### PCH BP 601T, 6th semester, End sem examination, August 2021

#### $MCQs: 20 \times 1 Mark = 20 Marks$

1. Which of the following statements is false for penicillins
The two hydrogen atoms on beta-lactam ring are in Cis confirmation
C-N in beta-lactam is the site for Penicillinase action
A carboxylic acid which makes the molecule highly unstable
A reactive carbonyl group

2. Penicillin undergo metabolic degradation by amidease to give A carboxylic acid and Penicilloic acid A carboxylic acid and Penicillanic acid An aldehyde and Penicillanic acid An aldehyde and 6-amino penicillanic acid

3. Nitro imidazoles are selective for

Aerobic bacteria due to their ability to reduce imidazole group

Anaerobic bacteria due to their ability to reduce nitro group into nitroso group

Anaerobic bacteria due to their ability to reduce imidazole group

Anaerobic bacteria due to their ability to oxidise nitro group into Amino group

4. Following Anthelmintic drug has two heterocyclic ring systems in it **Thiabendazole** 

Manual 1 1

Mebendazole

Albendazole

Oxibendazole

5. Tick the unfit statement for Fluconazole A tetrazole, targetting fungal lanosterol 14α-demethylase A nitroimidazole targetting fungal lanosterol 14α-demethylase Even against azole resistant fungal infection A triazole, targetting fungal lanosterol 14α-demethylase

6. 3,4,5-trimethoxybenzylmalonic ester is produced in the following way
Ethyl ester of 3,4,5-trimethoxydehydrocinnamic acid and ethylfomate
Ethyl ester of 3,4,5-trimethoxydehydrocinnamic acid and ethylfomate in presence of Sodium metal

Ethyl ester of 3,4,5-trimethoxydehydrocinnamic acid and methylfomate Ethyl ester of 3,4,5-trimethoxydehydrocinnamic acid and methylfomate with Sodium metal

7. The following feature is incorrect as far as SAR of sulphonamides concerned SO<sub>2</sub>NHR, is an acidic moiety that features heavily as drugs The amino group at 4<sup>th</sup> position could be modified to be prodrugs **Substituents imparting electron-rich characters to SO2 group, bacteriostatic activity dicreases** The active form of ionized sulphonamide is observed between the pKa values 6.6–7.4

8. In the general structure of Cephalosporin if you substitute S with O and C We get Meropenem and Ertapenem respectively

#### Oxacepham and Carbacepham respectively

Oxapenem and Carbapenem

Aztreonam and Monobactam

9. A 20 fold increase in the biological activity is achieved in tetracycline with following modification Elimination of hydroxy group at  $6^{th}$  position

## Converting free Carboxamide group to Nitrile group

Converting 3-hydroxy group to Nitrile group

Adding a glycylamino group to 9th position

10. Choose the incorrect statement as far as the stability of beta-lactam ring is concerned Adjacent thiazolidine ring confers further strain

## The C=O bond in the acylamino side-chain is susceptible to nucleophilic attack

C=O of beta-lactam ring is reactive for nucleophilic attack

Acylamino side chain acts as an electron-withdrawing group making it an even stronger electrophile.

11. Sultamicillin is a prodrug of the type:

Bipartite

Mutual

Bioprecursor

Azo linked

12. The number of asymmetric centers in Erythromycin are

8

5

10

12

13. The antibiotic used to treat atypical tuberculosis is

Azithromycin

Erythromycin

Roxithromycin

Clarithromycin

- 14. Following is one of the reactant used along with glycerol in the synthesis Pamaquine
- 3-methoxy -2-nitro aniline
- 4-methoxy-3-nitro aniline
- 4-methoxy -2-nitro aniline
- 3-methoxy-3-nitro aniline

15. Isoniazid is bioactivated by the following enzyme

Catalase

Reductase

#### Catalase-peroxidase enzyme

Peroxidase

16. Rifampin and Rifabutin are semi-synthetic derivatives of

Rifamycin A

Rifamycin C

Rifamycin B

Rifamycin D &E

17. The anti-aids drug used for HIV-1 and HIV-2 as well as the resistant strain of AZT is

Lamivudine

Zalcitabine

Loviride

Ribavarine

18. Addition of nitrogen at C-8 in 4-Quinolones produced

Norfloxacin

Sparfloxacin

Clinafloxacin

Tosufloxacin

19. The typical reagent to perform the final cleavage of the peptide from the resin together with the removal of the side chain protecting groups in Solid phase synthesis is:

Fluoroacetic acid

Chloroacetic acid

Trifluoroacetic acid

Trichloroacetic acid

20. In solid phase synthesis, RINK Resin is suitable for attachment and release of

Carboxylic acid

Carboxamide

Peptide product

Amide

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# Short answers: 6x5 Marks = 15 marks

1A. Explain the requirements of Solid Phase synthesis with examples.	2M
1B. Outline the synthesis of Nitrofurantoin with names of reactants, intermedia	ates, product and
reaction condition. Mention its use.	3M
2A. Explain the SAR of Erythromycin.	3M
2B. What are the advantages of prodrug concept of drug design?	2M
3A.Write the structure, mechanism of action and uses of:	
i. Pyrazinamide ii. Ganciclovir iii) Ribavarin	2M
3B. Outline the synthesis of Chloroquine with the names of reactants, intermediat	es, products and
reaction conditions. Mention its use.	3M
4. Write the scheme of synthesis of Metronidazole and Sulphacetamide	4M
4B. Write the structure and IUPAC name of silver Sulphadiazine.	1M
5A. What is Hammet constant? How it can be used as a parameter in QSAR studie	es? 3M
5B. Write the basic structural pharmacophore and show the numbering	2M
6A. Give the mechanism of action of	
i. Carbenicillin ii. Albendazole iii. Ketoconazole	
iv. Co-trimazole v. Ampicillin	5M

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