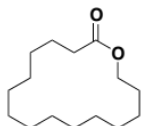
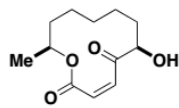


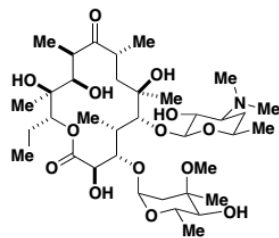
Corey-Nicolaou macrolactonization



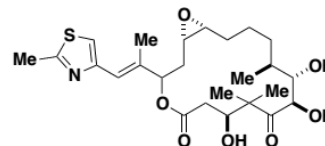
Exaltolide®
(perfume)



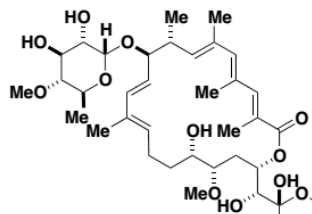
Cladospolide
(antibiotic)



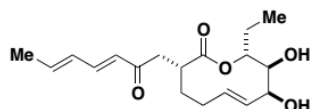
Erythromycin A
(antibiotic)



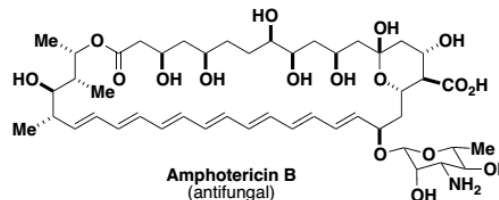
Epothilone A
(anti-cancer)



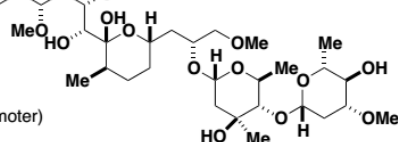
Apoptolidin
(apoptosis promoter)



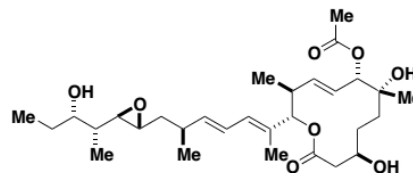
Pinolidoxin
(pytotoxin)



Amphotericin B
(antifungal)



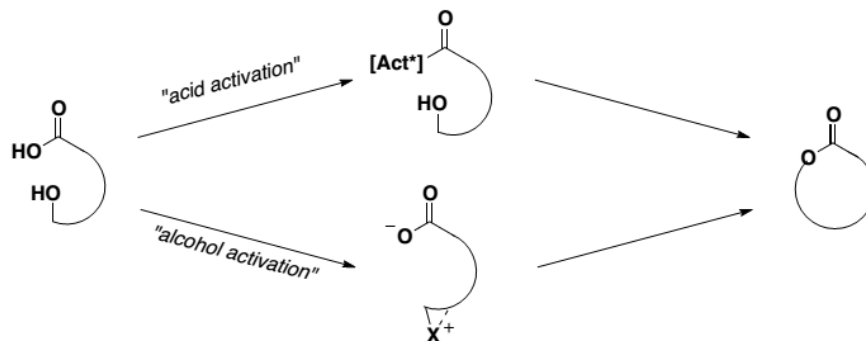
Roxaticine
(antibiotic)



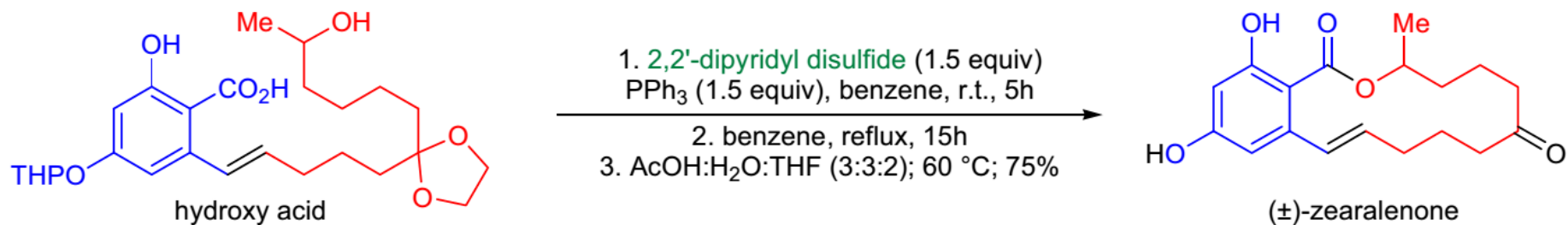
Pladienolide
(anti-cancer)

Modes of Activation

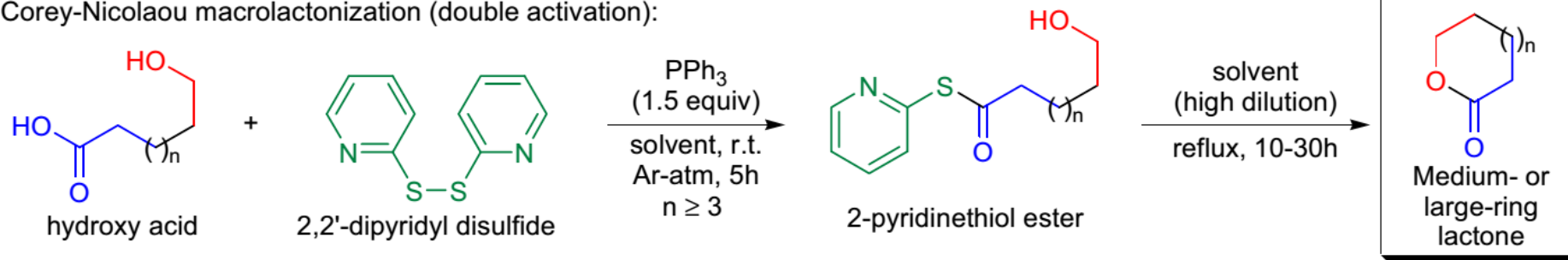
Either the acid (a), alcohol (b) or both can be activated.
The activation of the acid is the most commonly employed mode.



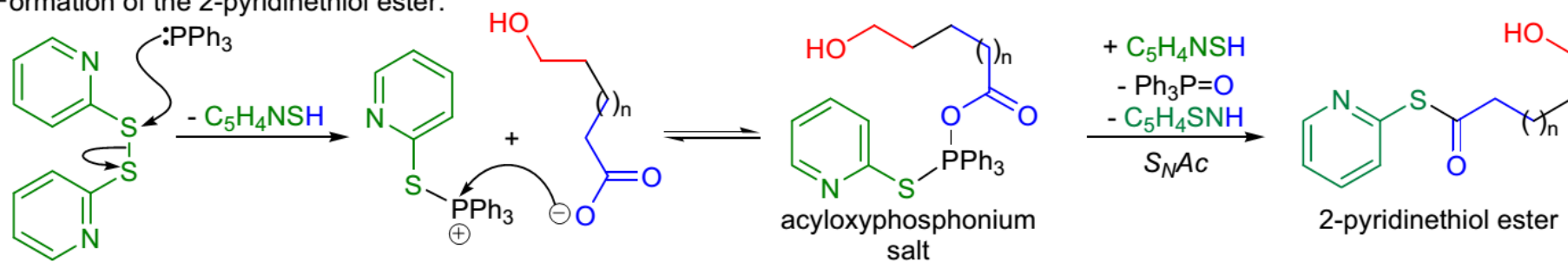
Corey & Nicolaou (1974):



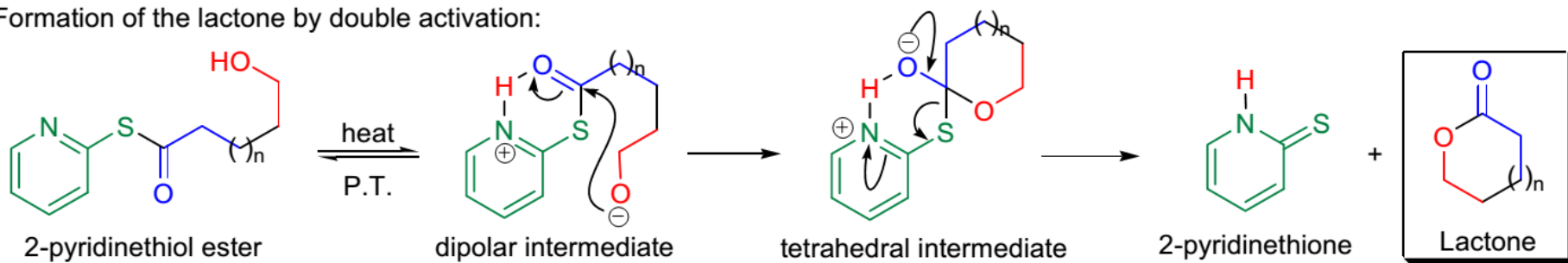
Corey-Nicolaou macrolactonization (double activation):

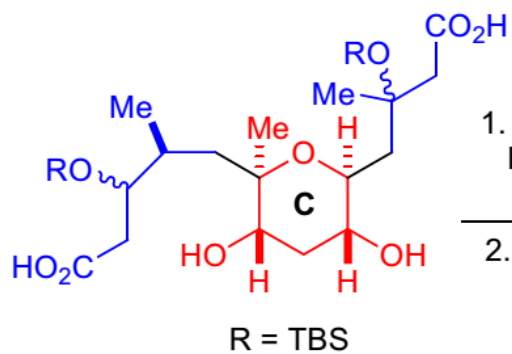


Formation of the 2-pyridinethiol ester:

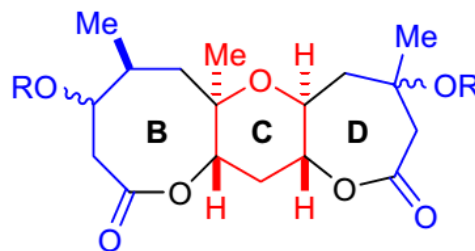


Formation of the lactone by double activation:

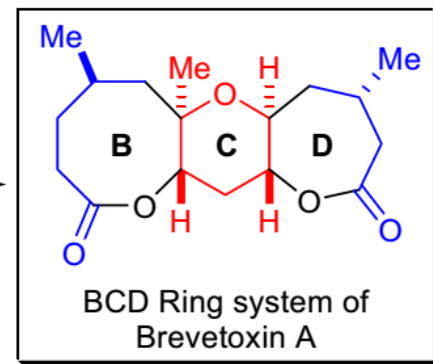


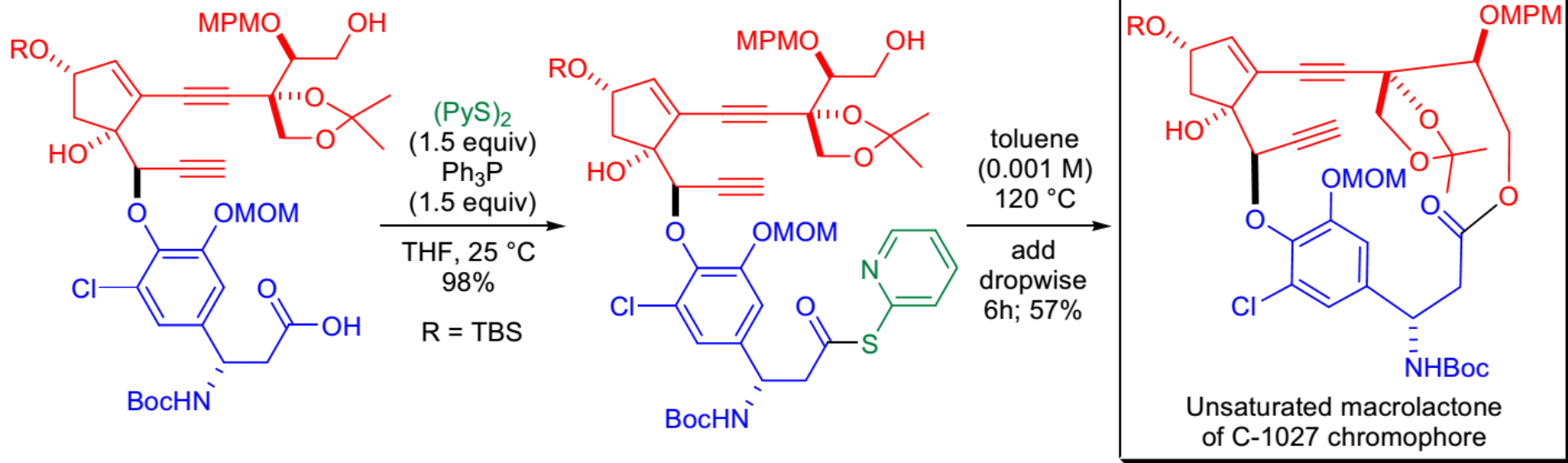


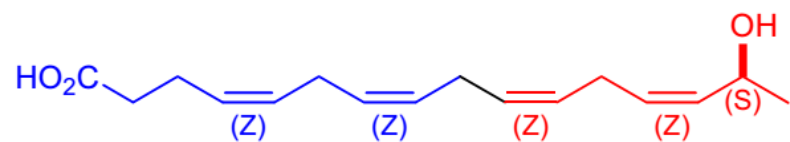
1. $(\text{PyS})_2$ (2.5 equiv)
 Ph_3P (2.5 equiv)
 DCM, 25 °C, 1h
2. AgClO_4 (2.2 equiv)
 toluene (0.013M)
 reflux, 4h
 76% for 2 steps



steps







$(\text{PyS})_2$ (1.5 equiv), Ph_3P (1.5 equiv)
toluene, r.t., 12h

then reflux, 5h
78%

