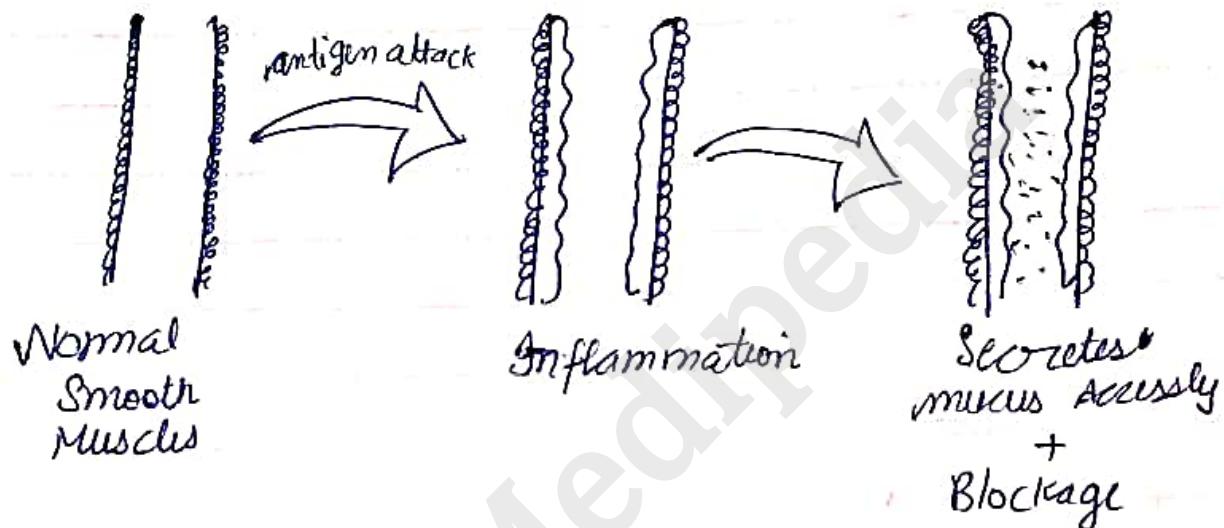


ANTI-ASTHMATIC DRUGS

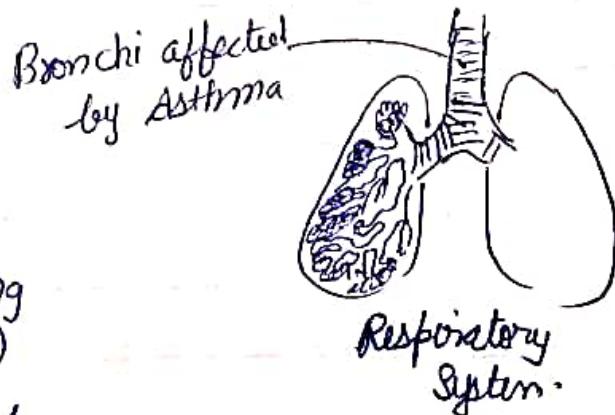
Introduction :-

Asthma - It is a condition in which the respiratory smooth muscles get inflamed and secretes mucus excessively and cause blockage of smooth muscles.



Symptoms:-

- Dyspnoea (Difficulty in Breathing)
- whoozing Cough (whistling sound)
- Limitation (is) in Activity



Causes :-

- Pollution
- Cold air → contract Bronchi
- Infection ↗ By inhalation
 ↗ By food etc

→ Allergen / Irritant (Rollen grain etc)

Types of Asthma

Intrinsic

Extrinsic

- occurs at middle age in Peoples (20, 24 yrs)
- In early age it develops. (genetic)
- chronic (More serious)
- Episodic
- No history of allergy
- have allergic history.

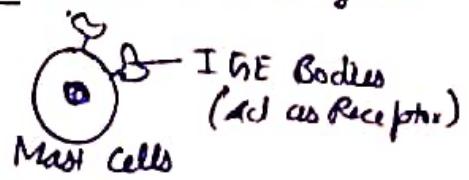
Mechanism of Asthma

Foreign Particle or Allergen or Etiologic factor enters to lungs

Allergen Binds to the Mast Cells with IgE Bodies

* Mast cell - Present in lungs etc.

Binding can Result in Formation
of Ag-Ab complex



Inflammatory Mediators Release from
Mast Cells

* Ag-Ab - Antigen - Antibody

Inflammatory Mediators Release

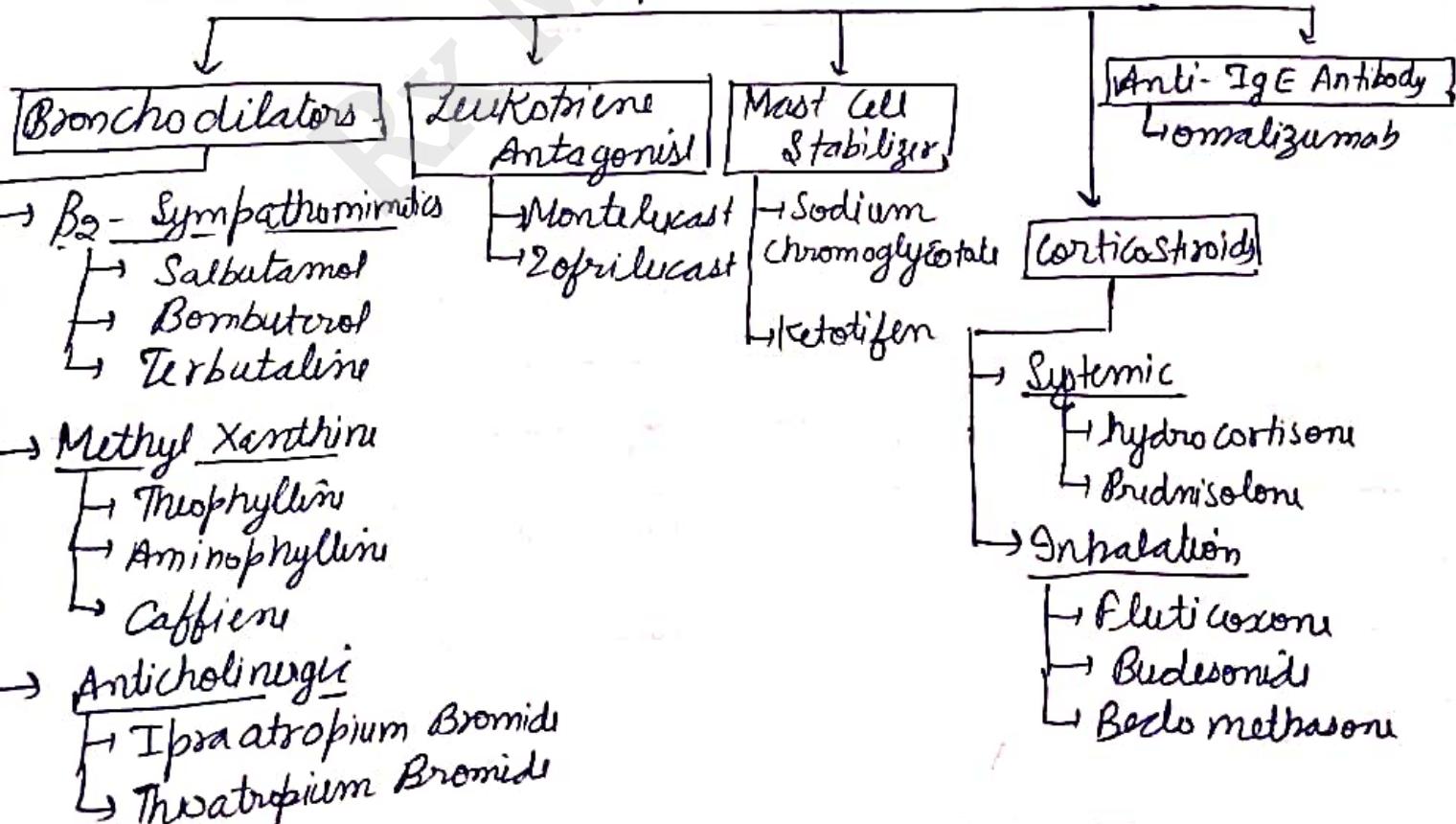
- histamine
 - Prostaglandins (PG)
 - Leucotrienes (LT)
 - Protease Enzymes
 - Interleukins
- } Responsible for Inflammation

↓
Start Inflammation in Bronchial Smooth Muscles.

↓
constriction + Mucus Secretion in Bronchi

↓
Start Blockage

Classification of Anti-Asthmatic Drugs



H. Mechanism of Action

* Bronchodilators :-

↳ β -Agonist : These drugs binds to β -Receptors present in Bronchioles smooth muscle

↓
Stimulates Adrenergic Receptors
 β -Bronchodilator Example: Salbutamol, Bambuterol etc

↳ Anticholinergics : These drugs blocks Binding of Acetylcholine that is Responsible for Bronchoconstriction

↓ Example: Ipratropium Bromide, Thioatropium Bromide
Blockage cause Bronchodilation.

↳ Methyl Xanthines : These drugs decreases .

cause constrictions Example - Theophylline, Aminophylline etc

↓
cause Bronchoconstriction

↓
Methylxanthines use

↓
Inhibit Phosphodiesterase Enzyme

↓
cAMP not convert into cGMP

↓
Bronchodilation

cAMP - Dilation / Relaxation
cGMP - Constriction
cause

* Leucotriene Antagonist

Leucotrienes binds to IgE Receptor of most cells and cause inflammation

↓
Leucotriene Antagonists can Block the Binding of Leucotrienes to Receptors.

↓
Reduce Inflammation

example - Montelukast,
Zafirlukast etc

↓
Bronchodilation

- used in mild Asthma or moderate Asthma.
- Blocks Phospholipase Enzyme to form Arachidonic Acid/Enzyme
- Blocks Cox Enzyme to form Leucotrienes.

* Corticosteroids :

→ inhibits Phospholipase A2

↓
Reduce Bronchial hyper-reactivity to Stimulus

↓
Reduce Bronchial inflammation

- effective in allergic, Exercise, antigen and irritant-induced asthma

★ Mast Cell Stabilizers

→ Use Mast cell granulation (Release of Inflammatory Mediators)

Inhibition of Inflammation + mucus Secretion.

→ Effective in Asthmatic Attack.

ADR - Sedation, dry mouth

example - Sodium chromoglycate, Ketotifen etc

- Throat Irritation

→ Bronchospasm

→ Dizziness, nausea etc

★ Anti-IgE Antibody

Use Binding ability of IgE antibodies/Receptors

to allergen

Prevent Binding and inhibit Mediators Release

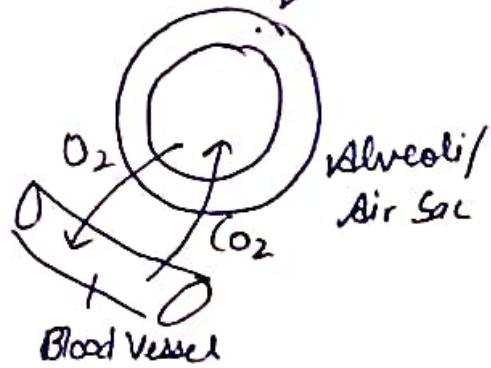
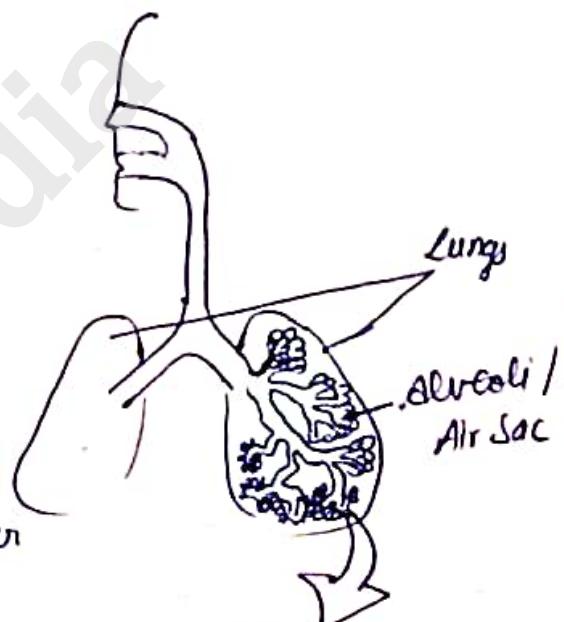
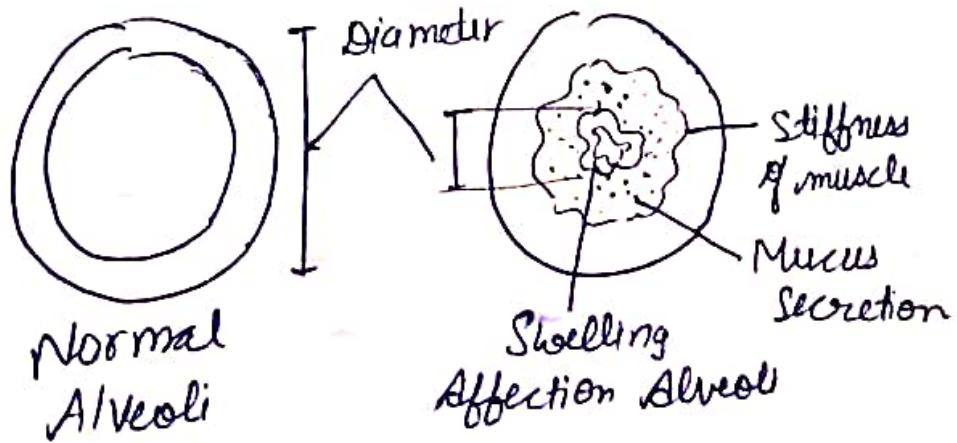
example - omalizumab etc

COPD - Coronary Obstructive PULMONARY DISORDER

The condition in which the diameter of alveoli decreases result in decrease in oxygen supply by inhalation and cause disturbance in alveoli and whole respiratory system.

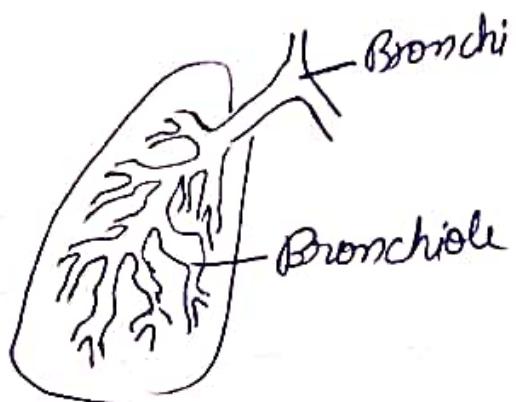
Pathogenesis

Hardness of muscles
Release of Muscles
Inflammation + Swelling + Mucus secretion.
Continuous decrease in diameter of Alveoli



COPD Consist of

- Air flow Resistance
- Chronic Bronchitis
- Bronchitis
- Emphysema (Alveoli Blockage)
- Breathing difficulty



Symptoms

- Impaired Nutrition (\downarrow ATP)
- weight loss
- Skeletal muscle dysfunction (Ribs etc)
- obstruction of air way
- May be Irreversible

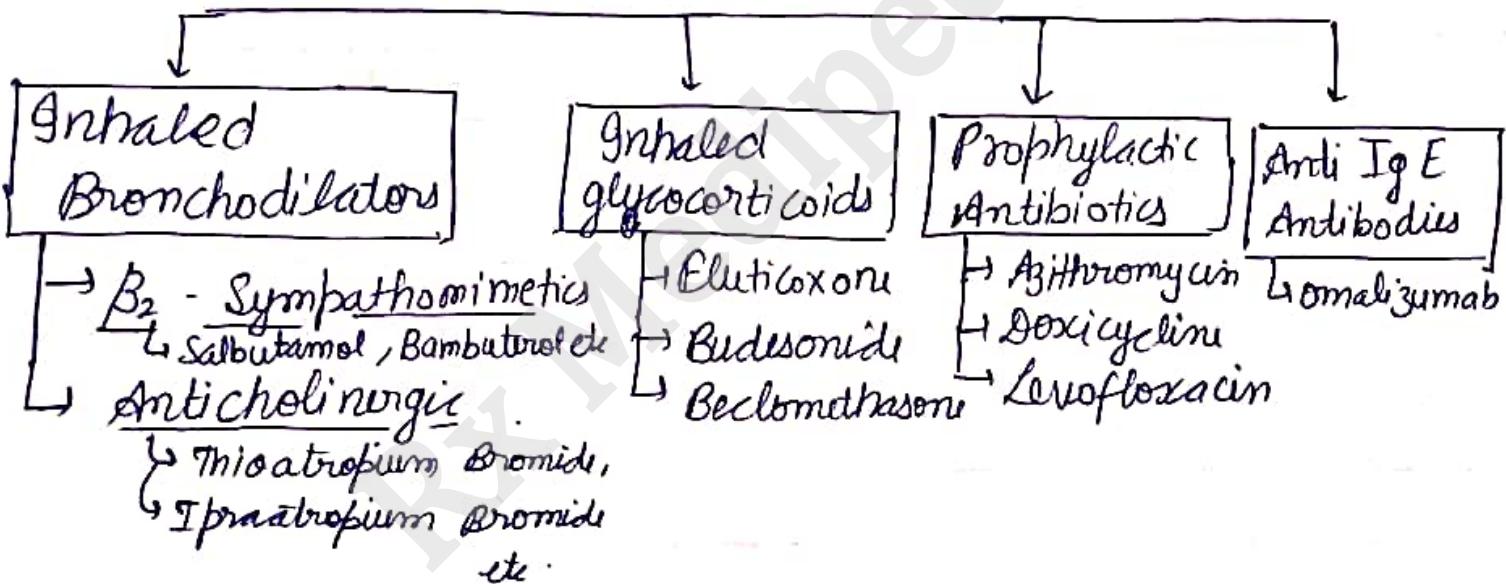
Risk factors

- Tobacco Smoking
- Fuel free Smoking
- Air Pollution
- Industrial exhaust fumes
- working in coal mines
- Respiratory infection
- Exposure of Cadmium

Pathological Condition

- Loss of elastic tissue surrounding airway
- Enlargement of mucus secretion cell (↑se. in No.)
- ↑se. in number of goblet cells (mucous secretion)
- Inflammation and fibrosis (↓se. elasticity) in airway wall

Drugs Used in COPD



* Prophylactic Antibiotics

↳ Bactericidal Antibiotics - Kill Bacteria by interfering with cell wall synthesis of Antigens.

↳ Bacteriostatic antibiotics - Prevent Reproduction in Bacteria by Inhibiting their multiplication process and protein synthesis.

Expectorants & Antitussive

Cough :- Protective Reflux of Respiratory System

Expectorants :- The agents that Expell mucus from throat By Coughing.

Antitussive :- The agents that Suppress Cough Centre in CNS for Relieving Cough.

Cough due to Stimulation of

- Mechano/Chemo Receptor throat
(Receptors that Block antigen entry + lung & secretes mucus)
- Respiratory tract
- Stretch receptors in lungs

Types of Cough

According to duration

- Acute Cough :- Cold, cough, Infection, Pneumonia etc.
for about 2-3 week.

- Chronic Cough :- Infection takes more than 3 week.
→ due to Smoking, Laryngitis, TBE, ACE
inhibitors intake.

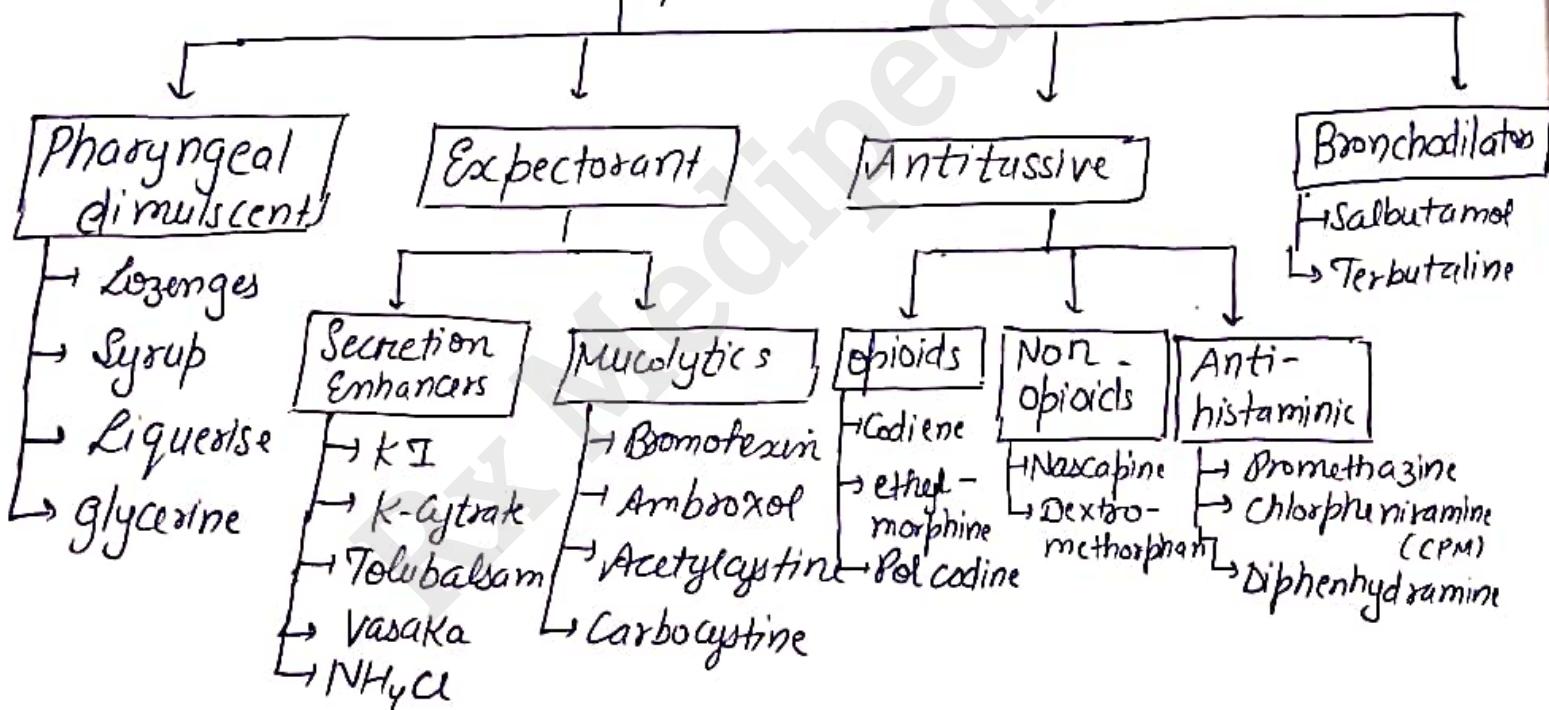
On Basis of Function :-

→ Productive - Production of Mucus with Cough.

→ Non-Productive - Dry Cough.

Treatment of Cough : → By Expectorants
→ By Antitussive

Classification of Cough Relievers



MOA :-

* Pharyngeal dimulscents: These can provide smoothness to throat.
↓
Provide Ease to Expel Mucus

* Expectorants

→ Secretion Enhancers

↓
these can increase secretion of water

↓
dilute mucus

↓
Decrease Viscosity

→ Mucolytics

↓
hydrolysis of Mucin (mucin is a protein in mucus)

↓
decrease viscosity

↓
Easy to expell out

* Antitussives :-

↓
Control Cough Centre in CNS (Responsible for cough)

↓
Stops Mucus Secretion and Release

→ Codiene - Opium Alkaloid (obtained from Poppy Plant)

→ Most Effective

- More Selective to Cough Centre

Antidote - Naloxone

ADR - These cause Respiratory Depression

- Drowsiness

- Impaired driving

Contraindication - → Asthma Patient
→ Childrens

→ Non opioid - drug have not Sedative or hypnotic effect (Sleepiness)

* Bronchilators (Adjunct Antitussive)



dilation/Relaxation of Bronchial Muscles



→ Treat spasms in Bronchi

NASAL DECONGESTANT

The medicine that provides short term relief for Stuffy or Blocked Nose.

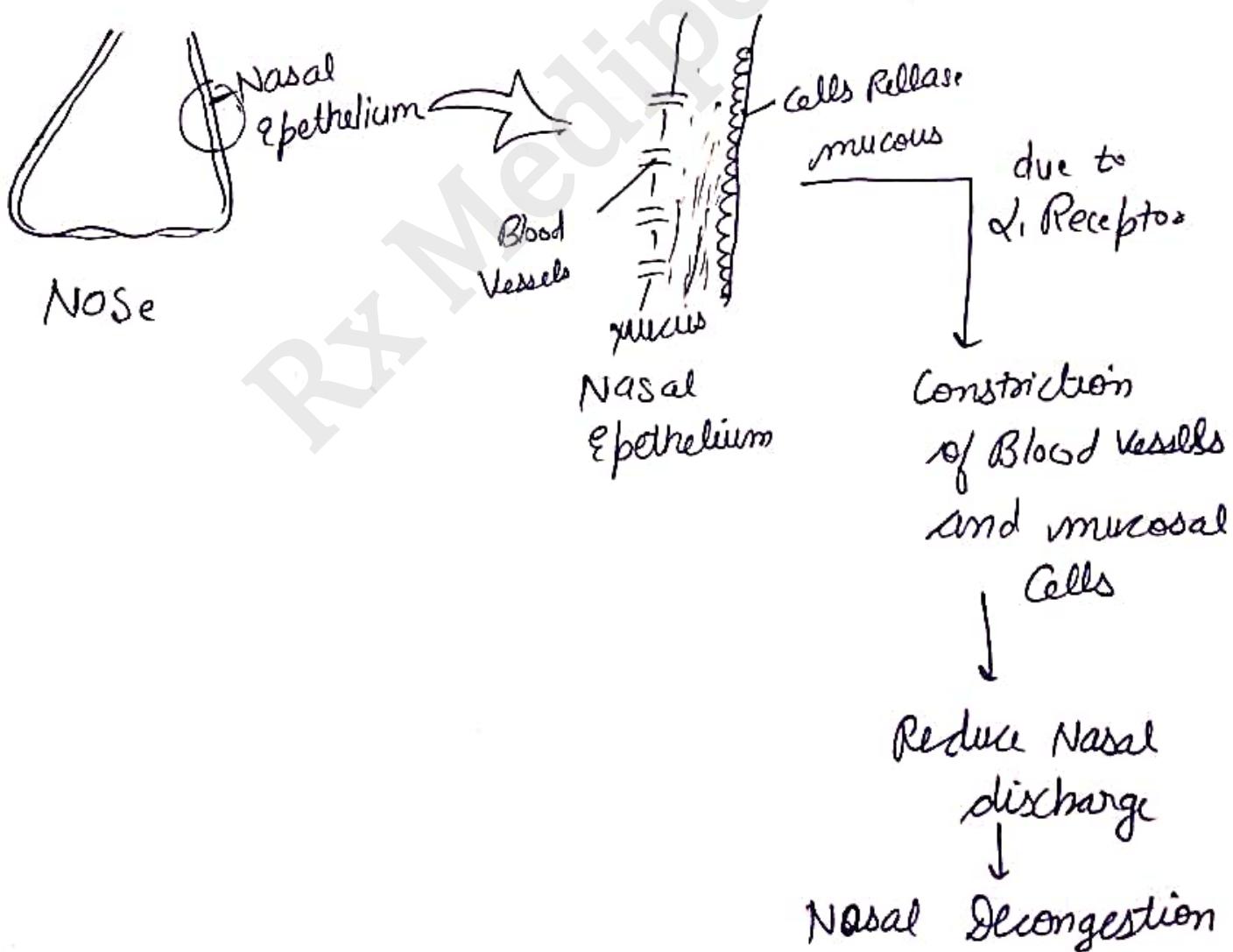
* In Nasal Epithelium



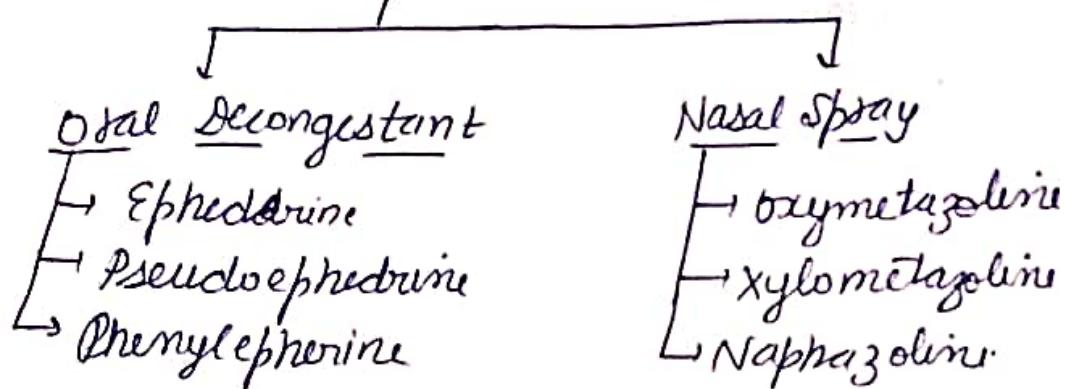
α_1 Agonist / Receptors are Present
which cause vasoconstriction (Blood vessels)



Decrease in Running Nose due to
vasoconstriction.



Nasal Decongestants



Nasal Spray

→ Naphazoline, oxymetazoline and xylometazoline also used in rhinorrhoea.

* Rhinorrhoea - mucus running out of nose

→ Drugs binds to α_1 agonistic Receptors present in Nasal Epithelium

↓

decrease in mucus Secretion and Release

↓

Reduction in Congestion

→ oxymetazoline may also show some α_2 agonistic action.

Oral Decongestant

→ Pseudoephedrine and Phenylpropanolamine are used in common cold oral formulation for relief in Nasal Congestion

ADR - Insomnia, Treatment, Nasal Irritation

By Long Use - Atrophy Nasal mucosa

(Atrophy - Decrease in size of tissue, organ etc.)

- Desensitisation of Receptors
- Loss of Smell
- ~~Anesthesia~~ Anosmia - temporary loss of smell due to Blockage of Nose .

Respiratory Stimulants (Analeptics)

The drugs used in loss of Breathing for increase Lung capacity or Tidal Capacity

→ Drugs can act on Brain stem and spinal cord to stimulate respiratory or vasomotor centres.

Respiratory Stimulants (Drugs)

- Diazepam
 - Nicetamide
 - Theophylline
 - Caffeine
 - Doxopram (Mainly used drug in this Category)
- } - other Categories

Doxopram - Act on Brain and spinal cord

↓
Activate Respiratory and Vasomotor Centres in CNS and PNS

↓
Increase Respiratory Volume
(Ability to Respiration in lung enhanced)

Mechanism of Action :-

It increase chemoreceptors in CNS



Increase in CNS (Central Nervous System) Transmitters
or Neuronal Activity due to increase in
Chemoreceptors.



Increase in Heart rate and Relax Bronchi



Stimulation in Respiration
(Activation)

- Dexamfetamine is a short acting drug with higher Safety.
- Increase Rate of Respiration.

Uses

- In COPD
- In Hypoxaemic and Hypercapnic Respiratory Failure
 - * Hypoxaemic - Reduce Oxygen in Blood
 - * Hypercapnic - Increase CO₂ in Blood

- ADRs :-
- May cause Respiratory Failure
 - Nausea, Cough
 - Restlessness
 - Hypertension

- Tachycardia (increase in heart beat)
- Arrhythmia (Abnormal heart beats)

Contraindications

- Hypoxaemic Respiratory Failure
- Asthma
- Respiratory failure due to epilepsy (Aton)

* high dose may cause convulsions, confusions.

Preparation - Doxapram: 4mg/ml Injection.
(Caropram)

Drug Acting On GIT

GIT - Gastro Intestinal Tract

Anti-Ulcer Drugs

Peptic Ulcer :- Ulcers or Sores produced in the lining of Stomach due access acid Production that Effect Stomach lining.

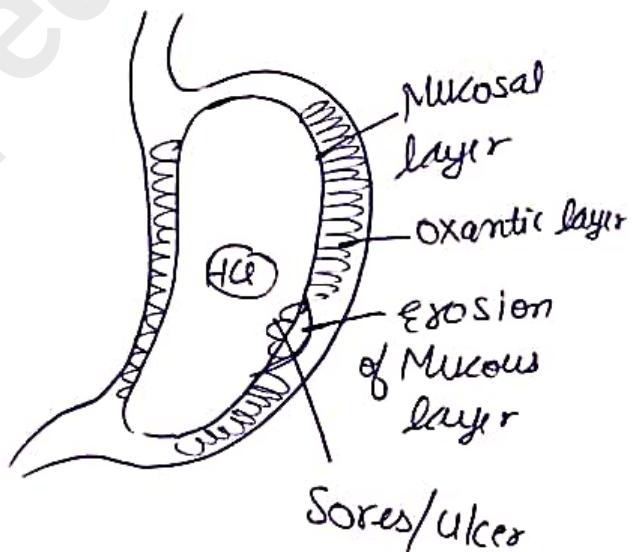
* Oxantic layer in Stomach
Produces HCl

↓
To digest the food and its Breakdown

↓
Mucosal layer can Protect other Stomach layers from HCl.

↓
due to factors , HCl can Destroy the Mucosal layer and due to Interaction of acid with Stomach linings

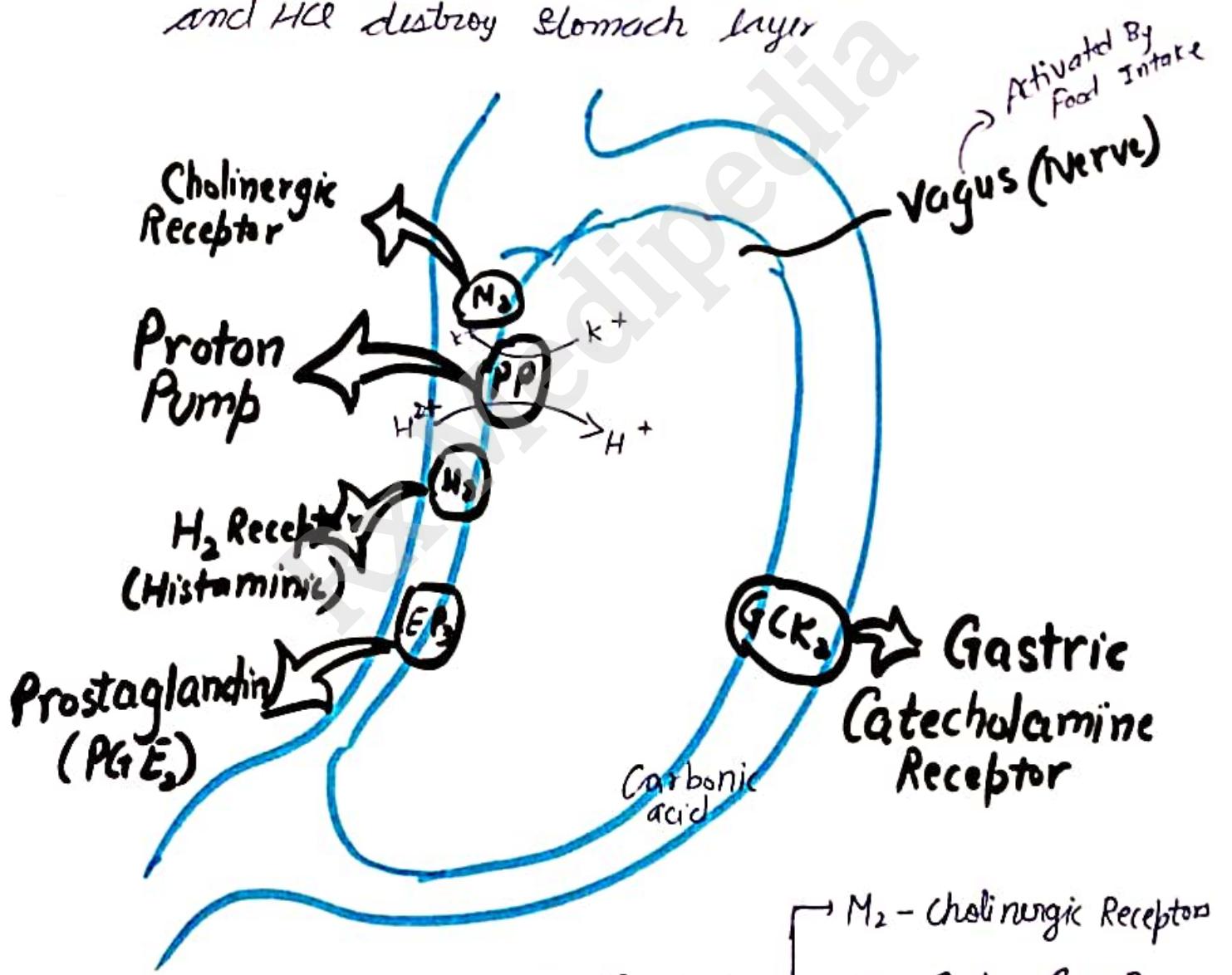
↓
Sores or Ulcers Produced in Stomach with Severe Pain



Causes of Peptic Ulcer

- Imbalance in mucus factors and HCl
 - due to stress condition
 - Fast food etc.
- H Pylori (*Helicobacter Pylori*)

Bacteria eats mucus layer in stomach
and HCl destroy stomach layer



Stomach wall consist of 5 Receptors

- M_2 - Cholinergic Receptor
- PP - Proton Pump
- H_2 - Histaminic Receptor
- PG E₂ - Prostaglandins
- GCK₂ - Gastric Catecholamine Receptor

* When we see, eat or think about food, the vagus nerve activates the different receptors

Example -

* When we imagine food

↓
Gastrin Release from Body

↓
Binds to GCK₂ Receptors

↓
it Activates Proton Pump

↓
HCl Release

* Activation of Vagus Nerve due to

→ Release Acetyl Choline by Cholinergic Neuron

↓
Acetyl choline Binds to M₃ → Activates PP

→ Of wrong food intake

Histamine Release from Mast Cells

↓
Binds to H₂ Receptor in Stomach

↓
Increase cAMP and Proton Pump

↓
Release HCl

→ In Inflammatory Condition

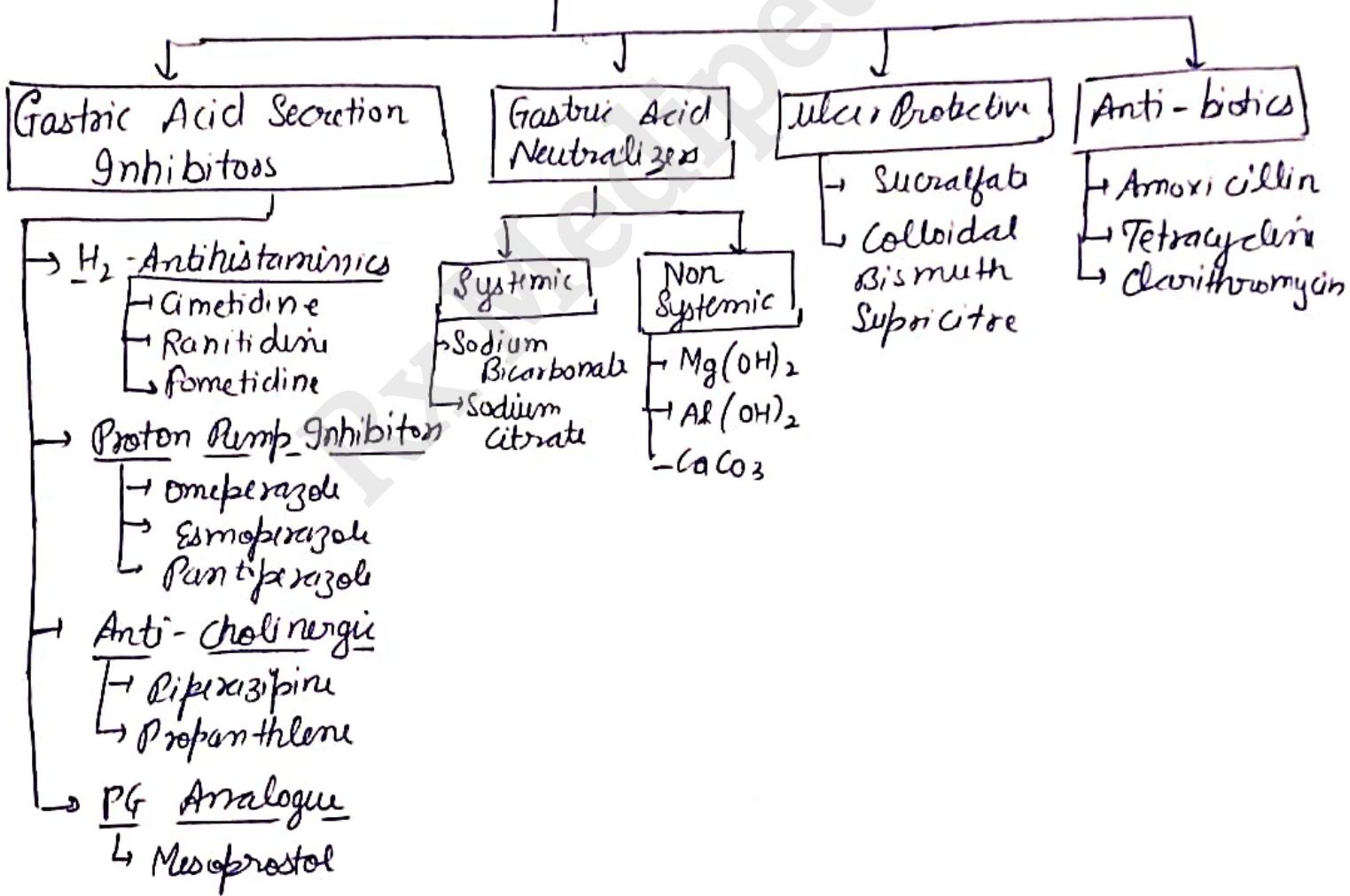
↓
Prostaglandins Release and Binds to EP₃

↓
Inhibit Prostaglandins

* An Enzyme carbonic Anhydrase forms carbonic acid from water and carbondioxide

↓
Carbonic acid Breaks into H^+ or HCO_3^-
↓
 H^+ can help in HA formation

Classification Of Anti Ulcer Drugs



Mechanism of Action

Gastric Acid Secretion Inhibitors

histamine can Activate H₂ Receptor, Acetyl Choline Activates M₁ Receptor, Prostaglandin Activates PG Receptors

↓
They Activates Directly to the Proton Pump(PP)

↓
PP can secrete acid (Reduced)

GA Neutralizer

↓
Basic Drugs can neutralize the HCl acid
↓
Reduce Acidity

Ulcer Protective

↓
These can make a Protective Covering on ulcers

Antibiotics

↓
These can kill H. Pylori Bacteria

↓
Reduction in Breakdown of mucus layer

Drugs Used for Diarrhoea & Constipation

* Gastroenterology

Some amount of water can be absorbed in Large Intestine from Stools or Faeces (about 75%)

When 75% and more water absorbed in Intestine from stool

Stool become hard due to low water content

cause constipation

when water absorbs less than usual (75%)

Stool become watery

cause diarrhoea

Constipation

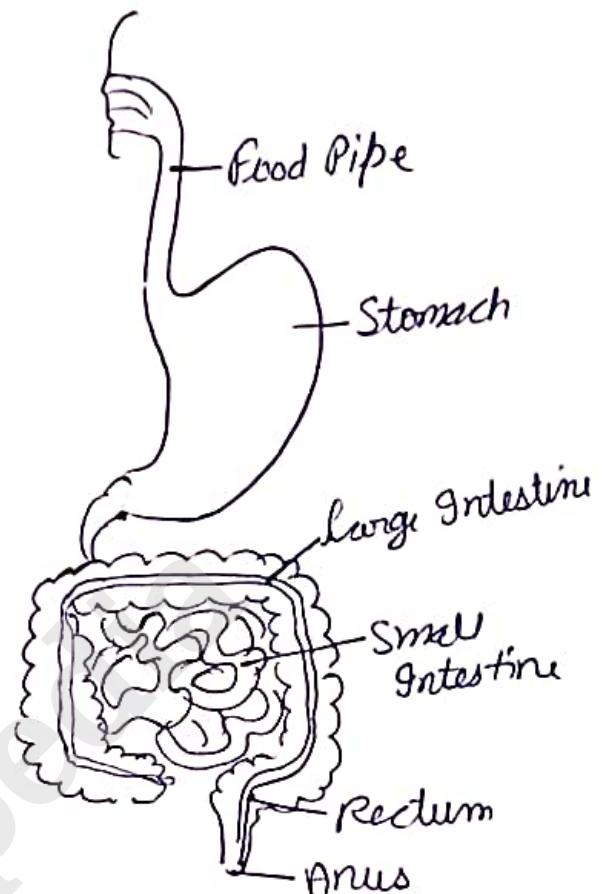
when Reabsorption becomes more than usual in Large Intestine and hardness of faecal material leads to painful and Regulation of Elimination of matter is known as constipation.

Causes :-

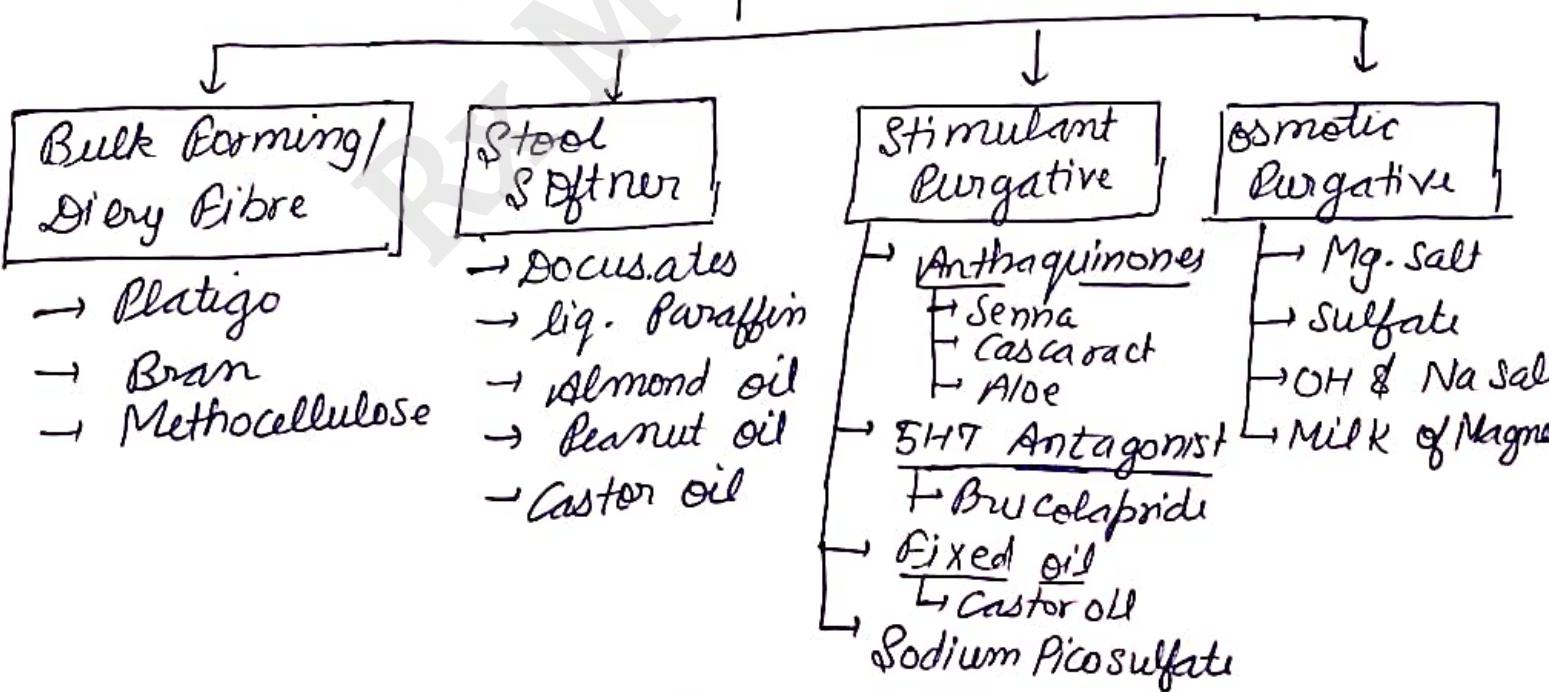
- Drug Induced
- Pregnancy
- Travelling
- Fibreless diet
- Loss of Physical Activity
- dehydration
- Metabolic disorders

Laxative - Medicine used to treat Constipation

→ Purgative & Cathartics - Laxatives in high concentration



Classification of Constipation Relieved Drugs



Bulk Forming / Dietary Fibres

↓

Bulk Formings help in absorption of water

↓

Make Bulk and softens due to H_2O
Absorption

↓

help in Easy Passage of Fecal Matter
& Eliminate

Stool Softner

These are Basically oily in Nature

↓

comes across stool along wall

↓

cause soften the hard stool

↓

help in elimination easy

Stimulant Purgative :

stimulate colon & cecum layer of large intestine

↓

use absorption Rate of H_2O

↓

Stool decrease to harden

↓

Easy Elimination

Osmotic Purgative

↓
Increase osmotic Pressure
↓

Increase water absorption higher concentration
to lower intestinal concentration
↓

Softens the hard stools
↓

help to easy Passage

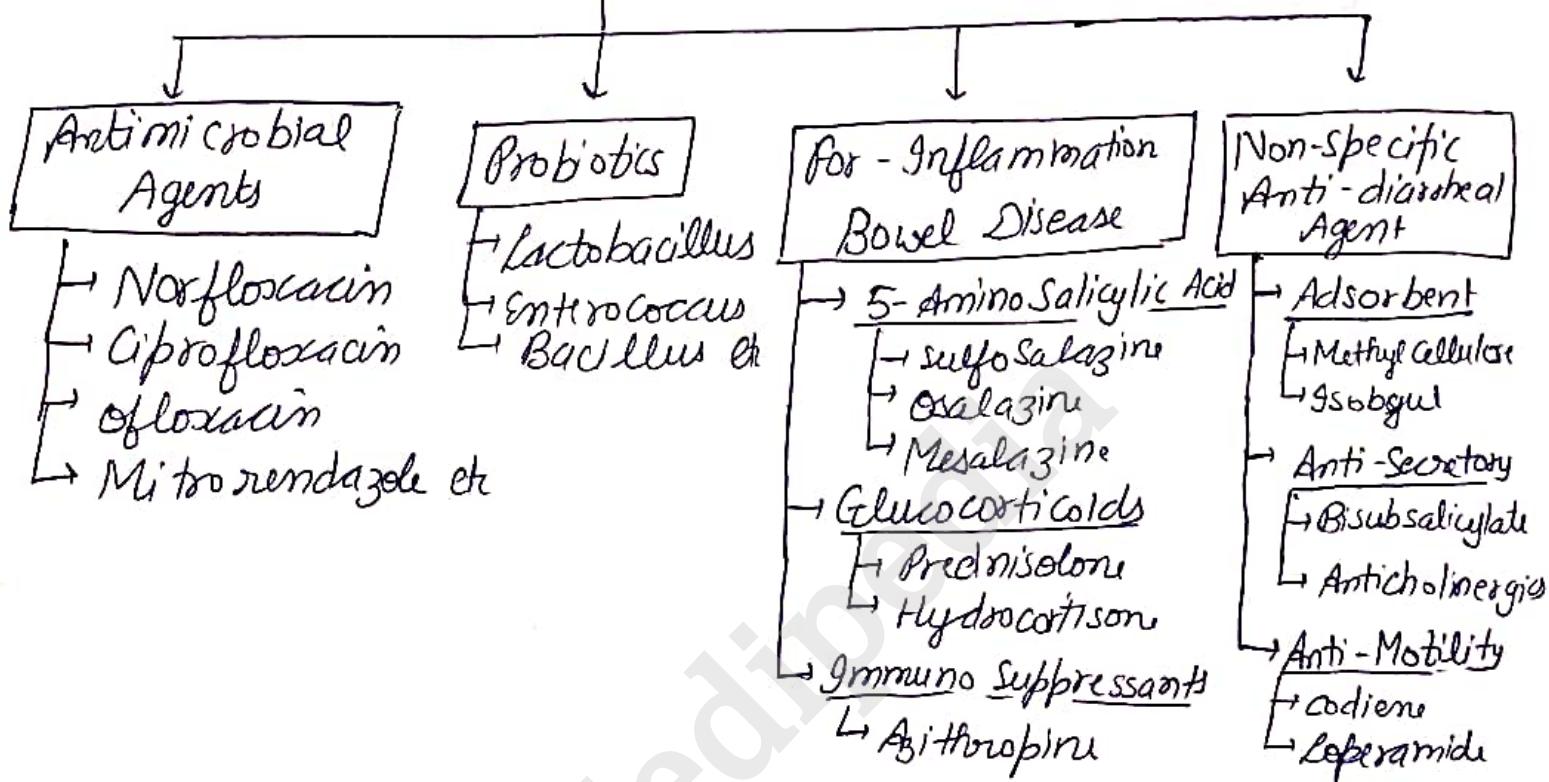
Diarrheal DRUGS

The frequent passage of stool to most watery
mixed form due to low water Reabsorption
in intestine

Causes

- Increase Secretion by Intestinal Mucosa.
- Inflammation of mucosa.
- decrease electrolyte and water absorption
- Microbial Infection.
- low water Reabsorption

Classification of Antidiarrhoeal Drugs



MoA :-

Probiotics :

- Probiotics are a type of good Bacteria that balance the body irregularities.
- used in good Bacteria Deficiency .

Inflammatory Bowel disease :

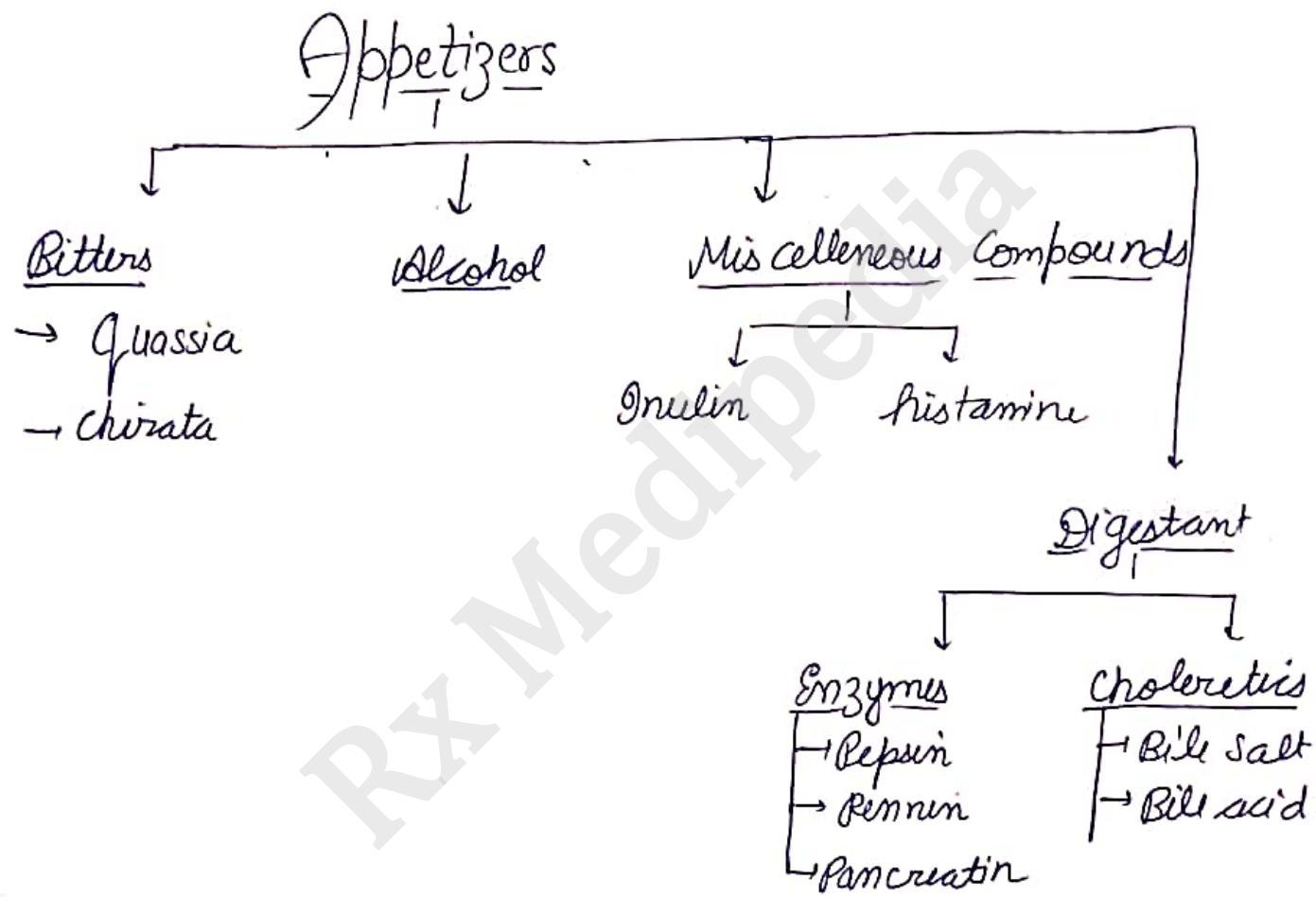
An Intestine, due to Inflammation



Increase Secretion, and cause Diarrhoea

Appetizer & Anorexiants (Appetite Stimulants & Suppressants)

Appetizers * The agents used to increase Appetite (hunger) or treats loss of appetite
(Anorexia - No hunger)



Mechanism of Action :

Bitters :-

quassia, chirata can enhance or activate the Taste Buds

Produce Reflex Secretion of gastric Juice

Alcohol:

These induce the gastric secretion by
Reflex or direct stimulation of taste buds

↓
Stimulation in taste buds can
increase the hunger

Miscellaneous Compounds

★ Inulin → Increase gastric secretion By
Producing hypoglycemia

↓
gastric secretions can enhances
the appetite

★ Histamine → Stimulates gastric glands
→ May have no therapeutic application.

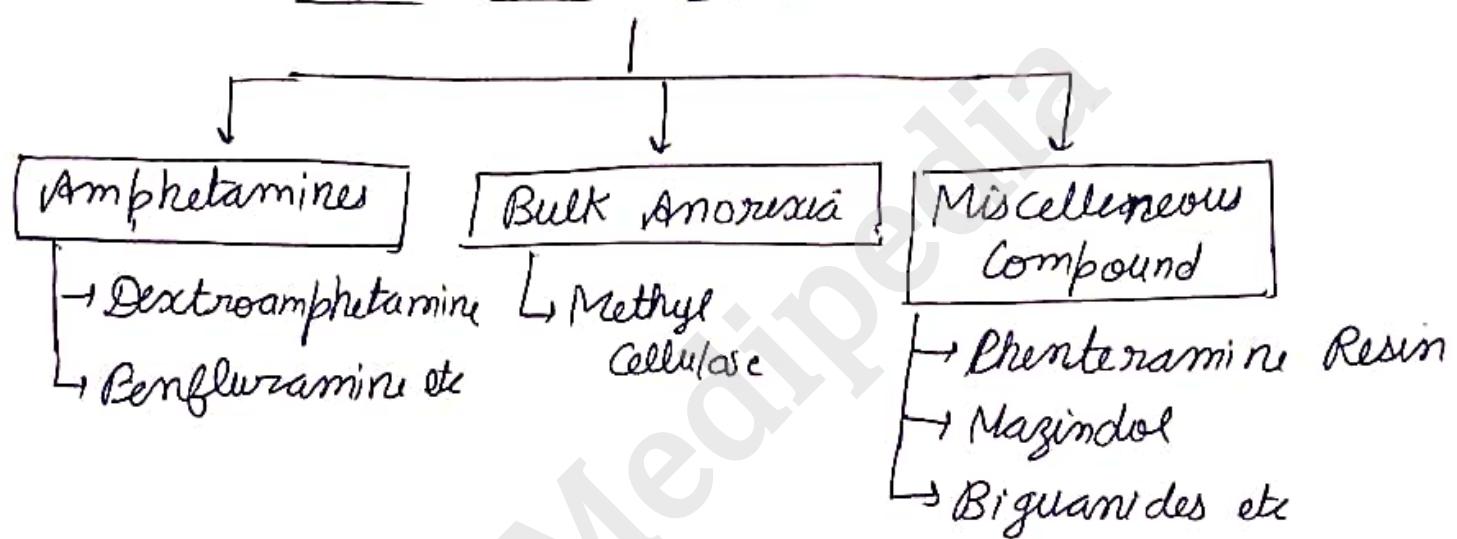
Digestants - Increase digestion process

↓
Increase in elimination and also
hunger

A) Anorexiants : they are the agents that used to suppress the appetite or hunger.

- Decrease hunger
- Mostly used in obesity.

Classification of Anorexiants



Mechanisms of Action

Amphetamine : → It stimulates hypothalamus in CNS
↓
Produce CNS Stimulation and Tolerance
↓
Decrease in Appetite

Bulk Anorexiants :

Bulk Anorexiants are the Non digestible materials
(Resin)



They absorbs water from Stomach and
swell up



Produce feeling of Fullness.

Sialogogues : They can increase Salivary
Secretion in Mouth



More mixing and digestion of Food

→ used in Dry Mouth.

Drugs → Anticholinergics - Atropine

→ Local Astringents - Alum and Tannic acid

→ Anti-histaminic - Promethazine etc

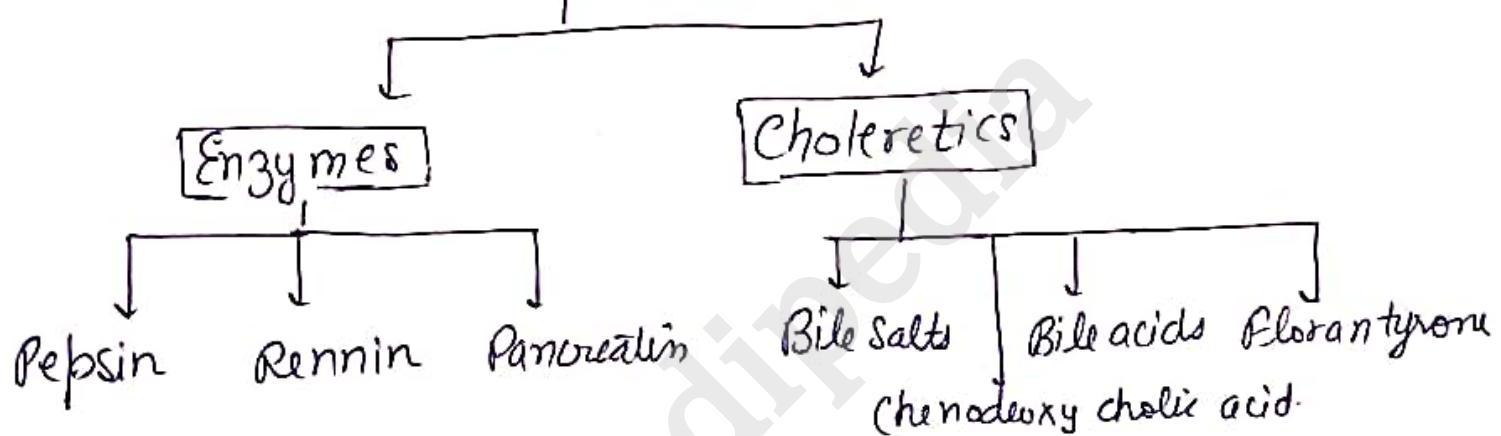
→ ganglionic Blocker - Hexamethonium and
Pentolinium

Digestants and Cimminatives

Digestant - These are the agents can increase the process of digestion.

→ Also used in Acidity, Indigestion.

Classification



* Enzymes :- There are some several enzymes that can enhance the digestive activity.

→ Pepsin :- It is a proteolytic enzyme that helps in breakdown of protein. Secreted by stomach.

* Effective in case of defective secretion of Pepsin.

→ Rennin :- It is a milk curdling enzyme
• obtained from glandular layer of calf stomach

- Rennin have similar use as Pepsin.
- used in preparation of cheese.
- Pancreatin:
 - Pancreatin contains 3 enzymes
 - Amylase
 - Trypsin
 - Lipase
 - It is not useful in GI disorders unrelated to Pancreatic Enzyme deficiency.
 - Administered orally in form of enteric Coated capsules to prevent gastric disorders.
 - used for Replacement of Pancreatin deficiency.

* Choleretics

Choleretics can increase the output of Bile by liver.

- Bile: About 1 litre of bile secreted by liver.

Bile Contents

Bile Contents	→ Bile acids
	→ Cholesterol
	→ Bilirubin

- Bile is important in breakdown of fats in Intestine.

- Cholecystokinin (CCK) is polypeptide can mainly responsible for biliary secretion
- Chenodeoxycholic acid (CDCA) or chenodiol:
 - constituent of Bile.
 - Intaken orally, useful in dissolving gall stones.
 - It help in Reduce Biliary cholesterol
 - Increases bile acid secretions in some Patients.

Carminatives

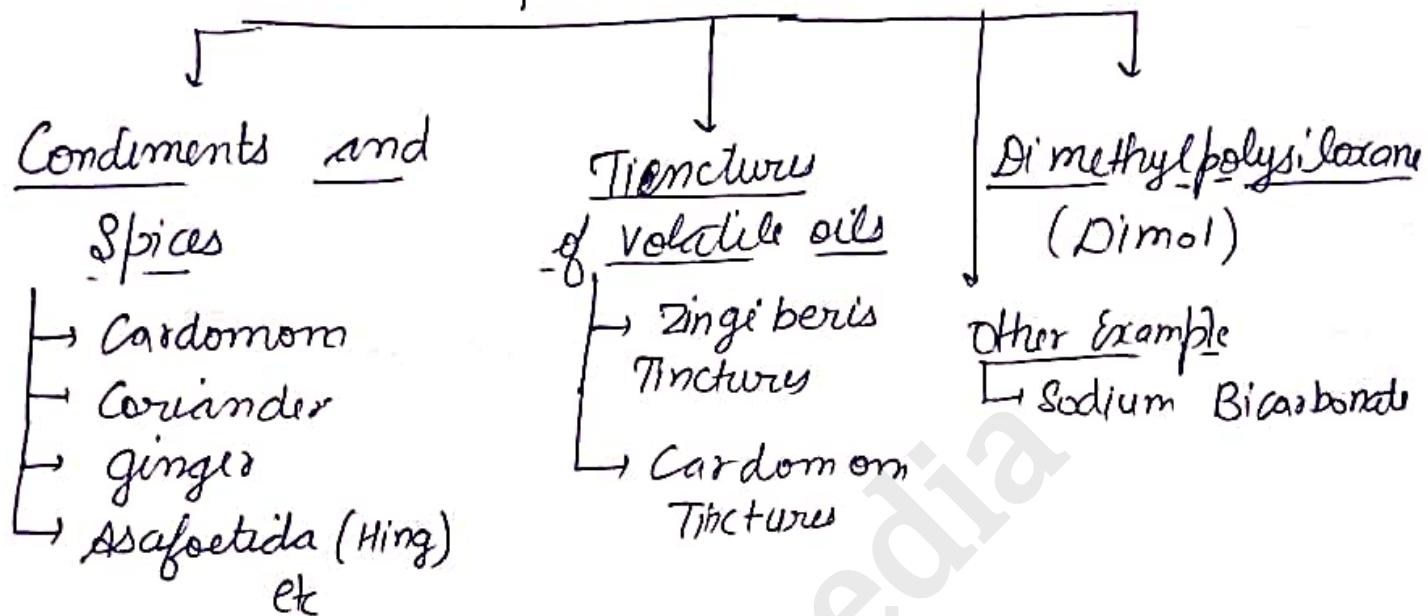
The agents used to Release Excess gas from the Body.

or

The drugs used to Expel gas from Stomach or Intestine.

- Most drugs are aromatic Volatile oils.
- They produces feeling of warmth in Stomach.
- Carminatives offer Relief and audible Satisfaction.

Classification



MoA - These Aromatic Volatile oils cause irritation in gastric Stomach

Increase in Peristaltic movement

Flatulence and gas Release

Dimol - It eliminates Mucus embedded bubbles

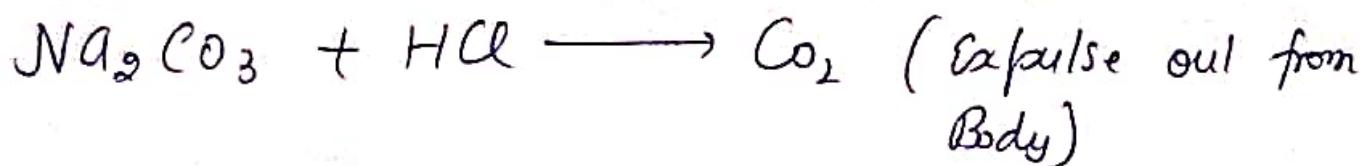
Act as defoaming agents, allow gas to escape from GIT and Provides comfort to Patient.

→ Available in 40mg Tablets.

- Dimol is used in combination with antacids, antispasmodics and Digestants.

Useful Meanings-

- Condiments - Substances used to give flavour to food
example - Spices.
- Tincture - Substance used or dissolved in alcohol used as medium
- Gingiberis - Ginger (Adrak, आदरक)
- Cardamon - Elaichi (तिर्यक)
- Flatulence - gas filled in Stomach (गैस फ्लॉट्यूलेन्स)
- Calf - Baby of Cow (वायरा)
- Bile - प्लाइ, Yellowish / Greenish Digestive, viscous liquid that Breaks fatty acids. produced By liver
- Bicarbonate (Sodium) Na_2CO_3
combine with HCl and CO_2 forms.



Emetics & Anti-Emetics

Introductions:- When the Vomiting Centre of CNS Activated, then the Gastric material Expelled/Expell in opposite direction.

→ Vomiting Centre Present in medulla CNS.

Types of Vomiting - (1) Motion Sickness
(2) Morning Sickness
(3) Gastric Sickness

(1) ^{Morning} Motion Sickness :- Vomiting in Pregnancy Condition

(2) Motion Sickness :- Vomiting during Travelling

(3) Gastric Sickness :- Vomiting during wrong food intake

Physiology : In Brain, Cerebellum Port consist of Chemo-Sensitive Trigger (CTZ) Zone activates.

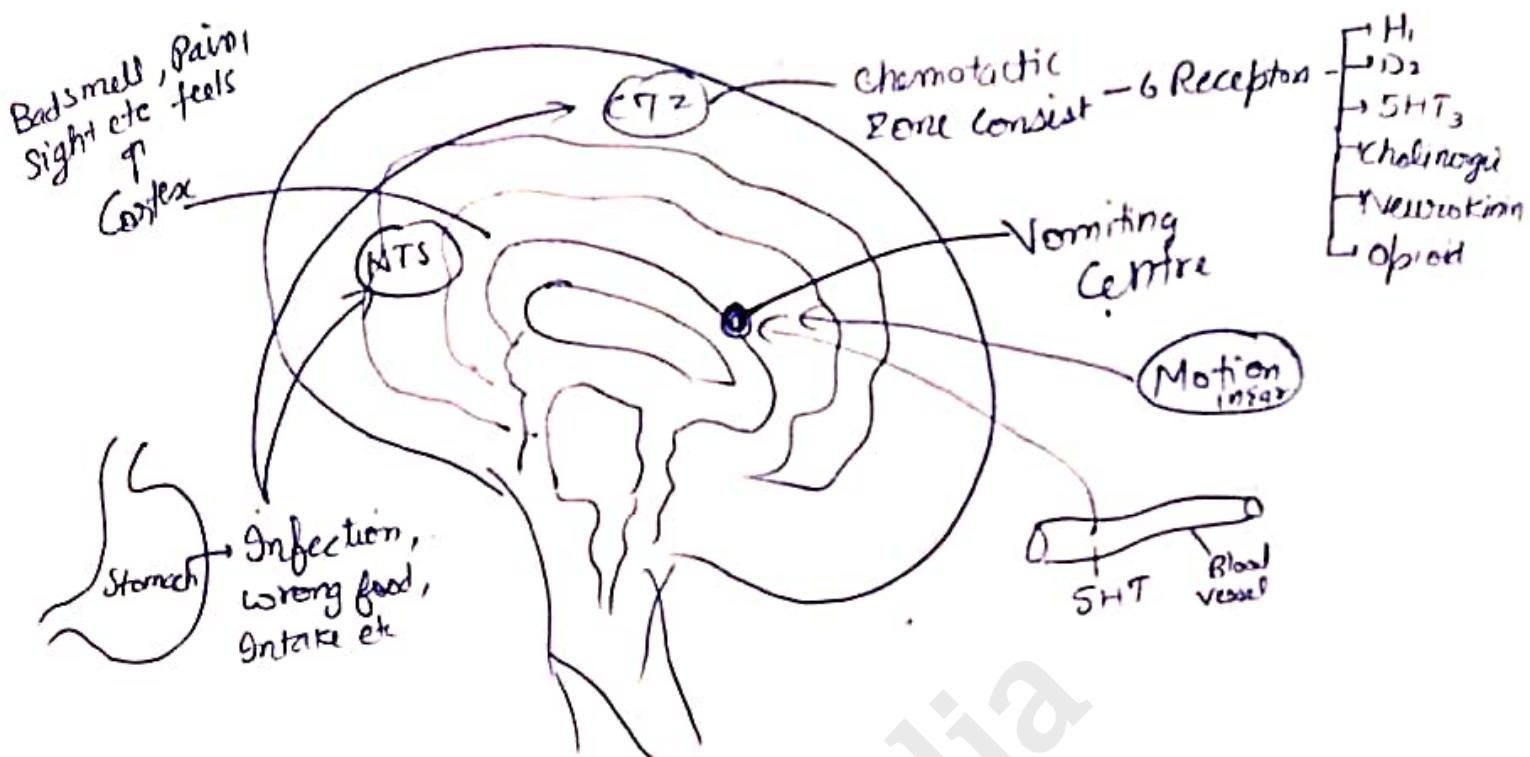


It activates Vomiting Centre.

* In Cerebellum, Nucleus Tractus Solitarius (NTS) activates



Activates and stimulates Vomiting Centre



- * CT2 Part consist of 6 Receptors, when the Receptors binds to any drug , then Vomiting Centre activates

Receptors - H_1 - histaminic
 D_2 - Dopaminic
 $5HT_3$ - β
Cholinergic
Neurokinin Receptor
Opioid Receptor

- * During Motion or Travelling

↓
Ear consist ear oscillus

↓
When internal ear produces Vibrations due to motion

↓
Activates Cerebellum that consist NTS and CT2 Zone

↓
Vomiting centre activates

- * During Thinking, Smell, Pain, Sight of any worse thing, then cortex part of Brain activates Vomiting Centre
- * In our Intestine / Stomach, 5HT and PG Receptors found



due to wrong food, medication, drink intake,
Infection



Irritation and Inflammation due to
histamine and Prostaglandin Release.



Activates and Binds to NTS and CT2



Activates Vomiting Centre



Vomiting

- * In Blood Vessels, RBC and Platelet consist of 5HT Receptor



when any Cytotoxic drug, morphine, Ergot etc drug intaked and Binds



Binds to Receptors of Blood Vessel



• Cause Activation of Vomiting Centre

Vomiting

Classifications of Anti-Emetics

- Anticholinergics - Example - Hyosine, Dicyclomine etc.
- H₁ Anti-histamines - Example - Bromethazine, Doxylamine, Diphenhydramine, Dimethindine, Meclozine etc.
- Neuroleptics - Chlorpromazine, trifluoperazine, Prochlorperazine etc.
- Prokinetic Drug - Metoclopramide, Domperidone, Cisapride, Mesopride, Itopride etc.
- 5HT₃ Antagonists - Ondansetron, Granisetron, Romesetron etc
- NK₁ Receptor Antagonists - Aprepitant, Fasپریتانت
(Cancer cases)
- Adjunct Anti-emetics - Benzodiazepine (BZD), Naloxone.

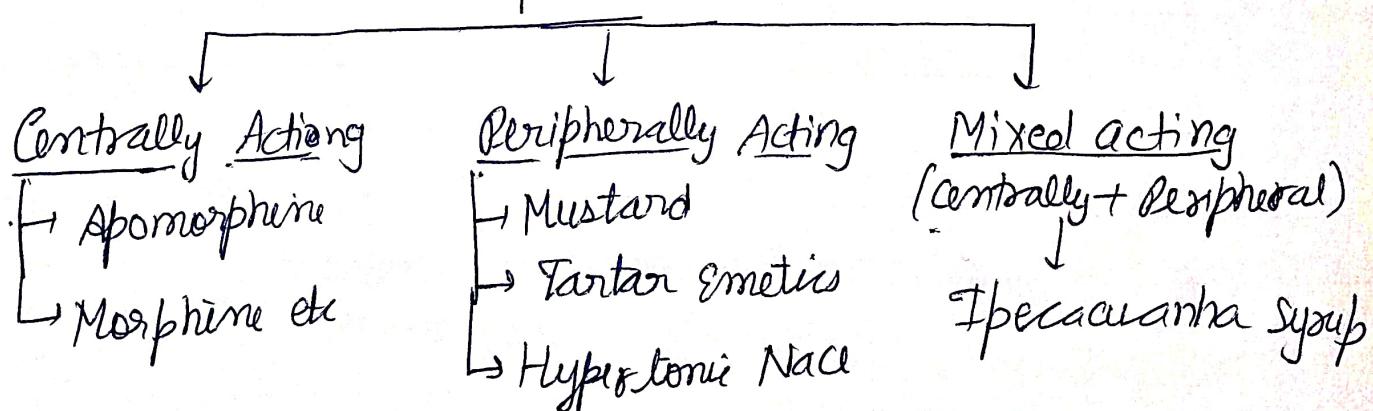
Emetics - drugs used to induce vomiting

Vomiting occurs due to stimulation of Emetic centre located at Medulla oblongata (TZ)

* Causes

- Drug Treatment Induced - cancer chemotherapy, cardiac glycosides, Quinine, Toxic agent etc
- Natural (Pregnancy) - Physiological changes
- Infectious Cancer - GIT inflammation, Viral Fever.
- Pathological conditions - Malignancy
- Post operative - Anesthesia , Analgesia (After Pain)
(Before Pain)
- CNS Related Causes - Anticipatory Migration.

Classification of Emetics



Centrally Acting - Stimulates CT 2
↓
Cause Vomiting

Peripherally Acting - Act on Other than Brain and
Spinal Cords.
↓
Cause Vomiting (irritates gastric Mucosa)

Objectives - widely used to eliminate Poisons

- Apomorphine - Semisynthetic derivative of morphine
→ Act on/as Dopaminergic Agonist at CT2
↓
Induce Vomiting

Onset of Acting - 5-15 minutes

Contraindications - Respiratory Depression.

↳ May cause CNS Depression.

- Mustard - Irritates gastric mucosa
↓
Reflux Vomiting

→ They form Volatile oil (Reaction with glycosidase and Enzyme in presence of water)

- Hypertonic Solution of HCl - Irritates gastric mucosa
↓

Prepared By addition of NaCl (salt) in H_2O

- Specacuanha - Contain Emetin Alkaloid (Plant source)

- Irritate gastric mucosa
- Also Trigger CTZ

Contraindication

- Corrosive (Strong Acid & Alkali)
- CNS increase stimulation
- Petroleum Intake.
- Unconscious.