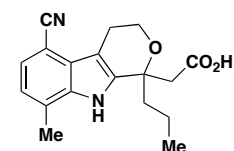
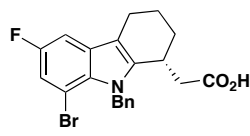
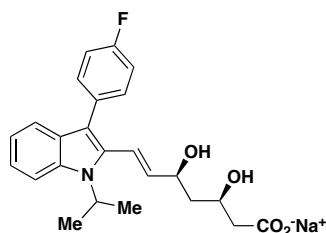
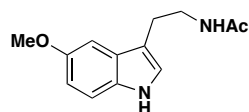
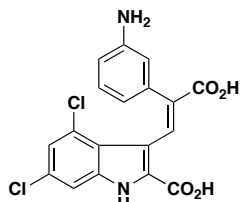
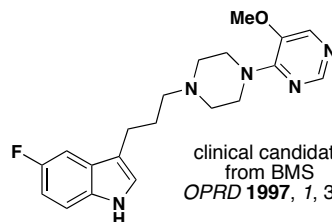
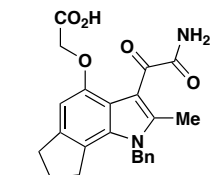
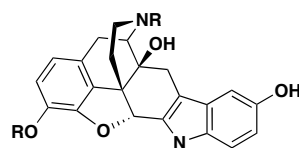
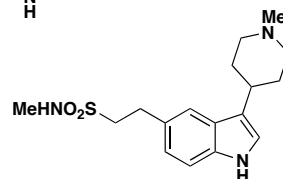
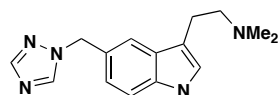
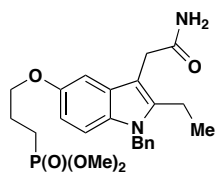
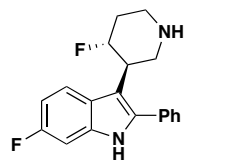
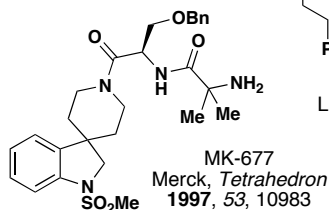
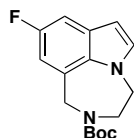


Tuesday, April 12th and Wednesday April 13th, 2011

Background music: Johann Sebastian Bach

Syntheses discussed:

pyrano[3,4-b]indoles
Wyeth, *J. Med. Chem.*
2004, 47, 66031-substituted
cycloalkyl[b]indoles
Merck, unpublishedLescol (fluvastatin)
Novartis, *OPRD* 2001, 5, 519melatonin
Reddy, *OPRD* 1999, 3, 155MDL-103371
Aventis, *OPRD* 2000, 4, 477clinical candidate
from BMS
OPRD 1997, 1, 300carbocyclic[g]indoles
Lilly, *J. Med. Chem.* 2005,
48, 893indolomorphinan
Lewis, *J. Med. Chem.* 2005,
48, 635Amerge (naratriptan)
GSK, AstraZenecaMaxalt (rizatriptan)
MerckLY311727
Lilly, *J. Org. Chem.*
1996, 61, 9055h5-HT_{2A}-receptor agonist
Merck, *J. Med. Chem.* 2001,
44, 1603MK-677
Merck, *Tetrahedron*
1997, 53, 10983intermediate *en route*
to glycogen synthase
inhibitor
Lilly, *J. Med. Chem.*
2004, 47, 3934

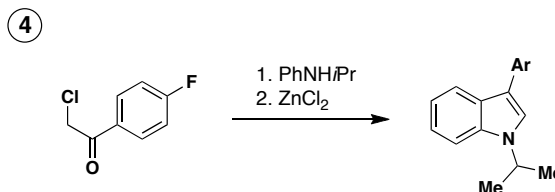
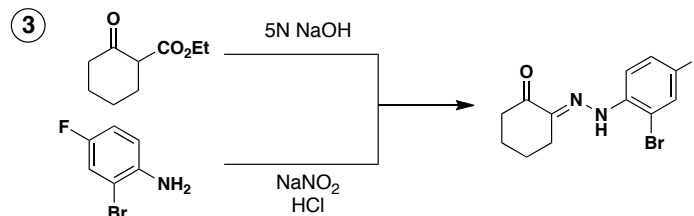
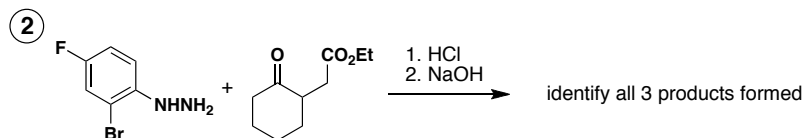
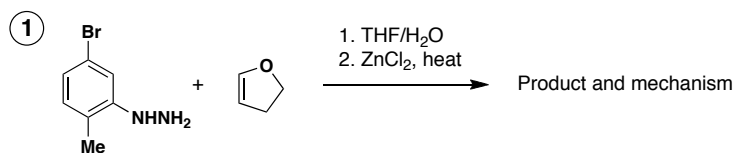
Reading assignment: Li chapter 3; HC chapter 17; Richter indole/pyrrole handout

Partial list of concepts/transforms discussed:

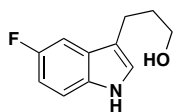
Selected named indole syntheses:

Fischer	Bartoli
Japp-Klingemann	Gassman
Bischler	Reissert
Batcho-Leimgruber	Nenitzescu
Hemetsberger	Madelung
Heck	Sundberg

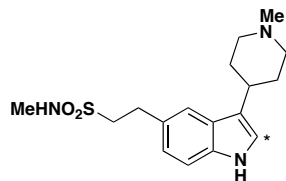
Problems of the day:



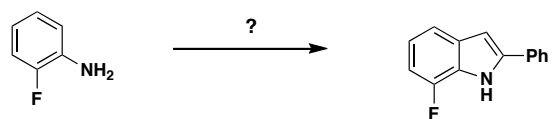
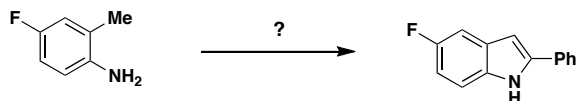
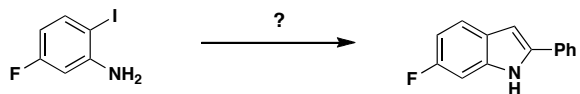
5 Provide at least three distinct routes to the following indole:



6 How would you prepare ^{14}C labeled Amerge?



7 Provide routes to the following fluorinated indole isomers using the indicated sm:



8 Propose a synthesis of MK-677

9 Provide (multiple) routes to the following indoles:

