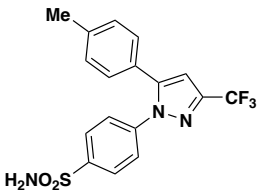
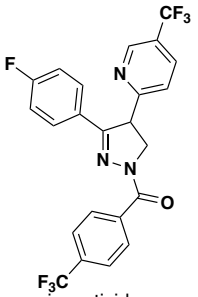
Lectures 14: 1,2-Azoles - Pyrazole/Isoxazole

Study music: Selections from Jascha Heifetz

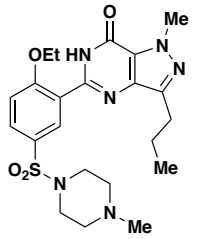
Syntheses discussed:



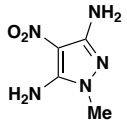
Celebrex (celecoxib)
Pfizer



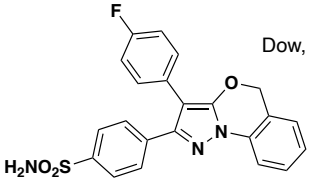
insecticide
Dow, *OPRD* **2003**, *7*, 267



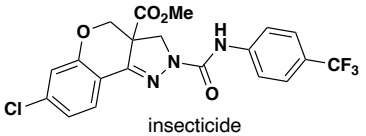
Viagra (sildenafil)
Pfizer, *OPRD* **2005**, *9*, 88



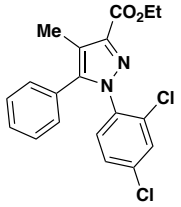
explosive
TL **2003**, 5943



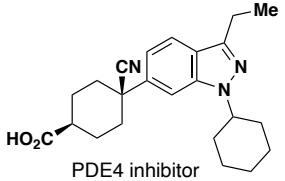
COX-2 inhibitor
Abbott, *JOC* **2004**, *69*, 7058



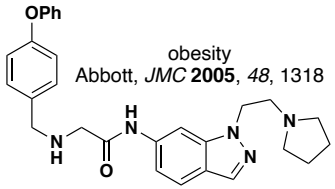
insecticide
DuPont



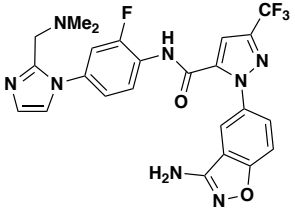
Acomplia (rimonabant)
Sanofi, *JMC* **1999**, *42*, 769



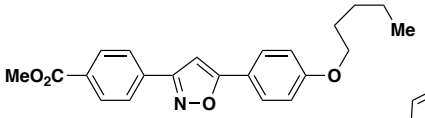
PDE4 inhibitor
Pfizer, *OPRD* **2001**, *5*, 587



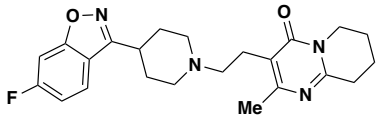
obesity
Abbott, *JMC* **2005**, *48*, 1318



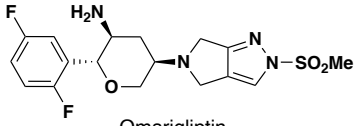
Factor Xa inhibitor
BMS, US 6747158



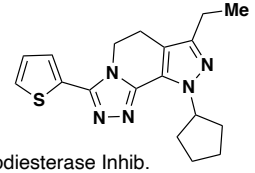
sidechain of micafungin
Fujisawa, *OPRD* **2005**, *9*, 179



Risperdal (risperidone)
Janssen



Omarigliptin
Merck, *J. Label Compd. Radiopharm.* **2016**, *59*, 386.



Posphodiesterase Inhib.
Pfizer, *J. Med. Chem.* **2007**, *50*, 344.

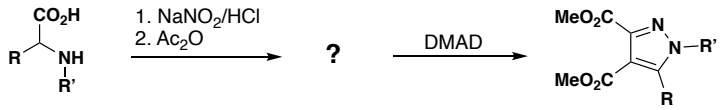
Reading assignment: **HC chapters 25-26; PCC pyrazole**

Partial list of concepts/transforms discussed:

Knorr sydnones
Huisgen

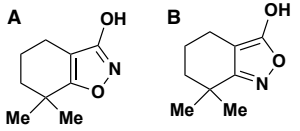
Problems of the day:

1



2 Propose a synthesis of Acomplia:

3 Propose regioselective syntheses of the following compounds



4

