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Diploma in Pharmacy 2nd Year Pharmacology

Important Questions

Chapter 2 : Drugs Acting On Peripheral Nervous System

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Chapter 2 Drugs Acting On Peripheral Nervous System IMPORTANT Questions

Q1. Write the brief note on Neurotransmitters. Ans.

Neurotransmitters

- → Neurotransmitters are chemical compounds present in the brain.
- They are made up of amino acids and some of them are hormones.
- → They transmit information from one neuron to the other.
- Adjor body functions like movement, emotional response, and the physical ability to experience pleasure and pain are controlled by neurotransmitters.
- Neurotransmitters are specific chemical signals allowing communication between nerve cell and effector cells/organs.

Substances acting as neurotransmitters can mainly be cat<mark>egorised into</mark> the following three classes:

- Amino acids (primarily glutamic acid, Gamma-Aminobutyric Acid (GABA). aspartic acid, and glycine).
- Peptides (vasopressin, somatostatin, neurotensin, etc.)
- Monoamines (NE, dopamine and serotonin) plus Ach

Classification of Neurotransmitters

The neurotransmitters can be classified:

On the Basis of Secretion Site: These are of two types:

- 1. **Neurotransmitters of Sympathetic Nervous System:** In this, two neurotransmitters are present:
 - Acetylcholine (ACh) (liberated at the ganglion) acts as a neurotransmitter for the preganglionic sympathetic nerves.
 - Nor-adrenaline (NA) acts a neurotransmitter for the postganglionic sympathetic nerves.

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Neurotransmitters of Parasympathetic Nervous System : In parasympathetic nervous system, only Ach is released at the ganglion and it acts as a neurotransmitter for the preganglionic parasympathetic nerves. Acetylcholine (ACh) also acts as a neurotransmitter for the postganglionic parasympathetic nerves.

Q2. Write the steps involved in Neurohumoral Transmission. Ans.

Steps Involved In Neurohumoral Transmission

- ⇒ Initiation Of an Action Potential and Axonal Conduction.
- ⇒ Arrival of an AP at nerve terminal, resulting in the release of the transmitter.
- ⇒ Events at the synaptic cleft and post junctional sites.
- ⇒ Termination of effect of released Transmitter.

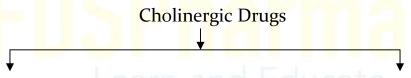
Q3. Explain the Cholinergic drugs? Write the classification, pharmacological action, Indication, contraindications, dose, of Cholinergic drugs.

Ans.

Cholinergic Drugs (Parasympathomimetic Agents)

- → Cholinergic Drugs are those drugs which give action similar to acetylcholine.
- → They give their action by directly binding to the cholinergic receptors or by indirect process.

Classification



Direct Acting Cholinergic Agonist

Indirect Acting Agents (Anticholinestrase

Example : Acetylcholine,

Methacholine.

Carbachol.

Bethanechol.

Pilocarpine.

Example: Physostigmine,

Neostigmine

2. Direct Acting Cholinergic Agonist

- These drugs produced actions similar to ACh by directly interacting with cholinergic receptors . Acetylcholine , Methacholine , Carbachol , Bethanechol. Pilocarpine.
 - 3. Indirect Acting Agents (Anticholinestrase)
- These drugs inhibit the enzyme cholinestrase, this enzyme inactivates the Acetylcholine. Physostigmine (this can cross blood brain barrier) Neostigmine (this can't cross blood brain barrier).



Pharmacological Actions

1. Muscarinic Action

- Heart : bradycardia (slow down heart rate)
- Blood Vessels : dilates blood vessels , lowers blood pressure
- Respiratory System : bronchoconstriction
- smooth muscles : contracts smooth muscles
- Exocrine Gland : Increase secretion (saliva ,HCL , Pancreatic Juice)
- GI Tract: Increase peristalsis Movement.
- Urinary Bladder : Contraction
- Eye : Contraction of Pupils

2. Nicotinic Action

- Skeletal Muscle : Contraction
- CNS: ACh does not cross BBB, but if injected directly into brain and stimulates initially and then depresses.

Indication

- Acetylcholine is mainly used in experimental studies, and has limited clinical value because of following reasons.
 - It is rapidly hydrolysed by the Pseudocholinesterases.
 - It spread widely and diffuses in easily and thus does not produce a selective pharmacological action.
 - It can not be administered orally as it immediately hydrolysed and degraded by gastric enzymes.
- 2. Methacoline is not used nowadays.
- 3. Carbachol shows action on M and N receptors non selectively, so no longer in use.
- 4. Bethanechol Is in use as
 - In case of gastroparesis, postoperative abdominal distension.
 - In case of urinary bladder retention.

Dose

♣ Bethanechol : 5 or 10 mg tablets , 10-30 mg 3-4 times in a day.

Contraindications

- ♦ Hyperthyroidism : Choline ester may precipitate cardiac arrhythmias.
- ♦ Bronchial Asthma : Choline ester may precipitate bronchospasm.
- ♦ Peptic ulcer: Choline ester may increase gastric acid secretion.
- Myocardial Infarction: Choline ester may cause hypotension and form conduction block.

Q4. Explain the Anti-Cholinergic Drugs? Write the classification, pharmacological action, Indication, contraindications, dose, of Anti-Cholinergic.

Ans.

Anticholinergic Drugs

- → These are the drugs which occupy the ACh receptors and do not allow ACh to bind to the receptors .
- → Anticolinergic Drugs are also called "Parasympatholytic"
 - AntiParasympathetic Agents "
 - Cholinergic blocking Agents "
 - Cholinergic antagonist

Classification

Anticholinergic Drugs

Anti Muscarinic Agents

Anti Nicotinic Agents

Example : Atropine, Ipratropium, Tropicamide.

Example: Trimethaphan, Pentolinium.

4. Anti Muscarinic Agents :

- ➤ These act by inhibiting the action of Ach by blocking the muscarinic acetylcholine receptors.
- Example : Atropine , Ipratropium , Tropicamide.

5. Anti Nicotinic Agents:

- ➤ These act by inhibiting the action of Ach at nicotinic acetylcholine receptors.
- Example: Trimethaphan, Pentolinium.

Atropine

→ It is most common anti muscarinic agent . It is an alkaloid and blocks the all types of muscarinic receptors.

Pharmacological Action

- CNS: Mild stimulation
- Eye: Mydriasis
- CVS: It cause bradycardia initially and then tachycardia.
- Respiratory System : Bronchodilation
- Secretion : Secretions of sweat , saliva , and gastric are reduced.
- GIT: Relaxation, decrease peristaltic movement so it used as antispasmodic and anti diarrhoeal drug.

Indication

- ▲ For dilation of pupil.
- ▲ Pre Anaesthetic
- ▲ In bronchial Asthma and COPD.
- ▲ In hypersalivation.
- ▲ To treat diarrhoea
- ▲ As antidote for organophosphorus poisoning.
- ▲ To treat parkinsonism

Dose:

- ❖ It is given IV, IM and SC, routes.
- $\ \ \, \ \,$ o.4-o.6mg for preoperative and pre anaesthetic,
- ❖ 1% solution in eye drop for mydriasis

Contraindication

- ♦ In glaucoma condition
- ♦ In infants suffering from Down' syndrome (delay in development of body and brain)
- igodelaws In patients are hypertensive with atropine .

Q5. What are Adrenergic drugs? Write the classification, pharmacological action, Indication, contraindications, dose, of Adrenergic drugs.

Ans.

Adrenergic Drugs

- → Adrenergic drugs or adrenergic agonists or sympathomimetic agents are drugs causing stimulation of the adrenergic receptors in the sympathetic nervous system.
- → They are named so as they mimic the actions of major neurotransmitters of the sympathetic nervous system, i.e., epinephrine and norepinephrine.

Classification

⇒ On the basis of effects they produce on the organ cells, the sympathomimetic drugs can be categorised into three classes;

Adrenergic Drugs Direct-Acting Sympathomimetic Agents Example: Epinephrine or Norepinephrine. Indirect-Acting Sympathomimetic Agents Example: Amphetamine. Mixed-Acting Sympathomimetic Agents Example: Ephedrine.

- 1. **Direct-Acting Sympathomimetic Agents :** They stimulate the adrenergic receptors directly, e.g., Epinephrine or Norepinephrine.
- 2. **Indirect-Acting Sympathomimetic Agents :** They act by stimulating the release of nor-epinephrine from the terminal nerve endings, e.g., Amphetamine.



3. **Mixed-Acting Sympathomimetic Agents :** They act both directly (stimulating adrenergic receptor sites) and indirectly (stimulating release of nor-epinephrine from the terminal nerve endings), e.g., Ephedrine.

Location of adrenergic receptors

- 1. α1 : Smooth muscles = Heart, , Bladder, spleen, Ureters, (contraction) eye (mydriasis)
- 2. α2: Pancreas (decrease insulin)
- 3. ß1: Heart (Increase heart rate)
- 4. ß2 : Smooth muscles = heart , bronchi , uterus , GIT , (relaxation)

Pharmacological Action

- For Cardiovascular system: Stimulate the αι receptor and increase the contraction force of heart and then output of blood.
- ➤ **Respiratory system**: Stimulate ß₂ receptor and dilate the bronchi smooth muscles.
- Pancreas: Bind to α2 receptor of pancreas and decrease the release of insulin ,so give hyperglycemic effect.

Indication

- ▲ To control bleeding
- ▲ To slow the absorption of local anaesthetics.
- ▲ To increase blood pressure

Contraindication

- $\ \ \,$ $\ \,$ $\ \ \,$ $\ \ \,$ $\ \ \,$ $\ \,$ $\ \,$ $\ \,$ $\ \,$ $\ \,$ $\ \,$ $\ \,$ $\ \,$ $\ \,$ $\ \,$ $\ \,$ $\ \,$
- \diamond α 2 receptor agonist is contraindicated in low blood pressure .

- ♣ Amphetamine 5-10mg tablet in the morning and midday
- ≠ Epinephrine in acute asthma o.oıml/ml, in cardiac arrest o.oıml/ml

Q6. What are Anti-adrenergic drugs? Write the classification, pharmacological action, Indication, contraindications, dose, of Antiadrenergic drugs.

Ans.

Anti- Adrenergic Drugs

- → The drugs block the effect or actions that occur by release of adrenaline are called antiAdrenergic Drugs.
- → These drugs are also called " Adrenergic Blocking Agents " " Adrenoceptor antagonist " .

Classification

Anti- Adrenergic Drugs

 α - Adrenoceptor Blocking Drugs β - Adrenoceptor Blocking Drugs

Example: Prazosin, Yohimbine, Phenoxybenzamine

Example: Atenolol, Butoxamine, propranonol

- α Adrenoceptor Blocking Drugs: The effects of catecholamine facilitated via α receptors are blocked by these agents. Furthermore, depending on the ability of these drugs to dissociate from the receptors, they may either be reversible or irreversible.
 - **Example:** Prazosin, Yohimbine, Phenoxybenzamine
- 2. β Adrenoceptor Blocking Drugs: The effects of catecholamine facilitated via the β adrenoceptors are blocked by β - adrenoceptor blocking drugs. They can further be categorised as selective or non-selective β - adrenoceptor blocking agents.
 - Example: Atenolol, Butoxamine, propranonol

Pharmacological Actions

- ▲ On Eye: miosis
- ▲ Decrease the heart rate
- ▲ Bronchodilation
- ▲ Vasodilation.
- ▲ Lower blood pressure
- ▲ Increase intestinal motility .



Indication

- ♦ To treat hypertension
- ♦ In congestive heart failure
- **♦** In migraine
- **♦** Angina pectoris
- **♦** Anxiety
- ♦ Parkinson's disease

Contraindication

- Hepatic and renal disease
- Peptic ulcer
- Any drug allergy
- Coronary artery disease

Doses

- ♣ Atenolol : 25 100mg daily
- ♣ Propanolol: 80 240 mg 12 hourly
- ♣ labetalol : 200 -600 mg 12 hourly

Q7. Explain the Neuromuscular Blocking Agents? Write the classification, pharmacological action, Indication, contraindications, dose, of Neuromuscular Blocking Agents.

Ans. Neuromuscular Blocking Agents (Skeletal Muscle Relaxant)

- → The drugs are used to block the transmission of nerve impulses at the skeletal neuromuscular junction and cause skeletal muscle relaxation are called Neuromuscular Blocking Agent.
- → They are used to reduce spasm and pain in skeletal muscles.

Classification

Drugs Acting Peripherally at the Neuromuscular Junction

- 1) Non Depolarising Agents
 - a) long acting (60 120 minutes) e.g. tubocuratine, Dexacurium
 - b) Intermediate acting (20 -50 minutes) e.g. Atracurium
 - c) Short Acting (10-20) e.g. Mivacurium
- 2) Depolarising Agents : Succinyl Choline

Pharmacological Action

- ▲ Skeletal muscle: parental Administration of Tubocurarine results in weakness of Motor Impulses.
- ▲ CVS: These agents produce Hypotention and cardiac arrhythmia (increase or decrease in heart rate)

Indications

- ❖ Adjuvant (helping) to general Anaesthesia : Neuromuscular Blocking Agents are use with general anaesthesia to achieve adequate (as need) muscle relaxation.
- ❖ In Convulsant : These drugs are used for muscle relaxation in epileptic condition.
- ❖ In sever tetanus : Tetanus cause a painful muscle contraction , these drug are used only in severe case of tetanus.

Contraindications

- ▲ Heart patients: These are contraindicated in heart patients.
- $\ \, \blacktriangle \,$ Asthma patients ; These are contraindicated in asthma patients .

- d -tubocurarine o.5 o.6 mg/kg
- Dexacurium 0.03 -0.05 mg / kg
- Atracurium o.4 -o.5 mg / kg
- mivacurium 0.15 -0.2 mg/kg
- Succinyl Choline 1.0 -1.5



Q8. Write the note on Drug used in Myasthenia Gravis. Ans.

Drugs Used in Myasthenia Gravis

Myasthenia Gravis

- → It is an autoimmune disorder in which antibodies are produced that blocks od destroy Muscles receptors
- → Patients with Myasthenia show severe muscular weakness.
- → Breakdown in communication between nerves and muscles.

Drugs used In Myasthenia Gravis

- 1) Anticolinesterases: Pridostigmine
- 2) Immunosuppression: Cyclosporine, Azthioprine
- 3) Intravenous Immune Globulin (IVIG)
- 4) Immunoabsorption : this procedure helps to remove anti AChR ABs (Acetycholine Receptor Antibodies)
- 5) Plasma Exchange: It helps to remove the abnormal antibodies.

Q9. What are the local anaesthetics ?Write the classification, pharmacological action, Indication, contraindications, dose, of local anaesthetics.

Ans.

Local Anaesthetic

ightarrow The drugs are used to block the sensation in a limited area are call Local anaesthetics .

Oı

→ we say The drugs are used to abolish the sensory perception over a local area are called local anesthetics.

Classification Of Local anaesthetics

- 1. Injectable Anaesthetics
 - Short duration : procaine
 - Intermediate duration : Lignocaine (lidocaine)
 - Long duration : Tetracaine
- 2. Surface Anaesthetics: Cocaine, Lignocaine



Pharmacological Action Of Local Anaesthetics

The local anaesthetic have the following two types of actions:

- Local Action
- systemic action

1) Local Action

- They block the nerve ending
- They block the neuromuscular junction
- They delay the release of acetylcholine from motor neuron.

2) Systemic Action

- a) CNS
- They stimulate the CNS in starting and then depress
- They produce restlessness, mental confusion.
 - B) CVS
- Heart : Cardiac depression
- Blood Vessels : Vasodilation
- Lower Blood pressure

Indications

- ♦ These are used for infiltration anaesthetics (anaesthetic of an operative site by local injection)
- ♦ These are used as antiarrhythmic agents.
- ♦ These are used to treat status epilepsy.

Contraindications

- ▲ These are contraindicated in coronary disease.
- ▲ These are contraindicated in heart failure.
- ▲ These are contraindicated in heart block.
- ▲ These are contraindicated in liver disease.

- ♣ Lignocaine : 4mg/ kg and should not exceed 300 mg or 500mg
- ♣ Procaine : 12mg/kg and should exceed 1000mg



Q10. Write the brief note on Non-steroidal Anti-inflammatory Drugs (NSAIDs)

Ans.

Non-Steroidal Anti-Inflammatory Drugs (NSAIDs)

→ The drugs are used to treat Inflammation , and mild to moderate Pain and fever are called Non steroidal anti Inflammatory drugs .

Analgesic

- Analgesic are those drugs which used in the treatment of pain.
- ➤ NSAIDs reduce only slow pain
- > These drugs can not used in severe pain.
- Eg: Aspirin etc,

Anti-Pyretics

- Antipyretics are those drugs which to reduce the high blood temperature.
- These drugs reduce only high body temperature not normal body temperature.
- Mainly antipyretics drugs used in the treatment of fever
- > Eg : Paracetamol etc.

Anti-Inflammation Agent

- Anti-inflammatory are those drugs which used to reduce the inflammation in the body.
- ➤ Eg :Ibuprofen

Classification of (NSIADs)

1) Non -Selective COX inhibitors

- Salicylates : Aspirin
- pyrazolone Derivatives : Phenylbutazone
- Indole Derivatives : Indomethacin
- Propionic Acid Derivatives : Ibuprofen
- Aril Acetic Acid Derivatives : Diclofenac
- Oxycame Derivatives : Piroxicam
- 2) Preferential COX 2 inhibitors: Nimesulide, meloxicam
- 3) Selective COX 2 inhibitors: Selecoxib, Rofecoxib
- 4) Analgesic Antipyretics with poor Anti inflammatory Action :
 - Paraaminophenol Derivatives : Paracetamol (acetaaminophen)
 - Pyrazolone Derivatives : Metamizol .



Indications

- Analgesia: NSIADs are used to eliminate or treat mild to moderate pain like:
 - Headache
 - Toothaches
 - Muscle aches
 - Arthritis
 - Migraine
 - Dysmenorrhea
- Antipyresis: NSIADs are used to treat fever / to normalize body temperature.
- Anti Inflammation: NSIADs are used to stop inflammation and pain like:
 - ▲ Rheumatoids
 - ▲ Osteoarthritis
 - Ankylosing spondylitis
 - **→** Bursitis

Contraindication

- ❖ With NSIADs hypersensitivity (an exaggerated response by immune to a drug).
- ❖ In peptic Ulcer,
- ❖ In children suffering from chicken pox or influenza.
- In chronic liver disease
- ❖ In during pregnancy.
- In breastfeeding mother.

- 1) Aspirin:
 - Adults: 325-650mg orally 4-6 Hours as need and should not exceed 3.9 g/day
 - Children under 12 years : 10-15mg/kg
- 2) Paracetamol
 - Adults: 500-650 mg, duration 4-6 hours, and should not exceed 4000mg/day
 - Children under 18 years: 15mg/kg duration 6 hours.

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