APRIL 2001

[KD 280]

M.Pharmacy DEGREE EXAMINATION.

(New Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Answer any FOUR questions.

All questions carry equal marks.

1. Explain how natural 'leads' are followed to design new drug entities. (25)

2. Discuss the following :

(a) Factors affecting the accessibility of drugs to the active sites

(b) Enzyme inhibition and enzyme inhibitors as drugs. (25)

3. Discuss the SAR and mechanism of action of local anaesthetics. (25)

4. Discuss the modern concepts of receptors and drug receptor interactions. (25)

5. Write notes on : (25)

(a) Hammet's correlations

(b) Partition coefficient

(c) Soft drugs

(d) Surface activity and drug effect

(e) Computer aided drug design.

6. Explain the SAR of β – receptor antagonists. (25)

APRIL 2001

[KD 301]

M.Pharmacy DEGREE EXAMINATION.

(Revised Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Answer ALL the questions.

All questions carry equal marks.

1. Discuss the rational design of covalent and non-covalent binding enzyme inhibitors. (25)

2. Discuss the role of computers in inventing a new drug molecule. (25)

3. Define and classify antipsychotics. Discuss the SAR of antipsychotic drugs. (25)

4. What are β-lactam antibiotics? Discuss their SAR. (25)

NOVEMBER 2001

[KE 280]

M.Pharm. DEGREE EXAMINATION.

(New Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Answer any FOUR questions.

All questions carry equal marks.

1. Discuss in detail various forces involved in drug–receptor interaction. (25)

2. Discuss the various physio–chemical properties which affect the biological actions of drug. (25)

3. Discuss the various leadseeking methods in Drug design. (25)

4. Discuss the structure activity relationship of analgesics. (25)

5. Write short notes on the following : (25)

   (a) Prodrug design

   (b) Design of enzyme inhibitors.

6. Write short notes on the following : (25)

   (a) Occupancy theory

   (b) Modification of leads to get desired pharmakinetic parameter

   (c) SAR of Beta–lactum antibiotics.

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NOVEMBER 2001

[KE 301]

M.Pharm. DEGREE EXAMINATION.

(Revised Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE AND ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Answer ALL questions.

All questions carry equal marks.

1. Explain the importance of hydrogen bonding and chelation in biological action of drugs. (25)

2. Discuss the SAR of opioid analgesics. (25)

3. Write about the importance of solubility and partition–coefficient in biological action of drug. (25)

4. Write notes on : (25)

   (a) Computer assisted drug design.

   (b) Pro–drug concepts.

[KH 280] SEPTEMBER 2002

M.Pharm. DEGREE EXAMINATION.

(New Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE AND ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Answer any FOUR questions.

All questions carry equal marks.

1. Describe the different stages of a new drug development. (25)

2. Write short notes on : (12 + 13)
   (a) Drug–receptor interactions
   (b) Anti–tumor antibiotics

3. Write short notes on : (6 + 6 + 6 + 7)
   (a) Influence of chelation on drug action.
   (b) Iionization on drug action
   (c) Amino glycoside antibiotics
   (d) Aldosterone antagonists.

4. Write in detail about : (10 + 15)
   (a) The structural factors in drug design
   (b) QSAR.

5. Write the pharmacology of (6 + 6 + 6 + 7)
   (a) Cox inhibitors
   (b) Cholinesterage inhibitors
   (c) MAO inhibitors
   (d) Antimetabolites.

6. Write short notes on : (10 + 8 + 7)
   (a) Computer assisted drug design
   (b) Class–I anti arrhythmic drugs
   (c) Opioid receptors.

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[KH 301] **SEPTEMBER 2002**

M.Pharm. DEGREE EXAMINATION.

(Revised Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Answer ALL questions.

All questions carry equal marks.

1. Discuss the SAR of opioid analgesics.

2. Write notes on : (8 + 8 + 9 = 25)

   (a) Hansch linear free energy models

   (b) Prodrug

   (c) Macromolecular perturbation theory.

3. Discuss the SAR of antihistaminics.

4. Explain the direct and indirect methods of receptor characterization.

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APRIL 2003

[KI 301] Sub. Code : 1013

M.Pharm. DEGREE EXAMINATION.

(Revised Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Answer ALL questions.

All questions carry equal marks.

1. Define the terms — Affinity and Intrinsic activity. Explain the modern concepts in drug–receptor interactions. (25)

2. Discuss the SAR of antipsychotic drugs. (25)

3. Write briefly on : (5 × 5 = 25)

   (a) Bio–isosterism.

   (b) Chelation.

   (c) Hydrogen bonding.

   (d) Soft drugs.

   (e) Stereochemical considerations.

4. Discuss how the physico–chemical properties of a drug molecule affect its biological effects. (25)

OCTOBER 2003

[KJ 301] **Sub. Code : 1013**

M.Pharm. DEGREE EXAMINATION.

(Revised Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Answer ALL questions.

All questions carry equal marks.

1. What are different methods adopted in drug design to discover a lead molecule? Explain them with examples. (25)

2. Write briefly on the following :

   (a) Drug receptor interactions. (15)

   (b) Partition coefficient. (10)

3. Discuss the SAR of the following :

   (a) Antidepressants. (15)

   (b) Beta–lactam antibiotics. (10)

4. Write short note on the following :

   (a) SAR of anti–viral agents. (8)

   (b) Enzymes as targets for drugs. (8)

   (c) QSAR. (9)

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[KK 301] APRIL 2004 Sub. Code : 1013

M.Pharm. DEGREE EXAMINATION.

(Revised Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Sec. A & B : Two hours and forty minutes Sec. A & B : 80 marks

M.C.Q. : Twenty minutes M.C.Q. : 20 marks

Answer ALL questions.

SECTION A

Long Essay : (2 × 15 = 30)

1. Discuss the modern concepts of drug receptor interactions.

2. Explain the SAR of antipsychotic drugs.

SECTION B

Short notes on : (10 × 5 = 50)

3. Design of pro-drugs.

4. Optical isomerism and biological activity.

5. Bioisosterism.

6. Molecular modeling in rational drug design.

7. SAR of opioid μ receptor agonists.

8. Discuss briefly lead optimization.

9. Influence of ionization on biological activity.

10. Antimetabolites as anticancer agents.

11. Beta lactam antibiotics – SAR.

12. Structural features of β -receptor blockers.

AUGUST 2004

[KL 301] Sub. Code : 1013

M.Pharm. DEGREE EXAMINATION.

(Revised Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE ACTIVITY RELATIONSHIP

Time : Three hours | Maximum : 100 marks

Sec. A & B : Two hours and forty minutes | Sec. A & B : 80 marks

M.C.Q. : Twenty minutes | M.C.Q. : 20 marks

Answer ALL questions.

SECTION A

Long Essay : (2 × 15 = 30)

1. Describe the various approaches in rational drug design and highlight the importance of method of disjunction in drug design.

2. How does the various parameters related to chemical structure influence the biological activity of a drug?

SECTION B

Short notes : (10 × 5 = 50)

3. List out the various forces involved in the drug–receptor interaction.

4. How does partition co–efficient influence the solubility of drug?

5. Explain how pro–drug alters the kinetics of the drug.

6. Classify enzyme inhibitors and explain the design of any one.

7. Explain how semisynthetic β–lactam antibiotics are developed?

8. Write the differences between cardinolide and Bufodenolide. Write the mechanism of action of cardiac glycosides (3 + 2).

9. Write the principles of computer assisted drug design (CADD).

10. Discuss the SAR of tetracycline.

11. Describe the SAR of 2, 10, disubstituted phenothiazine for its antipsychotic properties.

12. Explain the concept of isosterism in the designing of drugs.

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FEBRUARY 2005

[KM 301] **Sub. Code : 1013**

M.Pharm. DEGREE EXAMINATION.

(Revised Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE AND ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Sec. A & B : Two hours and forty minutes Sec. A & B : 80 marks

M.C.Q. : Twenty minutes M.C.Q. : 20 marks

Answer ALL questions.

SECTION A — (2 × 15 = 30 marks)

1. Explain and discuss different lead seeking approaches in drug design.

2. Discuss the structure activity relationship of Beta-rectum antibiotics.

SECTION B — (10 × 5 = 50 marks)

Short notes on:

3. Occupancy theory.

4. SAR of diuretics.

5. Principles of computer assisted drug design.

6. Pro drug design.

7. SAR of antihypertensive agents.

8. Hydrogen bonding.

9. Analgesics and their structures.

10. Cheletion.

11. Soft drugs.

12. Bio-isosterism.

AUGUST 2005

[KN 301] **Sub. Code : 1013**

M.Pharm. DEGREE EXAMINATION.

(Revised Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE AND ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Theory : Two hours and forty minutes Theory : 80 marks

M.C.Q. : Twenty minutes M.C.Q. : 20 marks

Answer ALL questions.

I. Long Essay : (2 × 15 = 30)

1. Discuss the principle of Quantitative Structure Activity Relationship (QSAR). Discuss various approaches and parameters used in QSAR.

2. Discuss the various physiochemical properties that influenze the biological action of a drug.

II. Short notes : (10 × 5 = 50)

1. Discuss the occupancy theory of drug-receptor interaction.

2. Enumerate the various methods of optimization of lead.

3. Discuss the principle involved in the design of prodrug.

4. Discuss the various approaches in rational design of covalently binding enzyme inhibitors.

5. Discuss the SAR of opiod analgesics.

6. Discuss the SAR and mechanism of alkylating agents as anticancer drugs.

7. Discuss the SAR of Beta lactum antibiotics.

8. Discuss the various forces involved in drug-receptor interaction.

9. Discuss the role of computer and information sciences in drug discovery process.

10. Write short notes on Bio–isosterism.

[KO 301] **MARCH 2006** **Sub. Code : 1013**

M.Pharm. DEGREE EXAMINATION.

(Revised Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE AND ACTIVITY RELATIONSHIP

Time : Three hours — Maximum : 100 marks

Theory : Two hours and forty minutes — Theory : 80 marks

M.C.Q. : Twenty minutes — M.C.Q. : 20 marks

Answer ALL questions.

I. Long Essay : (2 × 15 = 30)

1. Discuss the structure activity relationship of opioid analgesics.

2. Discuss the different approaches to the rational design of enzyme inhibitors.

II. Short notes on : (10 × 5 = 50)

1. Forces involved in drug receptor interactions.

2. Use of softwares in computer assisted drug design.

3. SAR of cardiac glycosides.

4. Partition-coefficient in drug action.

5. Advantages of rational approach to drug design.

6. Induced-fit theory with examples.

7. Sterio isomerism in drug action.

8. Electronic parameters used in QSAR.

9. Lead seeking methods.

10. Newer approaches to design of anticancer drugs.

SEPTEMBER 2006

[KP 301] **Sub. Code : 2823**

M.Pharm. DEGREE EXAMINATION

(Revised Regulations)

First Year

Branch IV – Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE AND ACTIVITY RELATIONSHIP

Time : Three hours — Maximum : 100 marks

Theory : Two hours and forty minutes — Theory :80 marks

M.C.Q. : Twenty minutes — M.C.Q. 20 marks

Answer ALL questions

I. Long Essay :

1. (a) Computer aided drug design. (10)

(b) Prodrug concept. (10)

2. Discuss how a drug's biological effects could be modified by altering the physicochemical properties. (15)

3. Discuss the stereochemical concepts employed in drug design. (15)

II. Short notes : (6 × 5 = 30)

1. Explain mechanism based drug design.

2. Discuss the concept of isosterism.

3. High throughput screening.

4. Describe briefly the desired properties of support and linkers used in combinatorial chemistry.

5. Discuss the SAR of nitrogen mustards.

6. Discuss the design of H_2 receptor blockers.

MARCH 2007

[KQ 301] **Sub. Code : 2823**

M.Pharm. DEGREE EXAMINATION.

(Revised Regulations)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE AND ACTIVITY RELATIONSHIP

Time : Three hours — Maximum : 100 marks

Theory : Two hours and forty minutes — Theory : 80 marks

M.C.Q. : Twenty minutes — M.C.Q. : 20 marks

Answer ALL questions.

I. Long Essay :

1. (a) Discuss the physicochemical parameters of QSAR studies with special reference to Hansch equation. (10)

(b) Describe on steric parameters used in QSAR for discovery of new drugs. (10)

2. Explain the various theories of receptors. Discuss ligand gate ion channel G.Protein coupled receptors. (15)

3. Discuss the SAR, mode of action and therapeutic applications of (15)

(a) Phenothiazines

(b) Opoid derivatives.

II. Short notes : (6 × 5 = 30)

1. Explain the oxidation reaction catalyzed by Cytochrome P 450 isoforms.

2. What are "Pro drugs"? List out the objectives of pro drugs with suitable examples.

3. How X-ray crystallography data can be used to design bio-active compounds?

Discuss the following parameters in QSAR studies.

4. Anti bacterial effect of Sulphonamides.

5. "Alkylating agents" acting as anti cancer activity.

6. "Enzyme inhibition" act as a tool for drug development.

[KQ 327] MARCH 2007 Sub. Code : 2863

M.Pharm. DEGREE EXAMINATION.

(Regulations 2006)

First Year

Branch IV — Pharmacology

Paper IV — DRUG DESIGN AND STRUCTURE AND ACTIVITY RELATIONSHIP

Time : Three hours Maximum : 100 marks

Theory : Two hours and forty minutes Theory : 80 marks

M.C.Q. : Twenty minutes M.C.Q. : 20 marks

Answer ALL questions.

I. Long Essay :

1. (a) Describe various lead seeking methods in drug design. (10)

(b) Describe the various methods of optimizing the lead in rational drug design. (10)

2. Describe the objective and methods in QSAR. (15)

3. Enumerate various cell signalling methods. Describe the various second messengers involved in signal transduction pathway. (15)

II. Write short notes on : (6 × 5 = 30)

(1) Gene transfer technologies

(2) Bio sensors

(3) Various methods of protein three-dimentional structure determination.

(4) Pharmacoplore determination in computer aided drug design.

(5) Physiochemical properties affecting drug action.

(6) (a) Structure of G-Protein coupled Recepor.

Or

(b) Occupancy Theory of drug-Receptor Interaction.

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