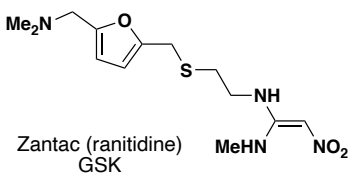
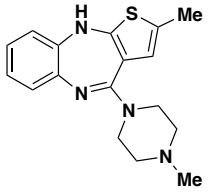
Lecture 5: Furans and Thiophenes

Study music: Handel, Water Music (suites 1-3)

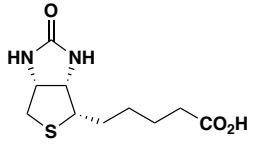
Syntheses discussed:



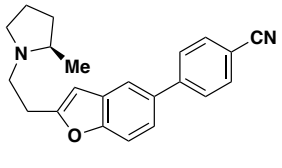
Zantac (ranitidine)
GSK



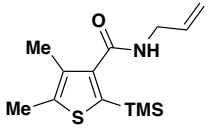
Zyprexa (olanzapine)
Eli Lilly



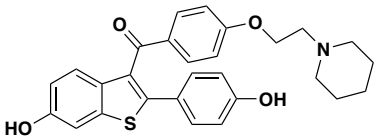
biotin



ABT-239
Abbott, *OPRD* **2005**, *9*, 45–50.



Latitude (silthiofam)
Pharmacia, Monsanto,
OPRD **2002**, *6*, 357–366.



Evista (raloxifene)
Lilly, *OPRD* **1999**, *3*, 56–59.

Reading assignment: HC chapters 17-18; handouts

Partial list of concepts/transforms discussed:

General guidlines for ring synthesis vs. ring substitution

Types of cyclization reactions

Paal-Knorr furan/thiophene synthesis

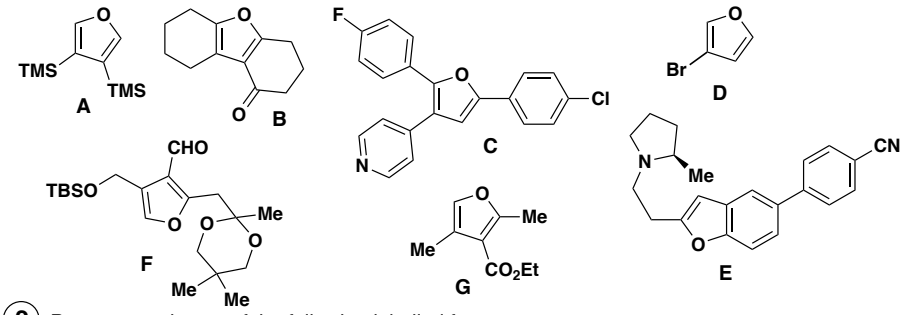
Feist-Benary furan synthesis

Fiesselmann thiophene synthesis

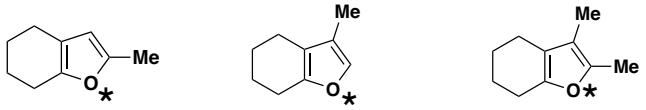
Gewald aminothiophene synthesis

Problems of the day:

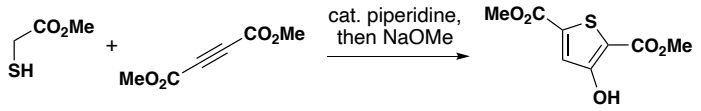
1 Propose practical syntheses of the following furans:



2 Propose syntheses of the following labelled furans:

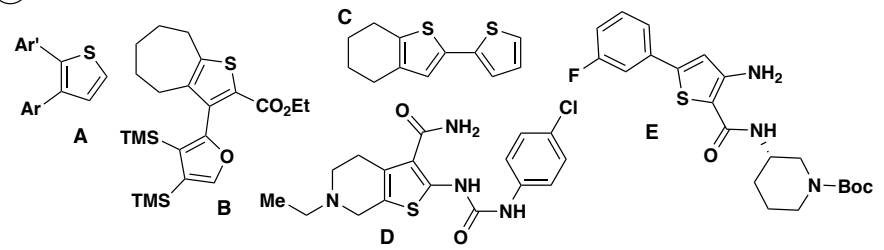


3 Provide a mechanism for the following thiophene synthesis:



4 How would you synthesize olanzapine?

5 Propose practical syntheses of the following thiophenes:



6 Devise a biotin synthesis from a thiophene-based starting material