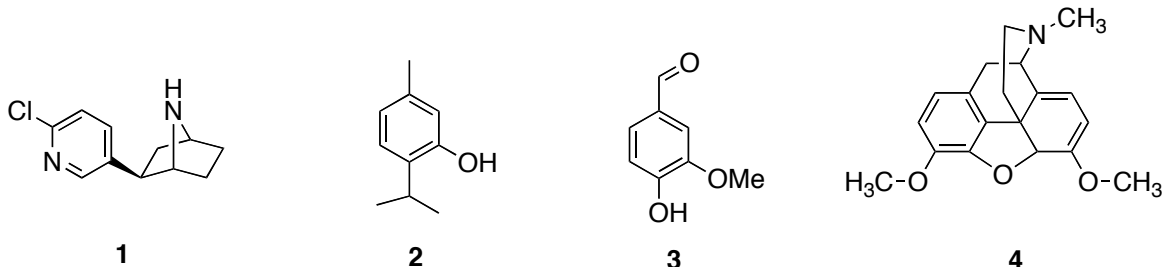


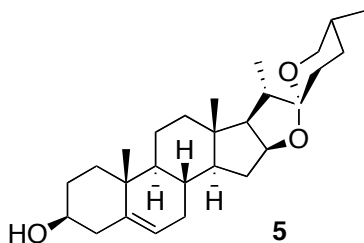
## Exercises KJ 5230: Nov. 24<sup>th</sup> – 2004

1

a) Which classes of natural products do the following compounds belong to



b) Diosgenin **5** is present in ca 6% in Yams root and is a useful starting material for the synthesis of steroid hormones. Suggest a synthetic route from diosgenin to Cortisol (Hydrokortison).

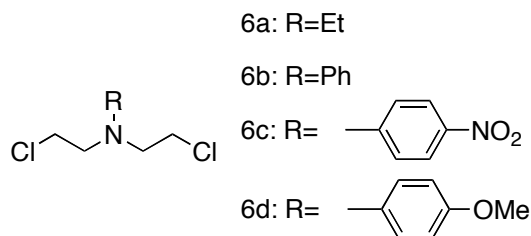


c) Show how squalene biosynthetically can be transformed into steroids.

d) Which drugs do you know that interfere with normal steroid biosynthesis? List compounds, drug class and mechanism of action.

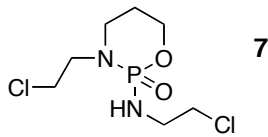
2.

a) Suggest possible drug class for the compounds **6**. Show their mechanism of action.



b) Discuss relative reactivity of compounds **6**.

c) Compound **7** is a pro-drug. Why is not **7** it self active?. Show how **7** may be metabolized into active compound(s)



d) Why is compound **7** sometimes administered together with  $\text{NaO}_3\text{S}(\text{CH}_2)_3\text{SH}$ ?

**3.**

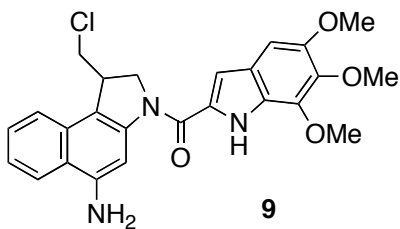
a) Explain the mechanism of action for 5-Fluorouracil. What would be a good drug to be used together with 5-FU in combination therapy?

b) 5-Fluorocytosin was used earlier as an antimycoticum. The compound is relatively non-toxic to humans and cannot be used as an anticancer drug. Suggest a mechanism of action as antimycoticum.

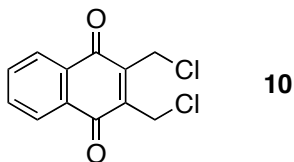
c) Azathioprine **8** is metabolized to 6-mercaptopurine. Glutathione is involved. Suggest a mechanism.

**4.**

a) Compound **9** alkylates DNA, suggest mechanism.



b) Compound **10** must be activated by NADPH before reacting with DNA, suggest mechanism for activation and reaction.



**5,**

a) Explain the mechanism of action for anthracyclines

