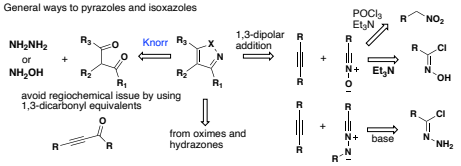
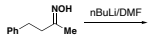
Lecture 14: 1,2-Azoles

General ways to pyrazoles and isoxazoles



example 1:



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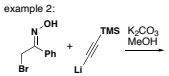

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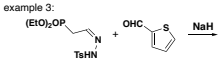
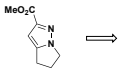
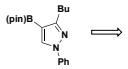


POD #1







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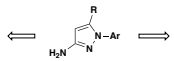
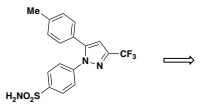
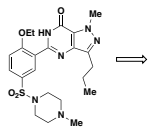


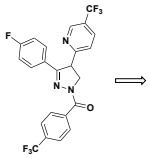
Pyrazole case studies



Celebrex (celecoxib)
Pfizer

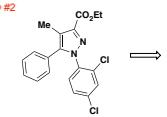


Viagra (sildenafil)
Pfizer, *OPRD* 2005, 9, 88

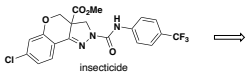


insecticide
Dow, *OPRD* **2003**, *7*, 267

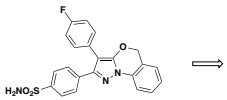
POD #2



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



insecticide
DuPont



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

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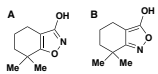
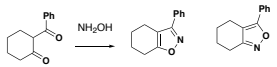
Theme: Regiochemistry

POD #3

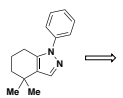


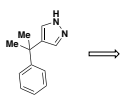
Selective Access for these
isomeric compounds

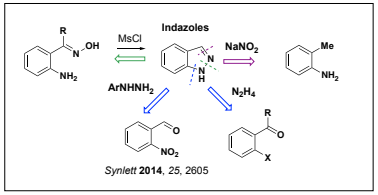


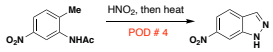
Major

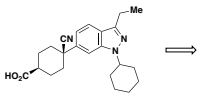
Minor
(Desired)



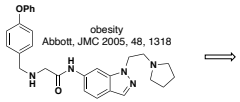








PDE4 inhibitor
Pfizer, *OPRD* **2001**, *5*, 587



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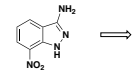
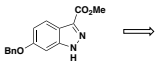
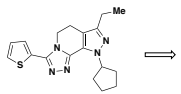
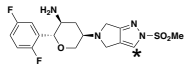






Posphodiesterase Inhib.
Pfizer, *J. Med. Chem.* **2007**, *50*, 344.

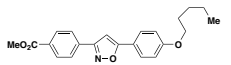
Radio chem



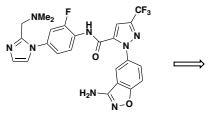
Med. Chem

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

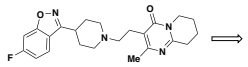
Isoxazoles

Med. Chem ⟸  ⟹ process

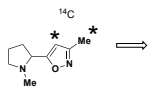
sidechain of micafungin
Fujisawa, *OPRD* **2005**, *9*, 179



Factor Xa inhibitor
BMS, US 6747158



Risperdal (risperidone)
Janssen



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