



SILVER OAK UNIVERSITY

Silver Oak College of Pharmacy (067)

Programme Name: B. Pharm (18)

Subject Name: Medicinal Chemistry I

Subject Code: 1180673207

Semester: IV

Prerequisite:

This subject is designed to impart fundamental knowledge on the structure chemistry and therapeutic value of drugs. The subject emphasizes on structure activity relationships of drugs, importance of physicochemical properties and metabolism of drugs. The syllabus also emphasizes on chemical synthesis of important drugs under each class.

Objective: Upon completion of the course student shall be able to

1. Understand the chemistry of drugs with respect to their pharmacological activity
2. Understand the drug metabolic pathways, adverse effect and therapeutic value of drugs
3. Know the Structural Activity Relationship (SAR) of different class of drugs
4. Write the chemical synthesis of some drugs

Teaching Scheme:

Teaching Scheme				
L	T	P	Contact Hours	Credit
3	1	4	8	6

Course Content:

Study of the development of the following classes of drugs, Classification, mechanism of action, uses of drugs mentioned in the course, Structure activity relationship of selective class of drugs as specified in the course and synthesis of drugs superscripted (*)

Content:

Unit No.	Contents	Teaching Hours	Weightage %
1	Introduction to Medicinal Chemistry History and development of medicinal chemistry Physicochemical properties in relation to biological action Ionization, Solubility, Partition Coefficient, Hydrogen bonding, Protein binding, Chelation, Bioisosterism, Optical and Geometrical isomerism. Drug metabolism Drug metabolism principles- Phase I and Phase II. Factors affecting drug metabolism including stereo chemical aspects	10	22%
2	Drugs acting on Autonomic Nervous System	10	22%

	<p>Adrenergic Neurotransmitters: Biosynthesis and catabolism of catecholamine. Adrenergic receptors (Alpha & Beta) and their distribution.</p> <p>Sympathomimetic agents: SAR of Sympathomimetic agents Direct acting: Nor-epinephrine, Epinephrine, Phenylephrine*, Dopamine Methyl dopa, Clonidine, Dobutamine, Isoproterenol, Terbutaline, Salbutamol*, Bitolterol, Naphazoline, Oxymetazoline and Xylometazoline. Indirect acting agents: Hydroxyamphetamine, Pseudoephedrine, Propylhexedrine. Agents with mixed mechanism: Ephedrine, Metaraminol.</p> <p>Adrenergic Antagonists: Alpha adrenergic blockers: Tolazoline*, Phentolamine, Phenoxybenzamine, Prazosin, Dihydroergotamine, Methysergide. Beta adrenergic blockers: SAR of beta blockers, Propranolol*, Metibranolol, Atenolol, Betazolol, Bisoprolol, Esmolol, Metoprolol, Labetolol, Carvedilol.</p>		
3	<p>Cholinergic neurotransmitters: Biosynthesis and catabolism of acetylcholine. Cholinergic receptors (Muscarinic & Nicotinic) and their distribution.</p> <p>Parasympathomimetic agents: SAR of Parasympathomimetic agents Direct acting agents: Acetylcholine, Carbachol*, Bethanechol, Methacholine, Pilocarpine. Indirect acting/ Cholinesterase inhibitors (Reversible & Irreversible): Physostigmine, Neostigmine*, Pyridostigmine, Edrophonium chloride, Tacrine hydrochloride, Ambenonium chloride, Isofluorophate, Echothiophate iodide, Parathione, Malathion. Cholinesterase reactivator: Pralidoxime chloride. Cholinergic Blocking agents: SAR of cholinolytic agents Solanaceous alkaloids and analogues: Atropine sulphate, Hyoscyamine sulphate, Scopolamine hydrobromide, Homatropine hydrobromide, Ipratropium bromide*. Synthetic cholinergic blocking agents: Tropicamide, Cyclopentolate hydrochloride, Clidinium bromide, Dicyclomine hydrochloride*, Glycopyrrolate, Methantheline bromide, Propantheline bromide, Benztropine mesylate, Orphenadrine citrate, Biperidine hydrochloride, Procyclidine hydrochloride*, Tridihexethyl chloride, Isopropamide iodide, Ethopropazine hydrochloride.</p>	10	22%
4	<p>Drugs acting on Central Nervous System A Sedatives and Hypnotics: Benzodiazepines: SAR of Benzodiazepines, Chlordiazepoxide, Diazepam*, Oxazepam, Chlorazepate, Lorazepam, Alprazolam, Zolpidem Barbiturates: SAR of barbiturates, Barbitol*, Phenobarbital, Mephobarbital, Amobarbital, Butobarbital, Pentobarbital, Secobarbital Miscellaneous: Amides & imides: Glutethimide. Alcohol & their carbamate derivatives: Meprobamate, Ethchlorvynol. Aldehyde &</p>	08	17

	<p>their derivatives: Triclofos sodium, Paraldehyde.</p> <p>B. Antipsychotics</p> <p>Phenothiazines: SAR of Phenothiazines - Promazine hydrochloride, Chlorpromazine hydrochloride*, Triflupromazine, Thioridazine hydrochloride, Piperacetazine hydrochloride, Prochlorperazine maleate, Trifluoperazine hydrochloride.</p> <p>Ring Analogues of Phenothiazines: Chlorprothixene, Thiothixene, Loxapine succinate, Clozapine.</p> <p>Fluro buterophenones: Haloperidol, Droperidol, Risperidone.</p> <p>Beta amino ketones: Molindone hydrochloride.</p> <p>Benzamides: Sulpieride.</p> <p>C. Anticonvulsants: SAR of Anticonvulsants, mechanism of anticonvulsant action</p> <p>Barbiturates: Phenobarbitone, Methabarbital. Hydantoins: Phenytoin*, Mephenytoin, Ethotoin Oxazolidine diones: Trimethadione, Paramethadione Succinimides: Phensuximide, Methsuximide, Ethosuximide* Urea and monoacylureas: Phenacemide, Carbamazepine*</p> <p>Benzodiazepines: Clonazepam</p> <p>Miscellaneous: Primidone, Valproic acid , Gabapentin, Felbamat</p>		
5	<p>Drugs acting on Central Nervous System</p> <p>General anesthetics:</p> <p>Inhalation anesthetics: Halothane*, Methoxyflurane, Enflurane, Sevoflurane, Isoflurane, Desflurane.</p> <p>Ultra short acting barbiturates: Methohexital sodium*, Thiamylal sodium, Thiopental sodium.</p> <p>Dissociative anesthetics: Ketamine hydrochloride.*</p> <p>Narcotic and non-narcotic analgesics</p> <p>Morphine and related drugs: SAR of Morphine analogues, Morphine sulphate, Codeine, Meperidine hydrochloride, Anilerdine hydrochloride, Diphenoxylate hydrochloride, Loperamide hydrochloride, Fentanyl citrate*, Methadone hydrochloride*, Propoxyphene hydrochloride, Pentazocine, Levorphanol tartarate.</p> <p>Narcotic antagonists: Nalorphine hydrochloride, Levallorphan tartarate, Naloxone hydrochloride.</p> <p>Anti-inflammatory agents: Sodium salicylate, Aspirin, Mefenamic acid*, Meclofenamate, Indomethacin, Sulindac, Tolmetin, Zomepriac, Diclofenac, Ketorolac, Ibuprofen*, Naproxen, Piroxicam, Phenacetin, Acetaminophen, Antipyrine, Phenylbutazone.</p>	07	17

Course Outcome: After Completion of Syllabus Students will able to

Sr. No.	CO statement	Unit No
CO-1	Helps in correlating between pharmacology of a disease and its mitigation or cure	1
CO-2	To understand the drug metabolic pathways, adverse effect and therapeutic value of drugs	2
CO-3	To know the structural activity relationship of different class of drugs	3
CO-4	Knowledge about the mechanism pathways of different class of medicinal compounds	4
CO-5	To understand the chemistry of drugs with respect to their pharmacological activity	5

Teaching & Learning Methodology: -

The various methods or tools follows by the faculties to teach the above subject are:

1. Student-centred learning.
2. Experiential learning.
3. Presentation

Experiments:

Students will perform following Experiments

I Preparation of drugs/ intermediates

- 1 1,3-pyrazole
- 2 1,3-oxazole
- 3 Benzimidazole
- 4 Benzotriazole
- 5 2,3- diphenyl quinoxaline
- 6 Benzocaine
- 7 Phenytoin
- 8 Phenothiazine
- 9 Barbiturate

II Assay of drugs

- 1 Chlorpromazine
- 2 Phenobarbitone
- 3 Atropine
- 4 Ibuprofen
- 5 Aspirin
- 6 Furosemide

III Determination of Partition coefficient for any two drugs

Books Recommended

1. Wilson and Giswold's Organic medicinal and Pharmaceutical Chemistry.
2. Foye's Principles of Medicinal Chemistry.
3. Burger's Medicinal Chemistry, Vol I to IV.
4. Smith and Williams Introduction to principles of drug design.
5. Remington's Pharmaceutical Sciences.
6. Martindale's extra pharmacopoeia.
7. I.L. Finar, Organic Chemistry, Vol. II.
8. Lednicer, The Organic Chemistry of Drug Synthesis, Vol. 1-5.
9. Lednicer, Indian Pharmacopoeia. 10. Text book of practical organic chemistry- A.I.Vogel

CO-PO-PSO Matrix:

Co. No.	PO1	PO2	PO3	PO4	PO5	PO6	PO7	PO8	PO9	PO10	PO11	PSO1	PSO2
CO-1	3	2	3	3	-	2	1	3	3	2	3	3	3
CO-2	3	1	3	2	-	3	2	3	3	3	2	3	3
CO-3	3	2	3	2	-	2	-	3	2	3	2	3	3
CO-4	3	1	2	3	-	2	3	2	3	2	3	2	3
CO-5	3	2	3	2	2	2	3	2	3	3	2	3	2