[ND 279] **NOVEMBER 1994**

M.Pharm. DEGREE EXAMINATION.

(New Regulations)

First Year

Branch II — Pharmaceutical Chemistry

ADVANCED MEDICINAL CHEMISTRY

Time : Three hours Maximum : 100 marks

Answer any FOUR questions.

All questions carry equal marks.

1. (a) Discuss the factors affecting absorption and elimination of drugs by oral route.

(b) Write a note on the passage of drugs across plasma membranes.

2. (a) Discuss the factors that influence the drug metabolism.

(b) Mention the purpose of drug metabolism and the general metabolic pathways and the sites of bio--transformation.

3. (a) Write an account of prodrug concept with appropriate examples.

(b) Explain in detail how the molecular modification of a drug leads to

(i) alteration of pharmacokinetics

(ii) increased duration of action with suitable examples.

4. Discuss the various approaches to the development of drugs against parkinsonism.

5. Write short notes on :

(a) Hansch analysis.

(b) Fergusons principle.

(c) Hamett constants.

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[S B 3 0 8] **APRIL 1995**

M.Pharm. DEGREE EXAMINATION.

First Year

(New Regulations)

Branch II — Pharmaceutical Chemistry

ADVANCED MEDICINAL CHEMISTRY

Time : Three hours. Maximum : 100 marks

Answer any FOUR questions.

All questions carry equal marks.

1. Enumerate the physico-chemical properties affecting the biological action of a drug. Discuss any three of them in detail.

2. What is the role of cytochrome P-450 in drug metabolism ? Write a note on conjugation responsible for drug bio-transformation.

3. (*a*) What are the factors to be considered in the development of new drugs and discuss them.

(*b*) Write briefly on the receptor concept and differentiate affinity from intrinsic activity.

4. What do you understand about QSAR ? Discuss its advantages, limitations and pit falls with the help of two examples for each.

5. Give a complete flow sheet for the large scale manufacture of the following drugs giving the details of various steps involved in the process.

(*a*) Diethyl carbamazine citrate.

(*b*) Chloroquine phosphate.

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[AK 309]

APRIL 1996

M.Pharm. DEGREE EXAMINATION.

(New Regulations)

First Year

Branch II — Pharmaceutical Chemistry

ADVANCED MEDICINAL CHEMISTRY

Time : Three hours Maximum : 100 marks

Answer any FOUR questions.

All questions carry equal marks.

1. Give an account of alkylating agents and describe the mechanism of action of biological alkylating agents acting as antineoplastic drugs.

2. Make a list of parameters used in the study of quantitative structure activity relationship (QSAR), explain the substituent constants giving equations with examples.

3. Discuss the chemistry of narcotic and non–narcotic analgesics.

4. Outline the different methods used in the manufacture of

(a) Phenacetin.

(b) Sulphadimidine.

5. Write a brief account of the following :

(a) Enzymes involved in the activation of prodrugs.

(b) Relationship of drug metabolism and drug design.

(c) Influence of chelation and hydrogen bonding in relation to the biological action of a drug.

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OCTOBER 1996

[PK 205]

M.Pharm. DEGREE EXAMINATION.

(New Regulations)

First Year

Branch II — Pharmaceutical Chemistry

ADVANCED MEDICINAL CHEMISTRY

Time : Three hours Maximum : 100 marks

Answer any FOUR questions.

All questions carry equal marks.

1. (a) Explain the concept of drug receptor and discuss the various types of drug receptors.

(b) Explain hydrophobic bond and its importance in drug--receptor interaction.

(c) Discuss the effect of conformational isomerism on Biological Activity of drugs. (8 + 8 + 9 marks)

2. (a) Explain the various types of Phase I Biotransformation Pathways.

(b) Discuss the possible metabolic pathways for the following.

(i) Phenobarbitone

(ii) Chlorpromazine

(iii) 5--Fluorouracil

(iv) Phenytoin. (15 + 10 marks)

3. Explain the various parameters used in QSAR studies. Discuss the role of QSAR studies in the design of new drugs. (25 marks)

4. Prepare a flowsheet for the manufacture of following drugs and explain the different steps :

(a) Paracetamol,

(b) Chloroquine phosphate.

5. Write notes on : (8 + 9 + 8 marks)

(a) Bioisosterism.

(b) Metabolic pathways of chemical carcinogens.

(c) Protein--binding of Drugs.

M.Pharm. DEGREE EXAMINATION

(New Regulations)

MP257

First year

Branch II - Pharmaceutical Chemistry

Paper III- ADVANCED MEDICINAL CHEMISTRY

Time: Three hours Max. marks:100

APRIL 1997

Answer any FOUR questions

All questions carry equal marks

1. Explain the Receptor site concept, the forces involved in Drug-Receptor interactions and the biologic response caused by the drug due to the interactions.

2. (a) Explain the influence of conformational isomerism on pharmacological activity.

   (b) Write a brief account on the recent biosteric applications.

3. Explain the metabolic pathways undergone by the following drugs:

   (a) Amphetamine

   (b) Phenothiazines

   (c) Diazepam

   (d) Ephedrine

   (e) Lidocaine

4. Write the synthesis of the following compounds:

   (a) Sulphadimidine

   (b) Phenacetin

   (c) Chloroquin

5. Write the classification and chemistry of Antineoplastic agents.

6. Write a brief account of the following:

   (a) Use of Molecular connectivity in SAR studies with suitable examples

   (b) Enzymes involved in the activation of prodrugs.

MS 241

OCTOBER 1997

M.Pharm. DEGREE EXAMINATION

(New Regulations)

First year

Branch II - Pharmaceutical Chemistry

Paper III - ADVANCED MEDICINCAL CHEMISTRY

Time: Three hours Max.marks:100

Answer any FOUR questions

All questions carry equal marks

1. Discuss the nature of drug receptors, various types of drug receptors and the forces involved in drug-receptors interaction.

2. (a) Explain various types of phase II reactions involved in the metabolism of drugs.

   (b) Write the metabolic pathway for

   (a) Diazepam , (b) Amphetamine and (c) Cyclophosphamide.

3. Discuss with examples, the role of the following properties of drugs in determining their biological activity:

   (a) Partition coefficient

   (b) Chelation

   (c) Stereochemical factors

4. Prepare a flowsheet for the manufacture of the following drugs and discuss the various steps involved:

   (a) Sulfadimidine (b) D.E.C.

5. Write notes on:

   (a) Microsomal oxidation

   (b) Competitive Antagonists

   (c) Structure elucidation of receptors.

[SV 273]

APRIL 1998

M.Pharm. DEGREE EXAMINATION.

(New Regulations)

First Year

Branch II — Pharmaceutical Chemistry

Paper III — ADVANCED MEDICINAL CHEMISTRY

Time : Three hours Maximum : 100 marks

Answer any FOUR questions.

All questions carry equal marks.

1. (a) Discuss the influence of structural features on Pharmacological Activities.

(b) Write a brief account on the comparison of Biological activity of Stereochemical Isomers.

2. (a) Explain the Hydroxylation mechanism in drug metabolism giving suitable examples.

(b) Describe the metabolic pathways undergone by the following drugs.

(i) Diazepam.

(ii) Ephedrine.

(iii) Amphetamine.

3. Write the classification of Antiparkinsonism drugs and give a brief account of Dopaminergic theory. Write the SAR of Dopamine Receptor agonists.

4. Describe the synthesis of the following compounds :

(a) Paracetamol.

(b) Chloroquine.

(c) Sulphadimidine.

5. Explain Hansch analysis and different substituents constants in QSAR studies.

6. Write briefly on the following :

(a) Protein binding of drugs.

(b) Chemistry of antifertility drugs.

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OCTOBER 1999

[KA 273]

M.Pharm. DEGREE EXAMINATION.

(New Regulations)

First Year

Branch II — Pharmaceutical Chemistry

Paper III — ADVANCED MEDICINAL CHEMISTRY

Time : Three hours Maximum : 100 marks

Answer any FOUR questions.

All questions carry equal marks.

1. (a) Discuss in detail the complex events the drug has to pass through for drug action.

(b) Describe the concept of receptor. What are the limitations to the studies with isolation of receptors? Illustrate the enzyme perturbation theory with reference to acetyl choline receptor.

2. Write notes on :

(a) Molecular graphics in drug design.

(b) Prodrug and soft drug.

(c) Bio–isosterism.

3. (a) What is meant by QSAR? Enlist the various QSAR techniques. Discuss the Hansch's LFER model in detail.

(b) Discuss in detail the various approaches to rational design of enzyme inhibitors.

4. (a) What are calcium ion channel blockers? Discuss their role as antihypertensives.

(b) Write a note on dopaminergic antagonists.

5. (a) Explain in detail the manufacture of chloroquine phosphate and diethyl carbamazine citrate.

(b) Write a note on Adamentane derivatives as antiviral agents and interferons.

6. (a) Write notes on the following illustrating with specific examples :

(i) Limitations and pitfalls of QSAR.

(ii) Importance of stereo isomerism in drug action.

(b) Give examples of peptide hormones and their importance being discussed in detail.

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[KB 273] **APRIL 2000**

M. Pharm. DEGREE EXAMINATION.

(New Regulations)

First Year

Branch II — Pharmaceutical Chemistry

Paper III — ADVANCED MEDICINAL CHEMISTRY

Time : Three hours Maximum : 100 marks

Answer any FOUR questions.

All questions carry equal marks.

1. (a) What are prodrugs? Explain with examples. Describe their role in drug design.

(b) What is the mechanism of calcium channel blockade? Outline the synthesis of verapamil.

2. Explain the biologic action with relation to the following :

(a) Chelation

(b) Redox potentials

(c) Partition coefficient

(d) Stereochemical factors.

3. Explain in detail, the theories pertaining to QSAR parameters, and how one arrives at equations like parabolic relationship between biologic activity and partition coefficient.

4. Write short notes on the following :

(a) Benzodiazepines and their SAR

(b) Strategy in development of Anti-Viral agents

(c) Prostaglandins and their utility

(d) Dopamiergic antagonists.

5. Explain briefly the manufacture of the following drugs :

(a) Diethyl carbamazine citrate

(b) Chloroquine phosphate

(c) Paracetamol.

6. Outline the synthetic routes for any FOUR from the following :

(a) Cyclophosphamide

(b) Guanethidine

(c) Diazepam

(d) Propranolol

(e) Phenoxy benzamine.

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OCTOBER 2000

[KC 273]

M.Pharm. DEGREE EXAMINATION.

(New Regulations)

First Year

Branch II — Pharmaceutical Chemistry

Paper III — ADVANCED MEDICINAL CHEMISTRY

Time : Three hours Maximum : 100 marks

Answer any FOUR questions.

All questions carry equal marks.

1. (a) Discuss the importance of bio isosterism and stereochemical features in Drug Design.

(b) Discuss the various steric substituent constants commonly used in QSAR. Explain the effect of steric and electronic parameters on lipophilicity.

2. (a) Explain the parabolic relationship between biologic activity and partition coefficient.

(b) How do the enzymes activate the prodrugs? Elaborate various types of prodrug design with suitable examples.

3. (a) Explain the effect of protein binding on pharmacokinetic parameters of drug action.

(b) Write a note on peptide hormones.

(c) Give an account of anti Parkinsonism drugs.

4. (a) "Once the lead nucleus is identified, the process to exploit it is rather straightforward". Elaborate the above statement with suitable examples with reference to optimization of the lead.

(b) Elaborate on :

(i) Free–Wilson Model.

(ii) Discriminant Analysis.

5. (a) Write in detail about the manufacturing process of paracetamol.

(b) What are the strategies in development of Antifertility drugs?

6. Write notes on the following :

(a) Alkylating agents.

(b) Prosthglandins.

(c) Antihyperlipedemic agents.

(d) Narcotic analgesics.
