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|---|---|
| **INSTITUTE** | **FACULTY OF PHARMACY** |
| **PROGRAM** | **BACHELOR OF PHARMACY** |
| **SEMESTER** | **4** |
| **COURSE TITLE** | **MEDICINAL CHEMISTRY-I** |
| **COURSE CODE** | **13PH0402** |
| **COURSE CREDITS** | **6** |

**Objective:**

1 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.

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

**Course Outcomes:** After completion of this course, student will be able to:

1 Able to know the chemistry of drugs with respect to their Pharmacological activity

2 Know the drug metabolic pathways, adverse effect and therapeutic value of drugs

3 Know the Structural Activity Relationship (SAR) of different class of drugs

4 Able to write the chemical synthesis of some drugs

**Pre-requisite of course:**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.

**Teaching and Examination Scheme**

| Theory Hours | Tutorial Hours | Practical Hours | ESE | IA | CSE | Viva | Term Work |
|---|---|---|---|---|---|---|---|
| 3 | 1 | 4 | 75 | 15 | 10 | 35 | 15 |

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| Contents : Unit | Topics | Contact Hours |
|---|---|---|
| 1 | **Introduction to Medicinal Chemistry, History and development of medicinal chemistry Physicochemical properties in relation to biological action**<br>Introduction to Medicinal Chemistry, History and development of medicinal chemistry Physicochemical properties in relation to biological actionIonization, Solubility, Partition Coefficient, Hydrogen bonding, Protein binding, Chelation, Bioisosterism, Optical and Geometrical isomerism. DrugmetabolismDrug metabolism principles-Phase I and Phase II.Factors affecting drug metabolism including stereo chemical aspects | |
| 2 | **Drugs acting on Autonomic Nervous System Adrenergic Neurotransmitters:**<br>Biosynthesis and catabolism of catecholamine.Adrenergic receptors (Alpha & Beta) and their distribution.Sympathomimetic agents: SAR of Sympathomimetic agentsDirect acting: Nor-epinephrine, Epinephrine, Phenylephrine*, Dopamine Methyldopa, Clonidine, Dobutamine, Isoproterenol, Terbutaline,Salbutamol*, Bitolterol, Naphazoline, Oxymetazoline and Xylometazoline.Indirect acting agents: Hydroxyamphetamine, Pseudoephedrine, Propylhexedrine.Agents with mixed mechanism: Ephedrine, Metaraminol.Adrenergic Antagonists:Alpha adrenergic blockers: Tolazoline*, Phentolamine, Phenoxybenzamine, Prazosin, Dihydroergotamine, Methysergide. Beta adrenergic blockers: SARof beta blockers, Propranolol*, Metibranolol, Atenolol, Betazolol, Bisoprolol, Esmolol, Metoprolol, Labetolol, Carvedilol. | |
| 3 | **Cholinergic neurotransmitters**<br>Biosynthesis and catabolism of acetylcholine.Cholinergic receptors (Muscarinic & Nicotinic) and their distribution. 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.Cholinergic Blocking agents: SAR of cholinolytic agentsSolanaceous 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. | |

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| Contents : Unit | Topics | Contact Hours |
|---|---|---|
| 4 | **Drugs acting on Central Nervous System**<br>A.Sedatives andHypnotics:Benzodiazepines: SAR of Benzodiazepines, Chlordiazepoxide, Diazepam*, Oxazepam, Chlorazepate, Lorazepam, Alprazolam, ZolpidemBarbiturtes: SAR of barbiturates, Barbital*, Phenobarbital, Mephobarbital, Amobarbital, Butabarbital, Pentobarbital, SecobarbitalMiscelleneous:Amides & imides: Glutethmide.Alcohol & their carbamate derivatives: Meprobomate, Ethchlorvynol. Aldehyde & their derivatives: Triclofos sodium, Paraldehyde.B.AntipsychoticsPhenothiazeines: SAR of Phenothiazeines -Promazine hydrochloride, Chlorpromazine hydrochloride*, Triflupromazine, Thioridazine hydrochloride, Piperacetazine hydrochloride, Prochlorperazine maleate, Trifluoperazine hydrochloride.Ring Analogues of Phenothiazeines: Chlorprothixene, Thiothixene, Loxapine succinate, Clozapine.Fluro buterophenones: Haloperidol, Droperidol, Risperidone.Beta amino ketones: Molindone hydrochloride.Benzamides: Sulpieride.C.Anticonvulsants: SAR of Anticonvulsants, mechanism of anticonvulsant actionBarbiturates: Phenobarbitone, Methabarbital. Hydantoins: Phenytoin*, Mephenytoin, Ethotoin Oxazolidine diones: Trimethadione, Paramethadione Succinimides: Phensuximide, Methsuximide, Ethosuximide* Urea and monoacylureas: Phenacemide, Carbamazepine* Benzodiazepines: ClonazepamMiscellaneous: Primidone, Valproic acid , Gabapentin, Felbamate | |
| 5 | **Drugs acting on Central Nervous System General anesthetics:Drugs acting on Central Nervous System General anesthetics:**<br>Inhalation anesthetics: Halothane*, Methoxyflurane, Enflurane,Sevoflurane, Isoflurane, Desflurane.Ultra short acting barbitutrates: Methohexital sodium*, Thiamylal sodium, Thiopental sodium.Dissociative anesthetics: Ketamine hydrochloride.*Narcotic and non-narcotic analgesicsMorphine 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 hydrochloride.Anti-inflammatory agents: Sodium salicylate, Aspirin, Mefenamic acid*, Meclofenamate, Indomethacin, Sulindac, Tolmetin, Zomepriac, Diclofenac, Ketorolac, Ibuprofen*, Naproxen, Piroxicam, Phenacetin, Acetaminophen, Antipyrine, Phenylbutazone. | |
| **Total Hours** | | |

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**Suggested List of Experiments:**

| Contents : Unit | Topics | Contact Hours |
|---|---|---|
| 1 | **Lab**<br>Experiment no.1 , Experiment no.2, Experiment no.3, Experiment no.4, Experiment no.5, Experiment no.6, Experiment no.7, Experiment no.8, Experiment no.9, Experiment no.10, Experiment no.11, Experiment no.12, Experiment no.13, Experiment no.14 | |
| 2 | **workshop**<br>Workshop no.1, Workshop no.2, Workshop no.3, Workshop no.4, Workshop no.5, Workshop no.6, Workshop no.7, Workshop no.8, Workshop no.9, Workshop no.10, Workshop no.11, Workshop no.12, Workshop no.13, Workshop no.14, Workshop no.15 | |
| **Total Hours** | | |

**Textbook :**

1 Wilson and Giswold's Organic medicinal , and Pharmaceutical, Chemistry., 1971

**References:**


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 Introduction to principles of drug design- Smith and Williams.
5 Remington's Pharmaceutical Sciences.
6 Martindale's extra pharmacopoeia.
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.


**Suggested Theory Distribution:**

The suggested theory distribution as per Bloom's taxonomy is as follows. This distribution serves as guidelines for teachers and students to achieve effective teaching-learning process

| Distribution of Theory for course delivery | | | | | |
|---|---|---|---|---|---|
| **Remember / Knowledge** | **Understand** | **Apply** | **Analyze** | **Evaluate** | **Higher order Thinking / Creative** |
| 30.00 | 25.00 | 20.00 | 15.00 | 10.00 | 0.00 |

**Instructional Method:**

1 The course delivery method will depend upon the requirement of content and the need of students. The teacher in addition to the conventional teaching method by the blackboard may also use any tools such as demonstration, role play, quiz, brainstorming, MOOCs etc.

**Instructional Method:**

2 The internal evaluation will be done based on continuous evaluation of students in the laboratory and classroom.

3 Students will use supplementary resources such as online videos, NPTEL videos, MOOCs/ e-courses, virtual laboratories.

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