Tanta University
Faculty of Pharmacy
Depart. of Pharm. Chem.
Final Exam
Total Points: 100

December 27, 2013
Level 3 Credit Hour Students
Medicinal Chemistry 1
Time: 120 min
Course Code: PC 509

This Exam Booklet contains 10 different pages

Part One (25 Points, 60 min)

(20 Points)

Q # I:

Discuss briefly the following, giving structures, examples, mode of action and equations whenever possible

1) Degradation of tetracyclines

2) Second generation cephalosporins

3) SAR of aminoglycosides

4) Classes of antimalarial drugs

5) Preparation of povidone iodine

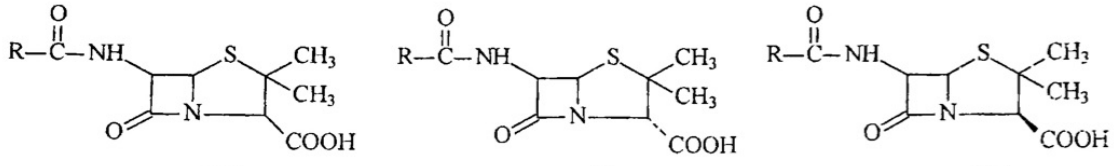
Q # II: **(5 Points)**

Select the **one best answer** by encircling the appropriate letter (a-e) then fill the **answer sheet for Part One**

1) The IUPAC name of methylene blue is 3,7-*Bis*(diethylamino)phenothiazinium chloride
a) True b) False

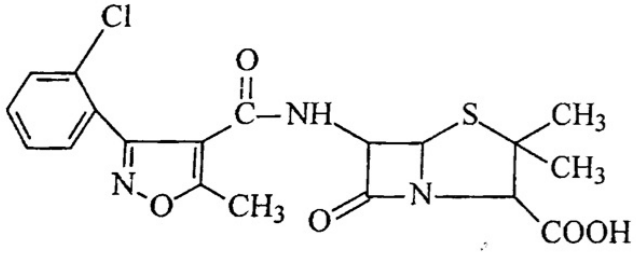
2) In nanomolar concentration imidazole antifungals act via
a) Damaging cell membrane with the loss of cellular constituents such as potassium and amino acids
b) Inhibiting lanosterol 14α-demethylase
c) Inhibition of squalene epoxidase
d) None

3) Amphoteracin is
a) Pentaene b) Hexaene
c) Heptaene d) None

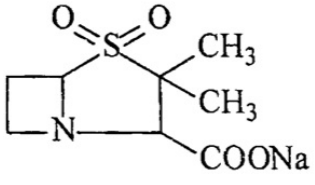


(A) (B) (C)

4) For the above structures, which is the active form of penicillins?
a) **A** b) **B**
c) **C** d) All
e) None



(A)

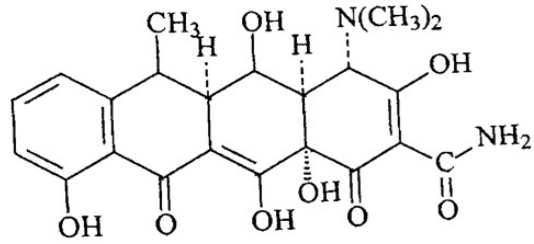


(B)

5) The above **A** is, **except**
a) Broad-spectrum b) Orally active
c) β-lactamase resistant d) All
e) None

6) The above structure **B** is
a) Calvulanic acid b) Sulbactam
c) Tazobactam d) None

7) Which is **not** true concerning clindamycin?
a) It belongs to macrolide antibiotics
b) It is a sulfur-containing antibiotic
c) It contains pyrrolidine ring
d) It is 7-chloro-7-deoxy lincomycin
e) None



8) The above structure is
a) Tetracycline
b) Oxytetracycline
c) Doxycycline
d) minocycline

9) In tetracyclines, a *cis*-A/B ring fusion with an α-hydroxyl group at C-12a is essential for activity
a) True
b) False

10) Relocation of the nitro group in chloramphenicol abolishes activity
a) True
b) False

Answer Sheet for Part One

	a	b	c	d	e
1					
2					
3					
4					
5					
6					
7					
8					
9					
10					

Part Two (25 Points, 60 min)

Q #1: 1: Answer the following MCQ questions from (1–12) by ticking the appropriate box in the following **answer sheet** . Choose only **one best answer** and **do not use pencil. (6 Points, 14 min)**

Answer sheet of part two

No	a	b	c	d	e	No	a	b	c	d	e
1						7					
2						8					
3						9					
4						10					
5						11					
6						12					

1. In order to avoid CNS side effects, the drug should have log P value

a) higher than 2 b) 2 c) lower than 2

d) close to 2 e) none of the above

2. The parabolic relationship between biological activity and partation coeffecient could be represented by the following equation: $\log (1/C) = K_1 \log P + K_2$

a) true b) false

3. The physicochemical parameter that represent the steric effects is

a) Es b) I c) P d) σ e) none of the above

4. Above log P^0 value in the parabolic relationship between biological activity and partation coeffecient , the biological activity of that drug will .....

a) increase b) decrease c) none of the above

5. If log P of benzene = 2.13, and log P of phenol = 1.46, the lipophilicity constant of hydroxyl group will be equal to

a) 0.67 b) -0.67 c) 3.59 d) -3.59

e) none of the above

6. The presence of hydroxyl group increase the concentration of phenol than benzene in the……

a) aqueous phase b) organic phase c) none of the above

7. The model compounds used by Hammet to demonstrate (σ) value were

a) substituted acetic acid b) substituted phthalic acid

c) substituted benzoic acid d) none of the above

8. a positive value of σ_x indicates that the substituent is……

a) electron-donor b) electro-withdrawing

9. negative π value of a substituent indicate that the substituent has ……lipophilicity than hydrogen.

a) lower b)higher

10. X-axis of Craig plot represent

a) P value b) MR value c) σ value

d) π value e) none of the above

11. Y-axis of Craig plot represent

a) P value b) MR value c) σ value

d) π value e) none of the above

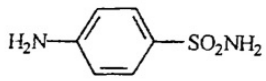
12. According to topliss decision tree, if the 4-chloro analogue was less active than the original lead, the next analogue to be synthesized should be

a) 4-methoxy analogue b) 4-methyl analogue c) 3-chloro analogue

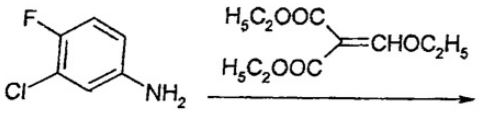
d) 3,4-dichloro analogue e) none of the above

Q # 2:

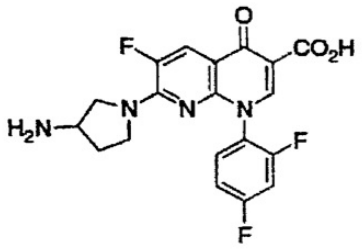
1) Starting from the followig compound how could you synthesize 2-sulfanilamido-4,6-dimethylpyrimidine?: **(3 Points, 8 min)**

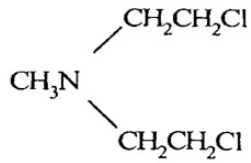


2) Complete the following synthesis to prepare norfloxacin? **(3 Points, 8 min)**



Q # 3: Complete the following table as indicated in each case only in the provided space? **(13 Pointsa, 30 min)**

Chemical structure	Generic Name	Mechanism of action and Uses
..... (1)	piritrexim	Mech. action: Uses:
 (2)	.....	Mech. action: Uses:
..... (3)	Lamivudine	Mech. Action: Uses:
..... (4)	Efavirenz	Mech. Action: Uses:

 (5)	.....	Mech. Action: alkylating agent Uses:
..... (6)	Floxuridine	Mech. action: Uses:
..... (7)	dextrazoxane	Mech. action: Uses: