Expt. No. / Name :

Date / /

Page No.

* Anti - Asthamatic Drugs :

- These are those drugs which are used in treatment of Asthma.

Asthma : It is condition in which a person's airways become inflammed, narrow, swell and produce extra mucus, which makes it difficult to breathe.

- It is recognized to be a primarily inflammatory condition. → Hyperresponsive.

• causes :

- Infections
- Irritants
- Pollutions
- Exercise
- Stress
- Anger
- Smoke
- Dust

• Symptoms :

- Dyspnoea (Shortness of Breath)
- Wheezing (whistle sound during respiration)
- cough

AVNEET

Teacher's Signature

* classification :

Anti - Asthmatic Drug

1. Bronchodilators

a. Beta - 2 receptor agonist :

i. SABA → short acting β_2 agonist

- Salbutamol (Albuterol)
- Terbutaline.

ii LABA → Long acting β_2 agonist

- Salmeterol
- Formoterol
- Vilanterol.

b. Methylxanthine

- Theophylline
- Aminophylline
- Doxophylline
- Oxtriphylline
- Hydroxyethyl

c. Anticholinergics (M_3 (Muscarinic) receptor antagonist)

i. SAMA → Ipratropium

ii. LAMA → Tiotropium, Glycopyrrolate, Umeclidinium.

2. Corticosteroids

a. Inhalational : Propionate, Dipropionate, Fluticasone, Mometasone, Budesonide, Beclomethasone Flunisolide, ciclesonide.

b. Systemic : Hydrocortisone, Prednisolone.

3. Leukotriene receptor Antagonist

- Montelukast
- Zafirlukast

Expt. No. / Name :

Date / /

Page No.

4. Mast cell stabilizers :
   - ketotifen (Anti-Histamine effect)
   - Sodium cromoglycate.
   - Nedocromil.

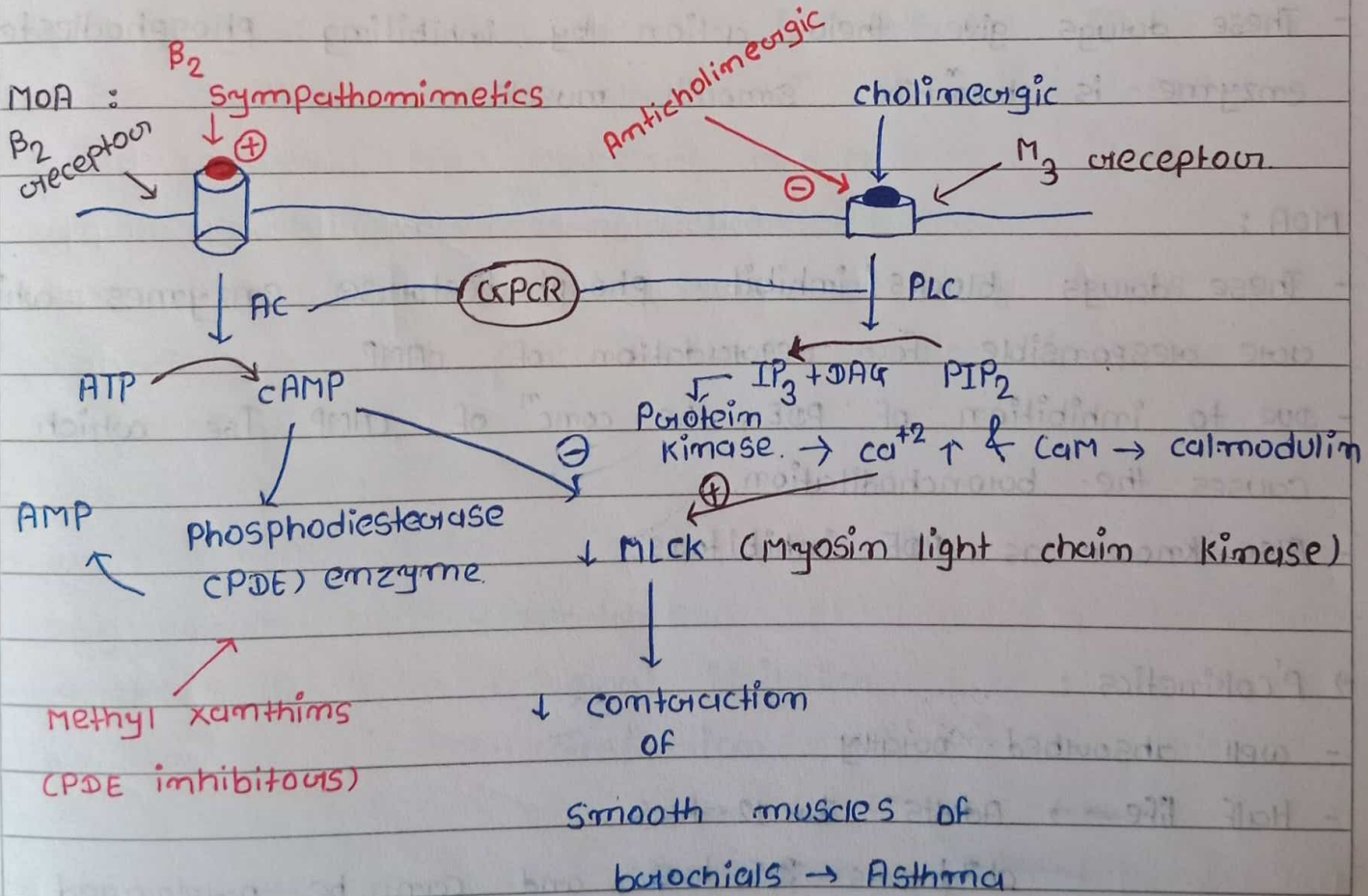
5. Anti-IgE antibody (IgE receptor Antagonist)
   - omalizumab.

1. Bronchodilators :

These are those drugs which basically open the airways of lungs to make breathing easier.

i β_2 Sympathomimetics :

- These drugs give their action by stimulating the Sympathomimetic system through β_2 receptor.



NAVNEET

Teacher's Signature

- These drugs bind with β_2 - receptor and activate Adenylate cyclase (AC) pathway of GPCR.
- which increase the cAMP, which further decrease the concentration of MLCK which are responsible for contraction.
- Due to this, Bronchial muscle relaxed.

→ ADR :

- Trembling, Particularly in the hands.
- Headaches
- Palpitations
- Dry mouth
- Diarrhoea.
- cough
- Muscle cramps.
- Nausea & vomiting

ii. Methyl xanthines :

- These are mostly used to treat asthma.
- These drugs give their action by inhibiting phosphodiesterase enzyme is bronchial smooth muscle.

MOA :

- These drugs blocks / inhibit phosphodiesterase enzymes which are responsible for degradation of cAMP.
- Due to inhibition of PDE, the conc^{n} of cAMP ↑se which causes the bronchodilation.
- Also known as PDE inhibitors.

→ P'cokinetics :

- well absorbed orally.
- Half life → Adults 7 - 12 hrs
  children 3-5 hrs. and can be prolonged.

Expt. No. / Name :

Date / /

Page No.

→ ADR :

- Headache
- Nervousness
- Nausea
- GIT irritant.
- children are more liable to develop CNS toxicity.

iii. Anti cholinergics :

- These drugs give their bronchodilation effects by inhibiting the M_3 receptor.

MOA :

- when cholinergic neurotransmitter (drugs) bind with M_3 receptor it cause activation of PLC (Phospholipase C) because M_3 is a GPCR.
- Now, Due to activation of PLC, it activates IP_3 + DAG which further increase the conc^{n} of Ca^{+2} & cAMP, which increase the activation of MLCK.
- which cause bronchoconstriction.
- Now, when Anticholinergic introduces they blocks the M_3 receptor which stop further reaction & cause bronchodilation.

→ ADR :

- Dry mouth
- Headches
- Cough
- Throat irritation.
- Neausea
- constipation.

→ Use : mostly used for COPD.

Teacher's Signature

2. Corticosteroids :

- These are not a bronchodilators, They give their action by producing anti-inflammatory action.

MOA :

- corticosteroids inhibit the release of Arachidonic acid through phospholipase A_2 inhibiton thereby producing direct anti-inflammatory properties in the airways.

3. Leukotriene Receptor Antagonists :

- These drugs give their action by inhibiting the leukotrientes receptors.

MOA :

Arachidonic acid

↓

Leukotrients

↓

(cyst LT_1) cysteinyl Leukotrienes. —Bind on→ cyst LT_2 receptor

Drug →

↓

↓se Bronchoconstriction

Airway mucus secretion

↓

Asthma.

- These drugs completely antagomizes cyst LT_2 receptor which are responsible for broncho constriction → Asthma.
- So, these drugs causes bronchodilation.

ADR : Very few

Headache, Abdominal Pain, rashes.

Expt. No. / Name :

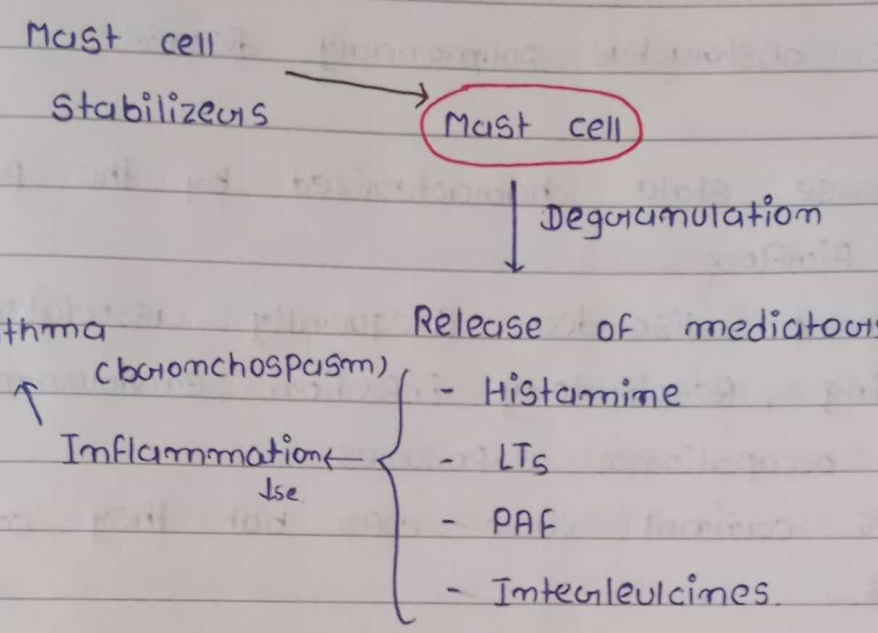
Date / /

Page No.

4. Mast cell Stabilizers :

- These are anti-inflammatory agents which gives their action by inhibiting the degranulation of mast cells.

MOA :



- These are anti-inflammatory agents which gives their action by inhibiting the degranulation of mast cells.
- which further stop the release of mediators & ↓↓se the risk of asthma.

ADR :

- cough
- Nausea
- Irritation
- Dizziness

5. Anti-IgE antibody.

MOA :

- These drug bind with Free IgE in circulation which further cannot bind with mast cell.

NAVNEET

Teacher's Signature

- Due to this, mast cells does not activate & not release any other inflammatory mediators.
- Resulting cause Bronchodilations.

* COPD :
COPD - chronic obstructive pulmonary disease.

- COPD is a disease state characterized by the progressive obstruction of Airflow.
- COPD is a common disorder frequently associated with cigarette, smoking, Respiratory infection, environmental pollution and occupational exposures.
- COPD medicines cannot cure COPD but they can improve the symptoms.

COPD includes

A. chronic Bronchitis
↓
- chronic smoking inhaling dust or irritants.
↳ chronic inflammation of bronchioles.
↓
& ↑ mucus production with coughing

B. Emphysema
↓
↓se α_1 - Antitrypsin
or
& ↑se Protease.
↓
↑se. Elastase Activity.
↓
Damage to Alveoli.

Expt. No. / Name :

Date / /

Page No.

Risk factors of COPD.

- History of COPD
- Age
- Family history of COPD
- Repeated exposure to lung irritants.
- childhood history of respiratory infections.

Asthma	COPD.
- occurs at any age, common in childhood.	- usually >40 years age.
- Asthma is caused due to an inflammatory reaction.	- COPD is caused by damage due to smoking.
- Allergic reactions of asthma can be reversible.	- COPD is a progressive Disease.
- Initial treatments of asthma include corticosteroids.	- Initial treatments of COPD include bronchodilators
- No/ less sputum production.	- often sputum production seen.
- IgE increases.	- IgE decrease
- cells involved → CD_4^+ cells Macrophages Eosinophild.	- cells involved → Neutrophils CD_8^+ cells Macrophages.

NAVNEET

Teacher's Signature

* Expectorants and Antitussive

- These are those drug which are used in treatment of cough.

Antitussives : These are those drugs which suppress the coughing, used to treat dry cough.

- Also known as cough center suppressants.

cough : It is protective reflex, it's purpose being explusion of respiratory secretion and foreign particles from the lungs and air passage.

- Basic Two types of cough :

1. Non-productive or Dry cough :
   - Dry cough is Harmful.
   - It's considered as useless.
   - No mucus produce.

causes - • caused by irritation from cigarette, smoke, allergies or asthma.
• Gastric reflux may also cause a chronic dry cough.

2. wet cough or productive cough :
   - Produce some mucus.

causes - • Infection such as cold or flu.
• Asthma and COPD can also cause cough.
• Inflammation, cold etc...

Expt. No. / Name :

Date / /

Page No.

- classification of Anti-tussive :

Anti-tussive

- Pharyngeal demulcents
  - Syrups
  - Lozenges
  - Glycerine
  - Liquorice
- opoids
  - codeine
  - Pholcodeine
  - Ethyl-morphine
- Non-opoids
  - Dextromethorphan
  - chlophedianol
  - Noscapine
- Anti-Histamine
  - Promethazine
  - chlorpheniramine
  - Diphenhydramine

1. Pharyngeal demulcents :

- They soothe the throat and reduce the afferent impulses from the inflamed / irritated pharyngeal mucosa, thus provide symptomatic relif in Dry cough arising from throat

- Lozenges, Syrups, glycerine etc...

↓

act locally from throat and clear passage.

2. opioids anti-tussive :

MOA :

The cough Receptors present in the mucosa of the bronchial tree

↓

Afferent impules passes to the medulla.

↓

NAVNEET

Teacher's Signature

Them automic sequence of events is triggered by medulla.

↓

cough production.

They suppress the cough reflex by acting on the cough center in the medulla.

↓

cough not produce.

- Codeine :
- It is very popular Narcotic Anti-tussive drug.
- Depress the CNS activity.
- Less potent analgesic properties than morphine.
- At higher doses respiratory depression and drowsiness can occur, especially in childs.
- In most Humans 10% of codeine dose is transformed, to

↓

Morphine through demethylation in the liver.

ADR: Vertigo, Mild central Nervous effect.
Sweating, Retention of urine itching.

Expt. No. / Name :

Date / /

Page No.

3. Non - opioid :

MOA : Stimuli like microbes, irritants etc.

↓

irritation in throat

↓

stimulate cough center

↓

coughing

- Non - opioid agent inhibit the cough center

↓

No coughing.

- Dextromethorphan :

It is an effective as codeine, does not depress mucociliary function of the Airway mucosa.

It appears to be the most popular cough suppressant and is often used in combination with other agents such as

↓

Anti - Histamines and bronchodilators in cough mixtures.

ADR : Dizziness, Nausea, Drowsiness, Ataxia.

Uses : used in tiring cough and disturbed cough day and non - productive cough.

NAVNEET

Teacher's Signature

4. Anti - Histamine :

- Many H_1 anti-histamine have been conventionally added to antitussive / expectorant formulations.

- They affords relief in cough due to their sedative and anticholinergic actions, but lack selectivity for cough center.

• Diphenhydramine :

MOA : It is competitive antagonists of H_1 - receptor.

↓

Also act on CNS and cause sedation, drowsiness.

↓

Also have antimuscarinic activity.

Expt. No. / Name :

Date / /

Page No.

→ Expectorants :

- These are those drugs which promotes the secretion of sputum by the air passage and used to treat cough.

The expectorants can provides Relief by ↑se the bronchial secretion.

↓

and reducing the viscocity of the mucus

These drug either ↑se the volume or ↓se the viscocity or both.

↓

The respiratory secretions and facilitate their

↓

Removal by ciliary action and coughing

- classification :

Expectorant

Secretion enhance	Mucolytics
• Pot. citrate	• Bromhexime
• Pot. iodide	• Ambroxol
• Guaiphensin	• Acetylcysteine
• Vasaka	• Carbocisteine
• Ammonium chloride	

NAVNEET

Teacher's Signature

1. Secretion Enhancers :

- By increasing bronchial secretions.
- They work by two methods :

i. Direct stimulants : These drug give their action by increasing the aq. secretion, which dilute the mucus and also increases the respiratory secretion.

- which easily clear out by coughing.

eg., Pot. iodide - irritate the airway mucosa and increases secretions.

sodium & Pot. citrate - Directly increases bronchial secretion by salt action.

ii. Reflux stimulants : These drugs causes irritation of the GI tract.

↓

↑se the respiratory tract secretion.

↓

Thinning of respiratory secretion which can easily clear out by coughing

eg., Guaiphenesia - It is less irritating derivative of guaiacol.

↓

After absorption guaiphensia is secreted through bronchial glands to ↑se airway secretion and

↓

mucosal activity - orally administered

↑

ciliary

- So, All expectorants give their action by increasing the bronchial secretion and also ↓se their viscocity

2. Mucolytics :

- These are those drugs which break the mucus & reduce its activity. viscocity.

- Mostly used in case of COPD and wet cough.

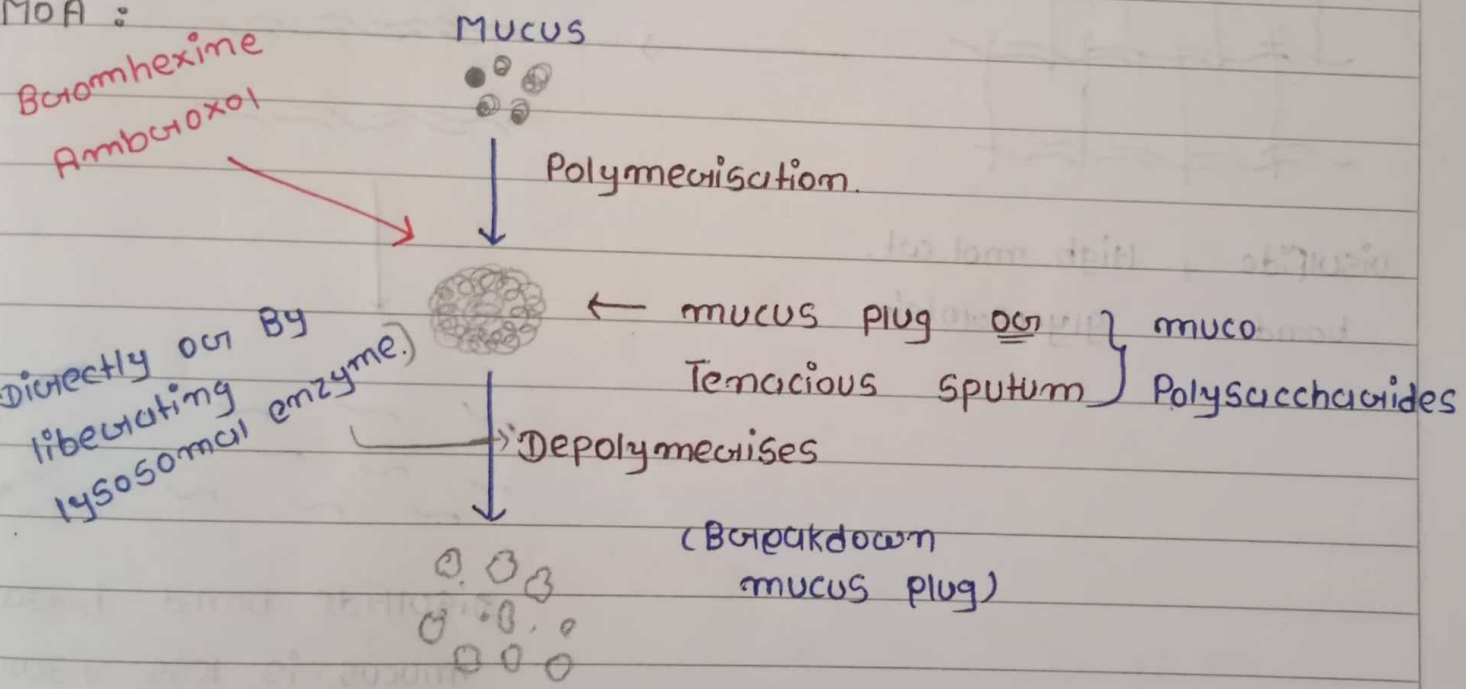
i. Bromhexime :

- It is derivatives of alkaloid vasicine

↓

obtained from vasaka.

MOA :



Less viscous, thin → which easily clear out from air passage.

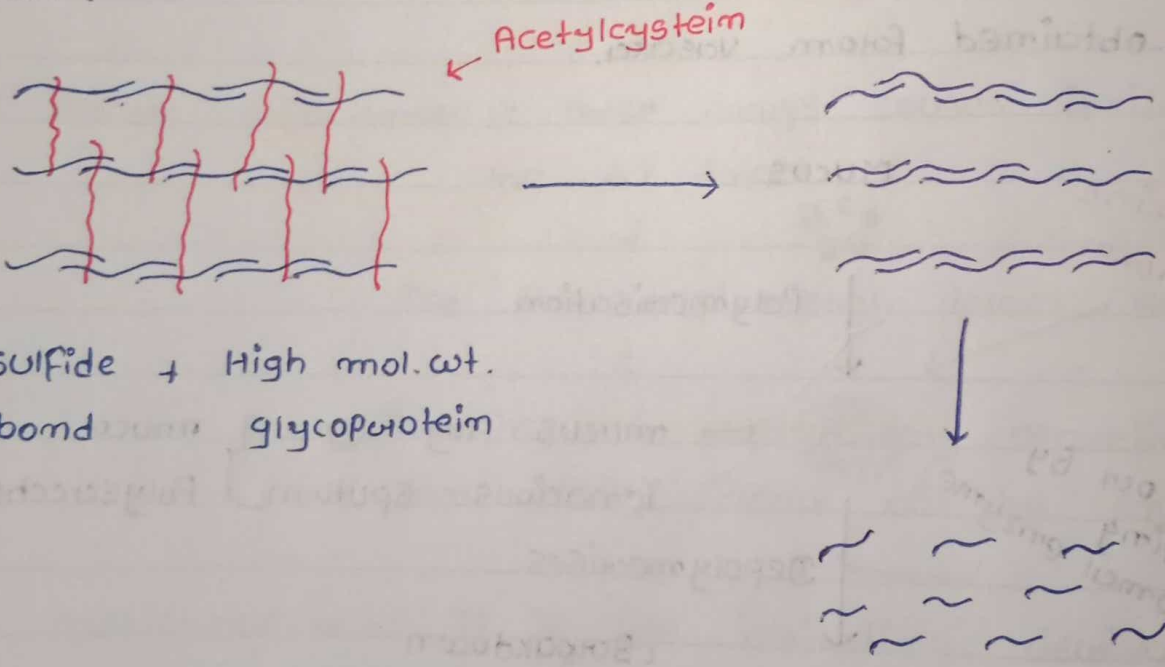
- Mostly useful if mucus plug are present.

ADR : - Nausea
- Gastric irritation
- Hypersensitivity.

ii. Ambroxol. :
MOA : Same as bromhexime.
Both orally administered.

iii. Acetylcysteine : Also known as N-acetyl L-cysteine.
It opens disulfide bonds in mucoproteins present in sputum and make it less viscid.

MOA :



Expt. No. / Name :

Date / /

Page No.

ADR : - Vomiting and Diarrhoea.
- contraindicated in peptic ulcer.

iv. carbocisteine :
- It liquefies viscid sputum in the same way as acetylcysteine.
- Administered orally.

ADR : - gastric discomfort
- Rashes
- contraindicated in peptic ulcer.

Teacher's Signature

Expt. No. / Name :

Date / /

Page No.

* Nasal Decongestants :

- Nasal Decongestants are agents that constrict dilated blood vessels in the nasal mucosa by stimulating Alpha - adrenergic nerve receptors by vascular smooth muscle.

- Nasal decongestants are administered either topically, by inhalation or orally.

- Topically used decongestants are effective rapidly.

- A common problem in the use of these agent is "Rebound Nasal Congestion".

→ Main Drugs : α_1 receptor agonists.

1. Orally
eg, Pseudoephedrine
Phenylephrine

2. Topically (nasal spray)
eg., oxymetazoline

- MOA : α_1 - agonists drugs.

Stimuli
↓
Nose
↓
Hypersensitive immune Response
↓

NAVNEET

Teacher's Signature

Allergic reaction to stimuli

↓

Histamine release.

α_1-® Agonist produce vasoconstriction

vasodilation

↓

Inflammation

↓

↑se fluid secretion

↓

Blockage.

↓

Nasal congestion.

→ Orally administered

- Less effective than topical formulation.

It is avoid in → Heart disease
→ Diabetes mellitus
→ Hyperthyroidism
→ Arrhythmia.

They generally have a longer duration of action than the topical agents.

Topically administered

More effective than oral therapy.

Expt. No./ Name :

Date / /
Page No.

- Should not be used for > 3-5 days because of Risk of Rebound congestion.

- common uses : - common cold
  - No Acute or chronic rhinitis
  - Hay fever
  - Sinusitis
  - other allergies

- Side effects : → Adrenergics effects
  - Insomnia
  - Tremors
  - Nervousness
  - Palpitations

  → Steroid effects
  - Local mucosal dryness
  - Irritation.