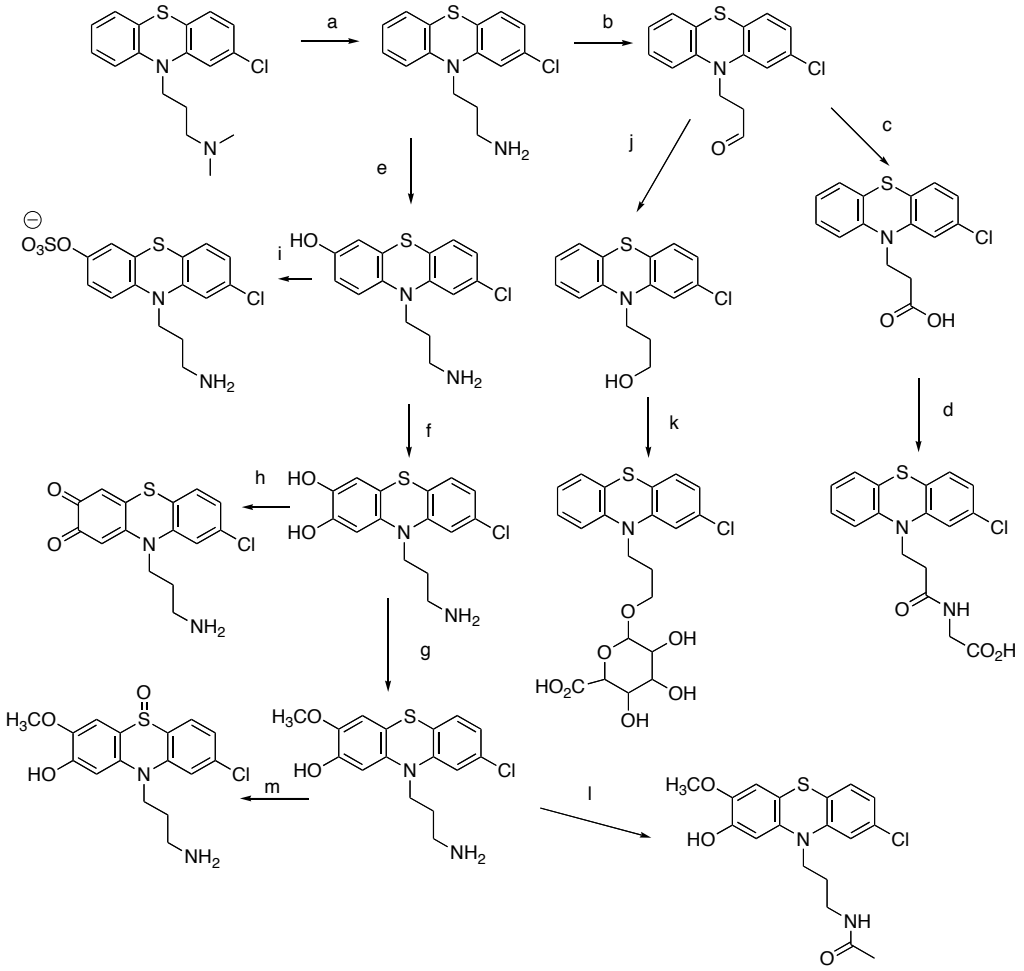
Exercises KJ 5230: Nov. 23th – 2004

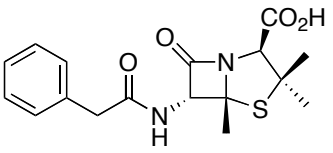
1. Explain how you may determine if a compound is a competitive or non-competitive inhibitor of an enzyme.

2. Which of the hypothetic metabolites are phase I and phase II?. Name reactions and co-actors required. (no mechanisms)

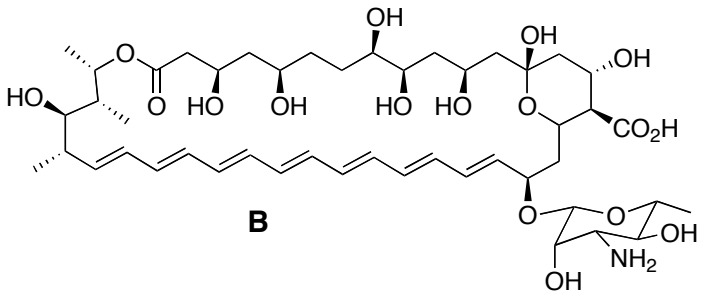


3.

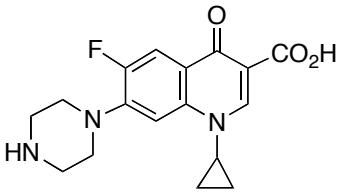
a) Compounds **A**-**D** are used to treat infections. What calsses of drugs do they belong to. Explain how you can distinguish between the drug classes of **B** and **D**.



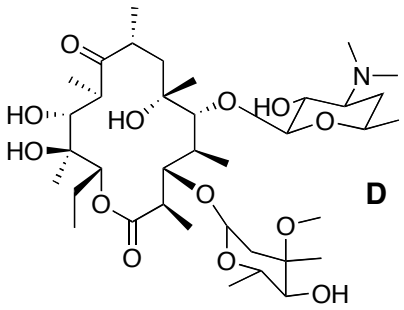
A



B

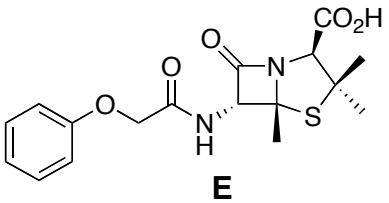


C

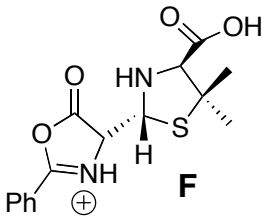


D

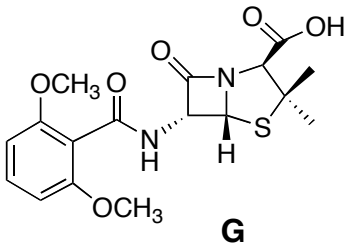
b) **E** is more stable in acidic media than **A**. Show (incl mecanisms) how **A** can be transformed to the intermediate **F** in acidic media and use this mecanism to explain why **E** is more stabile



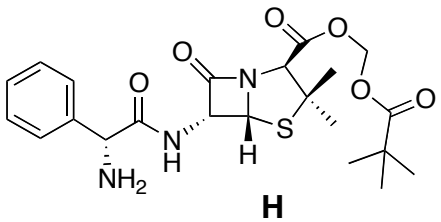
E



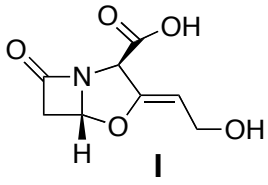
F



G



H



I

c)**A** is naturally occuring, but **G** and **H** are semisynthetic analogs. Explain why these modifications have been done.

d) **I** is used in combination with drugs like **A**. Explain why and show mechanism of action for **I**.

e) **D** has low stability in acidic media. Explain why, and discuss synthetic modifications that would increase stability.

f) Discuss stability (hydrolytic and enzymatic) of cephalosposines and compare with pennicilines

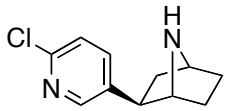
4.

a) Why should not tetracyclines be taken with milk?

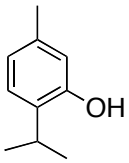
b) Compare stability of doxycyclin and oxytetracyclin. (include mechanisms for reactions that may occur in aqueous media at various pH).

5

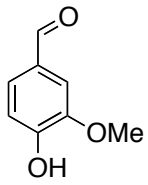
a) Which classes of natural products do the folllowing conpounds belong to



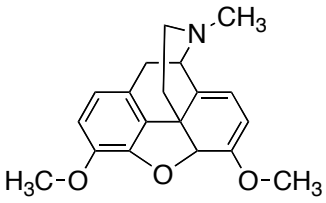
1



2

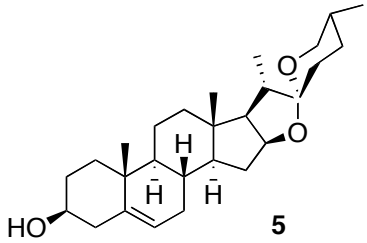


3



4

b) Diosgenine **5** is present in ca 6% in Yams root and is a usefull starting material for the synthesis of steroid hormones. Suggest a synthethic route from diosgenine to Cortisol (Hydrokortison).



5

c) Show how squalene biosynthetically can be transformed into stereoids. Which drugs do you know that interfere with normal steroid biosynthesis? List compounds, drug class and mechanism of action.