

Code No. 7048

FACULTY OF SCIENCE

M.Sc. IV – Semester Examination, May / June 2017

Subject: Organic Chemistry

Paper – I

Drug Discovery

Time: 3 Hours

Max.Marks: 80

Note: Answer all questions from Part-A and Part-B.

Each question carries 8 marks in Part-A and 12 marks in Part-B.

PART – A (4x8 = 32 Marks)

[Short Answer Type]

- 1 a) Explain the following terms with suitable examples.
 - i) Pharmacophore
 - ii) Lead
- b) Give the structures of two drugs that are discovered from neurotransmitters as leads.
- 2 a) What are isosteres? Give any two isosteres for thiourea group.
- 3 b) Describe the strategy of simplification in the lead. Give the structure of the drug that is developed from cocaine by applying this strategy.
- 3 Explain the role of following in drug design
 - a) Taft's constant
 - 2 b) Lipophilicity constant.
- 4 a) Explain the following terms with suitable examples:
 - i) Linkers
 - 2 ii) Distomer
- 4 b) Formulate the synthesis of S-propranolol.

PART – B (4x12 = 48 Marks)
[Essay Answer Type]

5 Write a brief note on the following:

- a) Drug-receptor interactions
- b) Design of salbutamol

OR

- c) Structure pruning technique
- 8 d) Molecular graphics based lead discovery.

- 6 a) Discuss the development of cimitidine from its lead.
b) Explain how the following lead modification strategies are useful in drug designing.
i) Chain homologation and branching
ii) Ring variation.

OR

- c) Discuss briefly about SAR studies in benzodiazepines.
d) Describe how oxaminoquine is discovered from its lead.
- 7 Discuss briefly the use of following in drug designing.
a) Relationship between Hammett constant and biological activity.
b) Lipinski rule of five.

OR

- c) Cluster analysis
d) Craig's plot.

- 8 a) What is eutomer? Give the structures of eutomer of ibuprofen and enalapril.
b) Discuss briefly about solid phase synthesis.

OR

- 6 c) Discuss briefly about the use of spectral methods in characterization of synthetic organic libraries.
d) Formulate the synthesis of S-Omeprazole.