STUDY GUIDE

PHARMACOLOGY

3RD YEAR MBBS

2022



AKHTAR SAEED MEDICAL AND DENTAL COLLEGE, LHR

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DEPARTMENT OF PHARMACOLOGY

STUDY GUIDE?

IT IS AN AID TO:

- INFORM STUDENTS HOW STUDENT LEARNING PROGRAM OF ACADEMIC SESSION HAS BEEN ORGANIZED
- HELP STUDENTS ORGANIZE AND MANAGE THEIR STUDIES THROUGHOUT THE SESSION
- GUIDE STUDENTS ON ASSESSMENT METHODS, RULES AND REGULATIONS

THE STUDY GUIDE:

- COMMUNICATES INFORMATION ON ORGANIZATION AND MANAGEMENT OF THE COURSE
- DEFINES THE OBJECTIVES WHICH ARE EXPECTED TO BE ACHIEVED AT THE END OF EACH TOPIC.
- IDENTIFIES THE LEARNING STRATEGIES SUCH AS LECTURES, SMALL GROUP TEACHINGS, CLINICAL SKILLS, DEMONSTRATION, TUTORIAL AND CASE BASED LEARNING THAT WILL BE IMPLEMENTED TO ACHIEVE THE OBJECTIVES.

• PROVIDES A LIST OF LEARNING RESOURCES SUCH AS BOOKS, COMPUTER ASSISTED LEARNING PROGRAMS, WEB- LINKS, FOR STUDENTS TO CONSULT IN ORDER TO MAXIMIZE THEIR LEARNING.

- 1. LEARNING OBJECTIVES (AT THE END OF EACH TOPIC)
- 2. SOURCES OF KNOWLEDGE:
- I. RECOMMENDED BOOKS
 - 1. BASIC AND CLINICAL PHARMACOLOGY BY KATZUNG, 14TH ED., MC GRAW-HILL
 - 2. PHARMACOLOGY BY CHAMPE AND HARVEY, 7TH ED., LIPPINCOTT WILLIAMS & WILKINS
 - 3. TREVOR'S PHARMACOLOGY
- II. CDS OF PHARMACY PRACTICALS
- III. DEPARTMENTAL LIBRARY CONTAINING REFERENCE BOOKS & MEDICAL JOURNALS
- IV. GENERAL PHARMACOLOGY DFINITIONS
- V. CLASSIFICATIONS OF PHARMACOLOGY
- VI. AMDC PHARMACOLOGY
 (FACEBOOK GROUP) & (FACEBOOK PAGE)

3rd YEAR MBBS Pharmacology (study guides) LEARNING OBJJECTVES

Topics & Learning outcomes

THEME: General Pharmacology SUB THEME: Pharmacokinetics

- By the end of this session student should be able to:
- Define Pharmacokinetics.
- Enumerate various types of Routes of Administration
- Enumerate Enteral Routes
- Write the advantages & disadvantages of: Sublingual / Buccal, Oral Route, Rectal Route; give examples
- Write the advantages & disadvantages of: Intravenous, Intra-arterial, Intramuscular, Subcutaneous routes; give examples
- Write the advantages & disadvantages of Local Route / Topical Applications; give examples
- Identify the main Factors involved in drug- movement during absorption
- Define First-Pass Effect with an example
- Define Area under the curve (AUC)
- Define Bioavailability and enlist the factors affecting it
- Explain the relationship of Bioavailability vs. AUC
- Explain the Clinical Importance of Plasma Protein Binding

- Define Volume of Distribution (Vd)
- Enlist the factors affecting Vd
- Define Drug Redistribution, explain with an example.
- Define Drug metabolism
- Write the Phase-I and Phase II reactions with example.
- Define Enzyme Induction and Inhibition; give examples.
- Define Biotransformation; give examples.
- Define Excretion, Elimination (Biodisposition) and clearance.
- Define Zero-Order and First-Order Elimination; give examples.
- Define plasma Half–Life (t ½), write its formula and clinical importance.
- Define Steady State, Define maintenance dose, loading dose

SUB THEME: Pharmacodynamics

- By the end of this session student should be able to:
- Define Pharmacodynamics
- Define Affinity, Efficacy, potency.
- Define Agonist (or full agonist), partial agonist, inverse agonist with examples.
- Define Spare receptor and give clinical importance
- Define Transmembrane signalling
- Identify the targets for G-Proteins
- Enumerate the Effectors controlled by G-proteins
- Describe various Drug-antagonism types with examples
- Define Median Effective (ED₅₀), Median Toxic (TD₅₀) & Median Lethal Dose (LD₅₀)?
- Define Therapeutic index and give clinical importance
- Define Therapeutic window and give clinical importance.
- Define Standard Margin of Safety?
- Differentiate between Graded and Quantal dose-response curves
- Write the significance of Semi-log Transformation

- Explain the information derived from a Quantal Dose Effect Curve
- Define Desensitization, Tachyphylaxis, Tolerance, Resistance, super sensitivity, hypersensitivity, super infection, iatrogenic effect, idiosyncrasy, and give examples.
- Define Pharmacogenetics and give examples.

Topics & Learning outcomes

THEME: Drugs acting on Autonomic Nervous System (ANS)

SUB THEME: Cholinergic system

- By the end of this session student should be able to:
- Classification of cholinergic agonists and antagonists
- What are Clinical Uses of Cholinomimetics?
- What are the Uses of Pilocarpine, Carbachol, Bethanechol,
- What is the Mechanism of Action of Edrophonium?
- What are the Uses of Edrophonium?
- What are the Uses of Neostigmine, Physostigmine&Rivastigmine?
- What is the Mechanism of Action of Organophosphorous Compounds
- What are the Toxic Effects of Organophosphorous Compounds
- What is an "aging" process; what is the role of Pralidoxime?
- What is the Mechanism of Action of Succinylcholine?
- What are the Systemic Effects of Atropine / Antimuscarinics?
- What are the Therapeutic Uses of Antimuscarinics?
- What are the Side Effects & Toxicity and contraindications of Atropine

Learning outcomes

SUB THEME: Adrenergic system

- By the end of this session student should be able to:
- Give general characteristics of catecholamines?
- Enlist the therapeutic uses, adverse effects and contraindications of Epinephrine and Dopamine?
- Write down the Uses of Isoproterenol, phenylephrine and Dobutamine
- Write down the Uses of Albuterol /Salbutamol, Ritodrine / Terbutaline
- Write down the Mode of Action and uses of Fenoldopam?
- Give the Mechanism of Action, uses and toxicity of Amphetamine?
- Classify alpha and beta blockers
- Enumerate the Uses of Prazosin?
- Write the Adverse Effects of Prazosin and should know about its withdrawal effects and how that can be handled?
- Enumerate Uses of Phenoxybenzamine, phentoalmine and tamsulosin?
- Enumerate Uses, adverse effects and contraindications of Propranolol?
- Write down the Uses of Timolol and Labetalol?
- Compare and contrast characteristics of Reserpine and Guanethidine.

THEME: Drugs acting on Central Nervous System (CNS)

SUB THEME: Sedative/hypnotics

- By the end of this session student should be able to:
- Differentiate between Diazepam and Barbiturates?
- Write down the toxic effects and uses of Diazepam and Barbiturates?
- Enlist the Uses of Zolpidem?
- Explain the Mechanism of Action of Buspirone and differentiate it from benzodiazepines?
- Write down the Mechanism of Action and uses of Ramelteon?
- Give the rationale for the use of Flumazenil in benzodiazepine toxicity
- Enumerate the Adverse effects and Drug Interactions of Ethanol

- Write down the role of Benzodiazepines in prevention and treatment of acute ethanol withdrawal syndrome
- Enumerate the toxic effects of Methanol Poisoning

Topics & Learning outcomes

- Give the rationale for the use of:
 - 1. Disulfiram in alcoholics
 - 2. Fomepizole in methanol poisoning
 - **3.** Naltrexone in risk of relapse in alcoholism
 - **4.** Thiamine (vitamin B₁) in acute alcohol intoxication or alcohol withdrawal syndrome?

SUB THEME: Anti-epileptic drugs

- By the end of this session student should be able to:
- Classify anti-epileptic drugs
- Write down the Mechanism of Action, uses, adverse effects and drug interactions of Phenytoin, Carbamazipine, Valproic acid and Ethosuximide?
- Enlist the Uses of Gabapentin?

Topics

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Learning outcomes

SUB THEME: General anesthetics

- By the end of this session student should be able to:
- Write down Mechanism of action of Inhaled Anaesthetics
- Give the Pharmacokinetics of Inhaled and Intravenous Anaesthetics
- Enlist the adverse effects and drug interactions of Inhaled Anaesthetics
- Write down the Mechanism of action of Intravenous Anesthetics
- Enumerate the adverse effects of Intravenous Anesthetics

SUB THEME: Local anesthetics

- By the end of this session student should be able to:
- Classify and give various methods of local anaesthesia
- Write down the Mechanism of action, clinical uses and adverse effects of Lidocaine / Bupivacaine/ Chloroprocaine?
- Explain the Mechanism of action of Cocaine with the help of a diagram
- Enumerate the adverse effects of Cocaine?

Topics

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Learning outcomes

SUB THEME: Skeletal muscle relaxants

• By the end of this session student should be able to:

- Write down the Mechanism of action Succinylcholine / Depolarizing Neuromuscular Blocking Agent
- Give the Clinical Applications of Succinylcholine / Depolarizing Neuromuscular Blocking Agent
- Enumerate the adverse effects of Succinylcholine / Depolarizing Neuromuscular Blocking Agent
- Write down Mechanism of action of d-Tubocurarine
- Enumerate the Clinical Applications and adverse effects of d-Tubocurarine
- Write short note on Baclofen

SUB THEME: Anti-parkinsonian drugs

- By the end of this session student should be able to:
- · Classify the drugs for parkinsonism
- Understand the Mechanism of action of Levodopa
- Enumerate the Clinical Applications, adverse effects and Drug Interactions of Levodopa
- Give the rationale for the use of the following in parkinsonism:
 - Levodopa + carbidopa (Sinemet)?
 - Levodopa + carbidopa + entacapone
- What are the uses of Bromocriptine?
- What is the role of Apomorphine in dyskinesia?
- On and off phenomenon

SUB THEME: Anti-psychotic drugs

- By the end of this session student should be able to:
- Classify anti-psychotics
- Give the Clinical Applications, adverse effects and drug interactions older and newer anti-psychotic drugs
- Write down the Mechanism of action, adverse effects and drug interactions of Lithium?

SUB THEME: Anti-Depressant drugs

- By the end of this session student should be able to:
- Classify anti-depressants
- Write down the Mechanism of action, uses, adverse effects and drug interactions of TCAs, SSRIs?

Learning outcomes

SUB THEME: Opioids

- By the end of this session student should be able to:
- Enumerate the sites of action / receptors of Opioids.
- Write the effects of Opioid Receptors
- Write down the actions Morphine and other Opioids
- Enumerate the adverse effects / toxic effects of Morphine / Opioids
- Give the rationale for the use of: Naloxone in Morphine / Opioid toxicity

- Write down how to manage the withdrawal effects of Morphine / Opioids
- Enumerate the Clinical Applications of Buprenorphine, codeine, tramadol, heroine, methadone, Dextromethorphan

THEME: NSAIDs/Drugs used for Gout/Anti-rheumatic drugs)

- By the end of this session student should be able to answer the following:
- Classification of NSAIDs
- Compare and contrast between Aspirin and Paracetamol?
- What are the Clinical applications of Aspirin?
- What is the Toxicity of Aspirin?
- What is the Drug interaction of Aspirin?
- What is the Treatment of Salicylism Aspirin Toxicity
- What is the Toxicity of Acetaminophen (Paracetamol)?
- What are the Therapeutic uses of Celecoxib?
- Name the drugs for acute and chronic Gout
- What is the mechanism of action and toxicity of Allopurinol, Probenecid and Colchicine?
- What is the Mechanism of action of Methotrexate, chloroquine and glucocorticoid and Azathioprine as DMARD?

Learning outcomes

THEME: Drugs acting on cardiovascular system (CVS) /blood and diuretics SUB THEME: Anti-hypertensive drugs

> By the end of this session student should be able to answer the following:

- Classify anti-hypertensives
- Write down the mechanism of action, uses and adverse effects of Diuretics
- Write down the Drug Interactions of Furosemide (Loop Diuretics)
- Write down the Contraindications of Mannitol (Osmotic Diuretics)
- Give the Mode of Action, uses and adverse effects of Clonidine
- Write down the Mode of Action, uses and adverse effects of Methyldopa?
- Enumerate the Therapeutic Uses of Ca⁺⁺ Channel Blockers?
- Give the rationale for the use of: CCBs in: Angina (variant, stable, unstable) Arrhythmias Hypertension?
- Enumerate the Adverse Effects, drug interactions and contraindications of CCBs
- What is the Mechanism of Action, adverse effects and uses of ACEIs?

- What is the Mechanism of Action of Losartan?
- What is the Mechanism of Action of Vasodilators?
- What are the Adverse Effects of Hydralazine, Monoxidil and Diazoxide?
- What is the role of beta blockers in hypertension

SUB THEME: Anti-anginal drugs

- > By the end of this session student should be able to answer the following:
 - Give the Antianginal Mechanism of Nitroglycerine?
 - Enumerate the Uses, adverse effects and drug interactions of Nitroglycerine?
 - What is the Anti-anginal mechanism of Beta blockers?
 - What is the Mechanism of Action of Ranolazine?

SUB THEME: Anti-arrhythmic drugs

- > By the end of this session student should be able to answer the following:
 - Classify anti-arrhythmic drugs
 - What is the Mechanism of Action of class 1A, 1B and 1C drugs.

• What are the adverse Effects of Procainamide, Quinidine, Lidocaine, adenosine and Amiodarone?

SUB THEME: Drugs for CCF

- > By the end of this session student should be able to answer the following:
 - Classify the drugs for CCF
 - MOA, electrical and mechanical effects of Digoxin
 - Toxicity and treatment of toxicity of digoxin?
 - Role of beta blockers in CCF

Learning outcomes

THEME: Drugs for Gastrointestinal and Respiratory disorders

SUB THEME: Drugs for Respiratory diseases

- > By the end of this session student should be able to answer the following:
- Claasify Expectorants, Mucolytics, Antitussives.
- Classify the Drugs used in asthma.
- Rationale of corticosteroids in asthma
- Mechanism of action, adverse effects of methylxanthines

SUB THEME: Drugs for Acid Peptic disease

► By the end of this session student should be able to answer the following:

- Classify the drugs for acid peptic disease.
- Mechanism of action of proton pump inhibitors
- Adverse effects of omeprazole, cimetidine and bismuth compounds
- Classify antacids, their toxic effects
- Mechanism of action of suralfate
- Triple and Quadruple therapy for H.pylori eradication
- Drugs stimulating gastrointestinal motility.

SUB THEME: Laxatives/purgatives

- > By the end of this session student should be able to answer the following:
- Classify Laxatives & Purgatives.
- Mechanism of action of various laxatives

SUB THEME: Anti-diarrheal drugs

- > By the end of this session student should be able to answer the following:
- Name various Antidiarrheal agents.
- Drugs used in the treatment of irritable bowel syndrome and inflammatory bowel disease

Learning outcomes

THEME: Antimicrobial drugs and antibiotics of general use

SUB THEME: Cell wall synthesis inhibitors

- > By the end of this session student should be able to:
 - Write down the Mechanism of Action, spectrum, uses and adverse effects of Penicillin
 - Enumerate the Antimicrobial Spectrum& the Clinical applications of Ampicillin, Amoxicillin, Ticarcillin, Piperacillin, Nafcillin, Oxacillin, Benzathine Penicillin, & Procaine Penicillin?
 - Classify cephalosporins, spectrum and uses of all generations

• Write the mechanism of action, Antimicrobial Spectrum, Clinical applications & adverse effects of Imipenem-cilastatin, Aztreonam & Vancomycin

SUB THEME: Protein synthesis inhibitors

- > By the end of this session student should be able to answer the following:
 - What is the Mechanism of Action, spectrum, uses and adverse effects of Tetracyclines?

Learning outcomes

- Fanconi's syndrome
- What is the Antimicrobial Spectrum& the Clinical applications of Doxycycline, Minocycline, Tigecycline?
- What is the mechanism of action, spectrum, uses and adverse Effects Macrolides?
- What is the Antimicrobial Spectrum& the Clinical applications of *Clarithromycin*, *Azithromycin*?
- What is the Mechanism of Action and adverse effects of Clindamycin?
- What is the Mechanism of Action, spectrum, uses, adverse effects of Chloramphenicol?
- What is gray-baby syndrome
- Enumerate Aminoglycosides.
- What is the Mechanism of Action, spectrum, uses, adverse effects and drug interactions of Aminoglycosides?

SUB THEME: Anti-metabolites

- > By the end of this session student should be able to answer the following:
 - What is the Mechanism of Action, uses and spectrum of Sulfonamides / Co-trimoxazole?
 - What are the Adverse Effects of Sulfonamides

Learning outcomes

SUB THEME: Nucleic acid synthesis inhibitors

By the end of this session student should be able to answer the following:

• What is the Mechanism of Action, uses and adverse effects of fluoroquinolones?

- What are Clinical applications Norfloxacin, Ofloxacin,
- Levofloxacin, Gemifloxacin and moxifloxacin?

THEME: Antimycobacterial/Antiprotozoal/Anthelmentics

SUB THEME: Anti-mycobacterial drugs

- > By the end of this session student should be able to answer the following:
 - Enumerate First Line & Second Line Antituberculars
 - What is the role of pyridoxine (ViatmB6) With isoniazid
 - What is the mechanism of action, Clinical Uses, adverse effects and resistance of Isoniazid (INH), rifampicin, pyrazinamide, Ethambutol and streptomycin?
 - Name the drugs used for treating leprosy

SUB THEME: Anti-Malarial & anti-amoebic drugs

- > By the end of this session student should be able to know:
 - Classification of Antimalarials.
 Mechanisms of action, Clinical applications, & Toxicity of Quinine, Chloroquine, Mefloquine & Primaquine
 - Various Combinations useful as antimalarials.
 - Drugs useful in Uncomplicated & Severe Complicated in Malaria.
 - Classification of antiamoebics.
 - Enumerate the drugs used in Luminal, Systemic & Mixed amoebiasis.
 - Mechanisms of action, Clinical applications, & Toxicity of Metronidazole, Diloxanide furoate

SUB THEME: Anthelminthetics

- *By the end of this session student should be able to know :*
 - Names of the drugs, mode of action, spectrum and uses

THEME: Cancer Chemotherapy/Antiviral/ Antifungals/ Dermatological Drugs And Special Therapies

SUB THEME: Anti-cancer drugs

- > By the end of this session student should be able to answer the following:
 - Anticancer drugs (Classification, common therapeutic uses and adverse effects of drugs enlisted in the "Drug List" only).
 - Immunosuppressive agents' esp. useful in organ transplants. (Classification and common therapeutic uses and adverse effects only).

SUB THEME: Anti-leishmaniasis and drugs for trypanosomiasis

- > By the end of this session student should be able to answer the following:
 - Names of the drugs, actions and uses for specific diseases

Learning outcomes

SUB THEME: Anti-fungal drugs

By the end of this session student should be able to answer the following

- Classify Anti-fungal drugs.
- What is the Mechanism of Action, uses and adverse effects of Amphotericin–B, Azoles, FlucytosineGreisofulvin?

SUB THEME: Anti-Viral drugs

By the end of this session student should be able to answer the following

Classify Antivirals

- What are the Mechanisms of Action, uses and adverse effects of Acyclovir, etc?
- Enumerate Anti-Hepatitis Drugs; what are their group actions.
- What are the Mechanisms of Action, uses and adverse effects of Interferons?
- Enumerate Anti-Influenza Drugs; what are their group actions.
- What is the mechanism of action, antiviral spectrum, clinical applications & toxic effects of Amantadine etc.
- What is the mechanism of action, antiviral spectrum, clinical applications & toxic effects of Antiretroviral Drugs
- Enumerate Nucleoside/nucleotide Reverse Transcriptase Inhibitor (NRTIs); what are their group actions?

THEME: Drugs Acting On Endocrine System

SUB THEME: Thyroid and Anti-thyroid drugs

- > By the end of this session student should be able to answer the following:
 - Classify anti-thyroid drugs
 - What is the Mechanism of Action, uses and adverse effects of Methimazole/propylthiouracil, Lugol's solution / Potassium iodide?
 - What are the uses and adverse effects of ^{131I}?
 - What is the Antithyroid Mechanism of beta blockers?

SUB THEME: Corticosteroids

- > By the end of this session student should be able to answer the following:
 - What is the Mechanism of Action, Pharmacological Effects, Therapeutic Uses, Adverse Effects&Drug interactions of Corticosteroids

Learning outcomes

SUB THEME: Drugs acting on male and female sex hormones

- > By the end of this session student should be able to answer the following:
 - What is the Mechanism of Action, Pharmacological Effects, Therapeutic Uses, Adverse Effects & Drug interactions of Ethinylestradiol and Progestins.
 - What is the Mechanism of Action, Pharmacological Effects, Therapeutic Uses, Adverse Effects&Drug interactions of Tamoxifen (Antiestrogens-SERMS)
 - What is the Mechanism of Action, Pharmacological Effects, Therapeutic Uses, Adverse Effects & Drug interactions of Clomiphene
 - What is the Mechanism of Action, Pharmacological Effects, Therapeutic Uses, Adverse Effects & Drug interactions of Testosterone
 - What is the Mechanism of Action, Pharmacological Effects, Therapeutic Uses, Adverse Effects & Drug interactions of Anabolic Steroids
 - What is the Mechanism of Action, Therapeutic Uses of Finasteride (5α-reductase inhibitors)

Learning outcomes

SUB THEME: Drugs for the treatment of diabetes mellitus

- > By the end of this session student should be able to answer the following:
 - What are the characteristics of Rapid-acting-Lispro, Aspart, Glulisine, Short acting-Regular, Intermediate-acting-NPH, Long acting-Detemir, Glargine
 - What is the Mechanism of action, uses and adverse effects of Insulins?
 - Classify oral hypoglycemic drugs
 - Mechanism of action, uses and adverse effects of sulfonylureas, biguanides (metformin), DPP4 inhibitors, thiazolidinediones and Acarbose (Alpha-Glucosidase Inhibitors?

Proposed Classification of Pharmacology for MBBS students

ANS:

Cholinoceptor Agonists: (Cholinomimetics)

I: <u>Directly Acting Agonists</u>:

- A): Choline-Esters: Acetylcholine, Methacholine, Carbachol, Carbamic Acid, Bethanichol.
- B): Cholinomimetic Alkaloids:
- a. Quaternary Compounds. Muscarine.
- b. Tertiary Compounds. Pilocarpine, Nicotine, Lobeline, Cevimeline, Oxotremorine,

Dimethylphenyl, Piperazine.

II: Indirectly Acting Drugs: (Anticholinestrases)

- A). Reversible Anticholinestrases:
- a. Alcohol: Edrophonium.
- **b. Carbamates:** Neostigmine, Physostigmine, Pyridostigmine, Distigmine, Carbaryl, Ambenonium, Demecarium.
- c. Used in Alzheimer's Disease: Donepezil, Rivastigmine, Galantamine, Tacrine.
- B). Irreversible Anticholinestrases: Echothiophate, Parathion, Malathion, Paraoxon, Malaoxon,

Diflurophosphate, Dichlorvos, Soman

III: Nicotinic Agonists:

A).Nn: Nicotine, Lobeline, Carbachol.

B).Nm: Sccinylcholine (initially), Carbachol.

Therapeutic classification

(Cholinergic agonists)

NOTE: Acetylcholine: Although rarely given systemically, ACh (MIOCHOL-E) is used topically for the induction of miosis during ophthalmologic surgery; it is instilled into the eye as a 1% solution

Direct Acting Cholinomimetics:

- 1. Diagnosis of Bronchial Airway Hyperreactivity: Methacholine
- 2. Postoperative Urinary Retention/Myogenic, or Neurogenic Bladder: Bethanechol
- 3. Postoperative Abdominal Distention, Gastric Atony, Gastroparesis, Adynamic Ileus: Bethanechol
- 4. Glaucoma and the Induction of Miosis During Surgery: Pilocarpine, Carbachol
- 5. Xerostomia / as Sialagogues: Cevimeline, Pilocarpine

Indirect Acting cholinomimetics:

- 1. Paralytic Ileus and Atony of the Urinary Bladder: Neostigmine
- 2. Glaucoma and Other Ophthalmologic Indications: Physostigmine, Echothiophate
- 3. Myasthenia Gravis
 - a. Diagnosis: Edrophonium
 - **b.** Treatment: Neostigmine, Pyridostigmine, Ambenonium
 - c. Alzheimer's disease: Tacrine, Donepezil, Galantamine

Anticholinergics

I: Antimuscarinics:

A). Antispasmodics:

i. Tertiary Amines: Atropine, Scopolamine, Dicyclomine, Oxybutyrine, Oxyphencyclamine,

Propiverine, Tolterodine

ii. Quaternary Amines: Anisotropine, Clidinium, Glycopyrolate, Flavoxate, Hexocyclium,
Isopropamine, Mepenzolate, Methantheline, Oxyphenonium, Propantheline, Ipratropium, Tridihexethyl

- B). Drugs used in Eye: Atropine, Homatropine, Cyclopentolate, Tropicamide, Eucatropine
- **C). Anti Parkinsonians:** Benzhexol, Benztropine, Bipridine, Procyclidine, Chlorphenoxamine, Ethopropazine, Trihexyphenidine
- D). Other Drugs with Anticholinergic Activity:

Antihistamines: Orphenadrine, Diphenhydramine

Tricyclic Antidepressants: Imipramine, Amitriptyline

Phenothiazines: Chlorpromazine, Thioridazine.

II: Antinicotinics:

- A). Ganglion Blockers: Hexamethonium, Trimethaphan, Mecamylamine, Pempidine, Pentolinium
- B). Neuromuscular Blockers:
- i. Competitive Blockers: Tubocurarine, Pancuronium. Atracurium, Gallamine, Vecuronium
- ii. Noncompetitive Blockers: Succinylcholine. Decamethnium
- III: <u>Cholinestrase Regenerators</u>: Pralidoxime, Diacetylmonoxime.

Selective Anticholinergics

1). Selective Antimuscarinics:

M₁ - Antagonists: Pirenzepine, Telenzepine, Dicyclomine, Trihexyphenidyl

M₂ – Antagonists: Methoctramine, Gallamine(also at Nm)

M₃ – Antagonists: Darifenacin

2). Selective Nn & Nm Blockers:

Nicotine (in higher doses.), Mecamylamine, Trimethaphan, Pempidine, Pentolinium, Hexamethonium, Tetra-ethyl-ammonium

Therapeutic classification (Animuscarinics)

- 1. Drugs used as Mydriatics:
 - a. Long acting: Atropine
 - b. Short Acting: Homatropine, Tropicamide
 - c. Drugs used alternatively with miotics to break Corneal Adhesions: Homatropine,

Tropicamide

- 2. Drugs used for Motion Sickness: Scopolamine (Hyoscine)
- 3. Bronchial Asthma: Ipratropium
- 4. Antispasmodics: Atropine, Scopolamine (hyoscine), Glycopyrrolate
- 5. Pre anesthetic Medication: Atropine
- 6. Organophosphorus Poisoning: Atropine
- 7. Over Dosage of Physostigmine: Atropine
- 8. With combination with Opioids for Diarrhea: Atropine
- 9. Parkinson disease: Benztropine, Premipexole, Biperiden, Trihexyphenidyl
- 10. Overactive Urinary Bladder Disease: Tolterodine, Trospium chloride

- 11. Acid-Peptic Disease: Pirenzepine, Telenzepine
- 12. Second and Third Degree Heart block / Symptomatic Bradycardia: Atropine
- 13. Drugs used in labour (to produce Twilight Sleep with morphine): Scopolamine (hyoscine)

Ganglion Blockers

Depolarizating Gabglion Blockers: Carbamoylcholine, Nicotine

Quaternary Ammonium compounds: Hexamethonium, Pentolinium

Tertiary Amines: Pempidine

Secondary Amines: Mecamylamine

Mono-sulfonium: Trimethaphan

Tetra-ethyl ammonium: (very short acting; experimental use)

Sympathomimetics

I. According to chemical structure:

A. Catecholamines: Epinephrine, Norepinephrine, Dopamine, Dobutamine, Isoproterenol,

Isoetharine, Ethyl Norepinephrine

B. Non-Catecholamines: Phenylephrine, Ephedrine, Amphetamines, Amphetamine sulfate/

Aspartate, Dextroamphetamine sulfate, Methamphetamine, Pemoline,

Methylphenidate HCl

II. According to Mechanism of Action:

- **A. Directly Acting on Adrenergic Receptors:** Epinephrine, Nor epinephrine, Dobutamine, Terbutaline, Isoproterenol, Salbutamol, Phenylephrine, Clonidine
- **B. Mixed Activity: (Directly & indirectly acting):** Dopamine, Ephedrine, Pseudo-ephedrine, Amphetamines, Phenyl propanolamine

III. According to receptor-selectivity:

- A. Acting on Alpha Receptors:
 - a). <u>Alpha-1 selective</u> (Relatively): Methoxamine, Phenylephrine, Metaraminol, Midodrine,

Mephenterimine, Dipivefrin

- b). Alpha-2 selective (Relatively): Clonidine, Alpha methyl nor epinephrine, Apraclonidine,
 - Guanfacine, Guanabenz, Tizanidine, Brimonidine, Dexmedetomidine
- c). <u>Alpha Non selective</u>: (alpha 1,2 receptors equally): Oxymetazoline
- B. Acting on Beta Receptors:

<u>Beta -1 selective</u> (Relatively): Dobutamine, Prenalterol

- **C.** Acting on both Alpha & Beta Receptors: Epinephrine, Nor-epinephrine, Dopamine, Ephedrine, Pseudo ephedrine, Amphetamine
- **D.** Acting on Dopamine Receptors: Fenoldopam

Adrenoceptor Blockers

A. Alpha Blockers:

- a) α_1 selective (relatively): Prazosin, Terazosin, Doxazosin, Alfuzosin, Tamsulosin, Trimazosin, Ketanserin
- b) α₂ selective (relatively): Tolazoline, Yohimbine, Rauwolseine
- c) a Non-selective (acting on both): Phentolamine, Phenoxybenzamine,

B. Beta Receptor Blockers:

- a). β_1 selective (relatively): Acebutol, Atenolol, Esmolol, Metoprolol, Betaxolol, Celiprolol,
 - **Bisoprolol**
- b). β₂ selective (relatively): Butoxamine
- c). <u>B Non-selective</u> (acting on both): Propranolol, Pindolol, Timolol, Penbutol, Nadolol, Sotalol
- C. Alpha & Beta Mixed Blockers: Labetalol, Carvedilol, Bucindolol, Medroxalol
- **D. Partial β agonists:** Acebutolol, Esmolol, Penbutolol, Carteolol, Pindolol, Celiprolo

Adrenergic Neurons Blockers

- a) Inhibiting Release: Guanethidine, Bethanidine, Debrisoquine, Guanadrel, Bretylium
- b) Inhibiting Storage: Reserpine, Deserpidine, Methoserpidine
- c) Inhibiting Synthesis: Metyrosine

Vasodilators (Direct)

- A). Directly Acting Vasodilators:
- i). Calcium-Channel Blockers:
- ii). Potassium-Channel Activators: Minoxidil, Diazoxide, Cromokalim, Lemakalim
- iii). Cyclic Nucleotides Activators:

cGMP: NO; Nitrates & Nitrites; Na-Nitroprusside

cAMP: Adenosine, Dopamine, Fenoldopam; β₂ agonists; PGI₂, PGE₂

iv). Phosphodiestrase Inhibitors: Sildenafil, Cilostazol, Todalafil, Papavarine, Vardenafil

B). Indirectly Acting Vasodilators:

i). Adrenergic Blockers:

Receptor Blocker: Alpha Blockers; Beta Agonists

Adrenergic Release: Guanethidine

Vasomotor Center: Methyldopa, Clonidine

- ii). Imidazoline Receptor Agonists: Moxonidine, Rilmenidine, Methyldopa, Clonidine
- iii). Renin-Angiotensin Inhibitors: Anti-Renin; ACEIs; Angiotensin Receptor Blockers
- C). Vasodilator with Unknown Mechanism: Hydralazine, Ethanol
- **D).** <u>Miscellaneous Vasodilators</u>: Bradykinin, Substance P, Acetylcholine, Bosentan (Endothelin- Receptor Blocker)

Calcium Channel Blockers

Dihydropyridines: Amlodipine, Felodipine, Nifedipine, Isradipine, Nicardipine, Nimodipine,

Niterendipine, Nisoldipine **Benzothiazepines:** Deltiazem

Phenylalkylamines: Verapamil, Bepridil

<u>Angiotensin Converting Enzyme Inhibitors</u>

Anti-Renin: Propranolol, Clonidine, Remikiren, Ensikiren

ACE Inhibitors: Captopril, Enalapril, Enalaprilat, Lisinopril, Benazepril, Fosinopril, Trandolapril, Moexipril, Quinapril, Ramipril, Perindopril.

Angiotensin-Receptor blockers: Candesartan Cilexetil, Saralasin, Losartan, Valsartan,

Eprosartan, Irbesartan, Olmesartan, Medoxomil, Telmisartan.

Anti-anginals

Nitrates & Nitrites: Amyl nitrite, Isosorbide dinitrate & mononitrate, Nitroglycerin

Calcium Channel Blockers: Amlodipine, Diltiazem, Felodipine, Isradipine, Nicardipine, Nifedipine

Beta Blockers: Timolol, Propranolol, Metoprolol

Metabolism Modifiers: Ranolazine

Anti-arrhythmics

Class I, Na Channel Blockers -Membrane-depressants:

Sub-Class: Ia: Disopyramide, Procainamid, Quinidin

Ib: Lidocaine, Tocainide, Mexilitine, Phenytoin

Ic: Flecainide, Propofenone, Moricizine

Class II, Beta Blockers: Atenolol, Propranolol, Acebutol, Esmolol

Class III, K⁺ Channels Blockers: Amiodarone, Sotalol, Bretylium, Ibutilide, Dofetil

Class IV, Ca Channel Blockers: Verapamil, Diltiazem, Bepridil

Class V, Miscellaneous: Adenosine, Magnesium sulphate, Digoxin, Isoprenaline, Atropine

Anti-hypertensives

Diuretics:

- a). Thiazides: Hydrochlorothiazide, Indapamide
- b). Loop Diuretics: Furosemide, Bumetanide
- c). Potassium-sparing Diuretics: Spironolactone, Amiloride

Sympathoplegics:

- a). Centrally-Acting: Methyldopa, Clonidine, Guanabenz, Guanfacine
- b). Adrenergic Receptor Blockers:
- i). Alpha Blockers: Prazosin, Terazosin, Doxazosin
- ii). Beta Blockers: Non-Selective: Propranolol

Beta 1 Selective: Nadolol, Carteolol, Atenolol, Betaxolol, Bisoprolol

Partial Agonists: Pindolol, Acebutolol, Penbutolol

- iii). Alpha-Beta Blockers: Labetalol, Carvedilol
- c). Adrenergic Neuron Blockers: Guanethidine, Guanadrel, Bethanidine, Reserpine
- d). Ganglion Blockers: Trimethaphan, Mecamylamine

Vasodilators:

- a). Directly Acting:
- i). Arteriolar Dilators: Hydralazine, Minoxidil, Diazoxide
- ii). Veino-Arteriolar Vasodilators: Nitroprusside
- **b). Dopamine Agonists:** Fenoldopam
- c). Calcium-Channels Blockers: Verapamil, Diltiazem, Amlodipine, Isradipine, Nicardipine, Nifedipine

Drugs used in CCF

Diuretics:

Chlorothiazide, Hydrochlorothiazide, Furosemide, etc

Digitalis:

Digoxin

Sympathomimetics:

Dobutamine, Dopamine

Angiotensin-Converting Enzyme Inhibitors:

Benazepril, Captopril, Enalapril, Fosinopril, Lisinopril, Moexipril, Perindopril, Quinapril, Ramipril, Trandolapril,

Angiotensin Receptor Blockers:

Candesartan. Eprosartan. Irbesartan. Losartan. Olmesartan. Telmisartan. Valsartan

Beta Blockers:

Bisoprolol, Carvedilol, Metoprolol

Other Drugs:

Inamrinone, Milrinone, Nesiritide, Bosentan

Fibrinolytics (Thrombolytics)

Streptokinase, tissue plasminogen activators (t-PA), Anistreplase, Urokinase, Altepase, Reteplase, Tenecteplase

Drugs used in Bleeding Disorders

1. Local Vasoconstrictors:

Sympathomimetics: Adrenaline (with Local Anesthetic), Alpha Agonists (e.g. Phenylephrine)

- 2. Systemic Uses:
 - a) Procoagulants: Vitamin K
 - b) Fibrinolytic Inhibitors (Anti-fibrinolytics): Aminocaproic Acid, Tranexamic Acid
 - c) Plasma Fractions: Fresh Frozen Plasma, Cryoprecipitate, Concentrated Plasma Fractions,

 Desmopressin acetate (Arginine Vasopressin), Recombinant Activated
 Factors, Feiba, Autoplex
 - d) Serine Protease Inhibitors: Aprotonin
 - e) Miscellaneous: Ethamsylate, Fibrinogen

Anticoagulants

- i. Parenteral: Heparin, Dalteparin, Enoxaparin, Tinzaparin
- ii. Oral: Warfarin, Dicumarol, PhenindioneProtamine: Antidote for heparin toxicity.

Anti-hyperlipedemics

I. HMGCoA reductase inhibitors or statins:

Lovastatin, Simvastatin, Cerivastatin, Pravastatin, Fluvastatin, Atorvastatin

- II. Niacin:
- III. Fibric acid derivatives:

Clofibrate. Gemfibrozil, Fenofibrate Bezafibrate

- IV. Bile acid binding resins:
- V. Inhibitors of cholesterol absorption:

Probucol, Ezetimibe

<u> Antiplatelet Agents</u>

- Aspirin
- Clopidogrel & Ticlopidine
- Abciximab, Eptifibatide Tirofiban: Blocking Platelet Glycoprotein IIB/IIIA Receptors
- Dipyridamole, Cilostazol

Hematinics

Iron, vitamin B12 & folic acid, minerals (trace elements) and vitamins

CNS:

Local Anesthetics

I. According to Chemical Structure:

- a. Esters: Cocaine, Procaine, Tetracaine, Benzocaine
- b. Amides: Lidocaine, Mepivacaine, Bupivacaine, Etidocaine, Prilocain, Ropivacaine, Dibucaine

II. Classification According to Route:

- a. Topical: Cocaine, Lidocaine
- b. For Mucous Membrane & Skin: Dibucaine, Dyclomie hydrochloride

III. Classification According to Route:

a. Indictable: Chloroprociane, Etidocaine, Mapivacaine, Prilocaine, Ropivacaine, Procaine,

Tetracaine, Lidocaine

b. Topical: Benzocaine, Ethyl amino benzoate

IV. According to Duration of Action:

a. Esters:

- Short-acting: Procaine
- Medium-acting: Cocaine
- **Long-acting:** Tetracaine
- Topical only: Benzocaine

b. Amides:

- Short-acting: not yet available
- Medium-acting: Lidocaine, Mepivacaine, Prilocaine
- Long-acting: Bupivicaine, levobupivacaine, Ropivacaine

V. Clinical Classification:

a. Topical Anesthesia: Tetracaine, Lidocaine, Cocaine

b. Infiltration anesthesia: Lidocaine, Procaine, Bupivacaine

c. I/V regional anesthesia: Lidocaine, Prilocaine

d. Field block: Lidocaine, Procaine, Bupivacaine

e. Nerve Block: Lidocaine, Mepivacaine, Bupivacaine

f. Epidural anesthesia: Bupivacaine, Etidocaine, Chloroprocaine

g. Spinal anesthesia: Lidocaine, Tetracaine, Bupivacaine, Procaine (for diagnostic purpose)

General Anesthetics

I. Inhalation anesthetics:

Gas: Nitrous oxide

Volatile liquids: Halothane, Enflurane, Isoflurane, Desflurane, Sevoflurane, Methoxyflurane

Older renowned agents: Ether, Cyclopropane, Chloroform

II. Intravenous anesthetics:

Barbiturates: Thiopental, Thiamylal, Methohexital

Benzodiazepines: Midazolam, Diazepam, Lorazepam

Opioid Analgesics: Morphine, Fentanyl + (Dropeidol), Alfentanil, Remifentanil

Others: Propofol, Ketamine, Etomidate, Propanidid, Althesine:

III. Rectal: Paraldehyde

Sedative Hypnotics

I. Benzodiazepines.

a. Long-Acting (up to 100 hrs): Flurazepam, Temazepam, Diazepam, Nitrazepam, Clonazepam,

Chlorazepate

- b. Intermediate-Acting(up to 40 hrs): Lorazepam, Oxazepam, Alprazolam, Chlordiazepoxide
- c. Short-Acting (up to 6 hrs): Midazolam, Triazolam
- d. New Drugs (BZ₁-selective): Zolpidem, Eszopiclone, Zaleplon, Zopiclone

II. Serotonin-Agonists

5 HT_{1A} Agonist: Buspiron, Gepirone, Ipsapiron, Tandospirone

5 HT_{1D} **Agonist:** Sumatriptan (For migraine)

III. Melatonin Receptors Agonists: MT₁ & MT₂ agonist: Ramelteon

IV. Barbiturates:

- a. Long-Acting (onset > 1 Hr; Duration < 12 Hr): Phenobarbitone, Methyl-phenobarbitone, Barbitone, Metharbital</p>
- **b.** Intermediate-Acting (onset 1 Hr; Duration < 8 Hr): Amobarbitone, Butabarbitone, Secobarbitone
- **C. Short-Acting onset 15 min; Duration < 6 Hr):** Pentobarbitone, Quinalbarbitone, Cyclobarbitone
- d. Ultra-Short Acting (onset 30 sec.; Duration 30 min): Thiopentone, Methohexital
- V. Miscellaneous: Chloral hydrate, Trichloroethanol, Ethchlorvynol, Glutethamide,

Methaqualone, Meprobamate, Paraldehyde, Bromides (Na, K NH₄), Methyprylone, Antihistamines, Antipsychotic, Antidepressants

Anti-epileptics

I. For Partial & Generalized Tonic-Clonic Seizures:

- a). Hydantoin Derivatives: Phenytoin, Fosphenytoin, Mephenytoin, Ethotoin, Phenacemide.
- b). Iminostilbenes: Carbamazepine, Oxcarbazepine.
- c). Barbiturates: Phenobarbitone, Primidone (Deoxy-phenobarbitone).
- **d). GABA-/ Glycine analog:** Vigabatrin, Gabapentin, Topiramate, Tiagabine, Felbamate.
- e). Sulfonamide derivative: Zonisamide
- **f). Antifole:** Lamotrigine.

II. For Generalized Seizures:

- a). Succinimides: Ethosuximide, Phensuximide, Methsuximide
- **b).Valproate Derivative:** Valproic Acid, Valproate Sodium.
- c). Oxazolindindiones: Trimethadion, Paramethadion & dimethadione.

III. Mixed Acting Drugs:

- a). Benzodiazepines: Diazepam, Lorazepam, Clonazepam, Clorazepate, Nitrazepam, Clobazam.
- **b).** Carbonic Anhydrase-Inhibitors: Acetazolamide, Sulthiame.
- c). Miscellaneous: KBr, NaBr, Phenacemide, Phenylacetylurea, Paraldehyde, Beclomide, Aminoglutithimide

Anti-psychotics

(Chemically-Based)

I. Phenothiazines:

- a).Open-Chain: Chlorpromazine, Promazine, Promethazine
- b).Piperazine-Chain: Trifluoperazine, Perphenazine, Fluphenazine
- c).Piperidine-Chain: Thioridazine
- II. Thioxanthines: Thiothixen, Chlorprothixene
- III. Butyrophenones: Haloperidol, Droperidol
- IV. New / Atypical Drugs: (Hetrocyclics)
 - a).Di-benzodiazepine: Clozapine
 - b).Dihydro-indolone: Ziprasidone, Molindone
 - c).Di-benzo-oxazepine: Loxapine
 - d).Dibenzo-thiazepine: Quatiapine
 - e).Dihydro-carbostyril: Aripiprazole
 - f). Benzisoxazole: Risperidone
 - g).Thienobenzodiazepine: Olanzapine
 - h).Fluorophenylindole: Sertindole
- V. Anti-manic: Lithium

Anti-depressants

I. NE-selective agents:

First Generation Tricyclics: Amitriptyline, Protriptyline, Nortriptyline Imipramine, Trimipramine,

Clomipramine, Desipramine, Norclomipramine, Doxepin

Second Generation Tricyclics: Amoxapine, Trazodone, Bupropion

Third Generation Tricyclics: Duloxetine, Mirtazapine, Nefazodone, Venlafaxine

II. 5-HT-selective agents: Fluoxetine, Norfluoxetine, Duloxetine, Paroxetine, Fluoxamine,

Citalopram, Milnacipran, Sertraline, Norsertraline,

III. MAO-Inhibitors: Phenelzine, Tranylcypromine, Selegiline.

Anti-Parkinsonian Drugs

I. Dopaminergic Drugs:

1. Dopamine Precursors: levodopa

2. Dopa Decarboxylase Inhibitors: Carbidopa, Benserazide

3. Dopamine Releasers: Amantadine, Memantadine

4. Dopaminergic Agonists:

Ergot derivatives: Bromocriptine, Lergotrile, Lisuride, Pergolide

Non Ergot derivatives: Pramipexole, Ropinirole

Apomorphines: Apomorphine, Propylnoraporphine

5. M.A.O-B Inhibitors: Selegeline (deprinyl), Rasagiline

6. COMT Inhibitors Selective: Tolcapone, Entacapone

II. Anticholinergic Drugs: Procyclidine, Benzhexol, Benztropine, Biperidine, Ethopropazine,

Chlorphenoxamine, Trihexyphenidyl

III. Anti-Histamines: Orphenadine, Diphenhyderamine

Opioids

I. Full Agonists at μ-receptors:

- a. Phenanthrenes: Morphine, Heroin (diacetylmorphine), Hydromorphone, Oxymorphone
- b. Phenylheptylamines: Methadone (Agonist-K)
- **c. Phenylpiperidines:** Meperidine, Fentanyl, Sufentanyl (agonist δ , κ), Alfentanyl
- d. Morphinans: Levorphanol

II. Mild Agonists at μ-receptors:

- a. Phenanthrenes: Codeine (Methyl morphine), Oxycodone, Hydrocodone, Dihydrocodone
- b. Phenylheptylamines: Propoxyphene
- c. Phenylpiperidines: Diphenoxylate, Difenoxin, Loperamide

III. Partial Agonists at μ-receptors: With Mixed Receptor Actions

- **a.** Phenanthrenes: Nalbuphine (partial μ, strong κ), Buprenorphine (partial μ, κ Antagonist)
- **b. Morphinans:** Butorphanol (partial μ, κ agonist)
- **c. Benzomorphan:** Pentazocine (partial μ, κ agonist), Dezocine (strong μ, κ agonist)
- **d.** Miscellaneous: Tramadol (partial µ with weak Kappa and delta receptor agonist)

IV. Antagonists at μ-receptors: or Opioid Antagonists:

Naloxone, Naltrexone, Nalmefene, Naltrindole, Nalorphine (agonist at κ), Nalbuphine (agonist at κ), Levallorphan, Diprenorphine

V. Therapeutic Classification:

a. Analgesics:

High Efficacy: Morphine, Meperidine, Methadone, Heroin

Low Efficacy: Pentazocine, Nalbuphine, Codeine

- **b. Antitussives:** Codiene, Dextromethorphan.
- c. Antidiarrheals: Diphenoxylate Loperamide
- d. Anesthesia: Morphine, Fentanyl. Alfantanyl (For Spinal Regional Analgesia)

Skeletal Muscle Relaxants

I. Peripherally Acting:

- A. Presynaptic Blockers:
 - a. Choline Uptake Blocker: Hemicholinium, Triethylcholine
 - b. Affect Storage & Release: Alpha latrotoxin, Vesamicol
 - c. Inhibit release at NT: Botulinum Toxin, Neomycin, Streptomycin, Polymyxins
 - d. Block Na Channel in Axon: Tetrodotoxin, Lignocaine, Procaine
- B. Postsynaptic Receptor Blockers:
- a. Non Depolarizing Neuromuscular Blockers:
 - i. Isoquinolines Derivatives: Atracurium, Cisatracurium, Doxacurium, Mivacurium, Metocurine,

Tubocurarine

- ii. Steroid Derivatives: Pancuronium, Pipecuronium, Vecuronium, Rocuronium, Rapacuronium
- iii. Others: Gallamine
- **b. Depolarizing Neuromuscular Blocker:** Suxamethonium, Decamethonium
- II. Centrally acting: (spinal level)
 - **a. Mephenesin** & **related drugs:** Mephenesin, Chlormezanone, Chlorphenesin, Chlorzoxazone, Meprobamate
 - **b.** Benzodiazepines: Diazepam, lorazepam, Chlordiazepoxide
 - c. GABA Agonist: Baclofen
 - d. Newer Drugs: Progabide, Idrocilamide, Tizanidine, Gabapentine, Glycine
- III. Directly acting: Dantrolene

NSAID's

- I. Salicylates: Aspirin, Diflunisal
- II. Para-aminophenol derivative: Acetaminophen
- III. Acetic acid derivatives: Indomethacin (methylated indole), Sulindac (sulfoxide prodrug), Etodolac (pyranocarboxylic acid), Femanates (N-phenylanthranilates), Mefenamic acid, Meclofenamate, Flufenamic acid, Tolmetin (heteroaryl acetate derivative), Ketorolac (pyrrolizine carboxylate), Diclofenac (phenylacetate derivatives), Tolmetin (heteroaryl acetate derivative), Ketorolac (pyrrolizine carboxylate), Diclofenac (phenylacetate derivatives)
- IV. Proprionic acid derivatives: Ibuprofen, Naproxen, Fenoprofen, Ketoprofen, Flurbiprofen, Oxaprozin
- V. Enolic acid derivatives: Piroxicam, Meloxicam, Nabumetone
- VI. Other NSAID's: Phenylbutazone, Indomethacin, Propionic acids:
- VII. COX-2 selective inhibitors: Celecoxib, Valdecoxib, Parecoxib, Etoricoxib, Lumaricoxib, Parecoxib, Etoricoxib, Lumaricoxib

DMARDs

(Disease-Modifying Antirheumatic Drugs)

- i. Immunosuppressant / anti-mitotic agents: Methotrexate, Azathioprine, Cyclosporine, Cyclophosphamide, Rituximab
- ii. T-cell-modulator: Abatacept
- iii. The TNF--blocking agents: Adalimumab, Infliximab, Etanercept
- iv. T-cell proliferation Inhibitor: Leflunomide, Mycophenolate mofetil
- v. Chelators: Penecillamine
- vi. Anti-malarials: Chloroquine, Hydroxychloroquine
- vii. Sulfasalazine:
- viii. Gold salts: Aurothiomalate, Auronafin
- ix. Levamisole (Ketrax):
- x. Glucocorticoid drugs: Prednisone

Autacoids:

Anti-histamines

I. First Generation:

- a. Ethanolamines: Carbinoxamine, Dimenhydrinate, Diphenhydramine, Doxylamine
- **b. Piperazine derivatives:** Hydroxyzine, Cyclizine, Meclizine
- c. Alkylamines: Brompheniramine, Chlorpheniramine
- d. Phenothiazine derivatives: Promethazine
- e. Miscellaneous: Cyproheptadine

II. Second generation:

a. Piperidines: Fexofenadine

b. Miscellaneous: Loratadine, Cetirizine

Serotonin Agonists

• Sumatriptan (5-HT_{1D} agonist):

• Fluoxetine (SSRI):

Buspirone (5-HT_{1A} agonist):
 Cisapride (5-HT₄ agonist):

• LSD (5HT_{1A}):

• Ergot alkaloids (5-HT₁ & 2 etc)

Anti-Serotonin

Methysergide and Cyproheptadine:

• Ketanserin (5HT₂ & Alpha antagonist):

• Ondansetron (5-HT₃ antagonist):

• Clozapine (5HT_{2A}/_{2C} antagonist):

Eicosanoids

- Alprostadil (PGE₁)
- PGE₂ and PGF₂
- Latanoprost
- Bimatoprost,
- Carboprost tromethamine,
- Travaprost
- Dinoprostone,
- Unoprostone Epoprostenol,

- Treprostinil
- Prostacyclin (PGI₂)
- Misoprostol (PGE₁ derivative)
- Epoprostenol
- Thromboxane (TXA₂)
- Monteleukast,
- Zafirleukast,
- Zileuton

Vasoactive Peptides

- Angiotensin II,
- Vasopressin,
- Endothelins,
- Neuropeptide Y, and
- Urotensin and vasodilators
- Bradykinin and related Kinins,
- Natriuretic Peptides,
- Vasoactive Intestinal Peptide,
- Substance P,
- Neurotensin,
- Calcitonin Gene-Related Peptide, and

- Adrenomedullin
- Renin Inhibitors: Aliskiren
- Kinins: Bradykinin, Lysylbradykinin / Kallidin) and Methionyllysylbradykinin
- Bradykinin Competitive Antagonists (of both B₁ and B₂ receptors);
 Bradykinin and Lys bradykinin,
 Icatibant:
- Vasopeptidase Inhibitors: Omapatrilat, Sampatrilat, and Fasidotrilat.
- Inhibitors of Endothelin: Bosentanis

Endocrinology:

Anterior Pituitary Hormones

- 1. Growth Hormone: Somatostatin, Somatotropin
- 2. Thyroid Stimulating Hormone (TSH):
- 3. Adrenocorticotropin Hormone (ACTH):
- 4. Follicular-Stimulating Hormone (FSH):
- 5. Luteinizing Hormone (LH):
- 6. Prolactin (PRL):

Anti-thyroids

I. Interfering Iodide uptake:

Anion Inhibitors: Perchlorate, Pertechnetate, Thiocyanate.

I. Interfering Hormone Productions:

Thioamides: (Inhibiting peroxidase-reactions & Iodine Organification): Propylthiouracil, Methimazole, Carbimazole.

II. Interfering Hormone Release:

Iodides: (inhibitors of Iodide Organification & Hormone release). Potassium iodide

III. Interfering Hormone-Tissue Response:

Iodinated Contrast Media:

- a). Oral: Diatrizoate, Ipodate, Ioponoic Acid
- b). I/V: Iohexol (oral also)

IV. Glandular Destruction:

- 1. Radioactive Iodine: Sodium Iodine 131
- 2. Surgical Partial or complete removal:

V. Symptomatic Treatment:

- **1.** β**–Blockers:** Propranolol, etc
- 2. Ca** Channel Blockers: Diltiazem, etc
- 3. Benzodiazepines
- 4. Corticosteroids

Bone marrow homeostasis

Parathyroid Hormone: Teriparatide Vitamin D analogue Cholecalciferol Ergocalciferol Pericalcitol, etc. **Bisphosphonates:**Alendronate
Risedronate
Zoledronate, etc.

Sex Hormones

a. Estrogens:

Ethinyl estradiol, Micronized estradiol, Estradiol cypionate, Estradiol valerate, Estropipate, Conjugated, esterified, or mixed estrogenic substances, Quinestrol, Chlorotrianisene, Methallenestril

b. Progesterone and derivatives:

Progesterone, Hydroxyprogesterone caproate, Medroxyprogesterone & Megestrol acetate

c. 17-Ethinyl testosterone derivatives:

Dimethisterone 19-Nortestosterone derivatives: Desogestrel, Norethynodrel, Lynestrenol, Norethindrone, Norethindrone acetate, Ethynodiol diacetate, L-Norgestrel

d. Corticosteroids:

I. Glucocorticoids:

Short to medium acting: Hydrocortisone (cortisol), Cortisone, Prednisone, Prednisolone, Methylprednisolone, Meprednisone

Intermediate-acting: Triamcinolone, Paramethasone, Fluprednisolone

Long-acting: Betamethasone. Dexamethasone

II. Mineralocorticoids:

Anti-diabetics

I. Insulins:

A. Ultra Short acting Insulins:

- a). Injectable: Insulin Lispro, Insulin Aspart, Insulin Glulisine
- b). Inhaled Form: Recombinant inhaled Human insulin

B. Short Acting Insulins:

Velosulin, Regular Insulin (animal & human)

C. Intermediate Acting Insulins:

NPH (Neutral Protamine Hagedon) or Isophane Insulin, Lente Insulin (human & Novo)

D. Long acting Insulins:

Ultralente Insulin (Extended Zinc Insulin), Insulin Glargine, Insulin detmir

E. Mixed acting Insulins:

Mixture of Intermediate & Rapid acting Insulins i.e., NPH/ Regular Insulins are 70/30; 50/50; 75/25 NPL (Neutral Protamine Lispro), NPA (Neutral Protamine Aspart)

II. Oral Antidiabetic Agents:

A. Insulin-Secretagogues:

- a). Sulfonylureas:
 - i). First Generation: Tholbutamide, Clorpropamide, Tolazamide
 - ii). Second Generation: Glyburide (Glibenclamide): Glipizide, Gliclazide, Glimepramide
- b). Meglitinides: Repaglinide
- c). D-Phenylalanine Derivatives: Nateglinide
- B. Biguanides: Metformin, Phenformin
- C. Thiazolidinediones: Pioglitazone, Rosiglitazone
- D. Alpha-Glucosidae Inhibitors: Acarbose, Miglitol
- E. Amylin Analog: Pramlintide.
- F. Glucagon-like Polypeptide 1: Extnatide.
- G. Dipeptidyl peptidase-4 Inhibitors: Sitagliptin.

III. Combinations Agents:

A). In Type 2 Diabetes Mellitus:

- o If failure, Exenatide with Biguanides and /or sulfonylureas
- o If on insulin, Pramlintide with metformin, or sulfonylyreas
- o If non-responding to maximal oral therapy, with Insulin.

B). In Type 1 Diabetes Mellitus:

- o If poor post-meal control despite optimal insulin therapy, Pramlintide.
- o If significant insulin resistence or in combined Type 1 & Type, with Thiazolidinediones

Respiratory System:

Drugs used in Bronchial Asthma

I. Bronchodilators

- a. β₂ Agonists: Albuterol, Bitolterol, Metaproterenol, Ritodrine, Terbutaline, Salmetrol, Epinephrine
- b. Methylxanthines: Theophylline, Aminophylline, Theobromine
- c. Anticholinergics: Ipratropium Bromide, Tiotropium

II. Anti-inflammatory Agents:

- a. Inhibit release of mediators:
 - Glucocorticoids:
 - Beclomethasone, Flucticasone, Prednisolone, Methylprednisolone, Dexamethasone, Hydrocortisone, Triamcinolone
 - Mast Cell Stabilizers:
 - Cromolyn, Nidocromil, Ketotifen

b. Block affects of mediators:

Leukotriene Antagonists:
 Zafirlukast, Zileuton, Montelukast

Decongestants

Antihistamines:

I. First generation: Chlorpheniramine, diphenhydramine, promethazine

II. Second generation: Terfenadine, fexofenadine, cetirizine, loratadine

<u>Alpha₁ stimulant</u>: Phenylephrine, Pseudoephedrine, Phenylpropanolamine

Antitussives

Peripheral Antitussive:

a. Demulcents: Liquorice

b. Steam Inhalation: Tinc. Benzoin co. Menthol.

Central Antitussive:

Opioids: Codeine & Hydrocodone

Non-opioids: Dextromethorphan, Benzonatate

Expectorants & Mucolytics

Expectorants:

Alkaline, etc.: Potassium citrate, Potassium acetate, Tinc. Ipecacuana, Ammonium Chloride, etc.

Saline: Sodium Iodide, Potassium Iodide

Stimulants: Guaiphenesin, Guaiacol, Creosote Terpene hydrate.

Mucolytics: Acetlycysteine, Bromohexine, Carbocysteine, Methylcysteine, Hypertonic Saline

Colds and Allergies

I. Nasal Decongestants

Alpha₁ stimulation: phenylephrine, pseudoephedrine, phenylpropanolamine

II. Antihistamines:

H₁ receptors:

- a. First generation: Chlorpheniramine, diphenhydramine, clemastine, promethazine
- b. Second generation: Terfenadine, fexofenadine, cetirizine, loratadine

GIT:

Anti-emetic Agents

1. Serotonin 5-HT₃ Antagonists:

Ondansetron, Granisetron, Dolasetron, Palonosetron

2. Corticosteroids:

Dexamethasone, Methylprednisolone

3. Neurokinin Receptor Antagonists:

Neurokinin NK₁ receptor antagonists - Aprepitant

4. Phenothiazines & Butyrophenones:

Prochlorperazine, Promethazine, Thiethylperazine, Droperidol,

5. Substituted Benzamides:

Metoclopramide and Trimethobenzamide.

6. H₁ Antihistamines & Anticholinergics:

Diphenhydramine, Dimenhydrinate, Meclizine, Hyoscine

7. Benzodiazepines:

Lorazepam or Diazepam

8. Cannabinoids:

Dronabinol, Nabiloneis

Drugs Used in Acid-Peptic Diseases

- Antacids: Sodium Bicarbonate, Calcium Carbonate, Magnesium Hydroxide or Aluminum Hydroxide
- 2. H₂-Receptor Antagonists: Cimetidine, Ranitidine, Famotidine, Nizatidine
- 3. Proton Pump Inhibitors: Omeprazole, Lansoprazole, Pantoprazole, Rabeprazole, Esomeprazole
- 4. Mucosal Protective Agents: Sucralfate; Prostaglandin Analogs- Misoprostol
- 5. Colloidal Bismuth Compounds: Bismuth Subsalicylate, Bismuth Subcitrate, Bismuth Dinitrate

Pro-kinetic Agents

- 1. Cholinomimetic Agents: Bethanechol, Neostigmine
- 2. Metoclopramide & Domperidone:
- 3. Macrolides: Erythromycin
- 4. Chloride Channel Activator: Lubiprostone
- 5. Laxatives: Bulk-Forming Laxatives: Psyllium, Methylcellulose polycarbophil
- 6. Stool Surfactant Agents (Softeners): Docusate, glycerin suppository, Mineral oil
- **7. Osmotic Laxatives: Nonabsorbable Sugars or Salts:** Magnesium oxide (Milk of magnesia), Sorbitol and lactulose:
- 8. Purgatives: Magnesium citrate Sodium phosphate.
- **9. Balanced Polyethylene Glycol:** Polyethylene Glycol (PEG)
- 10. Anthraquinone Derivatives: Aloe, Senna, and Cascara
- 11. Diphenylmethane Derivatives: Phenolphthalein
- 12. Castor Oil:
- 13. Serotonin 5-HT₄-Receptor Agonists: Tegaserod

Anti-diarrheal Agents

1. Opioid Agonists:

Loperamide, Diphenoxylate

2. Colloidal Bismuth Compounds:

Mucosal Protective Agents

3. Kaolin & Pectin:

Hydrated Magnesium Aluminum Silicate (Attapulgite),

4. Bile Salt-Binding Resins:

Cholestyramine or Colestipol

5. Octreotide:

Somatostatin

Anthelmintics

1. Roundworms (nematodes):

Albendazole, pyrantel pamoate or mebendazole (alternative: Piperazine)

2. Trichuris trichiura (whipworm):

Mebendazole or albendazole (alternative: Oxantel / pyrantel pamoate)

3. Ancylostoma duodenale (hookworm):

Pyrantel pamoate, mebendazole or albendazole

4. Strongyloides stercoralis (threadworm):

Ivermectin (alternative: Thiabendazole, albendazole)

- 5. Enterobius vermicularis (pinworm):
 - Mebendazole or pyrantel pamoate (alternative: Albendazole)
- 6. Wuchereria bancrofti (filariasis):
 - Diethylcarbamazine (alternative: Ivermectin)
- 7. Dracunculus medinensis (guinea worm):
 - Metronidazole (alternative: Thiabendazole or mebendazole), Mebendazole (Vermox), Pyrantal Pamoate (Combantrin), Albendazole (Zentel), Piperazine (Antepar), Levamisole (Ketrax)
- 8. Flukes (trematodes): Schistosoma haematobium (bilharziasis)/ Schistosoma mansoni: Praziquantel (alternative: Metrifonate)

Chemotherapy:

B – lactame antibiotics

- 1. Penicillins
- 2. Cephalosporins
- 3. Carbapenems
- 4. ß-lactamases

Penicillins

- **1. Naturally occurring Penicillins:** Penicillin G (Long acting: Procaine penicillin, Benzathine Penicillin), Penicillin V (Phenoxymethyl penicillin)
- 2. Penicillinase Resistant Penicillins: Methicillin, Oxacillin, Cloxacillin, Nafcillin, Dicloxacillin
- 3. Broad Spectrum Penicillins: (Aminopenicillins): Ampicillin, Amoxicillin, Bacampicillin
- 4. Antipseudomonal Penicillins: Carbenicillin, Carbenicillin indanyl, Ticarcillin, Mezlocillin,

Piperacillin

- **5. Combinations:** Combinations of Penicillins and β-Lactamase inhibitors:
 - Amoxycillin + Clavulanic Acid
 - Ampicillin + Sulbactam
 - Ticarcillin + Clavulanic Acid

Cephalosporins

- I. First Generation: Cefazolin, Cephalothin, Cephalexin, Cefadroxil, Cephradine
- II. Second Generation: Cefuroxime, Cefuroxime axetil, Cefaclor, Cefoxitin, Cefotetan, Cefprozil,

Cefmetazole, Loracarbef

III. Third Generation: Cefotaxime, Ceftriaxone, Ceftazidime, Cefdinir, Cefditoren pivoxil,

Ceftibuten, Cefpodoxime proxetil, Ceftizoxime, Cefoperazone

Other Bacterial cell wall synthesis inhibitors

- Vancomycin
- Fosfomycin
- Bacitracin
- Cycloserine

Macrolides

Erythromycin, Clarithromycin, Azithromycin,

Ketolides

Telithromycin (newer drugs)

Oxazolidinones

Linezolid

Tetracyclines

A. According to Duration of Action:

- **I. Short Acting Tetracycline:** Tetracycline, Chlortetracycline, Oxytetracycline
- II. Intermediate acting Tetracycline: Demeclocycline, Methacycline
- **III. Long acting Tetracycline:** Doxycycline, Minocycline, Tigecycline

B. According to Generations:

- **I. First Generation:** Chlortetracycline, Oxytetracycline, Tetracycline, Demeclocycline
- II. Second Generation: Minocycline, Methacycline, Doxycycline
- III. Third Generation: Glycylcycline

Flouroquinolones

A. According to Chemical Structure:

I. Quinolones: Nalidixic acid, cinoxacin

II. Fluoroquinolones: Ciprofloxacin, Ofloxacin, Sparfloxacin, Lomefloxacin, Norfloxacin, Enoxacin,

Fleroxacin, Pefloxacin, Levofloxacin, Trovafloxacin

B. According to Generation:

I. First Generation: Cinoxacin, Nalidixic Acid, Oxolinic acid

II. Second Generation: Ciprofloxacin, Enoxacin, Fleroxacin, Lomefloxacin, Levofloxacin,

Norfloxacin, Ofloxacin, rulfloxacin

III. Third Generation: Gatifloxacin, Grepafloxacin, Pazufloxacin, Sparfloxacin, Tosufloxacin

IV. Fourth Generation: Clinafloxacin, Gemfloxacin, Moxifloxacin, Trovafloxacin

Aminoglycosides

Streptomycin, Gentamicin, Tobramycin, Amikacin, Netilmicin, Kanamycin, Neomycin

Sulfonamides

I. Short & rapid acting: Sulfacytine, Sulfisoxazole, Sulfamethizole

II. Intermediate & slow acting: Sulfadiazine, Sulfamethoxazole, Sulfapyridine, Sulfanilamide

III. Long & delayed acting: Sulfadoxine

IV. Combinations: Co-trimoxazole (Sulfamethoxazole + Trimethoprim)

V. Sulfonamides for Special Applications:

Topical: Mafenide, Silver sulfadiazine; Ophthalmic: Sulfacetamide sodium

Anti-tubercular Drugs

- I. First Line Drugs/Primary Drugs: Isoniazid (INH), Rifampin, Ethambutol, Pyrazinamide
- II. Second Line Drugs/Secondary Drugs: Para-amino Salicylic Acid (PAS), Ethionamide,

Streptomycin, Cycloserine, Kanamycin, Viomycin, Capreomycin, Amikacin, Thiacetazone, Ciprofloxacin, Ofloxacin

- III. Tuberculocidals: Isoniazid (INH), Rifampin, Streptomycin, Pyrazinamide
- IV. Tuberculostatics: Ethambutol, Thiacetazone, Para-amino salicylic acid, Ethionamide, Cycloserine

Antileprotics: Dapsone, rifampin and Clofazimine

Antivirals

A. According to Site of Action:

I. Blocking Adsorption / Penetration: Enfuviritide, Docosanol (HSV), Palivizumab,

Interferon-alfa, Gamma Globulins

- II. Blocking Uncoating: Amantadine, Rimantidine
- III. Early Protein Synthesis: Fomiversin
- IV. Nucleic Acid Synthesis: Purine and Pyrimidine Analogue. Reverse Transcriptase Inhibitors
- V. DNA Polymerase Inhibitors: Acyclovir, Gancyclovir
- VI. Sructural Proteins: Methisazone, Protease inhibitors
- V. Packing & Assembly/ Release Inhibitors: Zanamivir, Oseltamivir (Nuraminidase Inhibitors)

B. According to Spectrum:

I. Herpes Simplex Virus (HSV) & Varicella-Zoster Virus Infections: Acyclovir, Penciclovir,

Trifluridine, Docosanol, Valacyclovir, Famciclovir

CytomegaloVirus (CMV): Ganciclovir, Foscarnet, Valganciclovir, Cidofovir, Fomivirsen

II. HIV (AIDS):

- **a). Nucleoside & Nucleotide Reverse Transcriptase Inhibitors:** Zidovudine, Didanosine, Zalcitabine, Stavudine, Lamivudine, Abacavir, Emtricitabine, Tenofovir
- b). Non-Nucleoside RTI'S: Nevirapine, Delavirdine, Efavirenz
- c). Nucleotide RTI'S: Adefovir, Tenofovir
- d). Protease Inhibitors: Nelfinavir, Saquinavir, Amprenavir, Atazanavir, Tipranavir, Indinavir,

Ritonavir

- e). Fusion Inhibitors: Enfuvirtide
- III. Influenza A & B: Amantadine, Rimantadine, Zanamivir, Oseltamivir
- IV. Influnza H₅N₁ (Bird Flue): Tamiflue
- V. HBV: Interferon alpha 2α & pegylated alpha, Lamivudine, Adefovir, Entecavir

VI. HCV:

Acute: Interferon alpha

Chronic: Interferon α -2, Pegylated Inf α 2a, Ribavirin

VII. RSV & LASSA Virus: Ribavirin

Picorna: Pleconaril

Papilloma Virus: Inf α

Antifungals

- A) Systemic Antifungals:
 - a). Macrolide: Amphotericin B
 - b). Pyrimidine analog: Flucytosine

c). Azoles: Ketoconazole, Miconazole, Itraconazole, Fluconazole, Voriconazole

d). Echinocandins: Caspofungin, Micafungin, Anidulafongin

e). Penicilliums: Griseofulvin

f). Allylamines: Terbinafine

B) Topical Antifungals:

a). Azoles: Clotrimazole, Econazole, Miconazole, Butaconazole, Oxiconazole, Terconazole, Tioconazole, Sulconazole

b). Macrolide: Nystatin, Natamycin

c). Allylamines: Naftitine, Terbinafine

d). Miscellabeous: Tolnaftate, Benzoic acid, Salicylic acid, Propionic acid, Undecylemic acid

C) Local Antifungals:

a). Fatty acids and their salts: Sodium propionate, Calcium propionate, Undecylemic acid

b). Imidazoles: Miconazole nitrate, Clotrimazole

c). Halogenated phenolic esters: Haloprogin

d). Miscellaneous: Tolnaftate, Benzoic acid, Acrisorcin, Salicylic acid, Chlordantion, Natamycin,

Carrol fuschin, Sulfur

Anti-malarials

I. Quinoline methanols: (Cinchona Bark derivatives) Quinine, Mefloquine

II. Aminoquinolines:

4-aminoquinolines: Chloroquine, Amodiaquine

8-aminoquinolines: Primaquine

III. Phenanthrene methanol: Halofantrine, Lumefantrine

- IV. Folate antagonists (Diaminoprimidines): Pyrimethamine (plus Sulfadoxine), Trimethoprim
- V. Other folate antagonists: Proguanil
- VI. Endoperoxides (Artemisinin and Derivatives): Artemisinin (Qinghaosu), Dihydro-

artemisinin, Artemether, Artesunate

VII. Quinones: Atovaquone

VIII. Antibacterial as anti-malarial:

Sulfonamides and sulfones: Sulfadiazine, Sulfadoxine.

Tetracyclines: Doxycycline

IX. Combinations: Mefloquine + Pyrimethamine + Sulfadoxine (Fensimef)

X. Chloroquine Resistant Malaria:

Uncomplicated: Quinine sulfate, Doxycycline, Clindamycin, Fansidar, Malarone (Atovaquone + Proguanil), Mefloquine, Artesunate or Artemether, Coartem (Coartemether + Lumefeantrine)

Severe Complicated: Quinidine gluconate, Artesunate, Artemether

Antiamoebics

I. Chemical Classification:

- a. Nitroimidazoles: Metronidazole, Tinidazole, Ornidazole
- b. Dichloroacetamides: Diloxanide furoate
- c. Halogenated (Hydroxyquinolines): Iodoquinol
- d. Emetines: Emetine, Dehydroemetine
- e. Quinolines: Chloroquine
- f. Antibiotics/Antimicrobials: Tetracyclines, Paromomycin, Erythromycin

II. Clinical Classifications:

Luminal: Diloxanide furoate, Iodoquinol, Paromomycin **Systemic:** Dehydroemetine or Emetine, Chloroquine

Mixed: (Nitroimidazoles) Metronidazole, Tinidazole, Ornidazole

Combination: Metronidazole + paromomycin or a tetracycline (antibiotics)

Anticancer Drugs

1. Alkylating Agents:

- a) Nitrogen Mustards: Cyclophosphamide, Chlorambucil, Mechlorethamine
- b) Nitrosureas: Carmustine, Lomustine
- c) Aziridines: Thiotepa, Altretamine
- d) Alkylsulfonates: Busulfan
- e) Triazenes: Dacarbazine, Procarbazine
- f) Other Alkylating Agents: Cisplatin, Carboplatin

2. Anti-metabolites:

- a) Folic Acid Analog: Methotrexate
- b) Purine Analog: i) 6-Thiopurines: Mercaptopurine, Thioguanine, Azathioprine
 - ii) Others: Fludarabine, Cladarabine
- c) Pyrimidine Analogs: Fluorouracil, Cytarabine, Gemcitabine

3. Plant Alkaloids:

- a) Vinca Alkaloids: Vincristine, Vinblastine, Vinorelbine
- b) Epipodophyllotoxins: Etoposide, Teniposide
- c) Campothecins: Topotecan, Irinotecan
- d) Taxanes: Docetaxel, Paclitaxel
- 4. Cytotoxic Antibiotics: Bleomycin, Dactinomycin, Plicamycin, Mitomycin
- 5. Anthracyclines: Doxorubicin, Daunorubicin, Epirubicin, Idarubicin, Mitoxantrone
- 6. Radio-isotopes: Radioactive Iodine, Radio Phosphorus

- 7. Retenoic Acid: Tretinoin, Isotretinoin
- 8. Miscellaneous: Mitotane, Asparaginase, Hydroxyure
- **9. Hormonal Agents: Androgens:** Testosteronel; **Anti-Androgens:** Flutamide;

Estrogens: Ethinyl Estradiol; Anti-Estrogens: Tamoxifen; Adrenal Corticosteroids: Hydroxycortisone,

Prednisolone; Adrenal Hormone Synthesis Inhibitor: Aminogluthemide; Gonadotrophin-Releasing

Hormone Analogues: Goserelin; Somatostatin Analogues: Octreotides; Imatinib, Interferons

Immunosuppressive Agents

- 1. Glucocorticoids: Prednisolone
- 2. Immunophilin Ligands: Cyclosporine, Tacrolimus, Sirolimus
- 3. Mycophenolate Mofetil:
- 4. Thalidomide:
- **5. Cytotoxic Agents:** Azathioprine a prodrug of mercaptopurine, Cyclophosphamide, Leflunomide, Hydroxychloroquine, vincristine, methotrexate, and cytarabine
- 6. Immunosuppressive Antibodies:
- 7. Antibodies: Antilymphocyte Globulin (ALG) & Antithymocyte Globulin (ATG), Muromonab-CD3
- 8. Immune Globulin Intravenous (IGIV)
- 9. Rh_o(D) Immune Globulin Micro-Dose
- 10. Hyperimmune Immunoglobulins
- 11. Monoclonal Antibodies (MABS): Antitumor MABs Alemtuzumab Bevacizumab, Cetuximab, Gemtuzumab, Rituximabis, Trastuzumab, Arcitumomab, Ibritumomab tiuxetan, Nofetumomab, Tositumomab, Adalimumab, Etanercept, and Infliximab, Alefacept, Basiliximab, Daclizumab, Efalizumab, Omalizumab, Abciximab, Palivizumabis.

Pharmacology is the branch of science which deals with the knowledge of history, source, physical & chemical properties, absorption, distribution, biotransformation & excretion of drugs, their biochemical & physiological effects including therapeutic & toxic effects, uses and mechanism of action.

Pharmacokinetics: The actions of the body on the drug (or a prodrug), including absorption, distribution, metabolism and excretion.

Solubility: ability of a drug (or a prodrug) molecule to diffuse through or (to) cross lipid bilayer membrane.

Absorption: It is the pharmacokinetic process in which the passage of drug (or a prodrug) molecules into blood stream occurs after permeating membranes from the site of administration.

Distribution: It is the pharmacokinetic process in which following absorption, the drug (in active or inactive form) distributes into the blood circulation and then moves reversibly into various body compartments, by permeating various body membranes.

Biotransformation: It is the pharmacokinetic process in which the physiochemical / metabolic changes occur in the drug or prodrug molecules primarily to make them more excretable; however during this process the metabolites of drug or prodrug may become inactive, active or more toxic.

Excretion: It is the pharmacokinetic process in which the removal of drug from the body occurs through excretory organs, in active or inactive forms present systemically.

Elimination: It is the disappearance / removal of the active form of drug from the body, either through metabolic degradation or excretion from the body.

Biodisposition is a term sometimes used to describe both the processes of metabolism and excretion.

Oxidation: It is the chemical process which includes addition of Oxygen / negatively charged radical or removal of hydrogen / positively charged radical.

Reduction: It is the chemical process which includes addition of hydrogen / positively charged radical or removal of Oxygen / negatively charged radical.

Hydrolysis: It is the chemical process which includes addition of a water molecule in the drug molecules resulting in their bond breakage.

Conjugation: It is the chemical process which involves addition of charged / ionized endogenous substrate to the parent drug or to its Phase-I metabolite.

Enzyme Induction (acceleration of metabolism): Increased / rapid metabolic activity of an enzyme (CYP 450) resulting from its increased synthesis or decreased degradation, due to the effect of an exogenous or endogenous substance.

Enzyme Inhibition (depression of metabolism):

Decreased / slow metabolic activity of an enzyme (CYP 450) resulting from its decreased synthesis or increased degradation, due to the effect of an exogenous or endogenous substance.

Bioavailability: The fraction (or percentage) of the administered dose of drug (or a prodrug) that reaches into the systemic circulation in unchanged (unmetabolized) form, when given through any route.

First Pass Effect/Metabolism:

Pre-systemic (extensive /rapid) metabolism of a drug / prodrug when given orally, while passing through the metabolic sites (present in GIT / liver) for the first time, leading to decreased (sub-therapeutic) bioavailability.

Bio-Inequivalence: absorption of different forms of preparations of the same drug (given through different routes) may be different

Bio-equivalence: two formulations of the same compound (*like tablet, capsule or syrup, etc.*) have the same bioavailability and the same rate of absorption, when given through same route.

Minimum effective concentration (MEC): It is the minimum plasma concentration of a drug below which the effect is too small to be of clinical benefit.

Steady state: when the rate of drug elimination equals the rate of administration (i.e., the state in which the average total amount of drug in the body does not change over multiple dosing cycles)

Area under the curve (AUC): it is the graphic area plotted under a drug concentration versus time curve achieved after a single dose or during a single dosing interval.

Zero-Order Elimination Rate: Rate of elimination of a drug is independent of its plasma concentration (or amount in the body); in this a constant amount of drug is eliminated per unit time.

First-Order Elimination Rate: Rate of elimination of a drug is directly proportional to its plasma level (or the amount present); in this a constant fraction of the drug is eliminated per unit time.

Clearance is defined as the volume of blood or body fluid cleared off the drug per unit time

Or

It is the ratio of rate of elimination of a drug to the drug concentration in the blood.

Volume of Distribution (Vd): The ratio between the drug administered in the body and the drug concentration in the plasma
Or

It is the approximate or apparent volume of the body compartments that is required to accommodate the drug, in the same concentration as it is in the plasma.

Pharmacodynamics The actions of a drug on the body, including receptor interactions, dose-response phenomena, and mechanisms of therapeutic and toxic effects.

Drug: Any substance that act on biologic systems at the chemical (molecular) level and alter their functions

Or

According to WHO a drug is any substance or product that is used or intended to be used to modify or explore physiological systems or pathological states for the benefit of recipient.

Drug receptor: a macro molecular protein component of a cell, to which an endogenous substance or a drug binds and activates it to initiate the physiological response or drug effect.

Spare receptor: These are the receptors present in a particular tissue in excess of the receptors actually needed to elicit the maximal biologic response;

In other words, spare receptors are said to exist if the maximal drug response is obtained at less than maximal occupation of the receptors.

Transmembrane signalling: It is the modification of intracellular receptor activity when a ligand binds to the extracellular domain of the receptor to activate it.

Affinity is the chemical property of a drug (due to its specific molecular structure) to show specific attraction for binding to particular tissue receptors.

Efficacy: is the capability of a drug molecule (depending upon its specific molecular structure) to produce its maximum possible effect through receptor activation.

Potency: It is the ability of a drug to produce the required effect with minimum possible dose.

Agonist (or full agonist): A chemical substance which binds to the receptor (present for physiological endogenous substance) and activates it to produce the response resembling the receptor's physiological activity; they have full affinity & maximal efficacy to produce maximum possible response.

Partial agonist: It is the chemical substance which binds to the receptor with full affinity but has lesser/sub-maximal efficacy so it usually produces sub-maximal/ partial response; it acts as an antagonist for the full agonist or endogenous physiological substance.

Inverse agonist is an agonist which has affinity only for the inactive form (R_i) of the receptor with intrinsic activity opposite to endogenous substances / agonists and thus produces opposite effect.

Antagonism: is the phenomenon in which a drug may prevent / block the effects of a natural compound or a drug.

Chemical antagonism: when a drug counters the effects of another drug through chemical binding and neutralization, e.g., antacids for hyperacidity, protamine for heparin etc

Physiological antagonism: when two agonists oppose the effects of each other by binding to their own specific receptors, e.g., Histamine and Epinephrine, Insulin and Glucagon etc

Pharmacologic antagonism: When a drug having no intrinsic activity binds to its receptor and thereby prevents the ligand from binding and activating that receptor and thus blocks the pharmacological effects of the ligand.

Competitive antagonism: It is the type of pharmacologic antagonism which can be overcome by increasing the concentration of agonist, e.g., the receptor blockade produced by atropine can be overcome by increasing acetylcholine concentration.

Irreversible antagonism: It is a non-competitive type of antagonism in which the antagonist binds irreversibly to the ligand binding site and blocking it for the agonist or binds to an allosteric site of the receptor and prevents any conformational change by the ligand,

e.g., Phenoxybenzamine irreversibly blocks a receptors; organophosphates irreversibly block the acetylcholinesterase.

This type of pharmacologic antagonism cannot be overcome by increasing the concentration of agonist.

Loading dose: It is a larger than the usual therapeutic dose which is given initially to fulfil the large volume of distribution and thus achieve the effective blood levels more rapidly,

e.g., loading dose of Chloroquine is given in acute attack of Plasmodium falciparum malaria etc.

Median Effective(ED₅₀), Median Toxic (TD₅₀) & Median Lethal Dose (LD₅₀): The dose at which 50% of subjects show the specified therapeutic, toxic or lethal effect respectively.

Therapeutic index: It is the measure of safety margin of a drug, and is calculated by ratio of the TD $_{50}$ (or LD $_{50}$) to the ED $_{50}$.

Therapeutic window: It is the dosage range between the minimum effective therapeutic dose, and the minimum toxic dose.

Standard Margin of Safety: It is the measure of maximum safety of a drug, that is, the ratio between the dose which is effective in 99% of the population to the dose that produces possible toxicity in 1% of the population; it is calculated by:

$$TxD_1 - 1 X 100$$

Standard Margin of Safety = -------ED₉₉

Graded dose-response curve: It is a graphic curve showing increasing response to increasing drug concentration in an individual/organ/tissue.

Quantal dose-response curve: It is a graphic representation of the fraction of a population that shows a specified response at progressively increasing doses.

EC₅₀: It is the concentration or dose that produces 50% of the maximum required (therapeutic/toxic/lethal) effect.

In quantal dose-response curves, EC_{50} is the concentration or dose that causes a specified response in 50% of the population under study.

 \mathbf{K}_{d} : The concentration of drug that binds 50% of the receptors in the system.

Desensitization: It is the decreased responsiveness of the receptors as a result of receptor's-phosphorylation which causes the receptor to become non-functional and to be internalized.

Tachyphylaxis: It is the 'rapid decrease' in the response to a drug after attaining the required effect, when it is given repeatedly within short time interval; the initial response cannot be achieved again even if the drug dose is increased.

It usually occurs due to complete depletion of the concerned transmitter from the storage or rapid desensitization of the receptors.

e.g., Amphetamines (indirectly acting sympathomimetics, depleting stores of Norepinephrine); Nitroglycerine (through rapid desensitization)

Tolerance: It is the 'gradual decrease' in the response to a drug after attaining the required effect, when it is given in a therapeutic dosage schedule; however the initial response of the drug can be achieved again if its dose is increased,

e.g., tolerance to Opioids, Benzodiazepines, Barbiturates, Alcohol, and Nitrates etc.

Resistance: It is the loss of response or ineffectiveness of a drug which is usually related to chemotherapies; no increase in the response / effect is observed even after increase in the dose of drug, but by removing causing of resistance.

e.g., resistance with Penicillins, Antituberculars, Anticancers etc

Supersensitivity: It is the increased responsiveness of the receptors to the usual doses of a drug or endogenous activity, and it occurs due to upregulation of the receptors, after prolonged blockade or denervation.

e.g., with prolonged use of Beta blocker (severe hypertension occurs after sudden withdrawal), antipsychotics (Tardive dyskinesia)

Hypersensitivity: It is an immunological or allergic reaction to a drug ranging from mild skin rashes to severe anaphylaxis,

e.g., with Penicillins, Anti-tetanus serum, Radio-contrast IV injections etc

Superinfection: Infection of some opportunistic micro-organisms like , resistant strain of C. difficile, Candida albicans, due to alteration in the normal bacterial flora of GIT / respiratory tract / genitourinary tract, usually by broad spectrum antibiotics, e.g., Tetracyclines, Chloramphenicol, etc.

Iatrogenic effect (caused by physician): It is the pathological, disease-like condition produced by the prescribed drug and this condition is independent of the disease being treated,

e.g., Cushing syndrome being developed by chronic steroid use, NSAIDs-induced acid-peptic disease.

Idiosyncrasy: It is the abnormal, unexpected, unpredictable response of a drug usually due to genetic differences in its metabolism, immunological aspects or responsiveness,

e.g., aplastic anaemia due to chloramphenicol; haemolytic anaemia with primaquine or sulfonamides in patients with G6PD genetic-deficiency, etc

Pharmacogenetics: It is the branch of pharmacology devoted to the study of genetic factors in the individual's response to a drug.

FB page (AMDC PHARMACOLOGY)

SINCE OCT 2017

- FAOs
- PHARMACOLOGY MNEMONICS
- KEY POINTS AT THE END OF EACH SYSTEM
- MCQs
- ON-LINE QUIZZES
 (STUDENTS SCORING MAX CORRECT ANSWERS IN MINIMUM
 TIME ARE AWARDED +2 BONUS POINTS WHICH ARE ADDED IN
 MONTHLY TEST RESULTS)



FB group (AMDC PHARMACOLOGY)

SINCE JAN 2018

- STUDENTS OF 3RD YEAR MBBS AND 2ND YEAR BDS
- CLOSED GROUP (SESSION 2017-18, 2018-19)
- FAOs
- PHARMACOLOGY MNEMONICS
- KEY POINTS AT THE END OF EACH SYSTEM
- ALLOCATION OF ASSIGNMENTS/PROJECTS
- VIDEOS ARE UPLOADED RELATED TO EXPERIMENTAL PHARMACOLOGY
- MCQs
- ON-LINE QUIZZES
 (STUDENTS SCORING MAX CORRECT ANSWERS IN MINIMUM
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