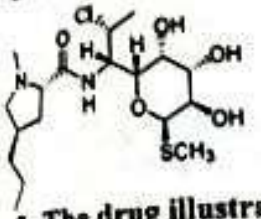
Al-Azhar University
Faculty of Pharmacy
Department of Pharmaceutical Chemistry and Pharmacognosy
Pharmaceutical Chemistry (I)
Final exam 04-01-2018

Name : _____ *Time 110 min*

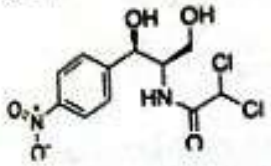
I) Choose the best correct answer

1- The drug illustrated below is:



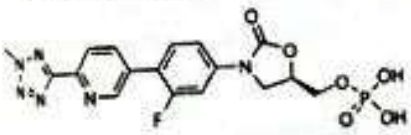
a- Licosamide
b- Macrolide
c- Aminoglycoside
d- Aminocoumarin

2- The drug illustrated below:



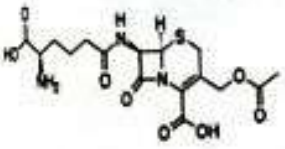
a- Active against *Salmonella typhi*.
b- Converted to succinate prodrug to mask bitter taste.
c- Nucleic acid synthesis inhibitor.
d- Two of the above

3- The drug illustrated below is:



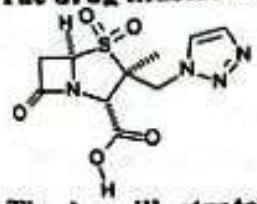
a- Oxazolidinone that inhibits DNA synthesis.
b- Antibiotic inhibits protein synthesis
c- Is a prodrug active against MRSA
d- Active antibacterial agents inhibits folic acid synthesis

4- The drug illustrated below:



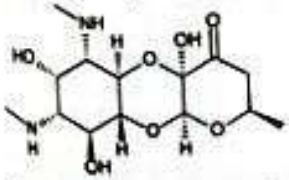
a- Has greater stability toward acid than penicillin G due to the NH_2
b- Has greater stability toward β-lactamase than penicillin G due lower ring strain.
c- Acetyloxy group at C_3 is important for β-lactamase stability
d-All of the above

5- The drug illustrated below:



a- Is penicillanic acid sulfone
b- Is potent irreversible cell wall inhibitor
c- Is potent β-lactamase inhibitor
d- Has broad G-ve and G +ve antibacterial activity

6- The drug illustrated below:

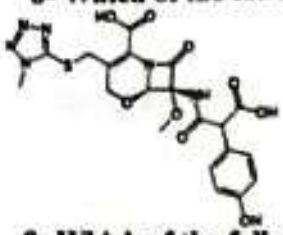


a- Spectinomycin
b- Macrolide
c- Coumarin antibiotic
d- Ketolide

7- Regarding the sulfanilamide structure, which of the following is <u>not true</u>?

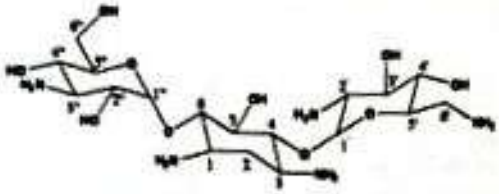
a- It is analogue to PABA that inhibits dihydrofolate reductase
b- N^4 should be unsubstituted
c- The addition of EWG on N^1 will enhance water solubility
d- Major metabolite is N^4-acetylation

8- Which of the following is correct:



a- Is a β-lactamase resistance with potential alcohol intoleran
b- Is semisynthetic orally active
c- Is a prodrug
d- low activity on Gram (−)
e- Two of the above

9- Which of the following is incorrect:

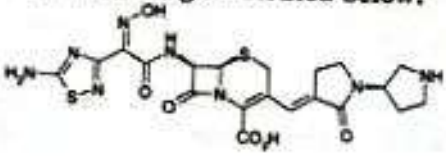


a- Is a bacteriostatic
b- Inhibits protein synthesis
c- Broad spectrum with nephrotoxocity
d- Poor absorption
e- All of the above are correct

10- Regarding the structure in Q9, which of the following is true?

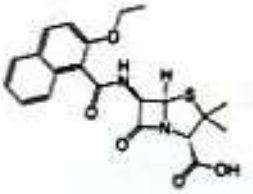
a- 3'-OH group is important for activity.
b- N^1-ethyl group would produce a drug with better stability towards acetyltransferase
c- The 6'-NH_2 can be replaced with OH group to enhance the antibacterial activity
d- The 2'-NH_2 could be replaced with OH to reduce toxicity
e- Two of the above

11- The drug illustrated below:

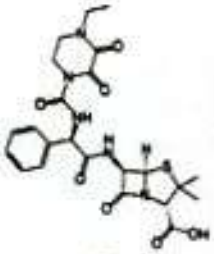


a- Is 3rd generation cephalosporin
b- Active against MRSA
c- Active against G(+) and G (-) but not MRSA
d- Good water solubility
e- Two of the above

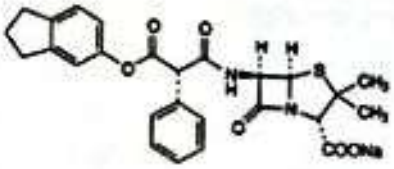
- Based on the following structures, answer questions 12-16



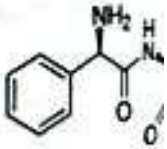
A



B



C

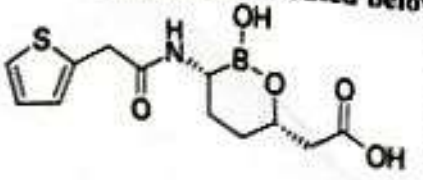


D

- Which of the following drugs

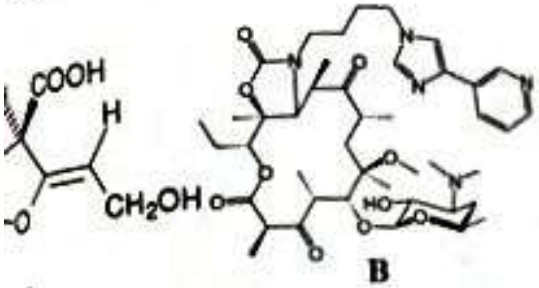
12- orally active.....
13- has good β-lactamase resistance.....
14- has antipseudomonal activity.....
15- poor G (-) activity.....
16- Prodrug.....

17- The drug illustrated below:

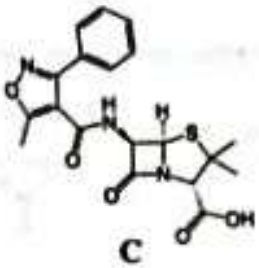


a- Non- β-lactam β-lactamase inhibitor
b- Given with carbapenem as dehydropeptidae-I inhibitor
c- Active against G(+) and G (-) but not MRSA
d- Synthetic antibacterial drug
e- Two of the above

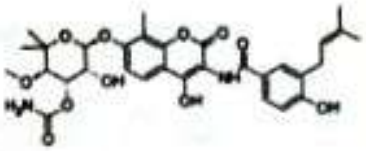
. Based on the following structures, answer questions 18 - 22



A



B



C

D

18- Drug..... is natural compound
a- A b-B c- C d-Two of the above

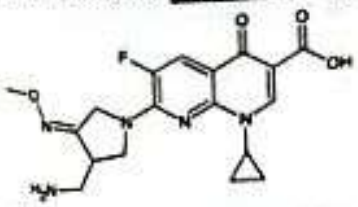
19- Which of the following is incorrect?
a- Drug C is oral, β-lactamase resistance penicillin with lower activity than PenG
b- Drug D is amphoteric.
c- Drug D inhibits nucleic acid synthesis
d- Drug A is β-lactamase inhibitor
e- Two of the above

20- Which is <u>incorrect</u> regarding compound (B)?
a- Is ketolide effective against macrolide-resistant bacteria
b- It has activity against G –ve similar to erythromycin.
c- Is acid-unstable and therefore can not be taken orally
d- Two of the above

21- Drug (A) is.....
a- Monobactam b- Carbapenam
c- Oxacephem d- Oxapenam

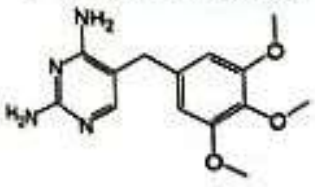
22- Which is correct regarding compound (B)?
a- To cancel nicotinic effects we exchange the pyridine ring with aniline
b- To cancel the effect on visual accommodation we exchange the imidazole ring with triazole
c- To cancel nicotinic effects we exchange the pyridine ring with triazole
d- Oxazolidinone ring was introduced at position 10,11
e- To cancel nicotinic effects we replace the imidazole ring with aniline

23- Which is <u>incorrect</u> regarding the drug below:



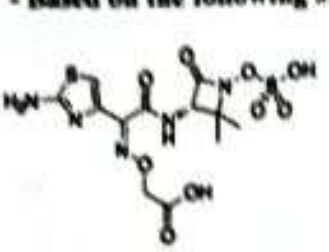
a- Is gyrase inhibitor
b- Is naphthyridine derivative
c- Active against G(+) and G (-)
d- None

24- Which is <u>incorrect</u> regarding the drug below:

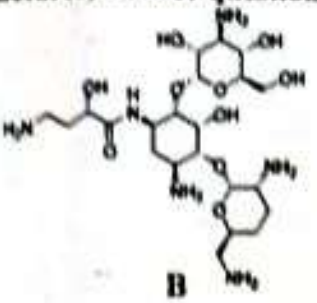


a- Is gyrase inhibitor
b- Is given in 1:5 ratio in combination with sulfamethoxazole
c- Is synthetic antibacterial agent
d- None

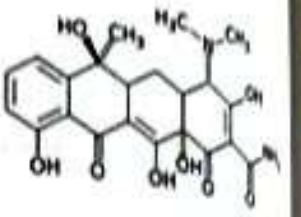
- Based on the following structures, answer questions 25-28



A



B



C

25- Drug (B) ...

a- Produg
b- Semisynthetic aminoglycosides effective against anaerobic bacteria
c- Is resistance to inactivation by AAC-3 and APH
d- Two of the above are correct

26- Regarding drug (A), which of the following is true?

a- The sulfate group is essential for β-lactamse resistance
b- The aminothiazole ring is good for G + ve activity
c- The sulfate facilitate the attack at the lactam ring by PBP (target)
d- Has broad spectrum antibacterial activity
e- Two of the above

27- Which of the following statements is correct.....

a- Drug C is amphoteric and inhibits DNA synthesis
b- Drug A inhibits transpeptidase enzyme while B is protein synthesis inhibitor
c- Drug C is basic and inhibits protein synthesis.
d- Drug A is semisynthetic orally inactive monobactam

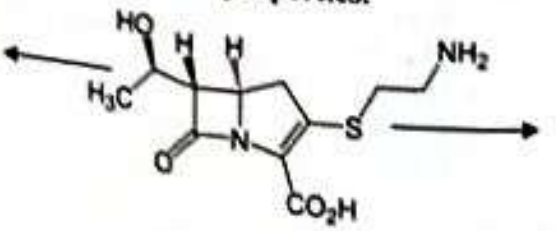
28- Which of the following is incorrect regarding C?

a- Adding N-pyrrolidinomethyl on the amide will enhance water solubility.
b- OH at position 3 has the highest pKa, while OH at position 5 improves the PK properties
c- The N-demethyl derivative is active.
d- Demethylation at position 6 will not affect the activity.

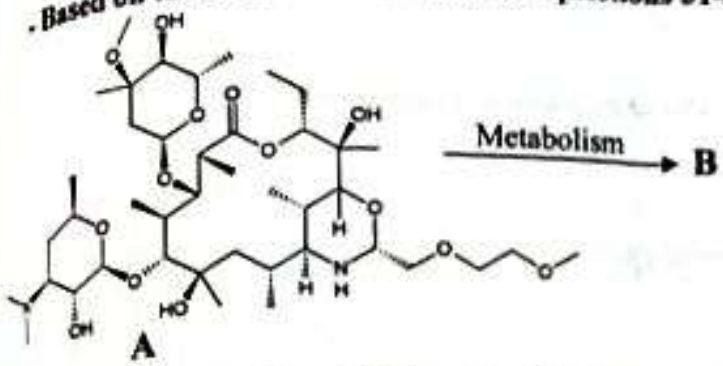
29- Which of the following statements is correct regarding proxetil.....

a- Is drug trade name
b- Antibacterial agent
c- Ester prodrug
d- β-lactamase inhibitor

30- Mention the classification, spectrum of activity and suggest a suitable structural modification to improve its properties.



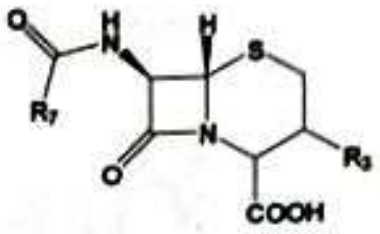
. Based on the structure below, answer questions 31-32:



A

31- Draw the structure of B (<u>part involved is enough</u>)
32- Explain the advantage of drug A on B

33- A) Correct the general structure shown
B) Suggest suitable cephalosporin drug with:



-Parenteral cephalosporanic acid derivative

-Parenteral with metabolic stable, acid unstable good leaving group

- Parenteral 3rd gen, β-lactamase stability, hepatic clearance, long half life

-Orally active

-Excellent β-lactamase stability, high antipseudomonal

34-Regarding Penicillins: A) write the name of bioprecursor.

B) Semisynthetic modification of penicillin.

C) Complete the equation below:

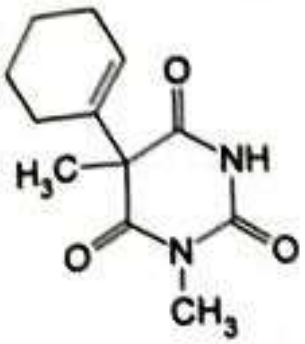
35- Regarding Drug (C) in Q25-28 page 4:
A) Explain its base instability

B) Modify the structure to:
- A base-stable/acid-unstable derivative.

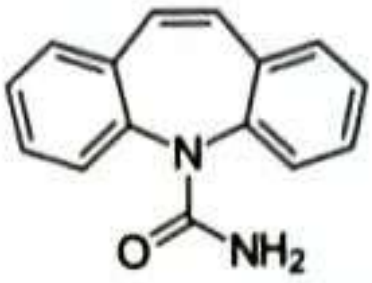
- More potent, less polar derivative, active against tetracycline resistance bacteria

36- Write the metabolism of the following drugs

A)



B)



GOOD LUCK

Dr. Ihab Almasri