Roll No. _____

M. Sc-CHEMISTRY
SCHOOL OF CHEMICAL AND LIFE SCIENCES
SEMESTER III EXAMINATION 2019
PAPER TITLE: MEDICINAL CHEMISTRY
PAPER CODE: MCHDCE-304

(Write your roll no. at the top immediately after receipt of this question paper.)

Time: 3h **Max Marks: 75**

Attempt all the questions. All parts of a question must be attempted in continuation. Start answer of a fresh question on a new page.

Q1a. Define the following terms (ANY FIVE). **5 x 1 = 5**

a. IC_{50} b. LD_{50} c. T.I. d. MIC
e. catalytic site f. lipophilicity g. ED_{50}

Q1b: Answer briefly all the following questions **10 x 1 = 10**

A. Define NSAID. Give any two examples of NSAIDs
B. Differentiate between Soft drug and Hard drug.
C. Define Bioisostere.
D. Define Catalytic Triad of an active site.
E. Define Pharmacophore.
F. Define Descriptors and Indicators.
G. Synthesis of Quinine was given by _____ and _____.
H. Give the structures of Lignocaine and Procaine
I. Give the structure of the key molecule extracted from cinchona tree bark and its biological importance.
J. Explain acronyms SAR, QSTR and QSPR.

Q2. Attempt <u>ANY THREE</u> of the following: **3 x 5 = 15**

A. Define Structure based drug designing protocol how it differs from Ligand based drug designing approach?
B. Explain Prodrugs and its classification.
C. Explain "Hammet equation and LFSE".
D. What is Hantzsch equation? How it explains descriptors?
E. Explain "Lipinski rule of Five". And Justify how it is useful in ligand based screening.

Or

SAR of paracetamol and Aspirin

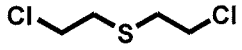
Q3. Attempt <u>ANY THREE</u> of the following: **3 x 5 = 15**

A. Give brief synthesis of Albendazole and its mechanism of action.
B. Briefly explain the synthesis of Ketoconazole and its mechanism of action.
C. Discuss the synthesis of quinine and justify how biological evaluation of the intermediate compounds helped in designing of better anti-malarial agents.
D. Penicillin synthesis is carried out using fermentation method explain the composition of pencillin and its SAR in comparison to its lactam ring.
E. Define Sulpha drugs and their broad mechanism of action.

Q4. Attempt ANY THREE of the following: **3 x 5 = 15**

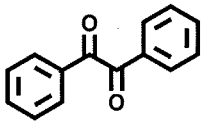
A. Explain the synthesis of Isoniazid from 3-bromopyridine. Give schematic diagram for its mechanism of action.

B. Define anti-neoplastic agents. How the mechanism of action of mustard gas (**A**) is similar to that of melphalan and chlorambucil as anti-neoplastic agent?



A

C. Synthesis of Phenytoin using **A** as starting material. Give the mechanism for the key step and describe the mechanism of action phenytoin.



A

D. Write the structure for *cis*-platin and Carboplatin. Briefly discuss the mechanism of action cis-platin.

E. What is difference between Soft drug and Hard drug? Justify your answer with trimethadione.

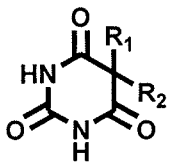
Q5. Attempt ANY THREE of the following: **3 x 5 = 15**

A. Explain the synthesis of Aspirin, its mechanism of action, SAR and side effects

B. Explain the SAR of Lignocaine and procaine with cocaine as Local Anesthetics.

C. Give the synthesis of indomethacin and Tolbutamide

D. Arrange the following in increasing order of their effect (Hypnotic effect). Briefly explain the reason.



(i) $R_1 = R_2 = H$
(ii) $R_1 = H$, R_2 = Ethyl
(iii) $R_1 = R_2$ = Ethyl
(iv) $R_1 = R_2$ = Ph
(v) $R_1 = H$; R_2 = Ph

E. Sketch Ibuprofen synthesis from C_6H_6. Explain why Ibuprofen and Aspirin are not suggested combination whereas Ibuprofen and Paracetamol could be used in combination.