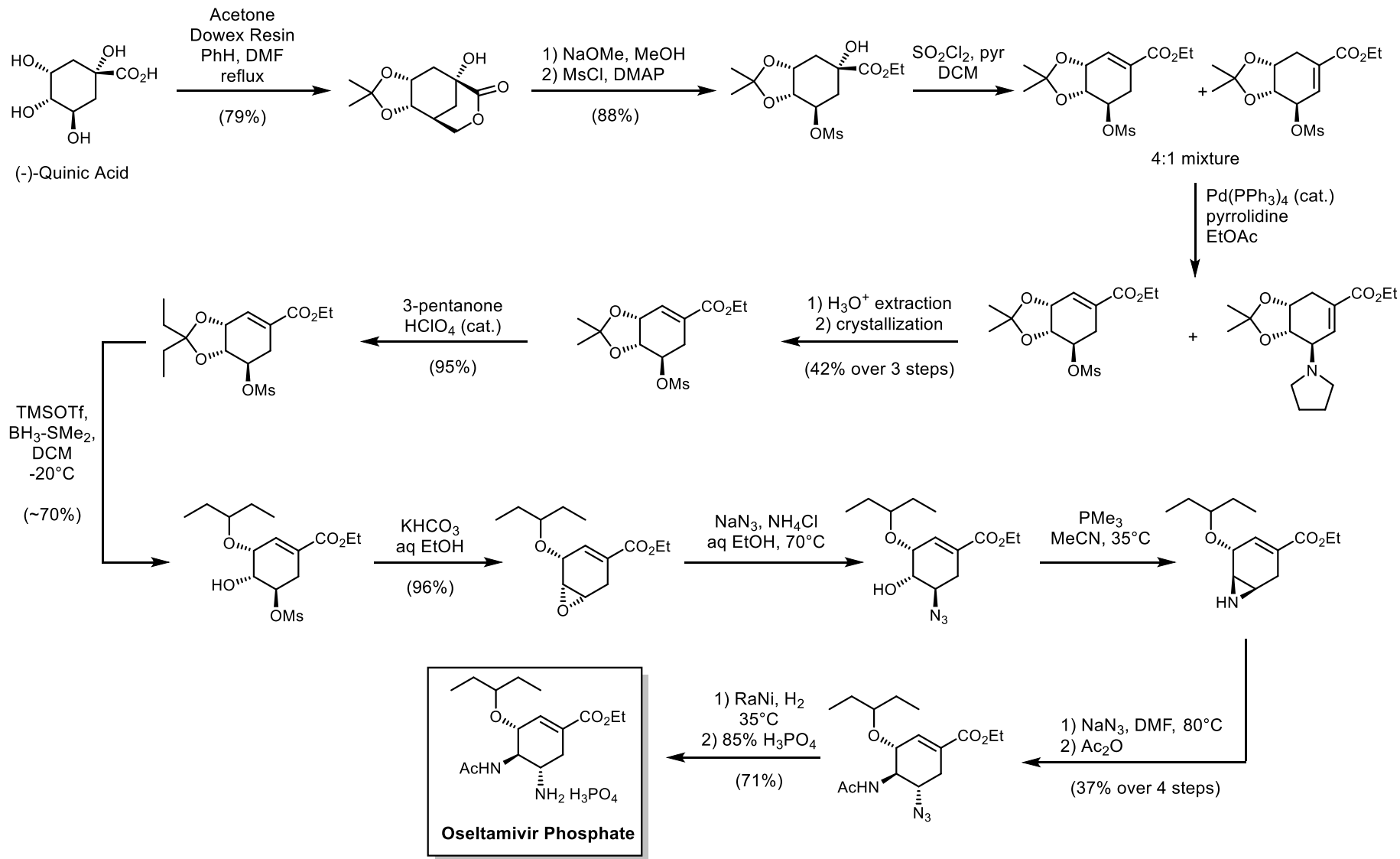
Medicinal Chemistry Approach to GS-4071



Overall 17.2% over 13 steps

Gilead's First Process Route

(-)-Shikimic acid was not as readily available or cost efficient on scale, so an alternative route to synthesis an intermediate epoxide was developed from (-)-quinic acid



Overall 4.9% over 15 steps