PHARMACOLOGY

MODULE-1

MASTER NOTES FOR D.PHARMA

2ND YEAR STUDENTS

- Subject Wise Notes
- According To PCI Syllabus
- Easy To Understand
- Prepared By Experts
- Learn With Flow Charts

Pharmacology

Contents

Pharmacology

	Definition, classification, pharmacological actions, dose, indications, and contraindications of • Hematinic agents • Anti-coagulants • Anti-platelet agents • Thrombolytic drugs
7.	**Definition, classification, pharmacological actions, dose, indications, and contraindications of** • Bronchodilators • Expectorants • Anti-tussive agents • Mucolytic agents
8.	**Drugs Acting on the Gastro Intestinal Tract** Definition, classification, pharmacological actions, dose, indications, and contraindications of • Anti-ulcer drugs • Anti-emetics • Laxatives and purgatives • Anti-diarrheal drugs
9.	**Drugs Acting on the Kidney** Definition, classification, pharmacological actions, dose, indications, and contraindications of • Diuretics • Anti-Diuretics
10.	**Hormones and Hormone Antagonists** Physiological and pathological role and clinical uses of • Thyroid hormones • Anti-thyroid drugs • Parathormone • Calcitonin • Vitamin D • Insulin • Oral hypoglycemic agents • Estrogen • Progesterone • Oxytocin • Corticosteroids
11.	**Autocoids** • Physiological role of Histamine, 5 HT and Prostaglandins • Classification, clinical uses, and adverse effects of antihistamines and 5 HT antagonists
12.	**Chemotherapeutic Agents:** Introduction, basic principles of chemotherapy of infections, infestations and neoplastic diseases, Classification, dose, indication and contraindications of drugs belonging to following classes: • Penicillins • Cephalosporins • Aminoglycosides • Fluoroquinolones • Macrolides • Tetracyclines • Sulphonamides • Anti-tubercular drugs

	• Anti-fungal drugs • Anti-viral drugs • Anti-amoebic agents • Anthelmintics • Anti-malarial agents • Anti-neoplastic agents
13.	Biologicals Definition, types, and indications of biological agents with examples

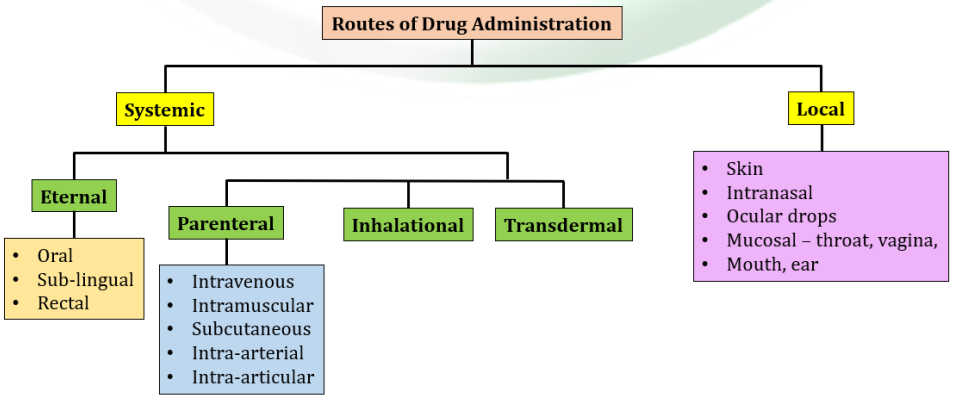
1 GENERAL PHARMACOLOGY

INTRODUCTION

- **Pharmacology** (an amalgam of the Greek pharmakos, medicine or drug and logos, study) is a broad discipline describing the use of chemicals to treat and cure disease. Pharmacology as a science encompasses the following
  - ✓ the action of natural chemicals in the body,
  - ✓ the origins and sources of drugs;
  - ✓ their chemical structure and physical characteristics;
  - ✓ their mechanisms of action;
  - ✓ their metabolism and excretion;
  - ✓ studies of their action on whole animals, isolated organs, tissues and cells, enzymes, DNA and other components of cells;
  - ✓ ultimately studies of their actions in humans and their therapeutic uses.
- **Pharmacokinetics** deals with the absorption, distribution, metabolism and excretion of drugs in the human body.
- **Pharmacodynamics** is the study of the interaction of the drug molecule with the biological target (referred to generically as the "receptor," vide infra).

SCOPE OF PHARMACOLOGY

- It provides the rational basis for the therapeutic use of the drug. Before the establishment of the discipline, even though many remedies were used, but doctors were reluctant to apply scientific principles to therapeutics.
- In 1920s, many synthetic chemicals were first introduced and the modem pharmaceutical companies began to develop.
- Scientific understanding of drugs enables us to predict the pharmacological effect of a new chemical that will produce a specified therapeutic effect.
- The pharmacology has expanded greatly over the last decade to incorporate many new approaches such as computer assisted drug design, genetic screens, protein engineering and use of novel drug delivery vehicles including viruses and artificial cells.



Pharmacology

ROUTES OF DRUG ADMINISTRATION

Route	Bioavailability	Advantages	Disadvantages
Parenteral route			
Intravenous (IV)	Complete (100%) systemic drug absorption.	• Drug is given for immediate or controlled effect. • May inject large fluid volumes. • Suitable for irritating Drugs.	• Increased chance for adverse reaction. • Possible anaphylaxis. • Requires skill in insertion of infusion set. • Tissue damage at site of injection (infiltration, • necrosis, or sterile abscess).
Intramuscular injection (IM)	• Rapid absorption from aqueous solutions. • Slow absorption from non-aqueous (oily) solutions.	• Easier to inject than • Intravenous injection. • Larger volumes may be used compared to • Subcutaneous solution.	• Irritating drugs may be very painful. • Variable rates of absorption depending upon muscle group injected and blood flow.
Subcutaneous injection (SC)	• Rapid absorption from aqueous solution. • Slow absorption from depot formulations.	Generally, used for vaccines and drugs not absorbed orally e.g. insulin.	Rate of drug absorption depends upon blood flow and injection volume.
Enteral route			
Buccal or sublingual (SL)	Rapid absorption of lipid-soluble drugs.	No presystemic metabolism.	Some drug may be swallowed. Not for most drugs or drugs with high doses.
Oral (PO)	Absorption may vary. Generally slower absorption rate compared to IV bolus or IM injection.	• Safest and easiest route of drug administration. • Suitable for both immediate-release and modified release drug products.	Some drugs are unstable in GIT, or undergo presystemic metabolism or show erratic absorption.
Rectal (PR)	• Absorption may vary from suppository.	• Useful when patient cannot swallow medication. • Used for local and	• Absorption may be erratic. Suppository may migrate to different position.

	• More reliable absorption from enema (solution).	systemic effects.	• Some patient discomfort.

Multiple Choice Question

1. Drug administered through the following route is most likely to be subjected to first-pass metabolism:
(a) Oral (b) Sublingual (c) Subcutaneous (d) Rectal

2. The sublingual route of drug administration involves placing the medication:
(a) Under the tongue (b) In the nose
(c) In the rectum (d) In the ear

3. A partial agonist has:
(a) High affinity but low intrinsic activity (b) High affinity but no intrinsic activity
(c) Low affinity but high intrinsic activity (d) Low affinity and low intrinsic activity

4. 'Drug efficacy' refers to:
(a) Effectiveness of drug in life threatening conditions
(b) The maximal intensity of response that can be produced by the drug
(c) The dose of the drug needed to produce half maximal effect
(d) The minimum dose of the drug needed to produce toxic effect

5. Bioavailability of drug refers to:
(a) Percentage of administered dose that reaches systemic circulation in the unchanged form
(b) Ratio of oral to parenteral dose
(c) Ratio of orally administered drug to that excreted in the faeces
(d) Ratio of drug excreted unchanged in urine to that excreted as metabolites

Answer

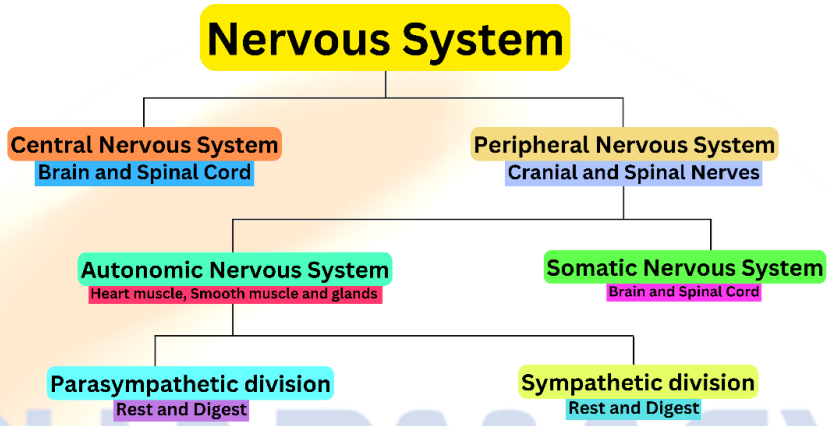
1-a	2-a	3-a	4-b	5-a

2 DRUGS ACTING ON PERIPHERAL NERVOUS SYSTEM

Introduction

The central system in divided into:

1. Somatic system – voluntary
2. Autonomic system – involuntary



Autonomic Nervous System

- Autonomic Nervous System (ANS) is involuntary in nature and the activities of this system are maintained autonomically.
- ANS is divided into three main divisions.
    1. Sympathetic
    2. Parasympathetic
    3. Enteric nervous syste
- Neurotransmitter (NT) secreted at somatic nerves (at neuromuscular junction) as well as at all preganglionic autonomic (sympathetic as well as parasympathetic) nerves is acetylcholine (ACh).
- This substance stimulates NM nicotinic receptors at neuromuscular junction (NMJ) and NN nicotinic receptors at the ganglia.

Difference between Somatic and Autonomic Nervous Systems

	Somatic	Autonomic
Organ supplied	Skeletal muscles	All other organs
Distal most synapse	Within CNS	Outside CNS (in ganglia)
Nerve fibres	Myelinated	Pregang.—myelinated Postgang.—non-myelinated
Peripheral plexus formation	Absent	Present
Primary efferent transmitter	Acetylcholine	Acetylcholine, Noradrenaline

Effect of nerve section on organ supplied	Paralysis and atrophy	Activity maintained, no atrophy

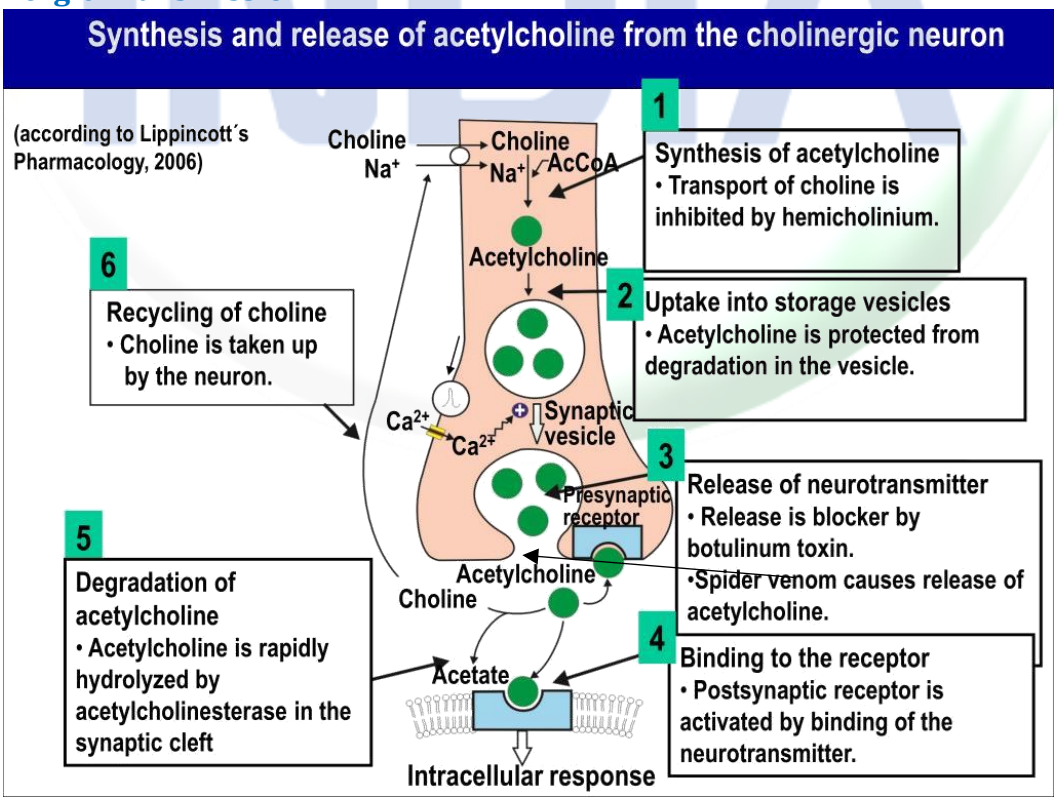
Steps Involved in Neurohumoral Transmission

1. **Synthesis of neurotransmitter:** The precursor compound should enter the nerve terminal. Precursor compound in case of noradrenaline is tyrosine while that in case of acetylcholine is choline. Thus, the neurotransmitter is formed.
2. Neurotransmitter after synthesis is stored in the vesicles.
3. When the nerve impulse reaches and stimulates the nerve fibers, entry of Ca2+ causes release of neurotransmitter by exocytosis into the synaptic cleft.
4. After release, the neurotransmitter goes to the post synaptic membrane and the receptor is stimulated Conformational change takes place and the effect is produced.

CHOLINERGIC DRUGS

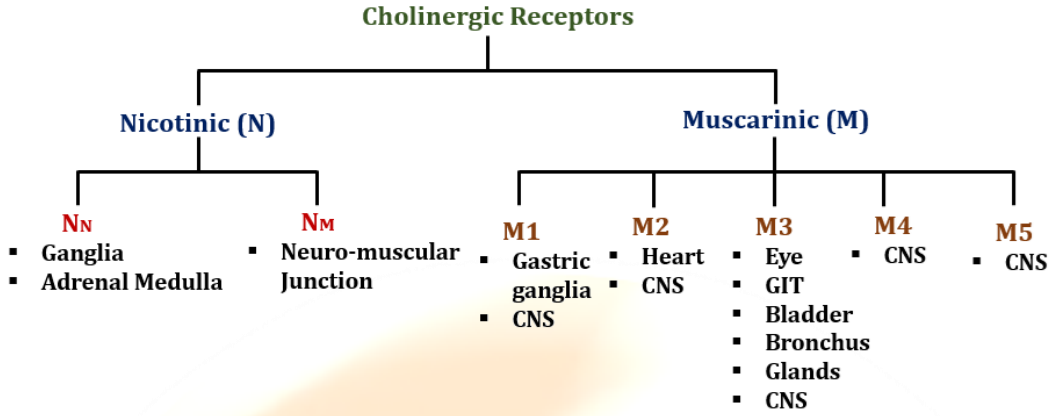
- **Definition:** Cholinergic drugs are chemicals that act at the same site as acetylcholine, thereby mimicking its actions. They are therefore called parasympathomimetics or cholinomimetics.
- In the parasympathetic system, acetylcholine is the principal NT secreted by preganglionic and postganglionic fibres.
- Therefore, it is also known as cholinergic nervous system.
- ACh is synthesized (from acetyl Co-A and choline) and stored within the cholinergic neurons.

Cholinergic Transmission



Pharmacology

Types of Cholinoceptors



Multiple Choice Questions

1. Methacholine is an agonist at
(a) M2 (b) M1 (c) M4 (d) M3

2. Which of the following is drug of choice for belladonna poisoning
(a) Physostigmine (b) Neostigmine (c) Pyridostigmine (d) Pralidoxime

3. The most preferred drug for diagnosis of myasthenia gravis is
(a) Neostigmine (b) Edrophonium (c) Pyridostigmine (d) Physostigmine

4. Pralidoxime acts by
(a) Reactivating cholinesterase enzyme (b) Promoting synthesis of cholinesterase
(c) Promoting synthesis of acetylcholine (d) Direct action on cholinergic receptors

5. Which of the following is a parasympatholytic agent
(a) Atropine (b) Neostigmine (c) Pyridostigmine (d) Acetylcholine

Answer

1-a	**2-a**	**3-b**	**4-a**	**5-a**

3 DRUGS ACTING ON THE EYE

MIOTICS

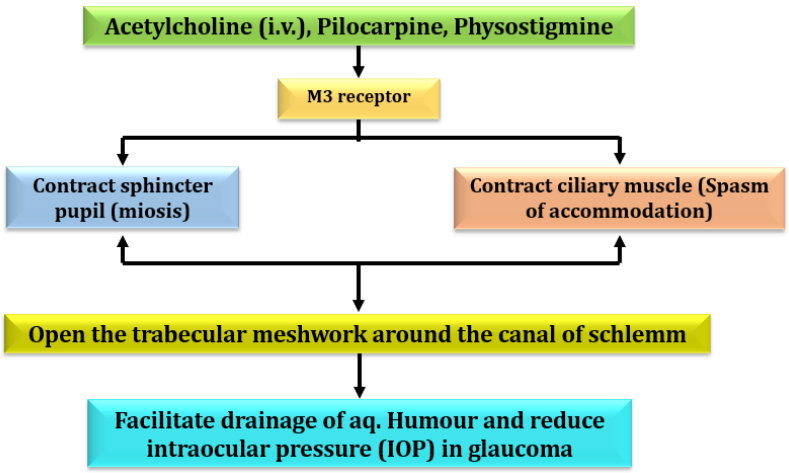
Definition

- Miotics (drugs that cause the pupil to contract) improve the outflow of aqueous as part of the treatment of glaucoma and reduce the risk of a posteriorly luxated lens entering the anterior chamber.

Classification

1. **Directly acting or agonists:** acetylcholine, bethanechol, pilocarpine.
2. **Indirectly acting or cholinesterase inhibitors:**
   a. Reversible: physostigmine, neostigmine, edrophonium.
   b. Irreversible: ecothiophate iodide, demecarium, diisopropylfluro phosphate.
3. **Dual action:** having bothmuscarinic and weak cholinesterase action. E.g.,- carbachol.
4. **Reactivation of acetylcholinesterase:** pralidoxime.

Pharmacological Action of Miotics



Dose

- **Pilocarpine:** PILOCAR 1%, 2%, 4% eye drops.
- **Physostigmine:** BI-MIOTIC 0.25% eye drops with 2% pilocarpine nitrate.

Indications

1. To treat glaucoma.
2. To reverse the effect of mydriatics after refraction testing.
3. To prevent formation of adhesions between iris and lens or iris and cornea, and even to break those which have formed due to iritis, corneal ulcer, etc.

Contraindications

- **Pilocarpine -** Hypersensitivity to its components, Anterior Uveitis.
- **Carbachol –**

  - Miotics are contraindicated where constriction is undesirable such as acute iritis.
  - Patient showing hypersensitivity to any component to this preparation.
- **Physostigmine -** Predisposing to Retinal Detachment and Hypersensitivity to the Drug.

MYDRIATICS

Definition

- Mydriatics are drugs that cause dilation of pupil (No cycloplegic effect).

Classification

1. **Adrenergic agonists-** Adrenaline, Cocaine, Phenylephrine, Hydroxyamphetamine.
2. **Cholinergic antagonists-** Tropicamide.

Pharmacological Action

1. **Adrenergic agonists-** They cause pupillary dilatation, increase in aqueous outflow, decreased aqueous formation, and relaxation of ciliary muscles.
2. **Cholinergic antagonists-** Causes mydriasis and cycloplegia.

Dose and Indications

Cocaine

- Causes mydriasis.
- 1:1000 solution used.
- Repeated in 5 minutes.
- Used for open angle glaucoma.
- Can be used with procaine and atropine in severe iritis.

Phenylephrine HCl

- Causes pupil dilatation and conjunctival vasoconstriction causing blanching.
- Action can be reversed by thymoxamine 0.1%.
- 2.5 and 10% concentrations used most commonly.
- Sufficient mydriasis occurs in 15-30 mins, maximum dilation in 45-60 mins and remains for 4- 6 hours.
- Used mainly for pupil dilation for diagnostic purposes and in pathological conditions like uveitis, for cycloplegic refraction, before intraocular surgery and in conjunction with miotics.

Tropicamide

- Blocks the effect of acetyl choline released.
- Causes mydriasis and cycloplegia both.
- 0.5 or 1% acts within 20-30 minutes and effect lasts for 6-8 hours.
- It prevents pupil constriction in response to indirect ophthalmoscopy and retinal photography.
- Since it has no vasopressor action it can be used safely in cardiac patients.
- Commonly used as a combination with phenylephrine or hydroxyamphetamine.

Contraindications

Phenylephrine

- Narrow angle glaucoma.
- Hypertensives.
- Type 1 diabetes mellitus.
- Aneurysms.

Cocaine

- Allergy and hypersensitivity.

Tropicamide

- Narrow angle glaucoma.

Multiple Choice Questions

1. Pilocarpine is used for:
(a) Glaucoma (b) Paralytic ileus
(c) Urinary retention (d) All of the above

2. Beta adrenergic blockers lower intraocular tension by:
(a) Down regulating adenylyl cyclase in ciliary body through reduced activation of β2 adrenoceptors
(b) Constricting ciliary blood vessels
(c) Blocking adrenergic action on trabecular meshwork
(d) Reducing aqueous formation unrelated to beta adrenoceptor mediation

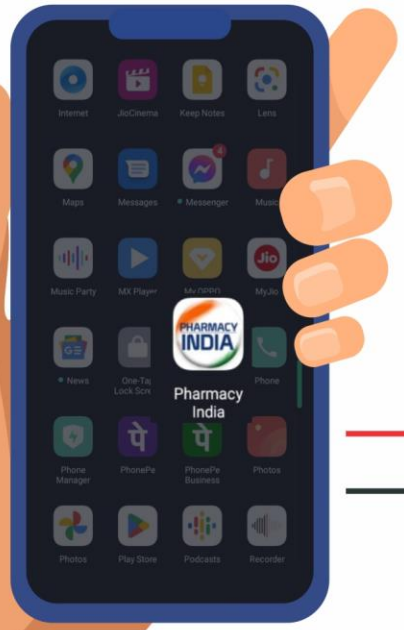
3. Adrenergic receptors located on ciliary epithelial cells reduces aqueous secretion:
(a) β1 receptor (b) β2 receptor (c) α1 receptor (d) α2 receptor

4. Select the longer acting ocular beta blocker:
(a) Timolol (b) Betaxolol (c) Cartiolol (d) Levobunolol

5. The following is an α2 adrenergic agonist used as eyedrops to lower intraocular pressure:
(a) Brinzolamide (b) Bambuterol (c) Brimonidine (d) Latanoprost

Answer

1-a	2-a	3-d	4-d	5-c



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