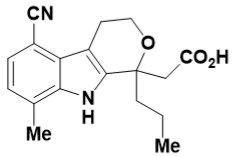
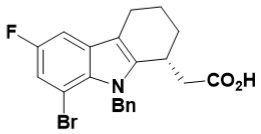
Study music: Johann Sebastian Bach

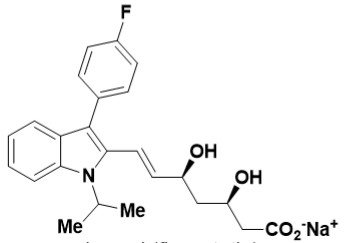
Syntheses discussed:



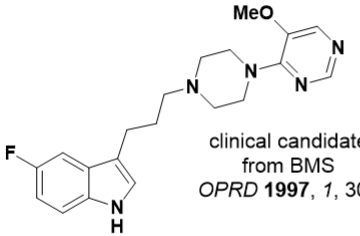
pyrano[3,4-b]indoles
Wyeth, *J. Med. Chem.* **2004**, *47*, 6603



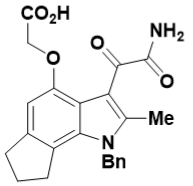
1-substituted cycloalkyl[b]indoles
Merck, *unpublished*



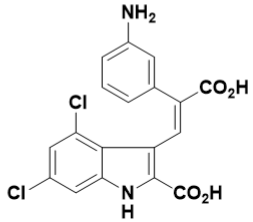
Lescol (fluvastatin)
Novartis, *OPRD* **2001**, *5*, 519



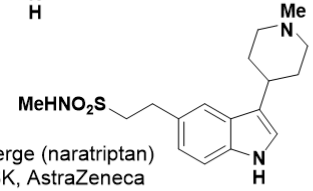
clinical candidate from BMS
OPRD **1997**, *1*, 300



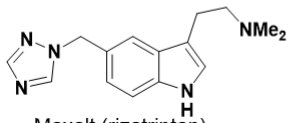
carbocyclic[g]indoles
Lilly, *J. Med. Chem.* **2005**, *48*, 893



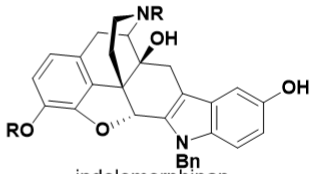
MDL-103371
Aventis, *OPRD* **2000**, *4*, 477



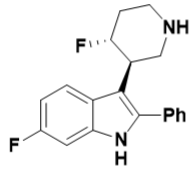
Amerge (naratriptan)
GSK, AstraZeneca



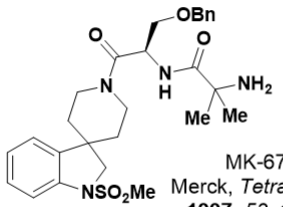
Maxalt (rizatriptan)
Merck



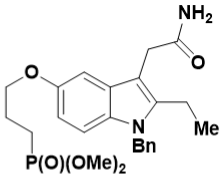
indolomorphinan
Lewis, *J. Med. Chem.* **2005**, *48*, 635



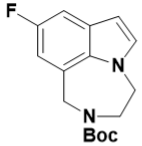
h5-HT$_{2A}$-receptor agonist
Merck, *J. Med. Chem.* **2001**, *44*, 1603



MK-677
Merck, *Tetrahedron* **1997**, *53*, 10983



LY311727
Lilly, J. Org. Chem. 1996, 61, 9055



intermediate *en route* to glycogen synthase inhibitor
Lilly, *J. Med. Chem.* **2004**, *47*, 3934

Reading assignment: HC chapter 20; PCC indole; Richter indole/pyrrole handout

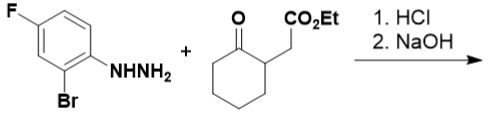
Partial list of concepts/transforms discussed:

Selected named indole syntheses:

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

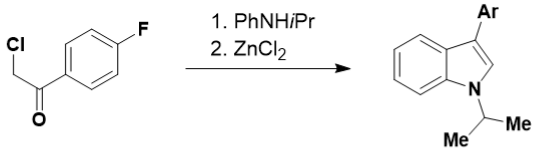
Problems of the day:

1

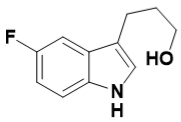


identify all 3 products formed

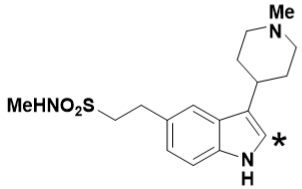
2



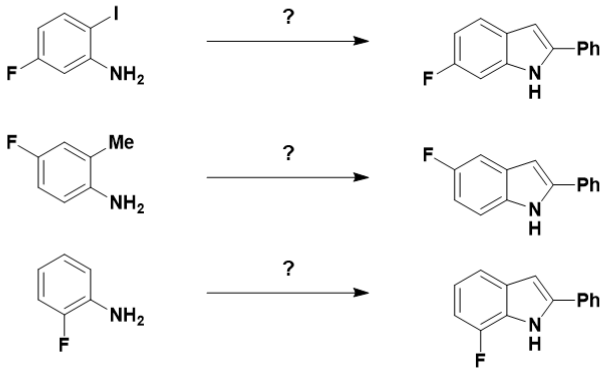
3 Provide at least three distinct routes to the following indole:



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

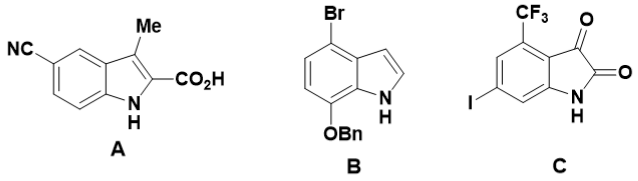


5 Provide routes to the following fluorinated indole isomers using the indicated sm:



6 Propose a synthesis of MK-677

7 Provide (multiple) routes to the following indoles:



8 Propose a synthesis of radio labelled indoles/azaindole below

