



**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**

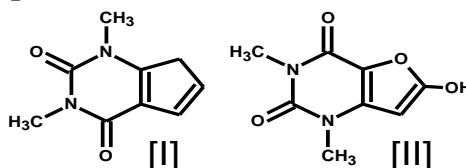
- Uridine
- Guanosine
- Thymine
- Alkylating agent

**xiii. 5-Flurouracil is an analogue of**

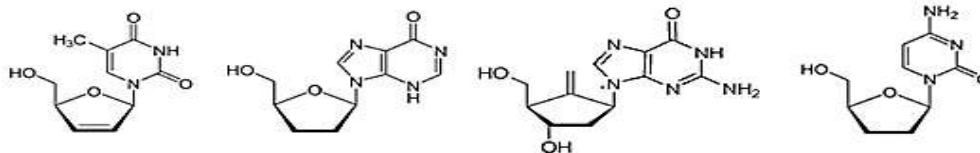
- Folic acid
- Pyrimidine
- Purine
- Guanine

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

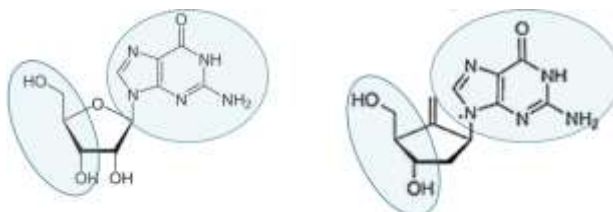
- The methyl group in [I] increases water solubility.
- Increased polarity decreases water solubility.
- The hydroxyl group in [II] decreases polarity.
- Compound [II] contains more polar atoms.



**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)**



**Answer:**



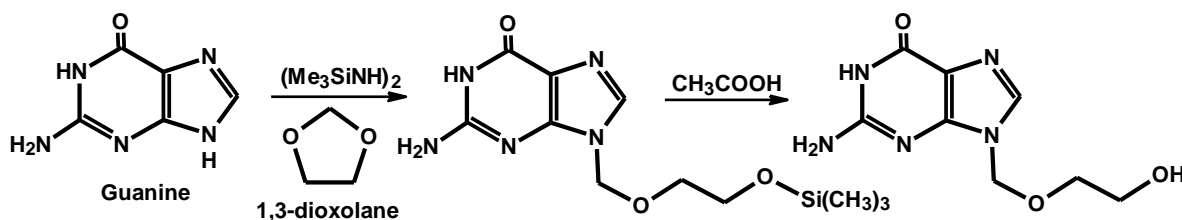
**2- a- Show how can you prepare FOUR of the following:.**

(16 marks)

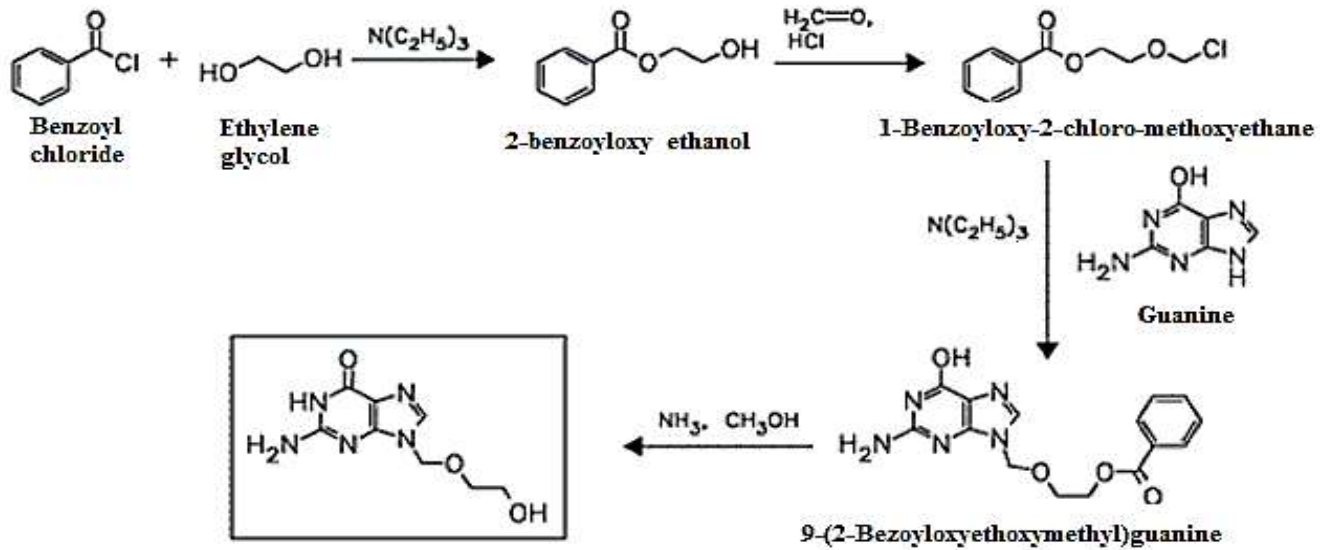
- Acyclovir
- Ribavirin
- 5-Fluorouracil
- 1, 4-butanediol dimethanesulphonate (Busulfan)
- Chlorambucil (4-[p-Bis (2-chloroethyl) amino] phenyl] butyric acid)

**Answer:**

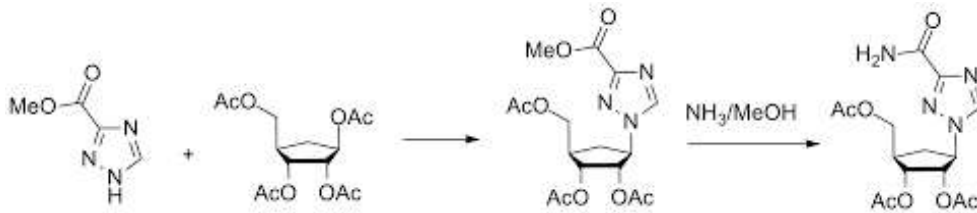
**i.**



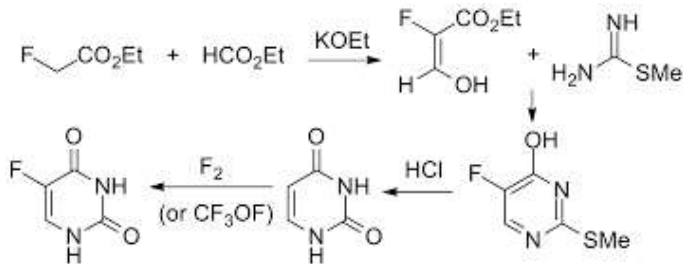
Or



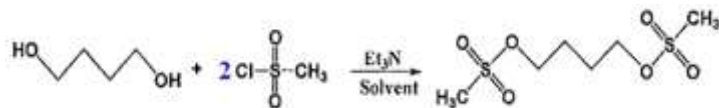
ii.



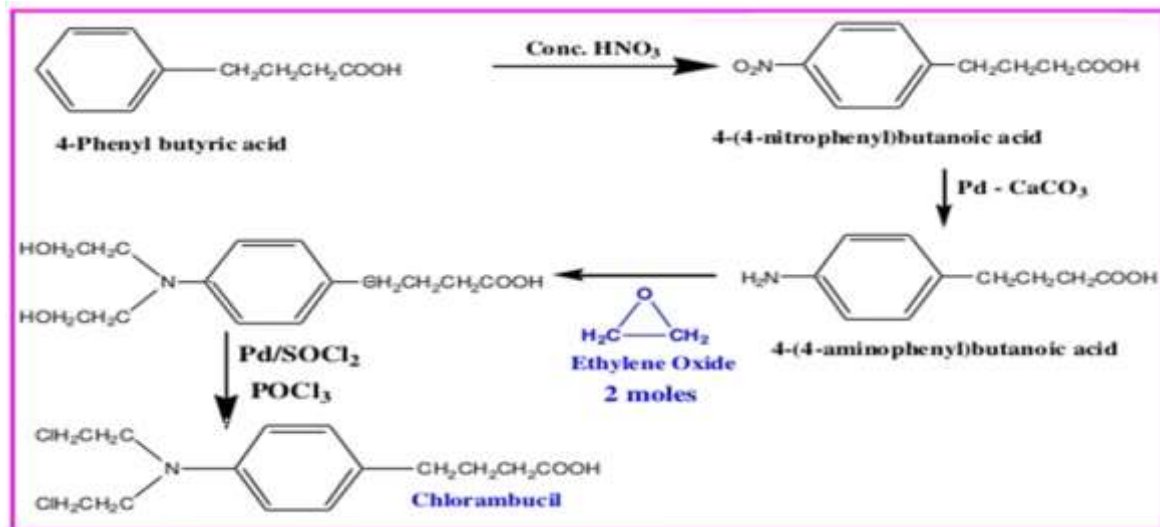
iii.



iv.



v.



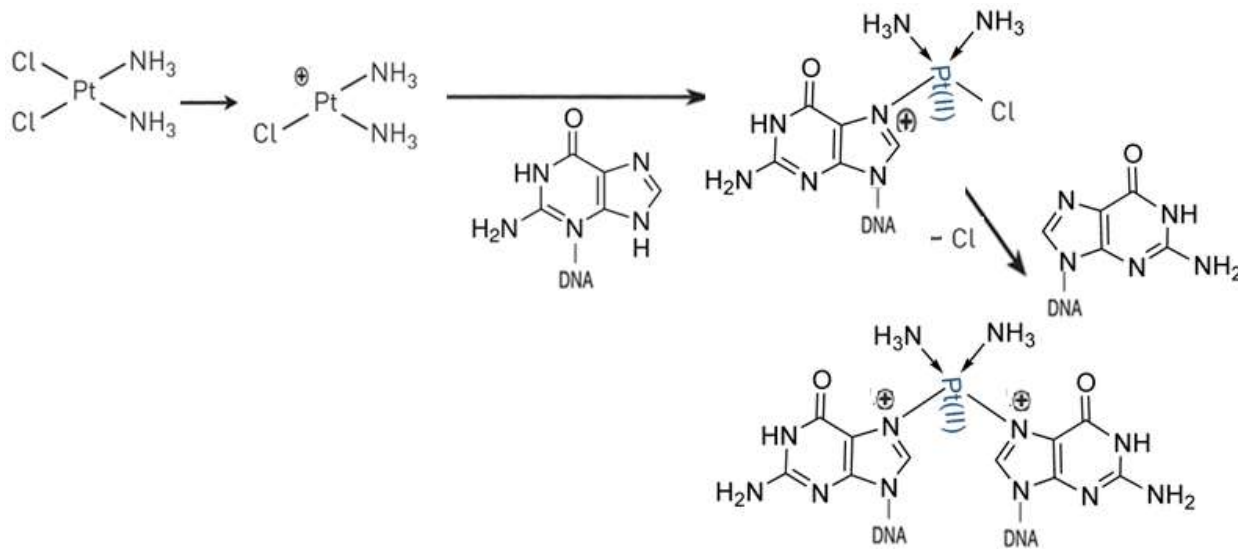
**b- Explain by chemical equation TWO of the following:**

(8 marks)

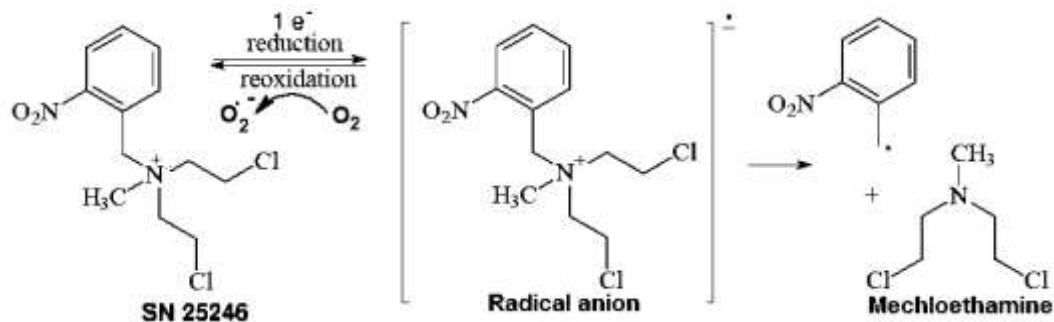
- i. The mechanism of action for Cisplatin
- ii. The mechanism of action for Hypoxia-Activated Prodrugs
- iii. Transformation of sofosbuvir to its active form

**Answer:**

**i.**



**ii.**



**iii.**

