الإجابة النموذجية لامتحان مادة كيمياء دوائية وغير متجانسة

٤٥٢ ك
(ورقة امتحانيه كاملة)

المستوى: الرابع

الشعبة: كيمياء تطبيقية

التاريخ: الأحد ٢٠١٧/١/٢٢

الممتحن: د. هاني إبراهيم محمد إبراهيم

قسم: الكيمياء

كلية: العلوم

Benha University	**Chemotherapy & Heterocyclic**	Time: 2 hours
Faculty of Science	**Code: 452 CH**	Jan. 22nd, 2017
Chemistry Dept.	4th Level Students	**Applied Chem.**

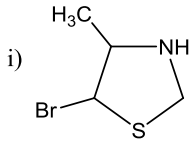
Answer the following questions:

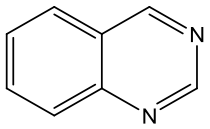
[Q1] (A) Describe a method to prepare the following: [**6 marks**]

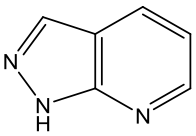
i) 2-Methylfuran ii) 2-Ethyl-5-methylpyrrole

iii) 2-Amino-3-cyano-5-phenylthiophene

(B) Give a suitable IUPAC name for the following heterocycles: [**6 marks**]

i) 

ii) 

iii) 

[Q2] (A) Start with acetanilide to prepare a sulpha drug, which contains: [**9 marks**]

i) Two sulphur atoms ii) Aliphatic protons iii) No heterocyclic moiety

(B) Use the structure of **folic acid** to explain briefly how sulpha pyrimidine can be used to kill or inhibit the growth of bacteria. [**3 marks**]

[Q3] Malaria disease could be controlled through the use of antifolates drugs:

i. Mention the types of the malaria parasite. [**3 marks**]
ii. Discuss the mechanism of action of such compounds. [**3 marks**]
iii. Show the synthesis of two antifolate drugs. [**6 marks**]

[Q4] (A) Give an account on the following: [**6 marks**]

i. Electrophilic substitution in furan is regioselective to the **position-2**.

ii. Sulphonation of pyrrole **cannot** be carried out by conc. H_2SO_4.

(B) Show how you can prepare the following: [**6 marks**]

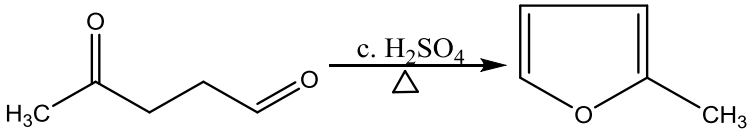
i. Thiophene-2-carbaldehyde from a dicarbonyl compound.

ii. A nitro & halo derivatives of furan.

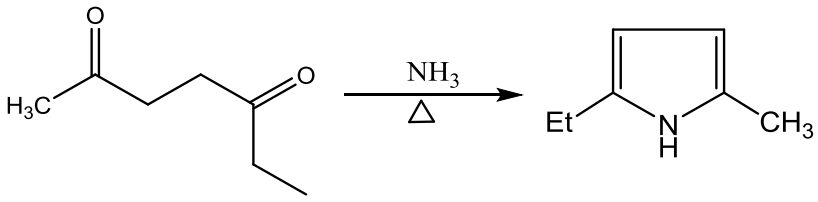
Dr. H. I. Mohamed **Good Luck**

Model Answer

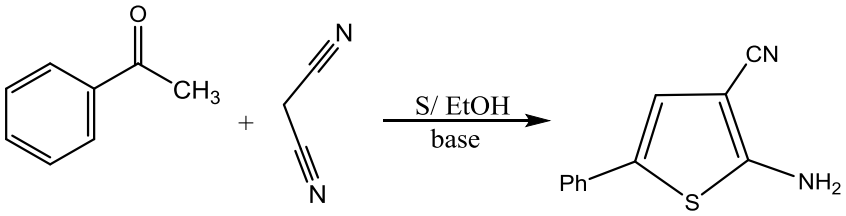
[Q1] (A) i) 2-Methylfuran:



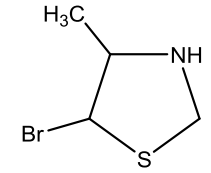
ii) 2-Ethyl-5-methylpyrrole



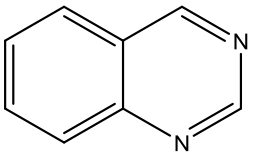
iii) 2-Amino-3-cyano-5-phenylthiophene



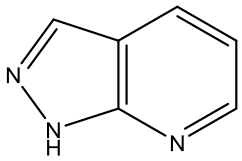
(B)

i) 

5-bromo-4-methylthiazolidine

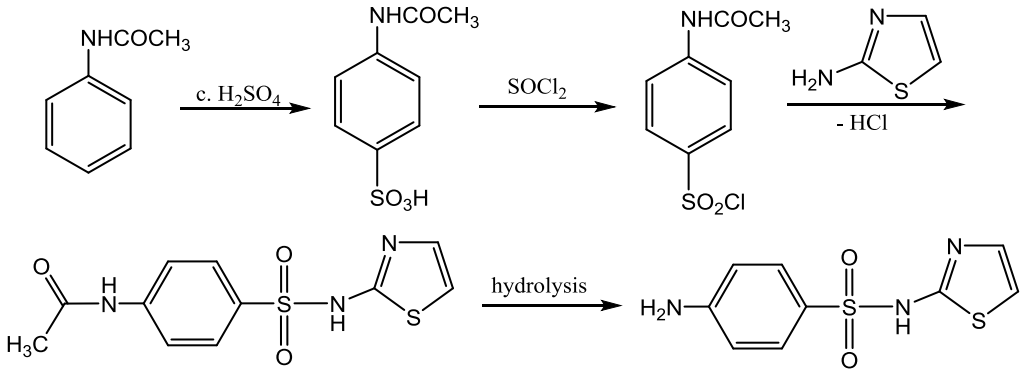
ii) 

quinazoline

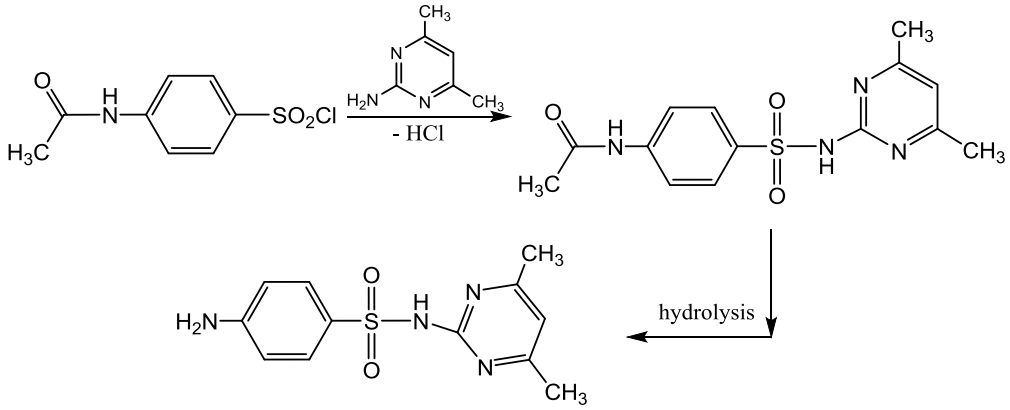
iii) 

1*H*-pyrazolo[3,4-*b*]pyridine

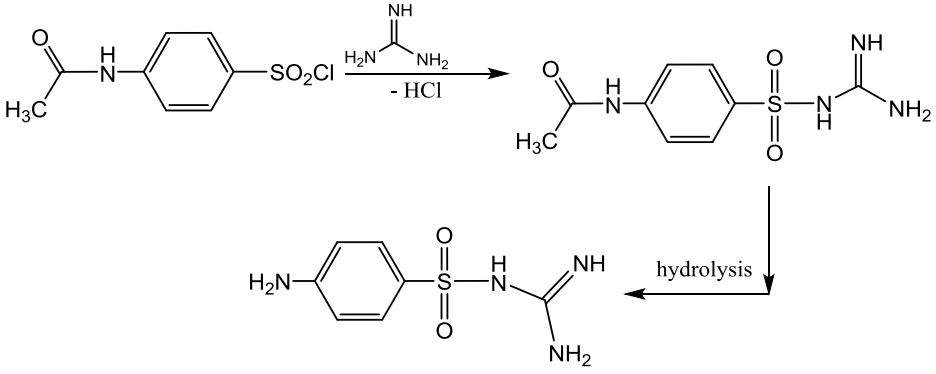
[Q2] (A) i) A sulpha drug with two sulphur atoms:



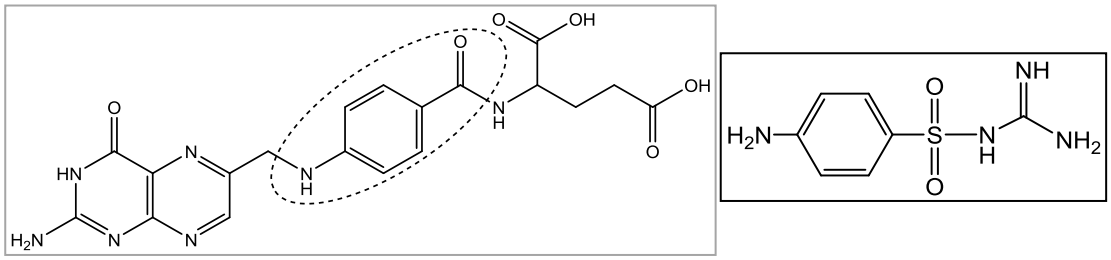
ii) A sulpha drug with aliphatic protons: as in i) and



iii) A sulpha drug with No heterocyclic moiety: as in i) and



(B)



Folic acid, one of the main constituents used in building the bacterial cell wall, consists of pteridine, ***p*-aminobenzoic acid** (PABA) and glutamic acid moieties. Sulfa guanidine with its **sulfanilamide** moiety is an antimetabolite to the PABA moiety in folic acid, inhibits the metabolic pathway for building the cell wall of bacteria, and hence kill it.

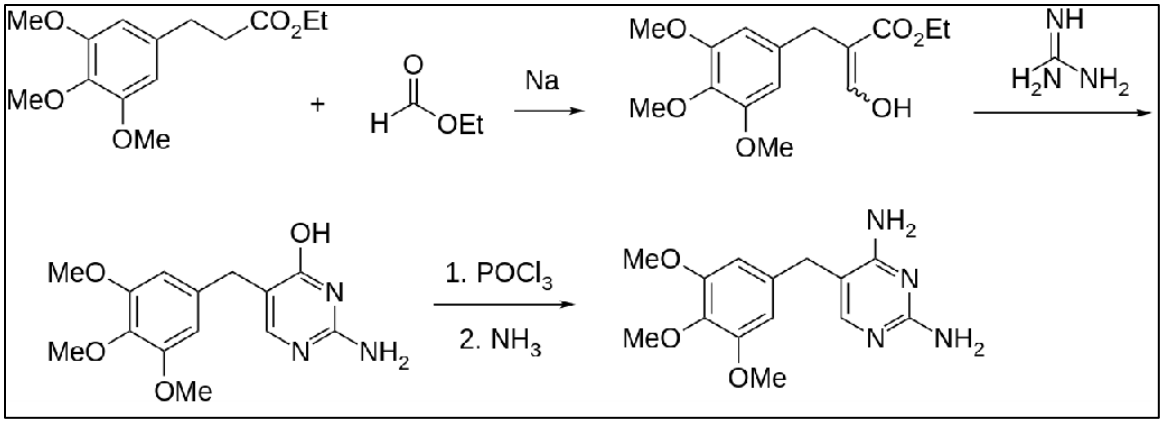
i) Plasmodium types:

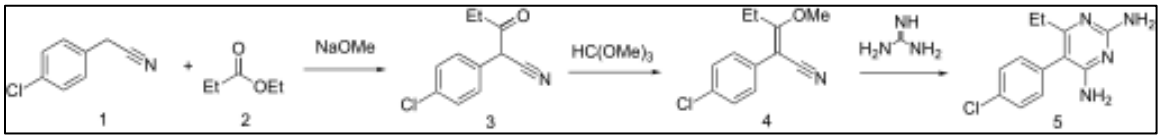
a) P. falciparum b) P. vivax c) P. ovale

d) P. malariae e) P. Knewlesi

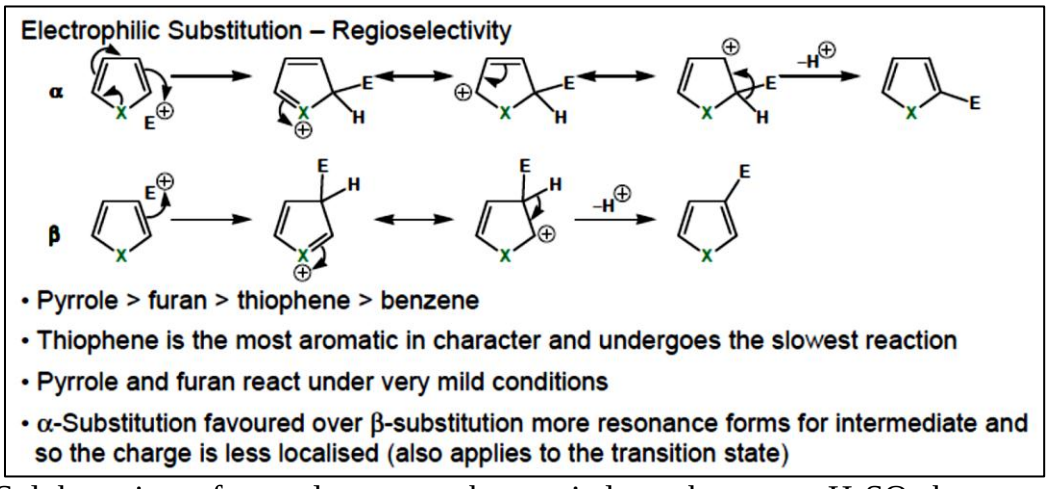
ii) Mechanism of action: Dihydrofolate reductase inhibitors (DHFR) can treat malaria disease via stopping the action of the enzyme, dihydrofolate reductase inhibiting the conversion of dihydrofolic acid to tetrahydrofolic acid and hence the DNA synthesis for the parasite will be stopped.

iii) Antifolate drugs:



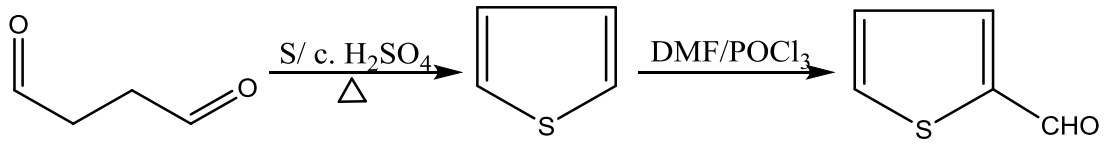


[Q4] (A) i. Electrophilic substitution of furan



ii. Sulphonation of pyrrole **cannot** be carried out by conc. H_2SO_4 because the concentrated acid may cause ring polymerization and hence ring rupture. Therefore, a pyridine-SO3 complex is used for such process.

(B) i. Thiophene-2-carbaldehyde



ii.