Lecture 19: Saturated Heterocycles



[H]

Common saturated heterocycles

azetidine | oxetanes | pyrrolidine | piperidine | piperazine | morpholine

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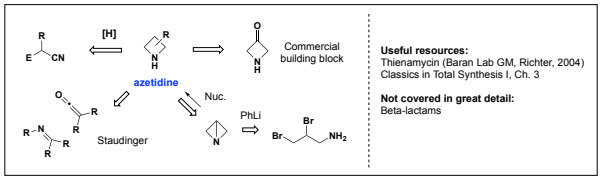


Useful resources:
Thienamycin (Baran Lab GM, Richter, 2004)
Classics in Total Synthesis I, Ch. 3

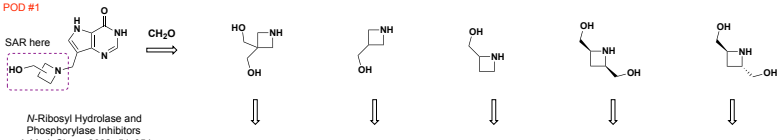
Not covered in great detail:
Beta-lactams

Case Studies

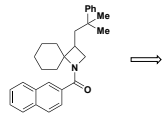
POD #1

SAR here

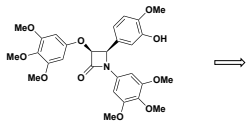
CH_2O



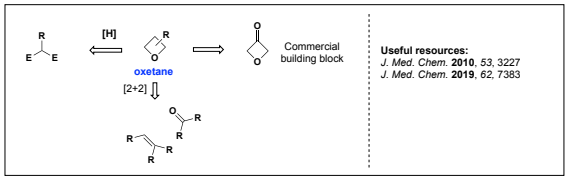
N-Ribosyl Hydrolase and Phosphorylase Inhibitors
J. Med. Chem. **2008**, *51*, 951



Org. Lett. **2019**, *21*, 2060−2063

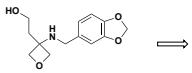


Tubulin-Targeting Antitumor Agent
J. Med. Chem. **2016**, *59*, 90



Useful resources:
J. Med. Chem. **2010**, *53*, 3227
J. Med. Chem. **2019**, *62*, 7383

Case studies



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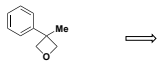
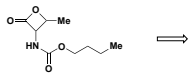
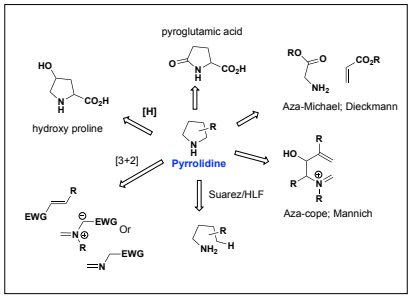
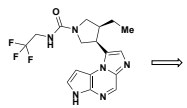


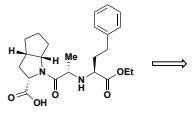




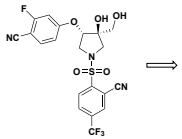
Case Studies



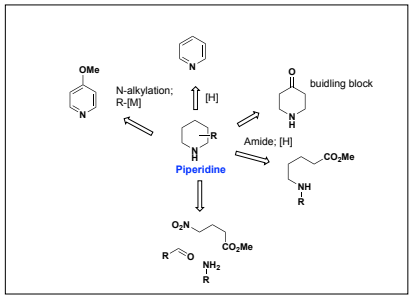
upadacitinib
(Abbvie)



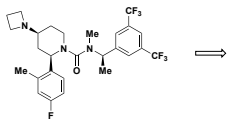
ramipril



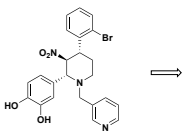
J. Med. Chem. **2018**, *61*, 9738
(GSK)



Case studies

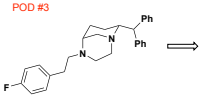


Neurokinin 1 Receptor Agonists (GSK)
J. Med. Chem. **2011**, *54*, 1071

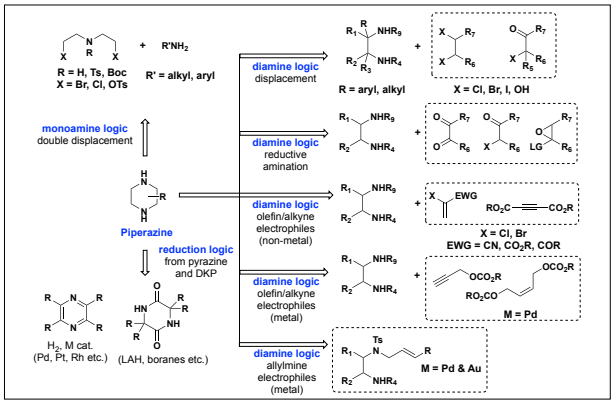


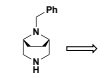
Farnesyltransferase Inhibitors
J. Med. Chem. **2002**, *46*, 2467

POD #3

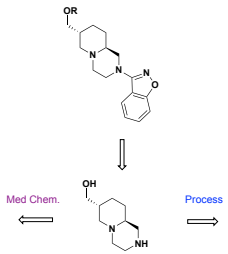


J. Med. Chem. **2004**, *47*, 5101

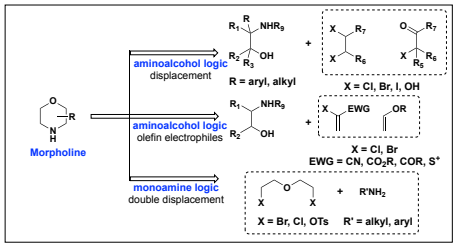


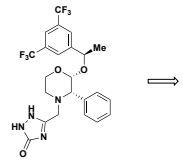


Pfizer, *OPRD*
2021 ASAP

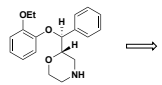


Pfizer, *OPRD*, **2011**, *15*, 1328



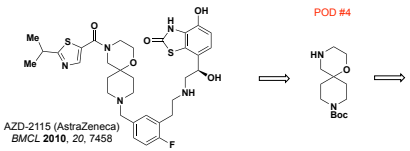


NK1 Receptor Agonist (Merck)
J. Med. Chem. **1996**, *39*, 9
JACS, **2003**, *125*, 2129

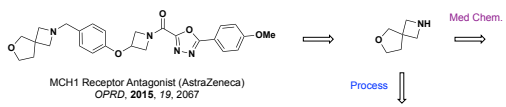


Reboxetine (Pfizer)
OPRD **2007**, *11*, 354

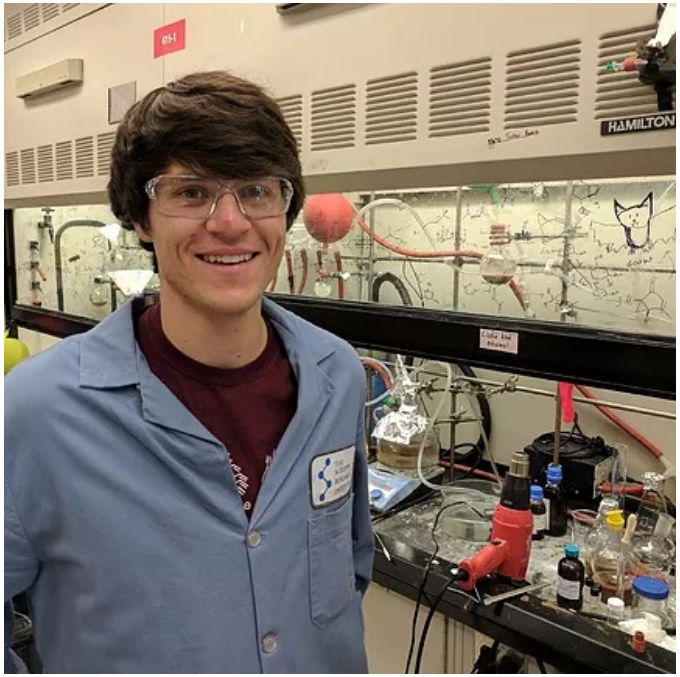
Spirocycles: Putting it all together



AZD-2115 (AstraZeneca)
BMCL **2010**, *20*, 7458



MCH1 Receptor Antagonist (AstraZeneca)
OPRD, **2015**, *19*, 2067



Tucker Huffman



Max Palkowitz