



Pharmaceutical Chemistry 318 Ch

Section I

Answer the following questions: (40 Marks).

- 1- a- Choose and copy the correct answer for the following (14 marks)
- i. The alkylating agent Thiotepa (N, N', N''-Triethylenethio-phosphoramidate) is classified as:
- Triazine
 - Aziridine
 - Alkylsulfonate
 - Nitrogen Mustard
- ii. The general mode of action of antiviral drugs is to block viral reproduction *via*:
- Acting as a building block in the virus' structure to inhibit its DNA and RNA synthesis
 - Interfering with transcription and blocking RNA synthesis
 - Crosslinking and formation of bridges between separate strands of DNA
- iii. Acyclovir is a prodrug, its active form is:
- The monophosphate derivative that is formed by enzymes in hydrophilic medium
 - The triphosphate derivative that is formed by enzymes in hydrophilic medium
 - The triphosphate derivative that is formed by enzymes *in vivo*
 - The monophosphate derivative that is formed by enzymes *in vivo*
- iv. Molecules that are nucleoside or nucleotide analogues and can incorporate into DNA, leading to non-functional DNA are known as:
- Antimetabolites
 - Prodrug
 - Lead compound
 - Target compound
- v. Hypoxia-Activated Prodrugs are:
- Drugs that are activated only in oxygenated media
 - Drugs that are activated only in hypoxic cells
 - Drugs that are inactive *in vitro* but active *in vivo*
- vi. Which of the following is **NOT** essential in the structure of a sulfa drug:
- Sulfonamide group
 - *para*-Amino group
 - Aromatic ring
 - The 2ry alcoholic group
- vii. A drug that is obtained from natural product passes by the following steps:
- Structure elucidation, active ingredient separation, drug synthesis
 - Drug synthesis, structure elucidation, active ingredient separation
 - Active ingredient separation, structure elucidation, drug synthesis
 - Synthesis of the natural product, structure elucidation, active ingredient separation
- viii. Sofosbuvir is more effective than other anti HCV drugs because:
- The OH group at position 3' can be transformed to triphosphate
 - The OH group at position 5' can be transformed to triphosphate
 - It contains a fluorine atom
 - It contains monophosphate group
- ix. Which of the following is an antimetabolite drug:
- Ganciclovir
 - Methotrexate
 - Carmustine
 - Ribavirin

x. Pharmacodynamics is defined as:

- The effect the body has on the drug
- Structure modification of the drug
- The effect the drug has on the body
- The way the drug is metabolized

xi. In the body, the drug moves through both aqueous and lipid media, consequently:

- The drug should possess balanced hydrophilic and lipophobic properties
- The drug should possess balanced hydrophilic and lipophilic properties
- The drug should possess balanced hydrophobic and lipophilic properties

xii. The mechanism of action of Sovaldi as effective drug against HCV depends on the fact that, it is an analogue of

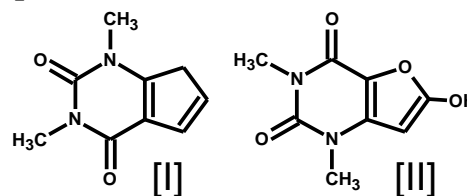
- Uridine
- Guanosine
- Thymine
- Alkylating agent

xiii. 5-Flurouracil is an analogue of

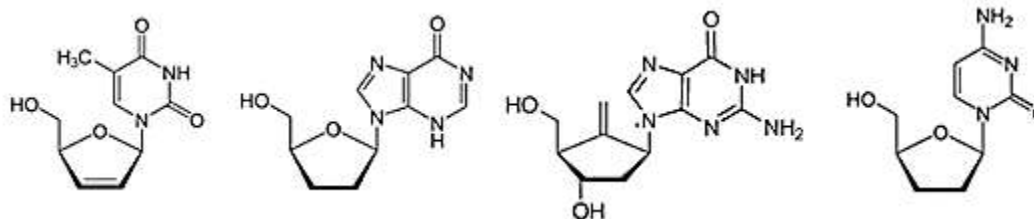
- Folic acid
- Pyrimidine
- Purine
- Guanine

xiv. Compound [I] is less hydrophilic than compound [II] because:

- The methyl group in [I] increases water solubility.
- Increased polarity decreases water solubility.
- The hydroxyl group in [II] decreases polarity.
- Compound [II] contains more polar atoms.



b- Which of the following is a guanosine analogue. Copy the correct structure and indicate the relationship between guanosine and the selected structure (2 marks)



2- a- Show how can you prepare FOUR of the following:.

(16 marks)

- Acyclovir
- Ribavirin
- 5-Fluorouracil
- 1, 4-butanediol dimethanesulphonate (Busulfan)
- Chlorambucil (4-[p-Bis (2-chloroethyl) amino] phenyl] butyric acid)

b- Explain by chemical equation TWO of the following:

(8 marks)

- The mechanism of action for Cisplatin
 - The mechanism of action for Hypoxia-Activated Prodrugs
 - Transformation of sofosbuvir to its active form
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