

**FACULTY OF SCIENCE****M. Sc. IV – Semester Examination, May / June 2015****Subject : Organic Chemistry****Paper – I (401) : 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 (4 x 8 = 32 Marks)**  
**(Short Answer Type)**

- Explain the terms prodrug and me too drug taking suitable examples.
  - Explain the discovery of penicillin.
- Differentiate the terms isosteres and bioisosteres with examples.
  - Apply the principles of ring fusion and variation of alkyl substituents to the following and write the resulting structures. Explain the increase in biological activity.



- Calculate the log P value for p-Fluoro anisole given the log P values for Benzene = 2.13; anisole = 2.11 and fluorobenzene = 2.27.
  - State and explain Lipinski's rule of five.
- Define eutomer, identify it for the following and write their structures  
 (i) Naproxen (ii) Enalapril
  - Discuss briefly the solid phase synthesis.

**PART – B (4 x 12 = 48 Marks)**  
**(Essay Answer Type)**

- Explain the structure pruning technique in lead modification taking an example of morphine.
  - Discuss the principles involved in design of salbutamol.

**OR**

  - Explain how cimetidine developed from histamine.
  - Write short note on clinical trials.
- Discuss the role of following in drug design.  
 (i) rigidification (ii) variation and position of hetero atoms
  - Discuss briefly SAR studies on benzodiazepines

**OR**

  - Explain the principles of molecular modeling.
  - Describe the development of oxaminquine from its lead.