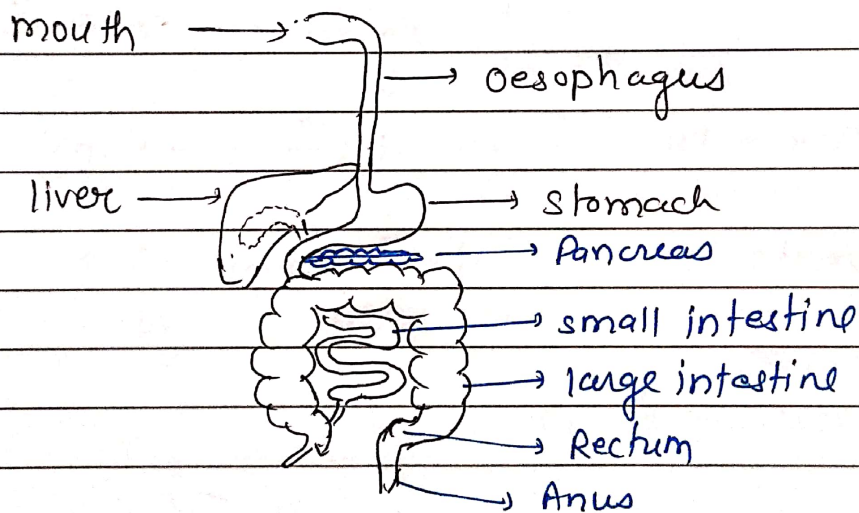


UNIT - III

GASTROINTESTINAL AGENTS

Date: / / Page no: _____

- ⇒ The Agents that are used to treat Gastro-intestinal disturbance.
- ⇒ Various inorganic agents are used to treat GIT disorders.
- ⇒ Gastrointestinal tract includes mouth, stomach, small intestine, large intestine, rectum anus, & its corresponding glands. (salivary pancreas, gall bladder)



- Mouth :: for mastication, mixing of food
- oesophagus : transfer of swallowed food.
- stomach : HCl production, digestion
Activation of enzyme, kills harmful bacteria.
- small intestine : Digestion & movement of food.
- large intestine : Absorption of H₂O & movement of

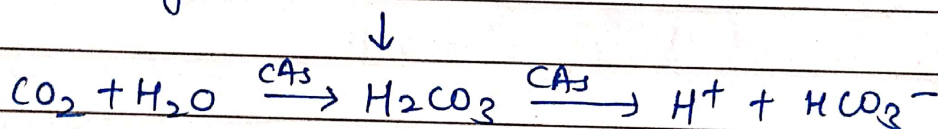
Drugs affecting G.I. system are used for treatment of

- Gastric Acidity
- Peptic ulcers
- Gastro-esophageal reflux disorder
- Bowel motility disorder etc.

Gastric Acid Production

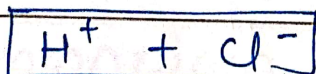
→ Gastric Acid is secreted from para "Parietal cell"

Parietal cell stimulated by "Gastrin, Histamine + vagus nerve"



H⁺ is pumped into lumen of stomach by H⁺/K⁺ ATPase

← Cl⁻ is also secreted from cell.



HCl

Types of Gastrointestinal agents

- a) Acidifiers → ↑ HCl
- b) Antacids → ↓ HCl

ACIDIFIERS

Date: / / Page no: _____

→ These are the substance that increase the acidity of stomach, urine or whole body.

→ Gastric acidifiers are used to treat condition like - Hypochlorhydria
→ Achlorhydria

→ Urinary acidifiers

→ They are used to treat urinary infection and kidney stone or to make antibiotic effective.

ex. NH_4Cl

Ascorbic acid

→ Systemic Acidifiers

→ Used to treat metabolic alkalosis
↳ It's characterise by high blood pH

(1) Ammonium chloride

- M. formula = NH_4Cl

- Mol. Mass = 53.49 g/mol

→ solution of NH_4Cl is slight acidic.

PHYSICAL PROPERTY

Appearance → white solid, hygroscopic

Odour → odourless

Taste → cooling saline

m.p. → 338°C Solubility → freely soluble in H_2O

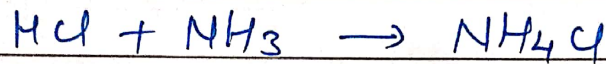
Sparingly sol in alcohol.



Preparation

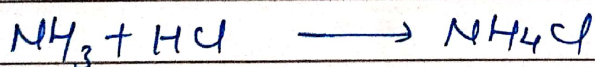
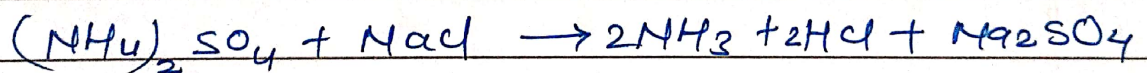
(1) Neutralization of Ammonia & HCl, yields NH_4Cl . (commercial preparation)

- Purification is done by sublimation from iron pan.



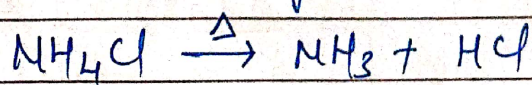
(2) From $(\text{NH}_4)_2\text{SO}_4$ & NaCl.

When Ammonium sulphate react & sodium chloride it gives NH_3 , HCl & sodium sulphate. these ammonia & HCl react to form NH_4Cl .

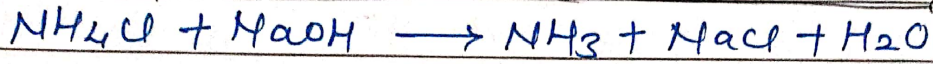


Reaction of Ammonium chloride

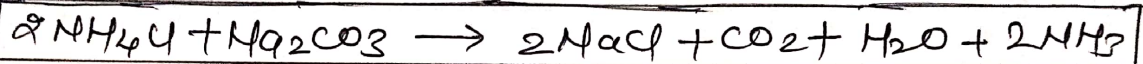
* NH_4Cl on heating decompose into NH_3 & HCl.



→ Ammonium chloride react \bar{c} strong base like NaOH to release ammonia.



→ Ammonium chloride react \bar{c} alkali metal carbonate at elevated temperature.



Assay

1 gm NH_4Cl dissolved in 20 ml H_2O

↓

Add a mixture of HCHO + phenolphthalein drops

↓

After 1-2 minut.

↓

Titrate slowly \bar{c} 1M NaOH

→ 1 ml of 1M NaOH \bar{c} 53.49 mg of NH_4Cl .

→ End point is → pale to pink colour

USES

1) NH_4Cl is used as an expectorant in cough medicine.

→ Ammonium salts are irritant to gastric mucosa, can cause Nausea & vomiting.

→ Used as an acidifying agent to treat severe metabolic alkalosis.

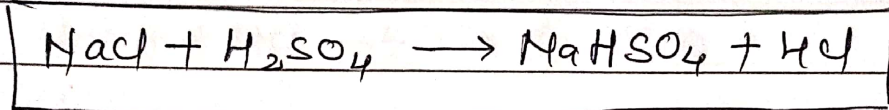
⇒ Dose : 1-2 gm

Storage : tightly closed container.

Hydrochloric Acid (HCl)

Date: / / Page no: _____

- M. formula : HCl
- Synonyms : spirit of salt, Muriatic acid
- Preparation : It can be prepared by the action of concⁿ of H_2SO_4 & NaCl and passing the liberated HCl th^o thro.



Properties

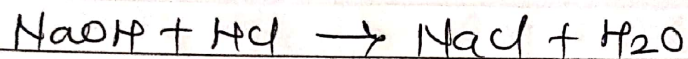
- It is colourless liquid, strongly acidic.
- It is miscible wth H_2O , alcohol having specific gravity of 1.18.
- It is strong acid and attacks metals, forming their hydrochloride wth the evolution of HCl gas.
- even in high diluted form, it is strongly acidic to litmus.

Identification

- When it is added to $KMnO_4$ solution, Cl_2 gas is liberated.

Assay

It gives simple acid base neutralization reaction when titrated with 1N NaOH, using Methyl orange as an indicator.



Storage

→ It should be stored in well light closed container (glass)

Uses

- 1) Used as pharmaceutical acid or as an acidifying agents.
- 2) Used as gastric acidifier when HCl in gastric juice is low
- 3) Externally used as solvent, catalyst etc.

Antacids

Date: / / Page no: _____

→ These are the agents that neutralize the excess of acid in stomach of patient suffering from "hyperacidity"

→ It gives symptomatic relief from pain by neutralizing excess of HCl.

Classification of Antacids

(1) Systemic (Absorbable) Antacids

→ These are readily absorbable and capable of producing systemic electrolyte alteration
e.g. (Na_2CO_3)

(2) Non-systemic (Non-absorbable) Antacids

→ These are not absorb to a significant extent and thus do not alter appreciable systemic effect.

(a) Aluminium containing antacids

- Aluminium Hydroxide,
- Aluminium phosphate.
- Aluminium Carbonate
- dihydroxy aluminium amino-carbonate, acesalr.

(b) Calcium containing antacids

- CaCO_3
- Trisbasic Calcium PO_4

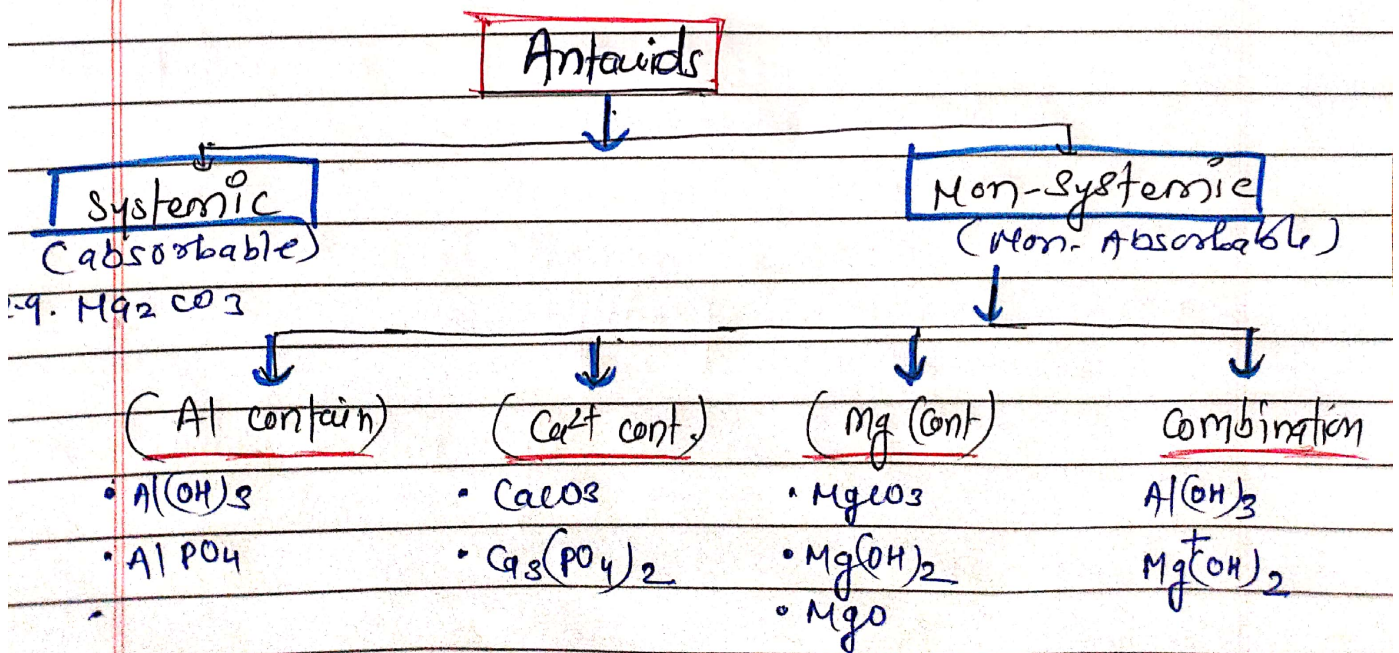
(c) Magnesium containing antacids

- MgO
- Mg(OH)₂
- Magnesium citrate
- Magnesium oxide
- Magnesium PO₄

(d) Combination antacid Preparation

- Aluminium hydroxide gel
- Mg(OH)₂
- Magnesium trisilicate
- Semisolid containing antacids
- Calcium carbonate containing antacids

Summary



Ideal Properties of Antacids

antacid should:

- (1) Not be absorbable or cause systemic alkalosis.
- (2) Not liberate CO_2 , and cause rebound hyperacidity.
- (3) Not interfere \pm absorption of food.
- 4) Not be laxative or cause constipation.
- 5) be quick acting and exert effect for long time
- 6) Buffer in pH range 4-6.
- 7) Probably \downarrow pepsin
- 8) be palatable and inexpensive.

* Patient suffering from gastric ulcer should take antacid + anti-ulcer agents.

Systemic Antacids

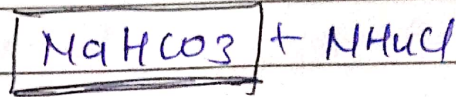
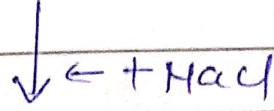
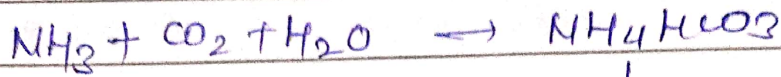
(1) NaHCO_3 (sodium Bicarbonate)

- M. formula = NaHCO_3
- m. wt. = 84
- Synonyms = Sodium Bicarb, Sodium hydrogen Carbonate
- Solubility \rightarrow H_2O soluble

* Shows rapid acting and short duration of time.

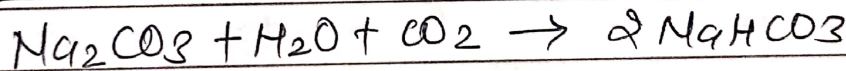
Preparation

① By Solvay process (Ammonia Soda Process)



② Medicinal grade NaHCO_3 is prepared from pure sodium carbonate.

This is prepared when CO_2 gas is passed over water & NaHCO_3 mixture.



Mechanism

→ NaHCO_3 produce $\text{CO}_2 \uparrow$ in stomach & resulting belching & give physiological relief.

→ It is absorbed and may cause fluid overload or alkalosis.

→ It should be avoided in elderly patient & hypertension, heart or renal failure.

Properties

- Appearance = white crystalline powder
- Odour = Odourless
- Taste = saline
- Solubility = Soluble in H₂O but Insoluble in alcohol.
- pH = 8.2

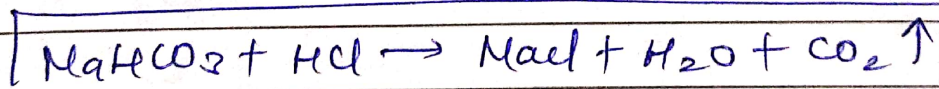
Incompatibility

→ ↓ absorption of Tetracycline from G.I.T.

Storage: well closed container.

Uses

- (1) It causes sharp ↑ gastric pH above 7. It neutralize acid but produce CO₂.



- (2) In Treatment of acidosis.
- (3) Used as electrolyte replenisher
- (4) 8.5% solution in warm water is used as eye lotion.

Non-Systemic Antacid

Date: / / Page no: _____

Aluminium Containing Antacids

(1) $Al(OH)_3$ (Aluminium Hydroxide)

→ chemical formula $Al(OH)_3$

→ It is present in two forms.

(a) Aluminium hydroxide Gel

(b) Dried Aluminium hydroxide Gel.

(a) Aluminium Hydroxide Gel

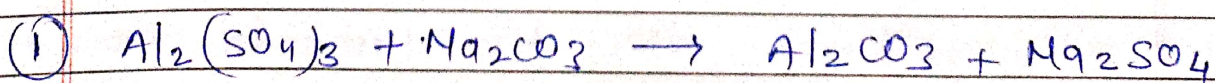
→ It is an aqueous white viscous suspension of hydrated aluminium oxide & varying amount of Aluminium Carbonate.

(b) Aluminium Hydroxide Gel (Dried)

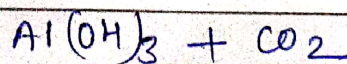
→ It is white, odourless, tasteless, amorphous powder.

→ Insoluble in water & alcohol but soluble in dilute mineral acids.

Preparation



↓ hydrolysed



Stability

- At heating more than 30°C results in gradual dehydration and loss of therapeutic value.

Storage

- Stored at temperature not exceeding 25°C .

Dose: 15 ml (4-6 times/day)

CALCIUM CONTAINING ANTACIDS

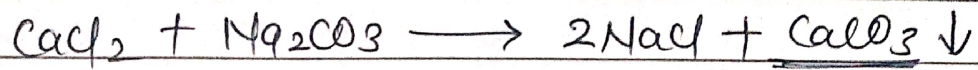
- These are basic in nature.
- insoluble in H_2O , soluble in acidic media
- It act rapidly but Ca^{2+} liberated causes hypercalcemia
- These are generally constipating they are used in combination \pm magnesium antacids.

Calcium Carbonate

- formula = CaCO_3
- synonyms = Precipitated chalk, Precipitated CaCO_3
- Most abundant and widely distributed Ca salt.
- It occurs as chalk, limestone, marble aragonites, calcite. etc.

Preparation

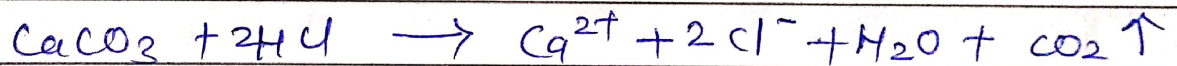
→ It is prepared by mixing of CaCl_2 & Na_2CO_3 .



⇒ Properties

- * Appearance → fine, white crystalline powder,
- * Odour → odourless, & tasteless.
- * Solubility → insoluble in H_2O

Reaction in Hel



* Most discomfort is release of CO_2 .

* Due to its constipating effect it is used in combination with magnesium antacids.

Dose: 1gm (4 to 6 times/day)

Mg containing antacids

magnesium carbonates

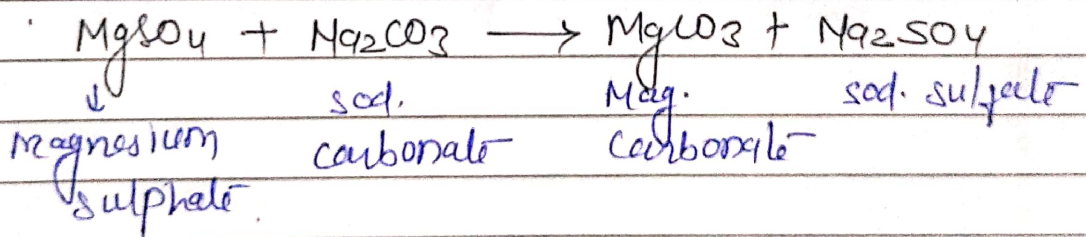
- It is hydrated basic magnesium carbonate.
- ↳ have 40-45% of MgO.

Property

- These are white, odourless powder
- Insoluble in H₂O and alcohol
- Soluble in dilute acids.

Preparation

- By mixing of hot solution of MgSO₄ & Na₂CO₃.



Assay

15 gm MgCO₃ dissolved in 20 ml mixture of H₂O & 2 ml, 2M HCl.

↓ ← Add 50 ml H₂O
+ 10 ml NH₄Cl

Titrate w 0.05M EDTA

↓ ← Indicator (Mordant black II)

blue colour

USES

- Antacid
- Laxative
- Pharmaceutical acid.

COMBINATION ANTACIDS

- As no single antacids meet all requirements for an ideal antacid.
- Several marketed products are present in combination in attempt to balance constipating effect of calcium & Al compound with laxative effect of Mg compound.
- Sometime Antiflatulents & defoaming agents are added.
- They provide symptomatic relief.

EX. $\text{Al}(\text{OH})_3$ Gel + $\text{Mg}(\text{OH})_2$ combination.

$\text{Al}(\text{OH})_3$ Gel + Magnesium hydroxide etc.