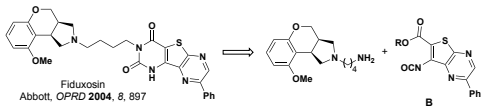
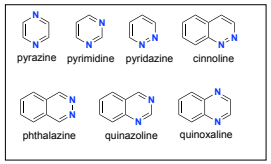
Lecture 12: Di, Tri and Tetrazines

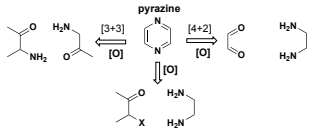


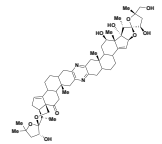
Fiduxosin
Abbott, *OPRD* **2004**, *8*, 897

1. TFA

B ⟹







cephalostatin 1: see 2019 Lecture
Recent work (ritterazine B),
Reisman, *JACS*, **2021**, *143*, 4187.

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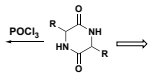
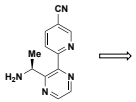
diketopiperazines

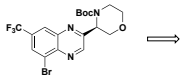


varenicline
Pfizer, *J. Med. Chem.* **2005**, *48*, 3474



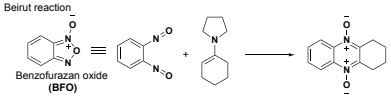
Consulting Corner





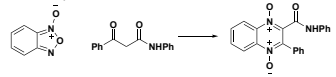
Quinoxalines

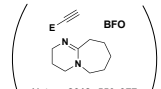
Beirut reaction



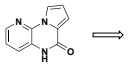
Benzofurazan oxide
(BFO)

1,3-dicarbonyls also work





Nature, **2018**, *559*, 377



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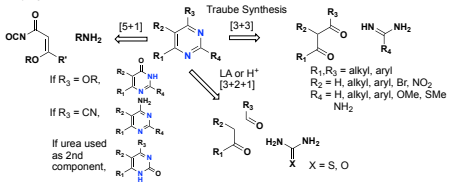
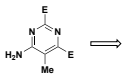
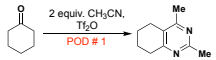
pyrimidine



via cycloaddition:



By condensation of ketones with nitriles



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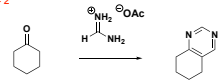
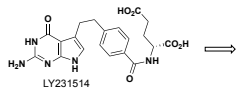
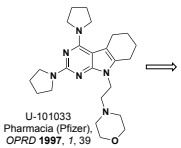


Heterocycles, **2006**, *70*, 581

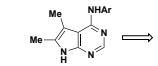
Pyrimidine Case Studies



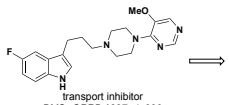
LY231514
Lilly, *OPRD* **1999**, *3*, 184



U-101033
Pharmacia (Pfizer),
OPRD **1997**, *1*, 39



CGP59326
Novartis, *OPRD* **2001**, *5*, 581



transport inhibitor
BMS, *OPRD* **1997**, *1*, 300

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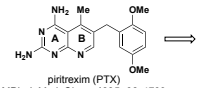

piritrexim (PTX)
MPI, *J. Med. Chem.* **1995**, *38*, 4739

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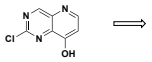
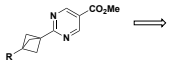
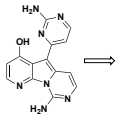
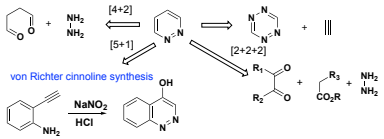
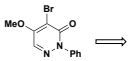






variolin B
Molina, *J. Org. Chem.*
2003, *68*, 489





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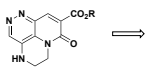

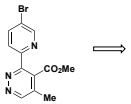

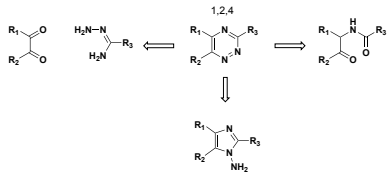

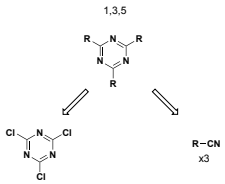

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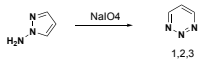
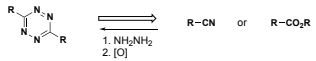
via:



1,2,3

Tetrazines



Temodar, see 2019 Lecture

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