PHARMACOLOGY-III

UNIT 1 NOTES

RESPIRATORY DRUGS

- ANTIASTHAMATIC AGENTS
- DRUGS USED IN COPD
- EXPECTORANTS & ANTITUSSIVE
- NASAL DECONGESTANTS

DRUGS USED IN GIT

- ANTIUNLCER AGENTS
- CONSTIPATION & DIAOORRHEA
- APPETITE STIMULANTS
- DIGESTANTS & CARMINATIVES
- EMETICS & ANTIEMETICS

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① Define Antiasthmatic agents and Write in detail about classifications.

Anti Asthmatic Agents - Antiasthmatic drugs are medications used to prevent or treat asthma by reducing inflammation and relaxing airway muscles.

Asthma

- Asthma is a chronic, inflammatory & reversible airway disease in which a person's airway become inflamed, narrow & swell and produce extra mucus, which makes it difficult to breath.
- Asthma is a long term condition that requires ongoing management.
- It affects the respiratory system, particularly the airways in the lungs.

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ETIOLOGY

- Smoking
- Cold / Dry air
- Air pollutants
- Food Chemicals
- Certain Medications
- Family history
- Obesity
- Lung Infections

Types of Asthma

Asthma can be categorized into 4 major Parts :

① Extrinsic Asthma
② Intrinsic Asthma
③ Drug Induced Asthma
④ Occupational Asthma

Extrinsic Asthma

- It is also known as Atopic / Allergic Asthma.
- It is most common type of Asthma & occurs due to allergens.
- It usually begins in childhood or in early adult life.

Intrinsic Asthma

- It is also known as Non - Atopic Asthma / Non - Allergic Asthma.
- It generally occurs due to any type of lung infection.
- It mostly developed in adult life.

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Drug Induced Asthma

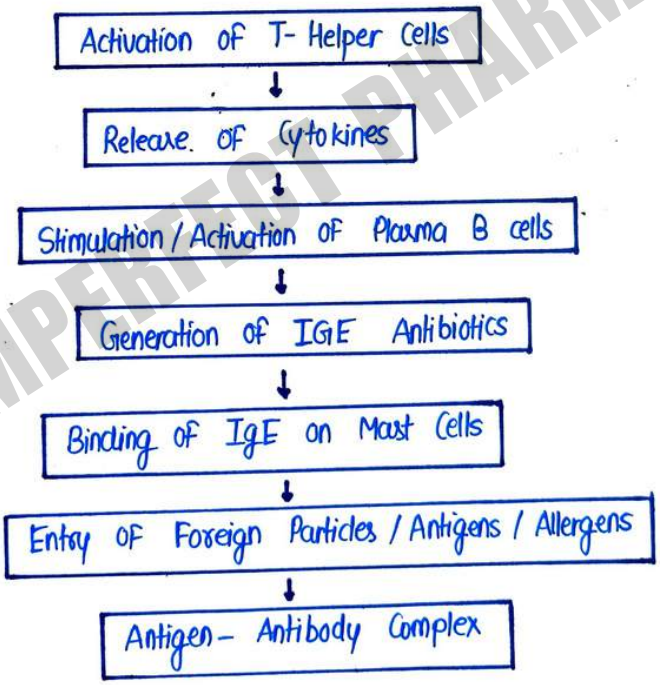
- It is a type of Asthma triggered by use of certain medications.
- These medications include Aspirin, NSAIDs, Beta-blockers etc.

Occupational Asthma

- Occupational Asthma mainly used to exposure to specific substances in workplace.
- These substances can include chemicals, dust, gases etc.

PATHOGENESIS

The pathogenesis of Asthma or we can say Asthmatic Attack can be explained as follows:



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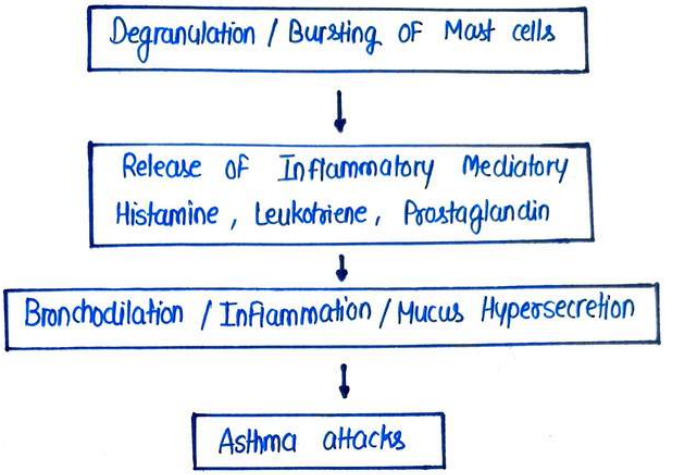

SYMPTOMS

- Coughing
- Chest Tightness
- Wheezing
- Fatigue
- Shortness of breathe
- Anxiety / Discomfort while Breathing

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CLASSIFICATION OF ANTIASTHMATIC DRUGS

① Bronchodilators

(a) β_2 Sympathomimetics –

- Salbutamol
- Terbutaline
- Bambuterol
- Salmeterol
- Formoterol

(b) Methylxanthines –

- Theophylline
- Aminophylline
- Choline theophyllinate
- Hydroxyethyl theophylline
- Doxophylline

(c) Anticholinergics –

- Ipratropium Bromide
- Tiotropium Bromide

② Leukotriene Antagonists

- Montelukast
- Zafirlukast

③ Mast Cell Stabilizers

- Sodium cromoglycate
- ketotifen

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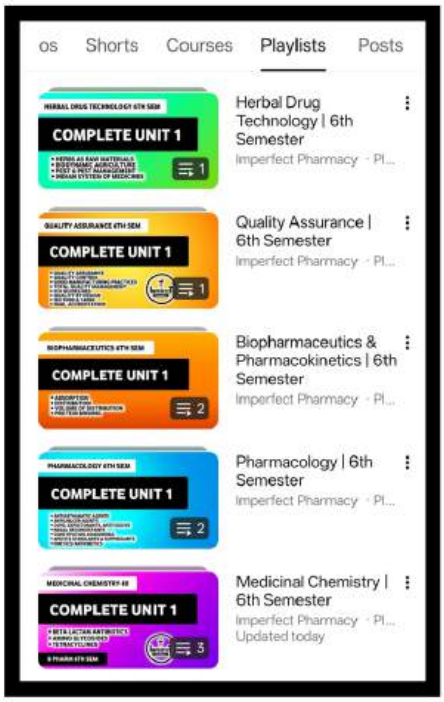

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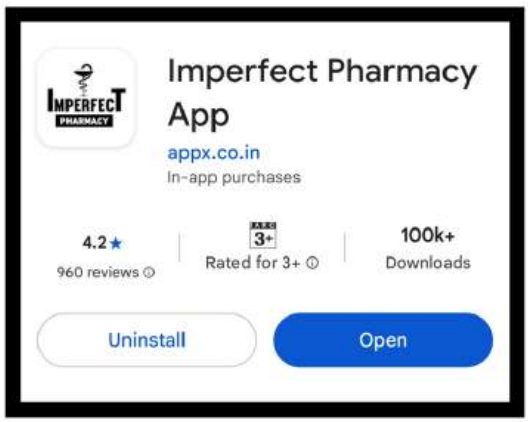

④ Corticosteroids

(a) Systemic

- Hydrocortisone
- Prednisolone
- other glucocorticoids

(b) Inhalational

- Beclomethasone dipropionate
- Budesonide
- Fluticasone Propionate
- Flunisolide
- Ciclesonide

⑤ Anti - IgE antibody

- Omalizumamb

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BRONCHODILATORS

- Bronchodilators are medications that relax and open airways in the lungs.
- They are used to treat conditions like asthma, COPD and other breathing problems.
- They work by targetting muscles around the airways, making it easier to breathe.
- Examples - Salbutamol, Salmeterol, Formoterol, Terbutaline etc.

Mechanism of Action

① β_2 Sympathomimetics

- They activate beta-2 adrenergic receptors on airway smooth muscles.
- Activation of these receptors stimulates adenylate cyclase, raising CAMP levels in smooth muscles cells.
- Lower calcium levels relax the airway smooth muscles.
- Smooth muscle relaxation widens the airways, improving airflow and breathing.

Pharmakokinetics

Absorption - β_2 sympathomimetics are absorbed via inhalation, oral or injection routes.

Distribution - They are widely distributed throughout the body, including the lungs, but with limited distribution to the brain due to their large molecular size.

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Metabolism - They are metabolized primarily in the liver through conjugation or oxidation.

Excretion - Metabolites are excreted mainly in the urine.

Therapeutic Uses

- Asthma
- COPD
- Bronchospasm
- Preterm labar (Tocolysis)
- Exercise - induced bronchoconstriction

Adverse Effects

- Tremors
- Tachycardia
- Headache
- Hypertension
- Hyperglycemia etc.

② Methylxanthines

Mechanism of Action

- They inhibits phosphodistearase enzymes, increasing cyclic AMP (cAMP) levels.
- Elevated CAMP levels relaxes airway smooth muscles, leading to bronchodilation.

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- They block adenosine receptors, which prevents bronchoconstriction.
- They enhance diaphragm contraction, improving breathing efficiency.
- Methylxanthines may reduce inflammation in the airways, contributing to symptom relief.

Pharmacokinetics

Absorption - Methyxanthines are well absorbed orally. And also from GI tracts in 20-30 minutes.

Distribution - Widely distributed, including the CNS, moderate protein binding.

Metabolism - All methylxanthines are metabolized in liver generally via CYP1A2.

Excretion - Excreted mainly in urine, mostly as metabolites.

Therapeutic Uses

- Asthma
- COPD
- Bronchospasm
- Apnea (in Premature infants)

Adverse Effects

- Insomnia
- Nausea
- Headache
- Arrhythmia
- Hypotension etc.

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LEUKOTRIENE ANTAGONISTS

- Leukotrienes antagonists are medications that block the action of leukotrienes, inflammatory chemicals in the body, to reduce airway inflammation and constriction.
- They are primarily used to manage asthma and allergic rhinitis.

Machanism of action

- They bind to and block leaukotriense receptors (CYSLT1).
- This prevents leukotrienes from beginning inflammatory disease responses in the airways.
- This reduces bronchoconstriction and eases breathing.
- Relief in asthma symptoms and other allergic reactions.

Pharmacokinetics

- **Absorption-** All the drugs are absorbed orally.
- **Distribution-** Widely distributed in body tissues, with high concentrations in lungs and liver.
- **Metabolism-** The drugs are metabolized extensively by the liver.
- **Excretion-** Excreted mainly in feces (as metabolites) and in urine in small amounts.

Examples

- Montelukast
- Zafirlukast etc.

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Therapeutic uses

- Asthma
- Allergic rhinitis
- Chronic rhinosinusitis etc.

Adverse Effects

- Nausea
- Dizziness
- Fatigue
- Abdominal pain
- Rash
- Headache

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③ ANTICHOLINERGICS

- Anticholinergics are drugs that block the action of acetylcholine, a neurotransmitter involved in various bodily functions.
- They treat conditions like asthma, motion sickness and overactive bladder.

MOA

- Anticholinergics block muscarinic receptors in lungs.
- This reduces bronchoconstriction by inhibiting acetylcholine's action.
- Leads to relaxed airways and improved airway flow in asthma.

Pharmacokinetics

- **Absorption-** Anticholinergics are typically inhaled, allowing effect direct delivery to lungs.
- **Distribution-** They mainly act locally in the lungs with minimal systemic absorption.
- **Metabolism-** Metabolized in the liver, through most effects are local.
- **Excretion-** Primarily excreted in urine.

Examples

- Ipratropium Bromide
- Tiotropium Bromide etc.

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Therapeutic Uses

- COPD
- Asthma
- Motion Sickness
- Parkinson's disease etc.

Adverse Effects

- Dry mouth
- Blurred vision
- Constipation
- Tachycardia
- Urinary retention

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MAST CELL STABILIZERS

- Mast cell stabilizers are medications that prevent the release of histamine and other inflammatory substances from mast cells, helping to reduce allergic reactions and inflammation.
- They are often used in the treatment of asthma and allergic rhinitis.

Machanism of action

- They prevents degranulation of mast cells.
- They inhibit the release of histamine and other inflammatory mediators.
- This reduces airway inflammation and bronchoconstriction in asthma.
- Help prevent asthma attacks when used regularly.

Pharmacokinetics

- **Absorption-** Poorly absorbed systemically, primarily acts locally in the lungs when inhaled.
- **Distribution-** Mainly targets the lungs with very little absorption into the bloodstream.
- **Metabolism-** Not extensively metabolized in the body.
- **Excretion-** Excreted mainly in the urine.

Examples

- Sodium cromoglycate
- Ketotifen

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Therapeutic uses

- Asthma
- Allergic rhinitis
- Conjuctivitis

Adverse Effects

- Cough
- Irritation
- Throat dryness
- Headache
- Nausea

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CORTICOSTEROIDS

- Corticosteroids are class of anti-inflammatory drugs that mimic the effects of hormones produced by the adrenal glands, used to treat conditions like inflammation, allergies and immune-auto disorders.

Mechanism of action

- Corticosteroids bind to glucocorticoid receptors in lung cells.
- They suppress inflammation by inhibiting the release of inflammatory mediators (e.g. cytokines).
- Reduce airway swelling, mucus production and bronchoconstriction.
- Enhance the effectiveness of bronchodilators in managing asthma.

Pharmacokinetics

- **Absorption-** Well absorbed when inhaled, orally with higher bioavailibility in inhaled form.
- **Distribution-** Widely distributed in the body, including the lungs.
- **Metabolism-** Metabolized in liver, primarily via the CYP450 enzymes.
- **Excretion-** Excreted mainly through urine, as inactive metabolites.

Examples

- **Systemic-** Hydrocortisone, Prednisolone and others.
- **Inhalational-** Beclomethasone, Budesonide, Fluticasone etc.

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Therapeutic Uses

- Asthma
- Arthritis
- Allergies
- Inflammation
- Autoimmunity

Adverse effects

- Weight gain
- Osteoprosis
- Hypertension
- Hyperglycemia
- Cushing's syndrome

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ANTI - IGE ANTIBODY

- Anti - IgE antibodies are medications that bind to and neutralize (IgE) Immunoglobulin E, a key antibody involved in allergic reactions, preventing it from bringing - trigerring the release of histamine and other inflammatory substances.

Machanism of action

- Anti IgE antibodies binds to free IgE in the bloodstream.
- Prevent or Neutralizes IgE from binding to mast cells and basophills.
- Inhibit the release of inflammatory mediators.(e.g. histamine)
- Reduce allergic inflammation and bronchoconstriction is asthma.

Pharmacokinetics

- **Absorption-** Administered via subcutaneous injection.
- **Distribution-** Widely distributed in the bloodstream, targeting IgE.
- **Metabolism-** Broken down by proteolytic enzymes, primarily in liver.
- **Excretion-** Excreted via urine, as inactive metabolites.

Examples

- Omalizumamb

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Therapeutic uses

- Asthma
- Allergies
- Rhinosinusitis

Adverse effects

- Anaphylaxis
- Headache
- Injection site reaction
- Fatigue
- Urticaria

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Drugs Used In The Management Of COPD

COPD

- COPD stands for "Chronic Obstructive Pulmonary Disease".
- It refers a group of lung disease that causes obstruction in the airflow from the lungs, and inflammation in the air sacs (Alveoli).
- It is progressive and irreversible disease.
- COPD have two main factors include:
- Emphysema
- Chronic Bronchitis

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① Emphysema

- Emphysema is a long-term progressive lung disease where the air sacs (alveoli) in the lungs become damaged, and leading to difficulty in breathing.
- This damage causes the air sacs to lose their elasticity making it harder for them to expand & contract property.

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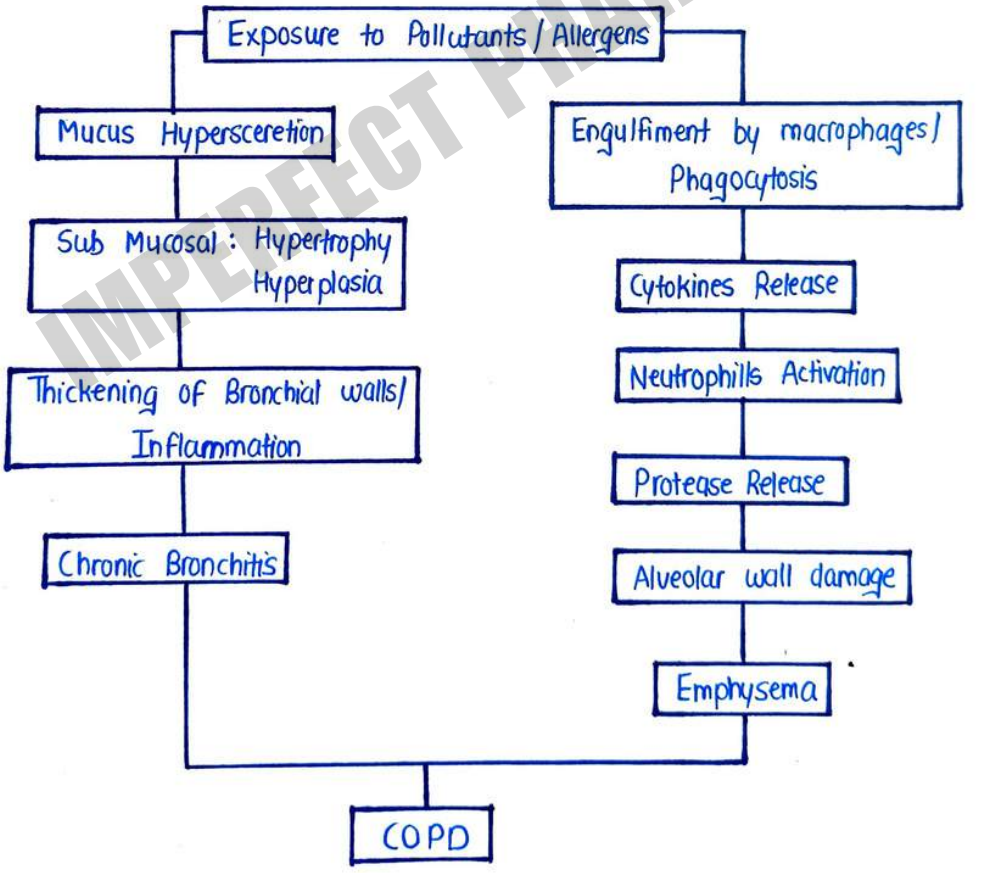
② Chronic Bronchitis

- It is defined as long term inflammation of bronchial tubes.
- It is a condition clinically defined as persistent cough with sputum on most days for at least 3 months.
- Cough is mainly caused by oversecretion of mucus.
- People with bronchitis breath less air & oxygen into the lungs.
- Smoking is most common factor of chronic bronchitis.

PATHOPHYSIOLOGY



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- Causes:
- Smoking
- Air Pollution
- Occupational dust
- Genetics
- Respiratory infections etc.

- Symptoms:
- Chronic coughing
- Wheezing
- Chest tightness
- Shortness of breathing
- Fatigue etc.

TREATMENTS

① Self Care:

- Quit Smoking
- Exercise regluarly
- Avoid dust, cold air, pollutants
- Stay hydrated
- Eat healthy etc.

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② Oxygen Therapy

- Oxygen therapy for COPD gives you extra oxygen to you to breathe easier.
- It's usually give through a mask or small tubes in your nose.
- This extra oxygen helps your body get the oxygen it needs when your lungs are not working well.
- It can help you feel less tired, breathe easier and avoid other problems.

③ Medication Treatments

a) Bronchodilators

- Brochodilators are the medications that relax and open the airways to help breathing easier.
- These drugs relax the smooth muscles of the airway allowing for improved airflow.

Types

- β_2 Agonist - Salbutamol, Terbutaline
- Anticholinergics - Ipratropium, Tiotropium
- Methylxanthines - Theophylline, Aminophylline, Doxphylline

> Note : Other properties are mentioned in Antiasthmatic Drugs.

- There are inhaled medications also used here such as antimyscuranics
- which are used superiorly to β_2 agonists in COPD.
- They are used used either alone or combined.
- Example: - Salbutamol + Ipratropium
  - Salmeterol + Tiotropium (Long Acting - less dose frequency)

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b) Anti - Inflammatory Agents

- Anti - Inflammatory medications in COPD reduce swelling and irritation in the airways to help improve breathing.

Types

Corticosteroids — In COPD, they are used as like pills and inhalers.
Pills work faster, and help during flare-ups.
Examples: Hydrocortisone, Prednisolone, Fluticasone, Budesonide etc.

- **Pharmacokinetics:**
- **Absorption -** Corticosteroids are absorbed through the lungs, digestive systems or skin, depending on the form.
- **Distribution -** The circulate in the bloodstream and reach target tissues, including the lungs.
- **Metabolism -** They are broken down mainly in the liver.
- **Excretion -** Metabolites are excreted mainly through urine.

- **Mechanism of Action:**

They block substances that causing inflammation in airways.

↓

Decrease activity of immune cells that increase inflammation

↓

Reduce mucus production

↓

Improve airflow

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- Therapeutic Uses
- Inflammation
- Asthma
- COPD
- Allergy
- Autoimmune diseases etc.

- Adverse Effects
- Osteoprosis
- Hypertension
- Diabetes
- Glaucoma
- Weight gain etc.

Leukotriene Modifier

- Leukotrienes modifier are medications that blocks chemicals called leukotriens, which cause inflammation and narrowing of the airways.
- They are used to prevent mild to moderate asthma and can be an alternative to inhaled steroids.
- Examples: Montelukast , Zafirlukast and Zileuton

- Mechanism of Action

- They blocks leukotriens receptors ⟶ Decrease swelling is airways ⟶ Relax airways ⟶ Decrease mucus production ⟶ Improve airflow and easy to breathing.

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- **Pharmacokinetics:**
  - **Absorption-** They are absorbed through digestive systems.
  - **Distribution-** They are carried in the bloodstream to target organs in lungs.
  - **Metabolism-** Mostly metabolized in liver.
  - **Excretion-** Excreted mainly through urine and feces.

- **Therapeutic Uses:**
- Asthma
- COPD
- Allergies
- Exercise-induced bronchoconstriction
- Rhinitis etc.

- **Adverse Effects:**
- Headache
- Nausea
- Fatigue
- Diarrhea
- Liver issues
- Rash etc.

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PDE4 (Phosphodistereases) Inhibitors

- They are medications that act by blocking the enzymes called PDE4 and reduce inflammation in the lungs, and help to relax the airways, making it easier to breathe, especially in conditions like COPD.

- **Mechanism of Action:**

- Blocks PDE4 enzymes, which cause inflammation in lungs ⟶ Decrease swelling and irritation in airways. ⟶ Thus open airways and reduce mucus production ⟶ Easy to breathe.

- **Pharmacokinetics:**
- **Absorption-** They are absorbed through the digestive system.
- **Distribution-** They are distributed in the bloodstream to the lungs and other tissues.
- **Metabolism -** Primarily metabolized in the liver.
- **Excretion -** Excreted mainly through urine and feces.

- **Therapeutic Uses:**
- COPD
- Chronic Bronchitis
- Emphysema etc.

- **Adverse Effects:**
- Nausea
- Diarrhea
- Headache
- Weight Loss
- Insomnia etc.

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EXPETORANTS AND ANTITUSSIVES

INTRODUCTION

- They are the drugs that used in the treatment of cough.

COUGH

- Cough is protective response/ reflux that clears the airways of irritants, mucus or microbes through a forceful expulsion of air.
- It can be triggered by infections, allergies, smoke or other irritants and can be acute or chronic (lasting over 8 weeks).

Types of cough:

@ On the basis of function -

i) Dry cough -
- A dry cough is non-productive cough that doesn't produce mucus.
- It is often caused by irritation or inflammation in the throat or airways.

Causes- Smoking, Irritants, Pneumonia, Asthma, Environmental Factors, Viral Infections etc.

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ii) **Wet Cough-** A wet cough is a productive cough that brings up mucus from the respiratory tract during coughing.

Causes- Cystic Fibrosis, GERD, Sinusitis, TB etc.

(b) On the basis of duration-

i) **Acute Cough-** Short-term coughing lasting less than 3 weeks, often caused by a respiratory infections such as common cold and flu.

ii) **Chronic Cough-** It is persistent cough lasting more than eight weeks, often caused by conditions like asthma, GERD or chronic respiratory functions.

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- **Causes :**
- Infections
- Allergies
- Smoking
- Irritants
- COPD
- Pneumonia etc.

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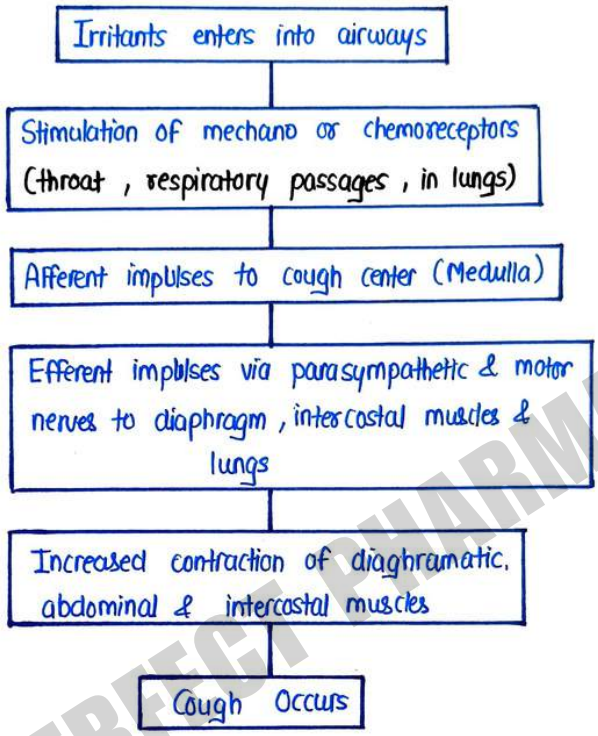
Machanism of cough :



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- **Symptoms :**
- Throat Irritation
- Wheezing
- Sputum
- Shortness of breathe
- Chest pain
- Fatigue etc.

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TREATMENTS

DRUGS FOR COUGH

① **Pharyngeal Demulcents -** Lozengs, Syrups, Glycerine, Liquorice.

② **Expectorants -** i) **Secretion Enhancers :** Potassium Citrate
Gauphenesin
Tolu Balsam
Vasaka
Ammonium Chloride

ii) **Mucolytis :** Bromhexine, Ambroxol, Acetylcystiene, Carbocytiene, Acebrophylline

③ **Antitussives -** i) **Opoids :** Codiene, Pholcodiene, Ethylmorphine

ii) **Non - Opioids :** Noscapine, Dextrometorphan, Chlophedianol

iii) **Antihistaminics :** Chlorpheniramine, Promethazine, Diphenhydramine

④ **Bronchodilators -** Salbutamol, Terbutaline

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① PHARYNGEAL DEMULCENTS

- Pharyngeal demulcents are substances that soothe and coat the the throat.
- They help relieve irritation and provide comfort for a sore or dry throat.
- These are commonly found in lozengs or syrups.
- They increase the flow of saliva with which produces a soothing effect on the pharyngeal mucosa & reduces afferent impulses arising from the irritated mucosa.

- Example :
  - Lozengs
  - Syrups
  - Glycerine
  - Liquorine etc.

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② EXPECTORANTS

- Expectorants are the medications that help clear mucus from the airways.
- They also known as mucokinetics.
- They work by thinning and loosening the mucus, making it easier to out by coughing. or out sputum by coughing.
- They helps relieve symptoms of congestion in conditions like colds and bronchitis.

- Example : Guaphenesin, Acetycystiene, Bromhexine, Ambroxol etc.
- There are two types of expectorants :

i) Secretion enhancers

ii) Mucolytics

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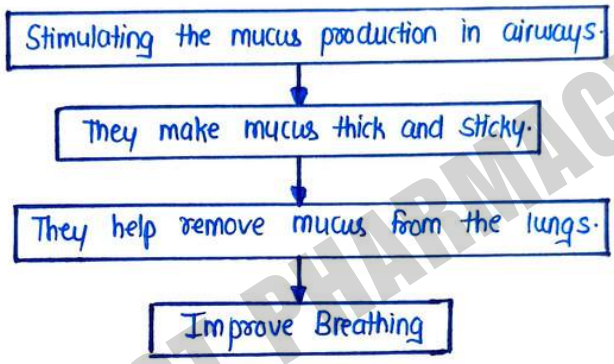
i) **Secretion Enhancer :**

- They are type of expectorants that increases the production of serous mucus making it easier to expel.
- They work by stimulating serous gland secretions, increasing mucus production.

- **Mechanism of Action :**



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- **Pharmacokinetics :**
- **Absorption :** Oral Administration, they are absorbed through GIT into bloodstream.
- **Distribution :** From bloodstream, they are distributed to the respiratory tract, where the exert their effect on mucus production.
- **Metabolism :** Usually metabolized in liver.
- **Excretion :** They primarily excreted through the kidneys in the urine.

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- **Therapeutic Uses :**
- Cough
- Bronchitis
- Asthma
- COPD
- Common cold
- Sinusitis etc.

- **Adverse Effects :**
- Nausea
- Vomitting
- Dizziness
- Headache
- Rash
- GIT Discomfort etc.

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ii) **Mucolytics :**

- They are the type of expectorants that break down mucus, making it easier to expel by thinning it.
- They work by breaking disulfide bond between mucus, reducing mucus viscosity, facilitating mucus expulsion.

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- **Machanism of Action:**

- They break down mucus chemically by breaking disulfide bond b/w mucus ⟶ making it thinner and less thicky ⟶ Helps to loosen and clear mucus from airways easily ⟶ making it easier to coughing and expel mucus.

- **Pharmacokinetics:**
- **Absorption -** Absorbed through the GIT after oral administration.
- **Distribution -** Distributed to lungs and respiratory tract for mucus breakdown.
- **Metabolism -** Metabolized in liver, with specific pathway depending on the drug.
- **Excretion -** Primarily excreted through the kidneys in urine.

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- **Therapeutic Uses:**
- Bronchitis
- COPD
- Asthma
- Cystic Fibrosis
- Pneumonia etc.

- **Adverse Effects:**
- Nausea
- Vommiting
- Diarrohea
- Rash
- Bronchospasm
- Mouth Irritation etc.

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③ Anti Tussives

- They are medications that help stop you from coughing.
- They work by calming your throat or reducing the need of cough.
- They are used to treat mainly dry or irritating cough.
- They have two types :
- Opioid
- Non - opioid

① Opioid Antitussives :

- They are type of cough suppressant that contain opioid drugs, such as codine.
- They work by affecting the brain's cough center (Medulla) to reduce the urge of cough.

- Machanism of Action :
- They bind with opioid receptors in brain cough center ⟶ This reduces the sensitivity of the brain to cough signals ⟶ Suppressing the cough reflex ⟶ Decreasing the need to cough ⟶ Relieve from cough.

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- **Pharmacokinetics :**
- **Absorption -** Rapidly absorbed from the GIT after oral administration.
- **Distribution -** Widely distributed throughout the body, including the brain and lungs.
- **Metabolism -** Primarily metabolized in liver, with active metabolites in some cases (e.g. codiene is metabolized to morphine.)
- **Excretion -** Excreted mainly in urine, as metabolites or unchanged drug.

- **Therapeutic Uses :**
- Cough
- Bronchitis
- Pneumonia
- Post - Infectious cough
- COPD etc.

- **Adverse Effects :**
- Drowsiness
- Constipation
- Nausea
- Respiratory depression
- Dependence etc.

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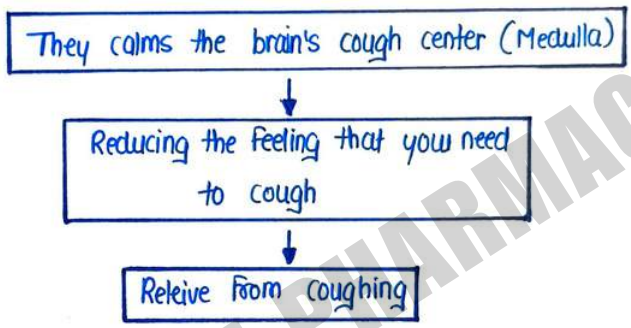
ii) Non Opioid Antitussives

- They are cough suppressants that do not contain opioids.
- They work by targetting the brains cough center or soothing the throat, helping to reduce the urge to cough, without the risk of dependance.

- **Machanism of Action :**



- **Pharmacokinetics :**
- **Absorption -** Quick absorbed from GIT after oral administration.
- **Distribution -** Distributed into bloodstream and reaches the brain at cough center
- **Metabolism -** Metabolized in the liver, with some drugs having active metabolites.
- **Excretion -** Excreted mainly through urine.

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- **Therapeutic Effects :**
- Cough
- Bronchitis
- Common Cold
- Post - Nasal drip
- Allergies etc.

- **Adverse Effects :**
- Dizziness
- Nausea
- Drowsiness
- Rash
- Hallucinations (Intense Negative Emotions) etc.

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④ ANTIHISTAMINES

- Antihistamines are medications that block histamines, a substance released during allergic reactions.
- In the case of cough, they help reduce inflammation and mucus production in the airways, reducing symptoms caused by allergies or colds.
- They have a mild sedation effect, which may be help reduce coughing at night.
- They prescribe with addition of expectorant / antitussives formulations.

- Example : Chlorpheniramine, Diphenhydramine, Promethazine etc.

⑤ BRONCHODILATORS

- Bronchodilators are medications that relax the airways muscles, dilation (widening) the bronchi and bronchules, relieving cough associated with bronchospasm.

OR

- They work by relaxing smooth muscles, Increasing airway diameter and reducing cough and wheezing
- Example : Salbutamol, Terbutaline etc.

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NASAL DECONGESTANTS

- Nasal Decongestants are medicines that help reduce swelling to the nasal passages, making it easier to flow of air.
- They are used to relieve a blocked or stuffy nose caused by cold allergies or sinus infections.
- They work by narrowing the blood vessels in the nasal passage or tissues, which reduces inflammation and mucus production.

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- **Causes :**
- Cold
- Allergies
- Sinusitis
- Flu
- Hay Fever
- Rhinitis etc.

- **Symptoms :**
- Nasal Decongestion
- Runny Nose
- Sneezing
- Sinus Pressure
- Headache
- Post - Nasal Drip

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NASAL CONGESTION

- Nasal Congestion is the blockage of the nasal passage due to inflammation, swelling or exces mucus, often caused by colds, allergies or infections, sinusitis, flu etc.
- It is obstruction of flow of air Nose.

- **Pathophysiology of Nasal Congestion:**

Various Factors (Allergens, infections or flu etc) entered in nasal passage

↓

Causing Vasodilation in Nasal Mucosa

↓

Inflammatory mediators (e.g. histamine, cytokines) released and leads to swelling and thickening of nasal mucosa

↓

Increase mucus production or more nasal discharge

↓

It leads to narrowing of nasal passage

↓

It distrupts the function of cillia and makes mucus harder

↓

Reduce airflow & blockage of nasal passage

↓

Nasal Congestion

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DRUGS OR TREATMENT

- Adrenaline Releasing agent -
  - Ephedrine
  - Levo - methamphetamine
  - Phenyl propanolamine
  - Pseudo ephedrine
- Alpha- Adrenergic Receptor Agonists -
  - Naphazoline
  - Oxymetazoline
  - Phenylephrine
  - Xylometazoline
- Corticosteroids -
  - Beclomethasone
  - Dipropionate
  - Prednisolone

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① ADRENALINE RELEASING AGENT

- Adrenaline releasing agents are substances that stimulate the release of adrenaline.
- This causes effects like increased heart rate, vasoconstriction and dilated airways, which help the body respond to stress or emergencies.
- They are often used in medications for conditions like Asthma and nasal congestion.

- **Machanism of Action:**
- They stimulate adrenergic receptors that promotes release of adrenaline → Adrenaline binds to α-1 receptors on smooth muscles in nasal passage → it leads to vasoconstriction, reducing flow of blood in nasal passage or nasal mucosa → Decreases inflammation and congestion in nasal passage → Improve airflow → Decongestion of Nose.

- **Pharmacokinetics:**
- **Absorption -** Rapidly absorbed through mucosal membranes or skin.
- **Distribution -** Widely distributed in tissues, especially the cardiovascular system.
- **Metabolism -** Metabolized mainly be enzymes like COMT and MAO in the liver and tissues.
- **Excretion -** Excreted through urine as metabolites.

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- **Therapeutic Uses :**
- Nasal Decongestion
- Bronchodilation
- Anaphylaxis
- Hypotension
- Asthma
- Vasoconstriction etc.

- **Adverse Effects :**
- Hypertension
- Tremors
- Tachycardia
- Insomnia
- Dizziness etc.

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② ALPHA-1 ADRENERGIC RECEPTOR AGONISTS

- Alpha-1 adrenergic receptor agonists are drugs that stimulate α-1 receptors on blood vessels and other tissues.
- When these receptors are activated they cause blood vessels to narrow.
- This effect can reduce swelling, especially in nasal passage.

- **Machanism of Action :**
- They bind with α-1 adrenergic receptor on smooth muscles cells on blood vessels in nasal mucosa ⟶ It leads to vasoconstriction and reduce blood flow ⟶ Reduce the fluid accumulation in nasal passage ⟶ Decrease congestion of nasal passage.

- **Pharmacokinetics :**
- **Absorption -** Rapidly absorbed after nasal or oral administration.
- **Distribution -** Widely distributed in tissues, including nasal mucosa.
- **Metabolism -** Primarily metabolized in liver.
- **Excretion -** Excreted mainly through in urine.

- **Therapeutic Uses :**
- Nasal Decongestion
- Hypotension
- Mydriasis
- Shock
- Hemmorhoids etc.

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- **Adverse Effects :**
- Hypertension
- Tachycardia
- Headache
- Nausea
- Insomnia etc.

③ CORTICOSTEROIDS

NOTE : All the details are mentioned in COPD Treatment.

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Respiratory Stimulants

Introduction

- Respiratory stimulants are the drugs or substances that increase the rate and depth of breathing.
- They are primarily used in conditions where breathing is depressed or insufficient such as during drug overdoses, sleep apnea, or respiratory failure.
- They are also known as Analeptics.

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Role Of Respiratory Stimulants

- They enhance oxygen intake by increasing the depth of breathing.
- They are used in the cases of overdose or sedation to restore normal breathing.
- Help to stimulate breathing in premature infants with breathing problems.
- They help speed up breathing when it's too slow.

Drugs Used In Respiratory Stimulants

- Only some drugs used as respiratory stimulants:
- Doxapram
- Caffiene
- Prethcamide
- Theophylline
- Nalbuphine etc.

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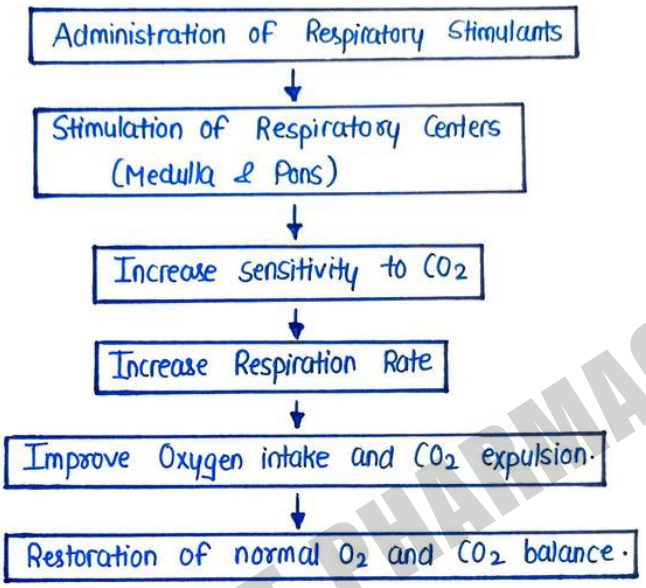
General Mechanism Of Action Of Respiratory Stimulants



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Uses of Respiratory Stimulants

- Respiratory depressions
- Sleep apnea
- COPD
- Post - Surgery
- Neonatal apnea etc.

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Adverse Effects Of Respiratory Stimulants

- Hypertension
- Anxiety
- Tremors
- Nausea
- Dependance / Tolerance
- Vommitting etc.

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② Classify Anti- ulcer drugs. Write the M·O·A., ADR and uses of Proton Pump Inhibitors / Omeprazole.

PEPTIC ULCER

- A peptic ulcer can be defined as a sore or hole that forms in the lining of the stomach or the first part of small intestine (duodenum).
- It mainly occurs when stomach acid damages the lining of these organs.
- Peptic ulcers often results from imbalance of Protective factors and Damaging Factors.

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TYPES OF PEPTIC ULCER

There are mainly two types of peptic ulcer:

(a) Gastric ulcer

(b) Duodenal ulcer

GASTRIC ULCER	DUDENAL ULCER
• It occurs in the stomach.	• It occurs in duodenum.
• Often occurs due to reduced defensive factors	• Often occurs due to enhanced secretion of acid.
• Less common (15-25%)	• More common (70-80%)
• Epigastric Pain 1-2 hour after eating	• Epigastric pain 2-5 hour after eating
• Weight loss	• Weight gain
• Haemmorrhage is common	• Haemmorrhage is less common.
• Equal in both sexes	• More common in males.

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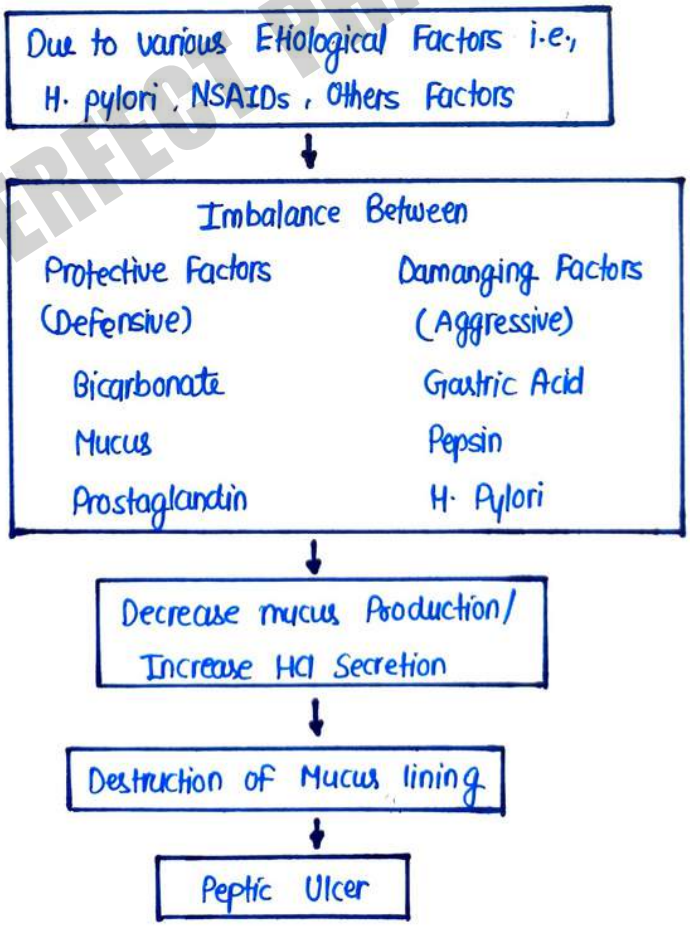
CUASES / ETIOLOGY

- Helicobacter Pylori (H. pylori) Infection
- NSAIDs
- Genetic Factors
- Age
- Stress
- Smoking
- Alcohol
- Spicy Foods

PATHOGENESIS



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SIGN & SYMPTOMS

- Abdominal Pain
- Heartburn
- Nausea & Vomitting
- Unintended Weight loss
- Bloating
- Dark blood in Stools
- Appetite changes
- Indigestion

COMPLICATIONS

- Internal Bleeding
- Obstruction
- Gastric Cancer
- Perforation

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TREATMENT OF PEPTIC ULCER

ANTI ULCER DRUGS

- Anti ulcer drugs are medications used to treat or prevent ulcers by reducing stomach acid, neutralizing it, or protecting the stomach lining.
- Examples include Proton Pump Inhibitors, H_2-receptor antagonists, antacids and mucosal protectants.

CLASSIFICATION OF ANTI ULCER DRUGS

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① Gastric Acid Secretion Inhibitors

ⓐ H_2 histamine-anti

- Cemitidine
- Ranitidine
- Famotidine
- Roxatidine
- Lafutidine

ⓑ Anticholinergics

- Propenthaline
- Pirenzipine

ⓒ Proton Pump Inhibitors

- Omeprazole
- Esmoprazole
- Pantoprazole
- Lansoprazole
- Rabeprazole
- Ilaprazole

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① Prostaglandin analogues

- Misoprostol

② Gastric Acid Neutralizers (Antacids)

ⓐ Systemic

- Sodium bicarbonate
- Sodium citrate

ⓑ Non systemic

- Magnesium Hydroxide
- Magnesium trisillicate
- Alluminium Hydroxide
- Magaldrate
- Calcium Carbonate

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③ Ulcer Protectives

- Suclarfate
- Colloidal Bismuth subcitrate (CBS)

④ Anti H. Pylori Drugs

- Amoxicillin
- Clarythromycin
- Metronidazole
- Tinidazole
- Tetracycline
- CBS

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GASTRIC ACID SECRETION INHIBITORS

- Gastric Acid Secretion Inhibitors are drugs that reduce the production of stomach acid.
- They help treat conditions like ulcers, GERD and gastritis.
- Examples include PPIs and H_2- receptor antagonists.

① H_2 Antihistamines

- H_2 antihistamines or H_2 blocker are medications that block histamine receptors in the stomach reducing acid production.
- They are used to treat conditions like ulcers, GERD, and gastrititi's.
- Examples include ranitidine and Famotidine.

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Machanisam of action

- They bind with H_2 receptors and block them on the parietal cells in stomach.
- By blocking these receptors, the prevent histamine from stimulating acid production.
- This results in reduced gastric acid secretion, helping to heal ulcers, and manage acid- related conditions like GERD.

Pharmacokinetics

Absorption- Rapidly absorbed after oral administration, with peak plasma conditions typically reaches within 1-3 hours.

Distribution- Widely distributed throughout the body, including the gastric mucosa.

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- **Metabolism-** Primarily metabolized in liver by the cytochrome P450 enzyme system.

- **Excretion-** Excreted mainly through the kidneys, with some drugs undergoing renal clearance.

EXAMPLES

- Cimetidine
- Ranitidine
- Famotidine
- Roxatidine
- Lafutidine

THERPEUTIC USES

- Ulcers
- GERD
- Gastritis
- Zollinger- Ellison syndrome
- Heartburn

ADVERSE EFFECTS

- Headache
- Diarrhoea
- Fatigue
- Rash
- Bradycardia
- Constipation

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② ANTI CHOLINERGICS AGENTS

- Anticholinergics are drugs that block the action of acetycholine, a neurotransmitter, on its receptors.
- This reduces nerve activity and can help treat conditions like gastrointestinal issues, motion sickness and overactive bladder.
- Example include atropine and scopolamine.

Machanism of action

- Anticholinergics block acetycholine from binding to muscarinic receptors on parietal cells.
- By blocking these receptors, they reduce the stimulation of acid secretion.
- This leads to a reduction in gastric acid production, helping manage conditions like ulcers.

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PHARMACOKINETICS

Absorption - Rapidly absorbed over oral administration or injection.

Distribution - Widely distributed throughout the body, including CNS.

Metabolism - Primarily metabolized in liver.

Excretion - Excreted mainly via the kidneys, with some drug metabolites.

EXAMPLES

- Propantheline
- Pirenzipine
- Scopolamine etc.

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THERAPEUTIC USES

- Ulcers
- Motion sickness
- Overactive Bladder
- Bradycardia
- Parkinson's disease

ADVERSE EFFECTS

- Dry mouth
- Blurred vision
- Constipation
- Urinary retention
- Drowsiness
- Tachycardia
- Confusion
- Flush

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③ PROTON PUMP INHIBITORS

- Proton Pump Inhibitors (PPIs) are drugs that reduce stomach acid production by inhibiting the proton pump (H^+/K^+ ATPase) in the parietal cells of the stomach.
- They are commonly used to treat conditions like ulcers, GERD and zollinger - Ellison syndrome.
- Examples include omeprazole and esmoprazole.

MACHANISM OF ACTION

- PPIs binds with Proton Pump (H^+/k^+ ATPase) and inhibit them on parietal cells in stomach.
- By blocking Proton Pump, they prevent the final step of gastric acid production.
- This results in a significant reduction is gastric acid secretion, helping to heal ulcers and manage acid reflux.

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PHARMACOKINETICS

Absorption- Rapidly absorbed after oral administration, but they are inactive in their orignial form.

Distribution- Activate in the acidic environment of the parietal cells canalicus, where they bind to the Proton Pump.

Metabolism- Metabolized in the liver via the cytochrome P450 enzyme system (mainly CYP2C19 and CYP3A4).

Excretion- Excreted primarily in the urine as inactive metabolites.

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EXAMPLES

- Omeprazole
- Esmoprazole
- Pantoprazole
- Lansoprazole
- Ilaprazole etc.

THERAPEUTIC USES

- Ulcers
- GERD
- Zollinger - Ellison syndrome
- Gastritis
- H. Pylori eradication
- Esophagitis

ADVERSE EFFECTS

- Abdominal Pain
- Constipation
- Vitamin B12 deficiency
- Osteoprosis
- kidney disease

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GASTRIC ACID NEUTRALIZERS

- Gastric Acid Neutralizers (Antacids) are substances that neutralize excess stomach acid, providing relief from heartburn, indigestion and ulcers.
- They work by increasing the pH of gastric contents.
- Examples include magnesium hydroxide, calcium carbonate and Aluminium hydroxide.
- There are two types of Antacids:
  - ⓐ Systemic Antacids
  - ⓑ Non-systemic Antacids

① SYSTEMIC ANTACIDS

- Systemic antacids are that absorbed into the bloodstream after neutralizing stomach acid, affecting the entire body.
- They provide quick relief but can lead to systemic side effects like electrolyte embalance.

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MACHANISM OF ACTION

- Systemic antacids contain alkaline substances (e.g. sodium bicarbonate, etc) that neutralize excess stomach acid (HCl).
- This increases the gastric pH, reducing acidity and providing relief from heartburn and indigestion.
- After neutralizing acid, they are absorbed into the bloodstream and may influence systemic electrolyte imbalance.

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PHARMACOKINETICS

- **Absorption-** Rapidly absorbed into the bloodstream after neutralizing stomach acid.
- **Distribution-** Distributed throughout the body, affecting electrolyte imbalance and blood PH.
- **Metabolism-** Minimal metabolism occurs for most systemic antacids.
- **Excretion-** Excreted through primarily the kidneys.

EXAMPLES

- Sodium bicorbonate
- Sodium Citrate etc.

THERAPEUTICAL USES

- Heartburn
- Indigestion
- GERD
- Acid Reflux
- Peptic Ulcers

ADVERSE EFFECTS

- Alkalosis
- Hyperglycemia
- Constipation
- kidney stones
- Electrolyte imbalance

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② Non - Systemic Antacids

- Non- systemic antacids are that neutralize stomach acid locally without being absorbed into the bloodstream.
- They provide relief from heartburn and indigestion by acting directly in the stomach.

Machanism of Action

- They contain basic compound (eg. alluminium hydroxide etc.) that directly neutralize excess stomach acid.
- By neutrallizing gastric acid, they rise-raise the pH of stomach contents, providing relief from heartburn and Indigestion.
- These antacids work locally in the stomach without being absorbed into the bloodstream.

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Pharmacokinetics

- Absorption - Not significantly absorbed into the bloodstream.
- Distribution - Limited to the local stomach environment where they act.
- Metabolism - Not metabolized by the body, as they remain in stomach.
- Excretion - Excreted mainly through the feces after neutralizing stomach acid.

Examples

- Alluminium Hydroxide
- Magnesium Hydroxide
- Calcium carbonate etc.

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THERAPEUTIC USES

- Heartburn
- Indigestion
- Acid Reflux
- Peptic Ulcers
- Gastritis

ADVERSE EFFECTS

- Constipation
- Diarrhoea
- Hypercalcemia
- Kidney stones
- Hyperphosphatemia

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ULCER PROTECTIVES

- Ulcer protectives are medications or substances that help protect the lining of stomach or intestines from damage caused by stomach acids or irritants.
- They work by either forming a protective barrier over the ulcer or by promoting healing of damaged tissue.
- Examples, include sucralfate and misoprostol.

MACHANISM OF ACTION

- They create a physical barrier over the ulcer to shield it from stomach acids and enzyme.
- Stimulate the secretion of mucus, which helps protect the stomach lining.
- Promote bicarbonate production, which neutralizes stomach acid.
- Improve blood flow to the ulcer site, aiding in healing.
- Some inhibit acid production to reduce irritation and further damage.

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PHARMACOKINETICS

- Absorption- › Many ulcer protectives like sucralfate are poorly absorbed in GIT and
  › some like misoprostol are absorbed systemically.

- Distribution- › Sucralfate binds to the ulcer site and doesn't significantly distribute to the bloodstream.
  › Misoprostol and its metabolites distribute throughout the body after absorption.

- Metabolism- › Sucralfate is not metabolized significantly, acting locally in GI tract.
  › Misoprostol is metabolized in the liver to its active form (acid form).

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- **Excretion-** > Sucralfate's components are excreted mainly in the urine.
  > Misoprostol's metabolites are primarily excreted in the urine.

Therapeutic Uses

- Gastric Ulcers
- Duodenal Ulcers
- GERD
- NSAIDs - induced ulcers
- Stress ulcers

Adverse Effects

- Constipation
- Diarrhoea
- Dizziness
- Abdominal Pain
- Flatulence.

Examples

- Sucralfate
- Misoprotol
- Colloidal Bismuth Subcitrate (CBS)

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ANTI H. PYLORI DRUGS

- Anti H. Pylori drugs are medications used to terminate or eleminate Helicobacter Pylori bacteria from the stomach, often involving a combination of antibiotics and acid- reducing agents (like PPIs) to treat infections that cause ulcers and gastritis.

MACHANISM OF ACTION

- These drugs works by killing or inhibiting the growth of bacteria, H-pylori bacteria.
- They given in combination with Proton Pump Inhibitors.

EXAMPLES

- Amoxicillin
- Clarythromycin
- Metronidazole
- Tetracycline etc.

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PHARMACOKINETICS

Absorption- Antibiotics are well absorbed in the gastrointestinal tract (e.g amoxicillin etc.). PPIs are absorbed systematically after oral intake.

Distribution- Antibiotics distribute to the site of infections. PPIs distribute to the stomach lining.

Metabolism- Antibiotics are metabolized in the liver.
PPIs undergo hepatic metabolism.

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- **Excretion-** Antibiotics are excreted mainly via urine.
  PPIs are exerted in urine.

THERAPEUTIC USES

- Peptic Ulcers
- Gastritis
- Duodenal Ulcers
- H. Pylori eradication
- Gastric Ulcers

ADVERSE EFFECT

- Abdominal Pain
- Taste disturbance
- Rash
- Fatigue
- Antibiotic resistance

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Drugs For Constipation And Diarrhoea

Constipation

- Constipation is when you difficulty passing stools, or you go too long without a bowel movement.

OR

- Constipation is a condition where your bowel movements become less frequent, difficult and painful
- It usually involves hard, dry stools that are hard to pass, and you may feel bloated or like you haven't fully emptied your bowels.

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- **Causes**
- Low fiber diet
- Dehydration
- Lack of physical activity
- Medications, like painkillers can cause constipation
- Stress or changes in routine
- IBS or Hypothyroidism etc.

- **Symptoms**
- Hard & Dry stools
- Feeling bloated or full
- Abdominal Discomfort
- Brown stool
- Straining or pain during bowel movements etc.

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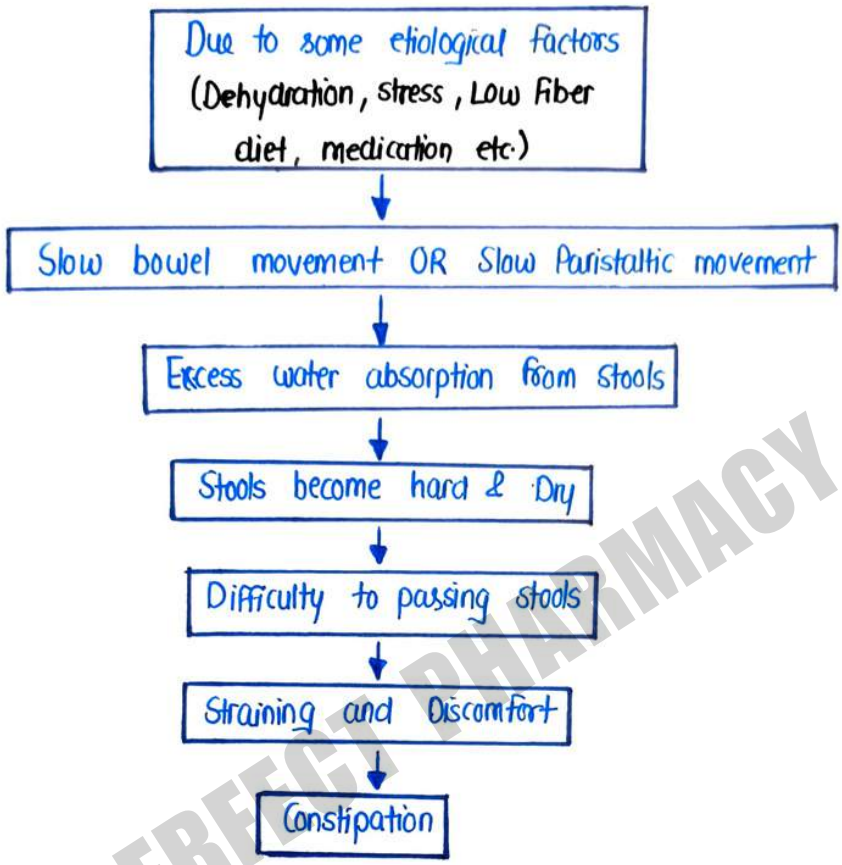
PATHOPHYSIOLOGY



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TREATMENT

- Laxatives (Purgatives, Catharetics) : ① Bulk forming agents
  - Dietry fibre : Bran
  - Psyllium (Plantago)
  - Ispaghula
  - Methyl Cellulose

  ② Stool softner
  - Docusates (DOSS)
  - Liquid Paraffin

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③ Stimulant Purgatives

- Diphenylmethanes
  - Bisacodyl
  - Sod. picusulfate
- Anthraquinones
  - Senna
  - Cascara sagrada
- 5 HT4 Agonist
  - Prucalopride
- PG1 Analogue
  - Lubiprostone

④ Osmotic Purgatives

- Mag. Sulphate
- Mag. Hydroxide
- Sod. Sulfate
- Sod. Phosphate
- Lactulose
- Lactilol

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① Bulk Forming Agent

- A bulk forming agent is a substance that help increase the size and bulk of stool, making it easier to pass.
- It absorb water in intestines, softening the stool and helping with constipation.

- **Mechanism of Action :**
- They absorb water from stools and increase the size of stool, then softening the stool and stimulates bowel movement.

- **Pharmacokinetics :**
- **Absorption -** They are minimally absorbed from gastrointestinal Tract.
- **Distribution -** They remain distributed in the intestines.
- **Metabolism -** They are not metabolized by the body.
- **Excretion -** They are excreted unchanged in the stool, with no systemic excretion.

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- **Therapeutic Uses :**
- Constipation
- Irritable Bowel Syndrome (IBS)
- Diarrhoea
- Cholestrol Reduction
- Diverticulosis etc.

- **Adverse effects :**
- Bloating
- Flatulence
- Abdominal discomfort
- Allergic reactions etc.

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② Stool Softner

- A stool softner is a type of medicine that helps make stool easier to pass by drawing water into the bowel, softening the stools and preventing constipation.
- It emulsifies the colonic contents and increases penetration of water into the faeces.

- **Uses:**
- Hemmorrhoid relief
- For Patient with anal Fissures
- For Constipation
- During pregnancy (For constipation)
- Post - surgery bowel care etc.

- **Adverse Effects:**
- Diarrhoea
- Cramping
- Bloating
- Nausea
- Throat irritation
- Dehydration etc.

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③ STIMULANT PURGATIVES

- Stimulant purgatives are a type of laxative that work by stimulating the muscles of the intestines to contract, helping move stool through the bowel more quickly.
- There are some types of stimulant purgatives :

i) Diphenylmethanes
ii) Anthraquinones
iii) 5-HT_4 Agonists
iv) PG Analogue

i) DIPHENYLMETHANES

- They are type of stimulant purgatives that work by stimulating the muscles of the intestines to speed up bowel movements.
- Example-: Bisacodyl, Phenolphthalein, Sod. Picosulfate etc.

ii) ANTHRAQUINONES

- They are also stimulate the intestine muscles to speed up bowel movements.
- They are derived from plants.
- Examples-: Senna, Cascara etc.

iii) 5-HT_4 Agonists

- They are work by activating serotonin receptors in the intestines, helping to increase bowel movements.
- Examples-: Prucalopride.

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iv) PG ANALOGUE

- They are type of stimulant purgatives that work by increasing fluid secretion in the intestines, helping to soften stool and promote bowel movements.
- Example-: Lubiprostone.

• THERAPEUTIC USES OF STIMULANT PURGATIVES

- Constipation
- Bowel Preparation
- Postoperative care
- Colon Cleansing
- Irritable Bowel Syndrome (IBS)

• ADVERSE EFFECTS OF STIMULANT PURGATIVES

- Cramps
- Diarrhoea
- Dehydration
- Electrolyte imbalance
- Abdominal Distension
- Dependency

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④ Osmotic Purgatives

- Osmotic purgatives are a type of laxative that work by drawing water into the intestines, softening the stool, and helping to relieve symptoms.
- They increase the fluid in the bowel to promote easier bowel movements.
- Example-: Mag. Sulphate, Lactulose, Lactilol etc.

- **Therapeutic Uses :**
- Constipation
- Bowel preparation
- Liver Disease
- Poisoning
- Pre- Surgical Cleansing

- **Adverse Effect :**
- Diarrhoea
- Dehydration
- Electrolyte Imbalance
- Abdominal Distension
- Nausea

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DIARRHEA

- Diarrhea is a condition when you have loose or watery stools more often than usual.
- It can happen several times (WHO says more than 3 times) a day and may be caused by infectious food, or other health issue.
- It can lead Dehydration if not treated.

- **CAUSES**
- Malabsorption
- IBS (Irritable Bowel Syndrome)
- Stress
- Infections
- Food intolerance
- IBD etc.

- **SYMPTOMS**
- Watery stools
- Abdominal Pain
- Cramping
- Bloating
- Nausea
- Dehydration
- Fatigue etc.

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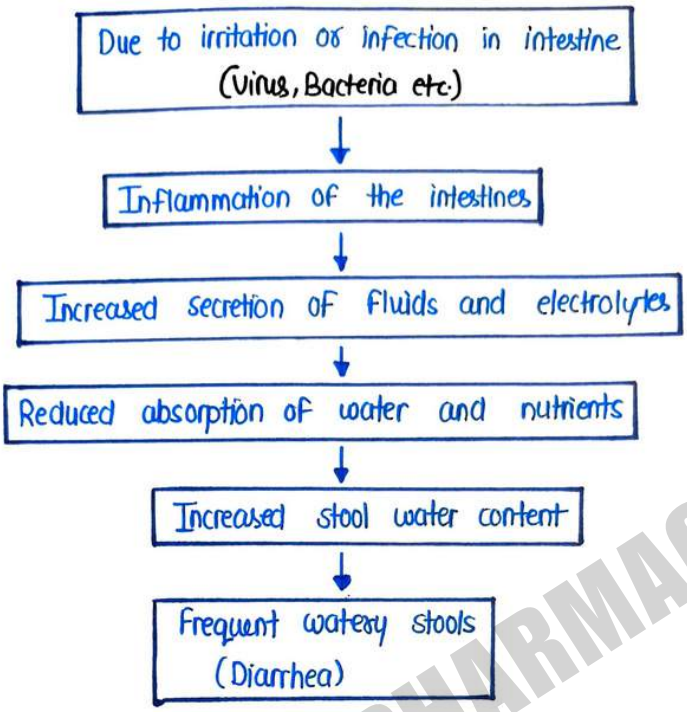
PATHOPHYSIOLOGY



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TREATMENTS

① Oral Rehydration Therapy

- Oral Rehydration Therapy (ORT) is a treatment for dehydration that involves drinking a solution of water, salts and sugars.
- ORT helps replace lost fluids and electrolytes due to diarrhea, preventing dehydration and restoring balance in the body.
- It is specially important in young children and those with serve diarrhea.
- ORS formula (recommended by WHO)

Sod. Chloride	2.6 g
Pot. Chloride	1.5 g
Trisodium citrate	2.9 g
Glucose	13.5 g
Water	1 Litre

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DRUGS

① ANTI MICROBIAL DRUGS

- Antimicrobials are drugs used to treat diarrhea caused by infections.
  e.g- Norfloxacin, Ciprofloxacin, Tetracycline etc.

- **Machanism of action :**
- They work by killing or stopping the growth of bacteria, viruses or parasites in the digestive systems.

- **Therapeutic Uses :**
- Bacterial Infections
- Diarrhea
- Parasitic Infections
- Cholera
- Dysentary
- Giardiasis etc.

- **Adverse Effects :**
- Nausea
- Vommiting
- Rash
- Allergic reactions
- Abdominal pain etc.

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② Anti Motility Drugs

- They are medications that help reduce the speed of bowel movements.
- They are opioid agonists. eg.- Loperamide, Codiene etc.

- Mechanism of action:
- They slows down the constraction of intestinal muscles by acting on opioid receptors and increased absorbtion of water from stools and relief in symptoms of diarrhea.

- Therapeutic Uses:
- IBS
- Acute Diarrhea
- Chronic Diarrhea
- Traveler's Diarrhea etc.

- Adverse Effects:
- Constipation
- Dizziness
- Abdominal Pain
- Dry mouth
- Drowsiness etc.

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③ ABSORBANTS

- Absorbents are medications that help treat diarrhea by bindings to toxins, bacteria, or other harmful substances in the intestines.
- This helps reduce fluid loss and makes stools more solid.
- Examples: Ispaghula, kaolin, Methylcellulose, Activated Charcoal, etc.

④ ANTI SECRETORY AGENTS

- They are medications that reduce the amount of fluid and electrolytes secreted into the intestines, helping to control diarrhea.
- They work by decreasing the production of fluids in the gut.
- Examples: Bismuth subsalicylate, Anticholinergics, Rasecadotril etc.

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⑤ PROBIOTICS

- Probiotics are live bacteria and yeasts that are good for your gut health.
- In diarrhea, they restore the balance of healthy bacteria in the intestines, which can help reduce and severity of diarrhea.
- Examples: Lactobacillus, Bacillis claussi, Saccharomytes boulardii etc.

⑥ DRUGS FOR IBS

- In diarrhea, they help to control symptoms like frequent diarrhea, abdominal pain, and bloating.
- They work by either slowing down bowel movements or reducing inflammation.
- Examples: Sulfasalazine, Olsalazine, Prednisolone, etc.

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Appetite Stimulant And Suppressants

Appetite Stimulant

- Appettite stimulant are medications that help to increase a person's desire to eat.
- They are used when someone has a poor appetite, often due to illness or certain medical conditions.
- Examples : Megestrol, Dronabinol, Alcohol, Dexamethasone etc.

- **Causes**
- Malnutrition
- Chronic illness
- HIV / AIDs
- Anorexia
- Depression etc.

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- **Symptoms**
- Weigh gain
- Increased fatigue
- Nausea
- Dizziness
- Fluid retention
- GIT Discomfort etc.

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TREATMENTS

- They ~~wok~~ work through different machanisms such as altering hormones levels or affecting the brain's hunger signals :

① Megesterol Acetate

- It is a synthetic hormone used as appetite stimulant.
- The drug is available in liquid or tablet form.

- **M·O·A**
- It works by stimulating appetite centers in hypothalmus and increase hunger.

- **Side effects**
- Weigh gain
- Edema
- Nausea
- Fatigue
- Mood swings etc.

- **Uses**
- Appetite stimulation
- Anorexia
- Malnutrition
- Cancer treatment
- HIV / AIDS

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② Cyproheptadine

- Cyproheptadine is an antihistamine medication that is also used to treat as appetite stimulant.

- M·O·A·
- It works by blocking serotonin receptor in brain and increase urge of hunger.

- Side effects
- Drowsiness
- Dry mouth
- Dizziness
- Constipation
- Blurred vision etc.

- Uses
- Allergy relief
- Appetite stimulation
- Anorexia
- Migraines
- Itching (Pruritus)

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③ Alcohol (10%)

- It works by stimulating appetite centers in brain.
- It can increase hunger and improve food intake, especially in patients with poor appetite due to illness or malnutrition.
- It may be addicted.

④ Dronabinol

- They are used as appetite stimulants and works by activating cannabinoid receptors in the brain, particularly the CB1 receptors.
- It mimics the effects of naturally occuring cannabinoids (like THC), leading to an increase in appetite, especially in individuals with conditions like cancer or HIV / AIDS that cause appetite loss.

⑤ Dexamethasone

- Dexamethasone is a steroidal drug / corticosteroids that stimulates appetite through its effects on hypothalmus that regulates hunger.
- It work by reducing inflammation and modifying the release of certain hormones, which can lead to an increased desire to hunger.
- But it may have serious side effect like immuno suppression.

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APPETITE SUPPRESSANTS

- Appetite suppressants are medications that reduce hunger and desire to eat.
- These drugs are typically used for weight loss or managing conditions like obesity.
- Examples: Phenteramine, Amphetamine, Fluoxetine etc.

- CAUSES
- Harmonal regulation
- Neurotransmitter regulation
- CNS stimulation
- Psychological factors
- Dehydration
- Chronic illness or infection etc.

- SYMPTOMS
- Reduced Hunger
- Nausea
- Dry mouth
- Constipation
- Mood swings
- Fullness
- Fatigue etc.

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Drugs Used In Appetite Suppressants

① CNS Acting Drugs

- Adrenergic agents
- 5-HT agonists
- Serotonin and nor-adreanaline reuptake inhibitors
- Cannabinioid receptor antagonists

② GIT Acting Drugs

- Bulk forming agents
- Lipase inhibitors

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CNS Acting Drugs

① Adrenergic Drugs

- These medications are work by stimulating the body's hormones, which includes adrenaline and noradrenaline (norepinephrine).
- Adrenergic boots certain chemicals in the brain and make less urge of hungery.
- Example: Amphetamine, Phenteramine, Mephentamine etc.

② 5-HT Agonists

- These medications work by stimulating serotonin in brain, which enhance the feeling of patience & reduce urge to eat or hungry.
- Example: Sibutramine, Fluoxetine, Lorcaserin etc.

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③ Serotonin and nor- adrenaline reuptake inhibitors (SNRIs)

- These are drugs are work by increasing the levels of serotonin and nor-adrenaline in the brain.
- These chemicals help regulate mood and appetite.
- SNRIs can reduce hunger by enhancing the action of neurotransmitters, which help control feelings of hunger and cravings.
- **Examples:** Diloxetine, Venlafaxine, Sibutramine etc.

④ Cannabinoid Receptor Antagonists

- These are the medications that blocks the action of cannabinoid receptors in the brain and reduce the urge of hunger.
- They are also used to reduce appetite.
- **Example:** Rimonabant etc. (CB1 Receptor)

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GIT ACTING DRUGS

① Bulk forming agents

- Bulk forming agents are substances that absorb water and expand in the stomach, which helps create a feeling of fullness, and its leads to reduce hunger and help control appetite.
- They are often used in weight loss to help people feel fuller longer.
- **Example:** Psyllium husk (Ispaghula), Methylcellulose etc.

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② Lipase Inhibitors

- These are drugs that work by blocking the action of lipase, an enzyme that helps break down fat in the digestive system.
- Example: Orlistat etc.

- **ADVERSE EFFECTS OF APPETITE SUPPRESSANTS**
- Insomnia
- Dry mouth
- Nausea
- Blood Pressure ↑
- Sweating
- Dependency
- Tremors
- Anxiety
- Digestive issues etc.

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- **THERAPEUTIC USES OF APPETITE SUPPRESSANTS**
- Weigh loss
- Obesity
- Appetite Control
- Overeating
- Metabolic Boosts
- Fat reduction etc.

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DIGESTANTS AND CARMINATIVES

DIGESTANTS

- These are substances which increases the digestion of food.
- Digestants are a substances, such as hydrochloric acid or a bile salt, that promotes digestion of food.
- They mainly contains Fluids as main components like Amylase, Pepsin, Trypsin etc in digestion system.

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- **CAUSES**
- Spicy food, fatty food, Alcohol, Stress,
- Overeating, GERD, Pregnancy, Anxiety
- Lack of exercise, Medications,
- Smoking, H. pylori etc.

- **SYMPTOMS**
- Acid reflux
- Vomitting
- Fullness
- Bloating
- Heartburn
- Gas
- Stomach pain
- Discomfort etc.

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TREATMENT

- It's treatment is combination of different enzymes like proteolytic, lipolytic, amylolytic enzymes etc.

i) Proteolytic enzymes	Breakdown protein
ii) Lipolytic enzymes	Breakdown lipids
iii) Amylolytic enzymes	Breakdown starch into sugar

. Examples

① PEPSIN

- Pepsin treatment in digestion refers to using substances that either replace or support of the action of pepsin, an ezyme in stomach, to help break down proteins and improve digestion, especially in case of digestive disorders.
- It can be used to treat conditions like indigestion, ulcers or acid reflux.

② PAPAIN

- An enzyme derived from papaya, to help break down proteins and aid digestion.
- It is often used as a supplement to improve digestion, relieve bloating and treat condition like indigestion.
- Papain helps break down protein molecules into smaller peptides, making it easier for the body to absorb nutrients.

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③ PANCREATIN

- Pancreatin is mixture of enzymes (amylase, lipase and protease) derived from the pancreas to help break down carbohydrates, fats and proteins in the digestive system.
- It is often used to treat digestive disorders like pancreatitis, cystic fibrosis or insufficient pancreatic enzyme production, improving nutrient absorption and reducing symptoms like bloating or indigestion.

④ LACTASE

- These digestants involves using lactase enzyme supplements to help breakdown lactose, a sugar found in dairy product.
- It is commonly used by people who are lactose intolerant, as it helps prevent digestive discomfort like bloating, gas, diarrhea by aiding in the digestion of lactose.

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⑤ DIASTASE AND TAKADIASTASE

- They are amylolytic enzymes obtained from the fungus Aspergillus oryzae.
- They are especially use for those with trouble digesting carbs.

USES OF DIGESTANTS

- Indigestion
- Bloating
- Gas
- Heartburn
- Stomach discomfort etc.

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CARMINATIVES

Carminatives are substances that help relieve gas, bloating, and discomfort in the stomach and intestines by promoting the expulsion of gas.
They often come from herbs or spices, like pipermint or ginger.
They can also help soothe the stomach and reduce cramping.
Carminatives are natural substances, typically herbs or spices.
Example: Fennel, Cinnamon, Ajwain, Onion, Coriander etc.

TREATMENTS

① HERBAL CARMINATIVES

Peppermint (Mentha piperita)
Fennel (Foeniculum vulgare)
Ginger (Zingiber officianate)
Cinnamon (Cinnamomum verum)
Clove (Syzygium aromaticum) etc.

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USES

Relieve Bloating
Reducing Flatulence
Soothing Stomach Cramps
Promoting Digestion
Reduce Heartburn etc.

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② PHARMCEUTICAL CARMINATIVES

a) Simethicone

A widely used over-the-counter medication that reduces surface tension of gas bubbles, allowing easier gas passage.
Often used for infant colic and post-operative bloating.

b) Activated Charcoal

They absorbs excess gas and toxins in the digestive tract.
Available in capsule or powder form.

c) Proton Pump Inhibitors (PPIs and H_2 Blockers)

Used when bloating is caused by acid reflux or gastritis.
Examples: Omeprazole, Ranitidine etc.

d) Digestive Enzymes

They help break down food properly, reducing fermentation and gas buildup.
Example: Lactase, Pancreatin etc.

③ LIFESTYLE MANAGEMENT

Avoid gas-producing foods
Limit artificial sweeteners
Stay hydrated
Regular exercise
Abdominal massage

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EMETICS AND ANTI-EMETICS

VOMITING

- Vomiting is forceful expulsion of gastric substances like toxic substances, poisons etc through the mouth.
- It occurs by stimulating of vomitting center, which presents in brain, Medulla Oblongata.
- Vomiting is the body's way of clearing harmful substances, toxins, irritants from the stomach.

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PATHOPHYSIOLOGY

- Vomiting can occurs by some conditions :

① By directly stimulates vomiting center in brain.
② Stimulation of Chemoreceptor Triggering Zone (CTZ).
③ Stimulation of ventibular Nucli (Internal ear portion) ⟶ Motion Sickness.
④ Cortex stimulation due to Pain, Sight, Anxiety, Smell etc.
⑤ By GIT imbalance, stimulation of Nucleus Tractus Solitaris (NTS).

Pathophysiology to be continued .....

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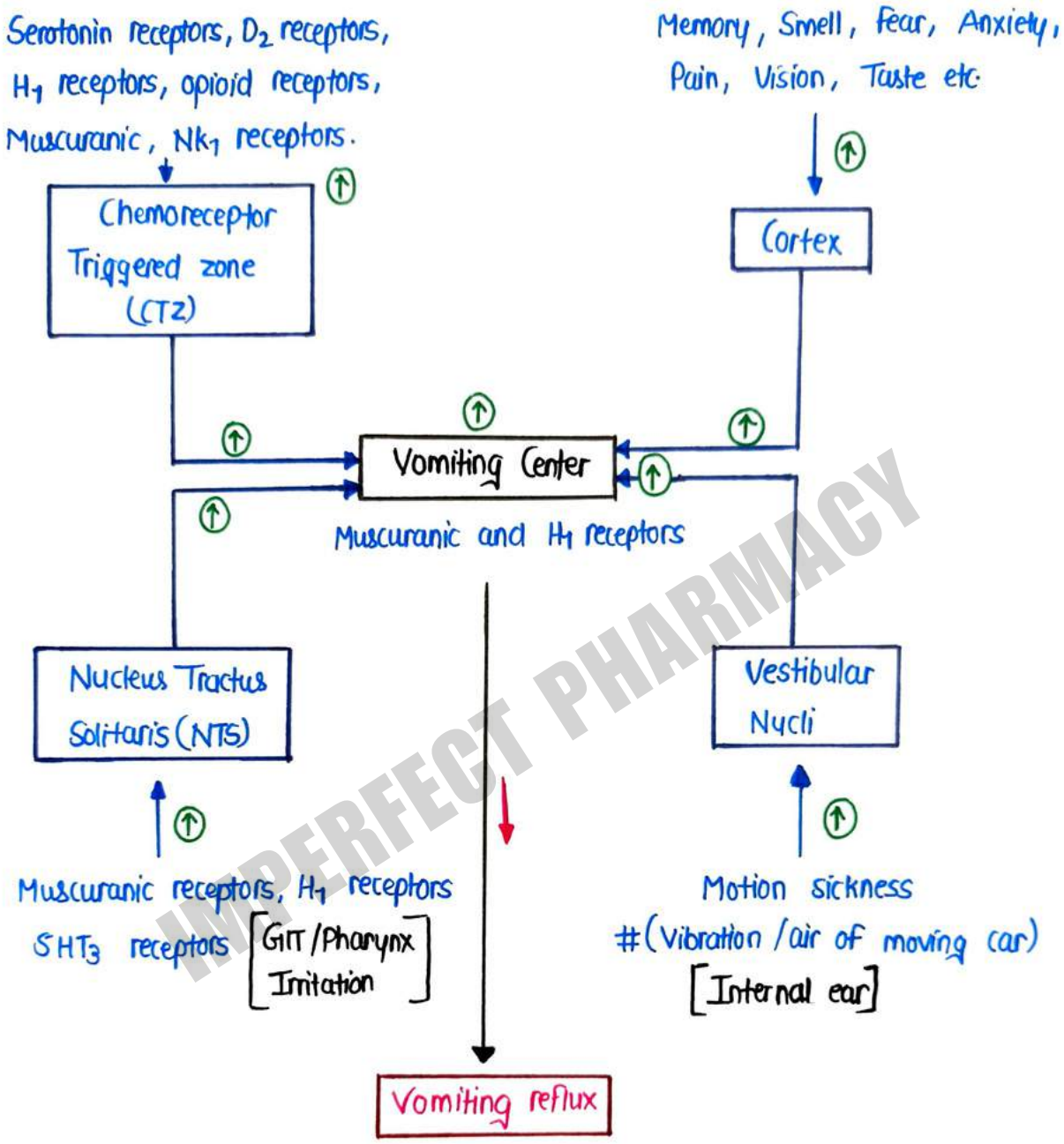

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DRUGS USED IN EMESIS

- Some drugs which is used in vomiting reflux are :

① IPECAC

- Ipecac is a plant - derived substances used as emetic drugs.
- It contains compound like emetine that irritate the stomach and triggers the brain's vomitting center (VC), and induce vomiting.
- Ipecac was traditionally used to treat poisoning by helping expel toxins from the stomach.

② Zinc Sulphate / Copper Sulphate

- Zinc Sulphate and Copper Sulphate are chemical emetic that can induce vomiting.
- They irritate the stomach lining and stimulate Nucleus Tractus Solituris (NTS) which stimulate vomiting center to induce vomiting.
- They were once used in cases of poisoning but now rarely used because it produced toxicity.

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③ APOMORPHINE

- Apomorphine is medication used to induced vomiting.
- It works by stimulating the brain's vomiting center (VC) that helps to expel harmful substances from expel.
- It is used in emergency situations, such as poisoning.

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④ Mustard Solution

- They can induced vomitting by imitating the stomach triggering the vomiting reflux.
- A small amount of mustard oil (1 table spoon) mixed with water is sometimes used to expel toxins from the stomach.

Contraidications

- Severe dehydration
- Pregnancy
- Indigestion of corrosive substances
- Unconcious or Sub-Concious patients
- Cardiovascular and respiratory issued patients

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ANTI-EMETICS

- They are medications designed to prevent or relieve nausea and vomiting.
- These medications works by targeting various pathways in the body that triggers the vomiting by brain's vomiting center, GIT, chemical receptors.
- They are commonly prescribed in conditions like motion sickness, CTZ-induced nausea and post-surgical mucosa.

CAUSES

- Chemotherapy
- Surgery
- Motion sickness
- Pregnancy
- Poisoning
- Migraines etc.

SYMPTOMS

- Drowsiness
- Constipation
- Dry mouth
- Fatigue
- Dizziness
- Blurred vision etc.

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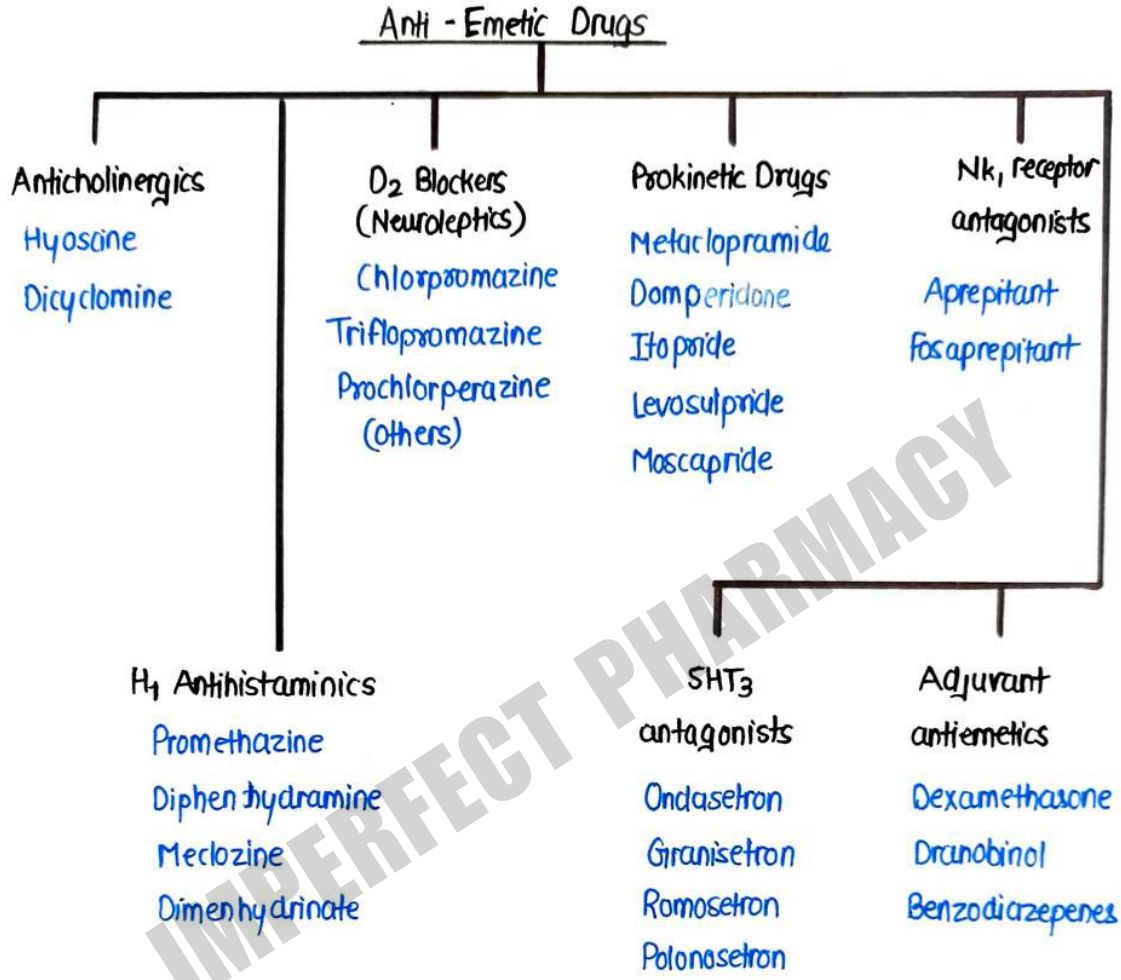
MEDICATIONS



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① Anticholinergics

- They are drugs that prevent nausea and vomiting by blocking action of acetycholine, a neurotransmitter in the brain and GIT.
- They work by reducing the signals that trigger vomiting, especially in conditions like motion sickness or postoperative nausea.
- Example : Hyoscine, Scopolamine, Dicyclomine etc.

> Note : MOA, Uses, Adverse Effects and Pharmacokinetics are available in Anti-asthmatic agents.

② Neuroleptics (D_2 blockers)

- They are block dopamine (D_2) receptors in the brain to prevent vomiting.
- By blocking dopamine, these drugs reduce signals that trigger vomiting.
- They are often used to prevent nausea from chemotherapy.
- Examples : Chlopromazine, Trifluopromazine etc.

Pharmacokinetics :

- Absorption - Well absorbed orally, with varrying bioavailability.
- Distribution - Widely distributed throughout the body, including brain.
- Metabolism - Primarily metabolized in liver.
- Excretion - Excreted mainly in urine, with some in feces.

Adverse Effects :

- Sedation
- Hypotension
- Weight gain
- Galactorrhea etc.

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Therapeutic Uses :

- Nausea
- Vomiting
- Psychosis
- Gastritis
- Gastroesophagul reflux

③ H_1 Antihistaminics

- They blocks histamine (H_1) receptors in brain, helping to prevent nausea and vomiting, especially caused by motion sickness.
- They work by reducing the effects of histamines, which plays a role in nausea and dizziness.
- Example: Dimenhydrinate, Meclizine etc.

Pharmacokinetics :

- Absorption - Rapidly absorbed after orally administration.
- Distribution - Widely distributed, including the brain.
- Metabolism - Primarily metabolized in liver.
- Excretion - Excreted mainly in urine.

Adverse Effects :

- Sedation
- Drowsiness
- Dry mouth
- Blurred vision
- Confusion
- Urinary Retention etc.

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Therapeutic Uses :

- Allergy
- Rhinitis
- Insomnia
- Vomiting
- Nausea
- Cold etc.

④ Prokinetic Drugs

- These are drugs that help improve stomach motility, speeding up the movement of food through the digestive system.
- They prevent vomiting & nausea by enhancing the action of gastrointestinal tract.
- Example: Metoclopramide, Levosulpride, Dompenidone etc, they also blocks dopamine receptors to prevent nausea.

Pharmacokinetics :

- Absorption - Rapidly absorbed from oral administration.
- Distribution - Distributed to the GIT and CNS.
- Metabolism - Primarily metabolized in liver.
- Excretion - Excreted mainly in urine.

Adverse Effects :

- Drowsiness
- Diarrhea
- Dry mouth
- Abdominal Cramps
- Fatigue etc.

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Therapeutic Uses :

- Gastroesophagul reflux
- Nausea
- Vomiting
- Constipation
- Bloating
- Gastroparesis etc.

⑤ 5-HT_3 antagonists

- They are that who blocks serotonin (5-HT_3) receptors in the brain and gut, which are involved in triggering vomiting and nausea.
- These drugs are commonly used to prevent nausea and vomiting caused by chemotherapy and surgery.
- Examples : Ondasetron , Granisetron, Ramosetron etc.

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Pharmacokinetics :

- Absorption - Well absorbed by oral administration.
- Distribution - Widely distributed in body , including the brain.
- Metabolism - Metabolized primarily in liver.
- Excretion - Mainly excreted in urine , with some in feces.

Adverse Effects :

- Headache
- Constipation
- Dizziness
- Fatigue
- Hypotension etc.

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Therapeutic Uses :

- Chemotherapy
- Radiotherapy
- Post-operative
- Nausea
- Vomiting
- Gastroparesis etc.

⑥ NK_1 receptor antagonists

- They are drugs that block substance-P from binding to NK_1 receptors in the brain, which helps prevent nausea and vomiting.
- They are often used to treat nausea caused by chemotherapy.
- Example: Aprepitant, fosaprepitant etc.

Pharmacokinetics :

- Absorption- Well absorbed orally with good bioavailability.
- Distribution- Widely distributed, including the brain.
- Metabolism- Primarily metabolized in the liver.
- Excretion- Excreted mainly in feces, with some in urine.

Adverse Effects :

- Fatigue
- Headache
- Dizziness
- Constipation
- Hiccups
- Liver enzyme elevation etc.

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Therapeutic Uses :

- Chemotherapy
- Radiotherapy
- Post -operative
- Nausea
- Vomiting etc.

⑦ Adjuvants antiemetics

- They are additional medications used together primary antiemetics to enhance their effectiveness in preventing nausea or vomiting.
- They are often used in combination to provide better control, especially in cases like chemotherapy - induced nausea.
- Examples : Corticosteroids (like Dexamethasone) and Benzodiazepenes (like lorazepam) etc.

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THANK YOU

FOR CHOOSING IMPERFECT PHARMACY AS YOUR STUDY PARTNER

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