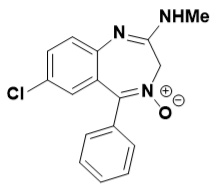
Lecture 18: Benzodiazepines

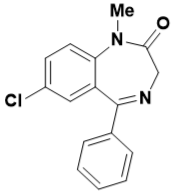
Suggested study music: Mozart

Syntheses discussed:

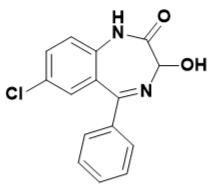
Some of Leo Sternbach's Drugs (Roche):



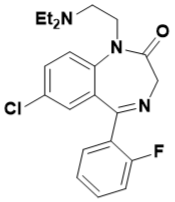
Librium (chlordiazepoxide)



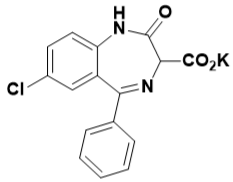
Valium (diazepam)



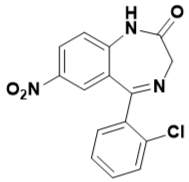
Serax (oxazepam)



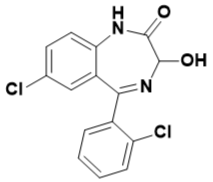
Dalmane (flurazepam)



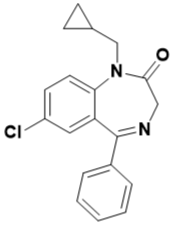
Tranxene (clorazepate)



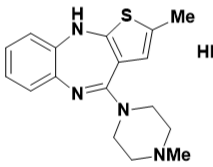
Clonopin (clonazepam)



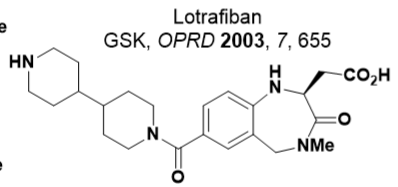
Ativan (lorazepam)



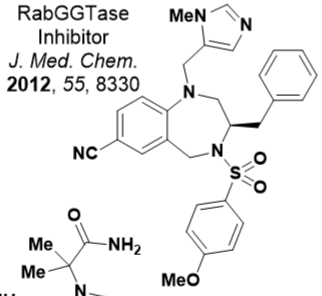
Verstran (prazepam)



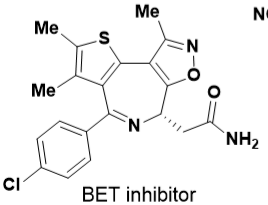
Zyprexa (olanzapine)
Eli Lilly
see Lecture 5



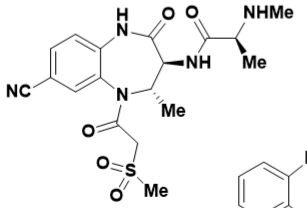
Lotrafiban
GSK, *OPRD* **2003**, *7*, 655



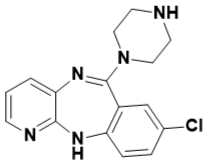
RabGGTase Inhibitor
J. Med. Chem. **2012**, *55*, 8330



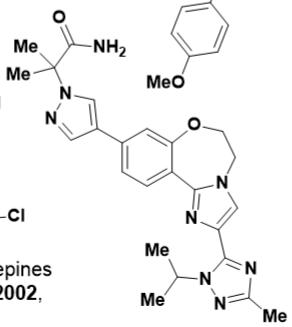
BET inhibitor
ACS Med. Chem. Lett. **2013**, *4*, 835



XIAP BIR2 inhibitor, Roche
J. Med. Chem. **2013**, *56*, 7788



pyridobenzodiazepines
J. Med. Chem. **2002**, *45*, 5136



Taselisib, Genentech
OPRD **2019**, *23*, 775
OPRD **2019**, *23*, 783

Partial list of concepts/transforms discussed:

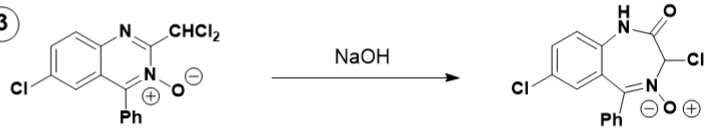
Sternbach
Beckmann mixture
Boekelheide reaction

Problems of the day:

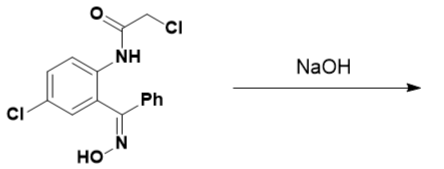
1. Propose medicinal chemistry and process routes to Lotrafiban

2. Propose multiple synthetic routes to Taselisib

3.



4.



5.

