TEACHING PLAN: MEDICINAL CHEMISTRY –I

TEACHER NAME:- JYOTI RANI

SCHOOL: (SOP) SCHOOL OF PHARMACY		**ACADEMIC SESSION: 2023-24**		**FOR STUDENTS' BATCH: 2022-23**	
1	**Course No.**	BP402T			
2	**Course Title**	MEDICINAL CHEMISTRY -I			
3	**Credits**	**4**			
4	**Learning Hours**	**Contact Hours**	**45**		
		Assessment	**10**		
		Guided Study	**20**		
		Total hours	**75**		
5	**Course Objective**	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.			
6	**Course Outcomes**	Upon completion of the course the 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			
7	**Outline syllabus:**				

7.01	**Paper Code**	**Unit**	**Introduction**	**Page Numbers[1]**	**Lectures**
7.02	**Paper Code. Unit I**	(a)	Introduction to Medicinal Chemistry	7-9	1
		(b)	History and development of medicinal chemistry	12-18	1
		(c)	Physicochemical properties in relation to biological action Ionization, Solubility, Partition Coefficient, Hydrogen bonding, Protein binding, Chelation, Bioisosterism, Optical and Geometrical isomerism	18-21	4
		(d)	Drug metabolism Drug metabolism principles-Phase I and Phase II. Factors affecting drug metabolism including stereo chemical aspects	21-38	4
7.03	**Paper Code. Unit II**	(a)	Drugs acting on Autonomic Nervous System. Adrenergic Neurotransmitters: Biosynthesis and catabolism of catecholamine. Adrenergic receptors (Alpha & Beta) and their distribution	38-42	3
		(b)	Sympathomimetic agents: SAR of Sympathomimetic agents Direct acting: Nor-epinephrine, Epinephrine, Phenylephrine*, Dopamine, Methyldopa, Clonidine,	39-43	3

			Dobutamine, Isoproterenol, Terbutaline, Salbutamol*, Bitolterol, Naphazoline, Oxymetazoline and Xylometazoline.		
			Indirect acting agents: Hydroxyamphetamine, Pseudoephedrine, Propylhexedrine		
			Agents with mixed mechanism: Ephedrine, Metaraminol	44-48	4
			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		
7.04	**Paper Code. Unit III**	(a)	Cholinergic neurotransmitters: Biosynthesis and catabolism of acetylcholine. Cholinergic receptors (Muscarinic & Nicotinic) and their distribution	53-58	4
		(b)	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, Isofluorphate, Echothiophate iodide, Parathione, Malathion. Cholinesterase reactivator: Pralidoxime chloride	61-65	3
		(c)	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.		3
7.05	**Paper Code. Unit IV**	(a)	Drugs acting on Central Nervous System	72-75	4

			A. Sedatives and Hypnotics: Benzodiazepines: SAR of Benzodiazepines, Chlordiazepoxide, Diazepam*, Oxazepam, Chlorazepate, Lorazepam, Alprazolam, Zolpidem B. Barbiturtes: SAR of barbiturates, Barbital*,Phenobarbital, Mephobarbital, Amobarbital,Butabarbital, Pentobarbital, Secobarbital Miscelleneous: Amides & imides: Glutethmide. Alcohol & their carbamate derivatives: Meprobomate, Ethchlorvynol. Aldehyde & their derivatives: Triclofos sodium, Paraldehyde		
		(b)	Antipsychotics Phenothiazeines: SAR of Phenothiazeines - Promazine hydrochloride, Chlorpromazinehydrochloride*, Triflupromazine, Thioridazine hydrochloride, Piperacetazine hydrochloride, Prochlorperazine maleate, Trifluoperazine hydrochloride. Ring Analoguesof Phenothiazeines: Chlorprothixene, Thiothixene, Loxapine succinate, Clozapine. Fluro buterophenones: Haloperidol, Droperidol, Risperidone. Beta amino ketones: Molindone hydrochloride. Benzamides: Sulpieride	88-92	3
		(c)	Anticonvulsants: SAR of Anticonvulsants, mechanism of anticonvulsant action Barbiturates: Phenobarbitone, Methabarbital. Hydantoins: Phenytoin*, Mephenytoin, Ethotoin Oxazolidine diones: Trimethadione, Paramethadione Succinimides: Phensuximide, Methsuximide, Ethosuximide* Urea and monoacylureas: Phenacemide, Carbamazepine* Benzodiazepines: Clonazepam Miscellaneous: Primidone, Valproic acid , Gabapentin, Felbama	93-95	1
7.06	**Paper Code. Unit V**	(a)	Drugs acting on Central Nervous System General anesthetics: Inhalation anesthetics: Halothane*, Methoxyflurane, Enflurane, Sevoflurane, Isoflurane, Desflurane. Ultra short acting barbitutrates: Methohexital sodium*, Thiamylal sodium, Thiopental sodium. Dissociative anesthetics: Ketamine hydrochloride.*	113-117	7
		(b)	Narcotic and non-narcotic analgesics 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. Narcotic antagonists: Nalorphine hydrochloride, Levallorphan tartarate, Naloxone hydrochlorid		
		(C)	Anti-inflammatory agents: Sodium salicylate, Aspirin, Mefenamic acid*, Meclofenamate, Indomethacin, Sulindac, Tolmetin, Zomepriac, Diclofenac, Ketorolac, Ibuprofen*, Naproxen, Piroxicam, Phenacetin, Acetaminophen, Antipyrine, Phenylbutazone.		

8	**Course Evaluation**	
8.1	**CA: 30%**	
8.11	**Attendance**	--
8.12	**Homework**	4 Assignments, 10%
8.13	**Quizzes**	4 Quizzes, 80%
8.14	**Projects**	1 Project, 5%
8.15	**Presentation**	1 Presentation, 5%
8.16	**Any other**	--
8.2	**MTE**	20%
8.3	**End-term examination: 50%**	
9	**Text Books & References**	
9.1	**Text book**	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. Introduction to principles of drug design- Smith and Williams. 5. Remington's Pharmaceutical Sciences. 6. Martindale's extra pharmacopoeia. 93 7. Organic Chemistry by I.L. Finar, Vol. II. 8. The Organic Chemistry of Drug Synthesis by Lednicer, Vol. 1-5. 9. Indian Pharmacopoeia. 10. Text book of practical organic chemistry- A.I.Vogel.

QUESTION BANK

UNIT I

1. What is phase I biotransformation. Discuss any two oxidative reactions.
2. What is phase II biotransformation? Discuss any two conjugation reactions
3. Write the factors affecting drug metabolism
4. Define biotransformation. What is its importance? Write the sites of biotranformation.
5. Discuss the role of glucouronic acid and glycine in biotransformation.
6. Explain role of Cytochrome P-450 in biotransformation.
7. Write in detail ionization & solubility as an important physico-chemical parameter.
8. Add a note on hydrogen bonding and protein binding.
9. Explain the role of solubility and partition coefficient
10. Explain the role of chelation and bioisosterism.
11. Explain optical and geometrical isomerism in relation to biological action.

12. Discuss hepatic and extra-hepatic metabolism.
13. Explain the role of solubility and protein binding.
14. Explain the role of hydrogen boding and partition coefficient
15. Explain the role of ionisation and bioisosterism.
16. Explain the role of ionisation and chelation
17. Discuss reductive and hydrolytic drug metabolism with its importance.
18. Explain the role of solubility and hydrogen boding..

UNIT II

1. Define and classify adrenergic agents? Discuss adrenergic blocking agents in detail And give the synthesis of propranolol.
2. Classify adrenergic antagonists with suitable example in each class along with Structure. Write the synthesis of Tolazoline.
3. Give the biosynthesis and metabolism of nor-adrenaline. Write the synthesis of Salbutamol and phenylephrine.
4. Give the SAR of B-adrenergic blocking agents. Outline the synthesis of propranolol.
5. Write the class, structure ,mechanism and uses of a) Methyldopa b) Ephedrine c)Phenoxy benzamine and d) Metaprolol
6. Define, classify and write the SAR of adrenergic agents and give the synthesis of Phenylephrine

UNIT III

1. Explain the biosynthesis of acetyl choline and its function via various receptors
2. Explain the catabolism of acetyl choline. Write the structure and uses of pilocarpine.
3. Discuss the role of reversible and irreversible cholinaetarase inhibitors as medicinal Agents.
4. What are solanatious alkaloids? Write the synthesis and specific use of Ipratropium bromide,
5. Classify cholinergic receptors. Write a note on their distribution and function.
6. Write the synthesis of dicyclomine hydrochloride. Discuss its mechanism of action, uses and possible side effects.
7. Write the synthesis of procyclidine hydrochloride. Discuss its mechanism of action, Uses and possible side effects.
8. Discuss SAR of parasympathomimetic agents.
9. Discuss SAR of cholinolytic agents.
10. Write the structure, uses and mechanism of action of pralidoxime chloride.
11. Explain the role of cholinesterase enzyme. Write the Synthesis, mechanism of action And uses of neostigmine.
12. What are How are they useful. Explain the synthesis of Carbachol.
13. Discuss the role of acetylcholine estarase in the body. Classify acetyl choline Inhibitors with two examples each along with its specific uses.
14. Write the structure of atropine. Discuss its mechanism of action, uses and side effects.
15. Write the structure, uses and mechanism of a) scopolamine Hydrobromide b) Propantheline bromide

UNIT IV

1. Define sedatives and hypnotics. Explain the SAR of barbiturates. Write the synthesis of barbital..

2. Write the SAR of benzodiazepines. Outline the synthesis of diazepam.
3. Explain the SAR of phenothiazines. Outline the synthesis and uses of chlorpromazine Hydrochloride.
4. What are anticonvulsants? Classify chemically with an example each. Enumerate the Structure, chemical name; synthesis and specific use any one.
5. Define and classify convulsions. Outline the synthesis of phenytoin and Carbamazepine.
6. Differentiate between the term anxiolytics, sedative, hypnotic and tranquiliser. Outline the synthesis of diazepam.
7. Define antipsychotic drugs. Write the structure of any four drugs to treat the same Belonging to different classes. Outline the synthesis of chlorpromazine hydrochloride.

UNIT V

1. What is anaesthesia? Classify general anaesthetics. Give its mechanism of action. Outline the synthesis of Halothane and ketamine hydrochloride.
2. Explain the SAR Morphine with respect to peripheral modification. Write the Synthesis of Fentanyl citrate.
3. Classify NSAIDS with example in each class. Write the synthesis of Ibuprofen.
4. What are narcotic analgesics? Give their mechanism of action with limitations. Write the synthesis of methadone hydrochloride
5. Differentiate between narcotics and NSAIDS. Outline the synthesis of methadone Hydrochloride and mefenemic acid.
6. Define anti-inflammatory drugs. Write the structure and uses of any four such drugs. Write the synthesis of Ibuprofen