B. PHARMACY 1ST SEMESTER 🜗





UNIT – 3 (A) GASTROINTESTINAL AGENTS



POINTS TO BE COVERED IN THIS TOPIC



- INTRODUCTION 🔆
- **ACIDIFIERS**
- ANTACID
- CATHARTICS
- **ANTIMICROBIALS**

INTRODUCTION

Gastrointestinal agents are specialized pharmaceutical compounds that exert their therapeutic effects specifically on the gastrointestinal system. These medications serve multiple critical functions including the control of gastric acidity levels, regulation of gastrointestinal motility and water flow dynamics, and enhancement of digestive processes. The gastrointestinal tract represents one of the most complex physiological systems in the human body, requiring precise pharmacological intervention to maintain optimal function and treat various pathological conditions.



Definition and Classification

Drugs utilized to increase acidity levels are scientifically termed as Gastric acidifiers or acidifying agents. These pharmaceutical compounds function through various mechanisms - some are designed to increase gastric hydrochloric acid (HCl) production, while others are formulated to induce metabolic acidosis for therapeutic purposes. The comprehensive classification system divides acidifiers into four distinct categories based on their site of action and therapeutic applications.

Classification of Acidifiers

Туре	Primary Function	Clinical Application	
Gastric Acidifiers	Increase stomach acidity	Achlorhydria, Hypochl <mark>or</mark> hydria	
Urinary Acidifiers	Acidify urine pH	Urinary tract infections	
Systemic Acidifiers	Neutralize alkaline body fluids	Systemic alkalosis	
Pharmaceutical Acids	Formulation aids	Medicament preparation	
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1. GASTRIC ACIDIFIERS

These specialized pharmaceutical agents are specifically formulated to increase the acidity levels within the stomach environment. They are primarily prescribed for patients suffering from **Achlorhydria** (complete absence of hydrochloric acid in gastric secretions) or **Hypochlorhydria** (insufficient quantity of HCl acid in gastric secretion). These conditions

significantly impair the digestive process, particularly protein digestion and mineral absorption.

2. URINARY ACIDIFIERS

Urinary acidifying agents are therapeutic compounds designed to promote the elimination of acidic urine from the body while maintaining optimal urinary pH levels. These medications demonstrate significant clinical efficacy in treating specific types of urinary tract infections, particularly those caused by alkaline-loving pathogens. The acidification process creates an unfavorable environment for bacterial growth and enhances the effectiveness of certain antimicrobial agents.

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3. SYSTEMIC ACIDIFIERS

Systemic acidifying agents possess the capability to neutralize alkaline body fluids, with particular emphasis on blood pH regulation and maintenance of physiological pH balance throughout all body compartments. These medications are essential in treating patients suffering from systemic alkalosis, a potentially life-threatening condition characterized by elevated blood pH levels.

4. PHARMACEUTICAL ACIDS 💂

These acidifying compounds serve as crucial pharmaceutical aids in the preparation, formulation, and stabilization of various medicaments. They play essential roles in pH adjustment, stability enhancement, and compatibility improvement in pharmaceutical formulations.

SPECIFIC ACIDIFIERS



AMMONIUM CHLORIDE 🥕

Molecular Formula: NH₄Cl

Properties 🔬

Ammonium chloride solutions exhibit mild acidic properties, making them suitable for various pharmaceutical applications. This compound presents as an odorless substance with a distinctive cooling saline taste that is characteristic of many ammonium-based compounds. Physically, it appears as a white crystalline solid with hygroscopic properties, meaning it readily absorbs moisture from the surrounding environment.

Solubility characteristics:

- Easily soluble in water and glycerol
- Limited solubility in ethanol
- Forms clear, colorless solutions when dissolved

Uses and Mechanisms

Primary Application - Expectorant in Cough Medicine: Ammonium chloride functions as an effective expectorant through its irritative properties on respiratory tract tissues. This irritation stimulates increased fluid production in the respiratory tract, which facilitates the loosening and expectoration of mucus. The mechanism involves direct action on bronchial mucosa, promoting productive coughing and clearing of respiratory secretions.

Side Effects and Precautions: The compound's irritative properties extend to gastric mucosa, frequently causing nausea and vomiting in sensitive

patients. This gastrointestinal irritation limits its use and requires careful dosing considerations.

HYDROCHLORIC ACID (DIL. HCI)

Molecular Formula: HCl

Synonyms: Spirit of Salt, Muriatic Acid

Preparation Methods =

Industrial Preparation Process: The pharmaceutical-grade hydrochloric acid is prepared through the controlled reaction of concentrated sulfuric acid with sodium chloride. The liberated hydrogen chloride gas is then passed through purified water to achieve the desired concentration:

NaCl + H₂SO₄ → NaHSO₄ + HCl

This method ensures high purity and consistent concentration suitable for pharmaceutical applications.

Properties 🔬

Physical Characteristics:

- Nearly colorless, fuming liquid at room temperature
- Pungent, characteristic odor
- Complete solubility in water and alcohol
- Strong acid properties with metal-attacking capabilities

Chemical Properties:

Reaction with Metals: 2Na + 2HCl → 2NaCl + H₂

Oxidation by Strong Oxidizing Agents: $2KMnO_4 + 16HCI \rightarrow 2MnCl_2 + 2KCI + 8H_2O + 5Cl_2\uparrow$

Storage Requirements |

Hydrochloric acid requires specialized storage conditions to maintain stability and prevent degradation:

- Storage in well-closed containers made of glass or inert materials
- Temperature maintenance not exceeding 30°C
- Protection from light and moisture
- Adequate ventilation to prevent vapor accumulation

Pharmaceutical Uses

Primary Applications:

- Functions as a pharmaceutical aid in various formulations
- Acts as an effective acidifying agent in specific therapeutic contexts
- Inactivates proteolytic enzymes, particularly pepsin, when required

Clinical Considerations: Water-soluble antacids such as sodium bicarbonate can raise gastric pH above 7, potentially interfering with normal digestive processes. Continuous hyperacidity conditions present significant risk factors for the development of peptic or duodenal ulcers, requiring careful monitoring and management.

ANTACIDS

Definition and Mechanism

Antacids are therapeutic agents specifically designed to decrease gastric acidity through the neutralization of hydrochloric acid (HCI) present in gastric secretions. These medications are primarily utilized in hyperchlorhydria conditions to provide symptomatic relief from pain, discomfort, and associated gastrointestinal symptoms. The effectiveness of antacid formulations is scientifically measured through their neutralizing capacity, which quantifies their ability to neutralize a specific amount of gastric acid.

Classification of Antacids 📊



Category	Absorption	Systemic Effects	Examples
Systemic (Absorbable)	Readily absorbed	May cause alkalosis	Sodium Bicarbonate
Non-Systemic (Non- Absorbable)	Minimal absorption	No systemic effects	Al, Mg, Ca salts

1. SYSTEMIC (ABSORBABLE) ANTACIDS

Systemic antacids are characterized by their soluble nature and ready absorption into the systemic circulation. This absorption property enables them to cause systemic electrolytic alterations and potentially induce metabolic alkalosis, particularly with prolonged or excessive use. The rapid onset of action makes them effective for immediate symptom relief, but their systemic effects require careful consideration in clinical practice.

2. NON-SYSTEMIC (NON-ABSORBABLE) ANTACIDS



Non-systemic antacids are formulated to remain largely unabsorbed following oral administration, thereby avoiding significant systemic effects while providing localized gastric acid neutralization. These formulations offer sustained acid-neutralizing effects without the risk of systemic alkalosis, making them safer for long-term use.

Examples include:

- Aluminum-based compounds: Aluminum hydroxide, Aluminum phosphate
- Magnesium-based compounds: Magnesium carbonate, Magnesium hydroxide
- Calcium-based compounds: Calcium carbonate, Tribasic calcium phosphate

Ideal Properties of Antacids

Physical and Chemical Properties

- Fine particle size: Ensures rapid dissolution and increased surface area for acid neutralization
- Non-laxative properties: Prevents unwanted gastrointestinal disturbances
- Rapid onset of action: Provides quick symptom relief
- Non-toxic formulation: Ensures patient safety with repeated use

Physiological Properties 🕌

 Prevention of systemic alkalosis: Maintains normal acid-base balance

- Long-term therapeutic impact: Provides sustained relief without tolerance development
- Minimal side effects: Reduces adverse reactions and improves patient compliance

Types of Antacids - Detailed Classification



Aluminum-Based	Calcium-Based	Magnesium-Based	
Aluminum Lludrovido	Calcium Carbonate	Magnesium	
Aluminum Hydroxide		Carbonate	
Aluminum Phaenhata	Tribasic Calcium	Magnesium Citrata	
Aluminum Phosphate	Phosphate	Magnesium Citrate	
Dihydroxyaluminum	1-1010	Magnesium	
Aminoacetate	IOPP	Hydroxide	
	No	Magnesium Oxide	
	Me	Magnesium	
		Phosphate	
		Magnesium	
		Trisilicate	
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SPECIFIC ANTACIDS 🥒



Molecular Formula: NaHCO₃

Synonyms 🝃

Sodium Hydrogen Carbonate

- Baking Soda
- Mitha Soda

Preparation Methods 👳

1. Small Scale Laboratory Preparation: This method involves passing carbon dioxide gas through sodium hydroxide solution in a controlled manner:

Step 1:
$$2NaOH + CO_2 \rightarrow Na_2CO_3 + H_2O$$

Step 2:
$$Na_2CO_3 + H_2O + CO_2 \rightarrow 2NaHCO_3$$

2. Solvay Process (Ammonia Soda Process): The industrial-scale Solvay process represents the most economical method for large-scale sodium bicarbonate production:

Process Overview:

- Sodium chloride and ammonia are passed through a carbonating tower
- Carbon dioxide is introduced under controlled pressure conditions
- NH₃ + CO₂ + H₂O → NH₄HCO₃
- NH₄HCO₃ + NaCl → NaHCO₃ + NH₄Cl

The process involves the formation of ammonium bicarbonate, which subsequently decomposes to yield sodium bicarbonate as the final product.

Physical Properties 🔬

Appearance and Texture: Sodium bicarbonate occurs as white crystalline powder or amorphous powder, depending on the preparation method and storage conditions. The crystalline form exhibits well-defined crystal structure, while the amorphous form appears as fine, uniform powder.

Taste and Solubility:

- Characteristic saline taste
- Alkaline solution when dissolved in water
- Freely soluble in water with complete dissolution
- Practically insoluble in alcohol and other organic solvents

Therapeutic Uses 🥜

Primary Applications:

- Electrolyte Replenisher: Corrects sodium and bicarbonate deficiencies in various clinical conditions
- Acid Neutralizing Agent: Provides rapid relief from hyperacidity and associated symptoms
- Topical Applications: Used as local applicant for burns, insect bites, and minor skin irritations

ALUMINUM HYDROXIDE

Chemical Formula: Al(OH)₃

Molecular Weight: 77.99

Synonyms 📄

• Aluminum Hydroxide Powder

• Aluminum Hydrated Powder

Forms of Aluminum Hydroxide 📊

Two Primary Pharmaceutical Forms:

(a) Aluminum Hydroxide Gel (b) Dried Aluminum Hydroxide Gel

1. ALUMINUM HYDROXIDE GEL

Physical Characteristics: Aluminum hydroxide gel presents as a white, viscous suspension containing hydrated aluminum oxide with varying amounts of basic aluminum carbonate. This formulation exhibits the tendency to separate into clear liquid upon standing for extended periods, requiring thorough mixing before use.

Formulation Properties:

- Contains suitable flavoring agents for improved palatability
- Incorporates antimicrobial agents for preservation
- Maintains pH between 5.5 and 8.0 for optimal stability and efficacy

Preparation Process 👳

The preparation involves treating aluminum salts, particularly aluminum chloride, with ammonia or sodium carbonate solutions:

$$AICI_3 + 3NH_4OH \rightarrow AI(OH)_3 + 3NH_4CI$$

 $AI(OH)_3 + 3NaOH \rightarrow Na_3AIO_3 + 3H_2O$

Processing Steps:

1. Complete removal of carbon dioxide from the reaction mixture

- 2. Filtration of the aluminum hydroxide precipitate
- 3. Thorough washing with hot water until sulfate ion-free
- 4. Suspension in purified water to form the final gel preparation

2. DRIED ALUMINUM HYDROXIDE GEL 🛼

Synonym: Aluminum Hydroxide Powder

Properties and Characteristics: Despite its name suggesting gel-like properties, dried aluminum hydroxide gel is actually a white, odorless, tasteless, amorphous powder. This form offers several advantages over the gel formulation, including improved stability and easier handling.

Solubility Profile:

- Insoluble in water and alcohol
- Soluble in dilute mineral acids
- Soluble in solutions of fixed alkali hydroxides
- Forms gel upon prolonged contact with water

Unique Properties:

- Excellent absorption capacity for acids and carbon dioxide
- pH range maintenance between 5.5-8.0
- Major limitation: Loss of antacid properties upon aging

Storage Requirements 🌎



- Temperature storage not exceeding 25°C
- Airtight container storage to prevent moisture absorption

Protection from light and extreme temperature fluctuations

MAGNESIUM HYDROXIDE 🥕

Molecular Formula: Mg(OH)₂

Molecular Weight: 58.31

Synonym: Milk of Magnesia

Preparation Methods 💂

Laboratory Preparation: Magnesium hydroxide is prepared by combining solutions containing magnesium salts with basic water, inducing precipitation of solid Mg(OH)₂:

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$$Mg^{2+} + 2OH^{-} \rightarrow Mg(OH)_{2}$$

Commercial Scale Production: On an industrial scale, Mg(OH)₂ is efficiently prepared by treating seawater with lime (calcium hydroxide):

$$Mg^{2+} + Ca(OH)_2 \rightarrow Mg(OH)_2 + Ca^{2+}$$

This method utilizes the abundance of magnesium ions in seawater, making it an economical approach for large-scale production.

Properties

Physical Characteristics:

- White, odorless powder appearance
- Fine particle size for enhanced bioavailability
- Characteristic chalky texture

Solubility Profile:

- Readily soluble in dilute acids
- Practically insoluble in ethanol and water
- · Limited solubility enhances its safety profile as an antacid

Therapeutic Uses 🥜

Primary Applications:

- Laxative Action: Effectively relieves constipation through osmotic mechanism
- Gastrointestinal Therapy: Treats heartburn, general upset stomach, and indigestion symptoms
- Acid Neutralization: Provides sustained relief from hyperacidity conditions

CATHARTICS

Definition and Clinical Significance

Cathartics are defined as pharmaceutical agents specifically formulated to facilitate and promote defecation, essentially enabling the emptying of bowel contents. These medications serve crucial therapeutic roles in various clinical scenarios where normal bowel function is compromised or where bowel evacuation is medically necessary.

Clinical Applications of Cathartics

Therapeutic Indications 🥜

Patient Comfort and Safety:

- **Hemorrhoid Management:** Eases defecation in patients suffering from painful hemorrhoids or other rectal disorders
- **Strain Prevention:** Prevents excessive straining and concurrent increase in abdominal pressure in patients with hernias

Cardiovascular Considerations:

- **Hypertension Management:** Prevents hazardous rise in blood pressure during defecation in hypertensive patients
- Cardiac Protection: Reduces cardiovascular stress associated with straining

Emergency and Diagnostic Applications:

- Acute Constipation Relief: Provides rapid relief from acute constipation episodes
- **Pre-diagnostic Preparation:** Removes solid material from intestinal tract prior to roentgenographic studies and colonoscopy procedures

Classification of Laxatives



Туре	Mechanism	Examples	Clinical Use
Bulk Forming	Water absorption,	High fiber	Chronic
	bowel distension	preparations	constipation
Stool Softener	Increased water and fat	Docusate sodium	Hard, dry stools
	content	Docusate socium	
Stimulant	Intestinal nerve	Senna, Bisacodyl	Acute
Purgatives	stimulation		constipation
Osmotic	Water retention by	Magnesium salts	Bowel
Purgatives	osmosis	iviagnesium saits	preparation
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Detailed Classification Mechanisms



- Mechanism: High fiber content absorbs water to increase bulk, distends bowel to initiate reflex bowel activity
- Action: Creates physical stimulus for peristalsis through intestinal wall stretching

2. STOOL SOFTENER LAXATIVES

- Mechanism: Stool softeners and lubricants promote increased water and fat content in stools
- Function: Lubricate fecal material and intestinal wall for easier passage

3. STIMULANT PURGATIVES **\(\rightarrow**

Mechanism: Increases peristalsis through intestinal nerve stimulation

Action: Direct neural stimulation promotes coordinated bowel contractions

4. OSMOTIC PURGATIVES C

- Mechanism: Absorb water by osmosis, increase water content in bowel by osmotic action
- Result: Minimal systemic absorption, distend large intestine causing evacuation

SPECIFIC CATHARTICS 🥜

MAGNESIUM SULPHATE

Molecular Formula: MgSO₄·7H₂O

Molecular Weight: 246.5

Synonym: Epsom Salt

Preparation Method 🛒

Magnesium sulphate is obtained through the controlled reaction of dilute sulfuric acid with magnesium carbonate or magnesium oxide:

$$MgCO_3 + H_2SO_4 \rightarrow MgSO_4 + H_2O + CO_2$$

 $MgO + H_2SO_4 \rightarrow MgSO_4 + H_2O$

Processing Steps:

- 1. The reaction solution is carefully filtered to remove impurities
- 2. The filtrate is then evaporated under controlled conditions to achieve crystallization

3. The resulting crystals are purified and standardized for pharmaceutical use

Properties 🔬

Physical Characteristics:

- Odorless, brilliant, colorless crystals or white crystalline powder
- Distinctive bitter saline and cooling taste
- Efflorescent properties in warm dry air conditions

Solubility Profile:

- Highly soluble in water with complete dissolution
- Sparingly soluble in alcohol
- Forms clear, colorless solutions when dissolved

Therapeutic Uses

Primary Applications:

- Saline Laxative Action: Functions as an effective osmotic laxative for constipation relief
- Magnesium Deficiency Treatment: Corrects magnesium deficiency states
- **Cholecystitis Management:** Used in treatment of gallbladder inflammation
- Hypertension Support: Provides adjunctive therapy in certain hypertensive conditions

• Topical Applications: Used in treatment of boils and skin infections

SODIUM ORTHOPHOSPHATE 🥕

Molecular Formula: Na₂HPO₄·12H₂O

Molecular Weight: 358.14

Synonym: Disodium Hydrogen Phosphate

Preparation Method

Sodium orthophosphate is prepared through the neutralization reaction between phosphoric acid and sodium hydroxide:

$H_3PO_4 + 2NaOH \rightarrow Na_2HPO_4 + 2H_2O$

This controlled neutralization ensures the formation of the dibasic phosphate salt with appropriate pH characteristics for pharmaceutical applications.

Properties 🔬

Physical Characteristics:

- Odorless, colorless crystalline powder
- Distinctive saline acidic taste
- Stable under normal storage conditions

Solubility Profile:

- Freely soluble in water with complete dissolution
- Practically insoluble in alcohol
- Forms clear, slightly alkaline solutions

Therapeutic and Pharmaceutical Uses 🥕



Clinical Applications:

- Saline Cathartic Action: Functions as an effective osmotic purgative
- **Buffer System:** Used as buffer in pharmaceutical preparations
- Anti-caking Agent: Prevents powder aggregation in pharmaceutical formulations

KAOLIN 🥕

Molecular Formula: Al₂O₃·2SiO₂·H₂O

Molecular Weight: 258

Synonyms: China Clay, Hydrated Aluminum Silicate

Preparation and Purification

Natural Occurrence: Kaolin is widely distributed in nature as a naturally occurring clay mineral. However, pharmaceutical-grade kaolin requires extensive purification processes.

Purification Process:

- Treatment with hydrochloric acid (HCl) or sulfuric acid (H₂SO₄)
- Sequential washing with purified water
- Removal of impurities and standardization for pharmaceutical use

Properties <a>



Physical Characteristics:

Primary appearance: white color

- Variable coloration: sometimes red, blue, or brown due to mineral impurities
- Distinctive earthy odor and taste
- Soft texture completely free from gritty particles

Therapeutic Uses 🥜

Clinical Applications:

- Diarrhea Treatment: Primary use in management of diarrhea conditions
- Dusting Powder: Used as absorbent dusting powder for skin applications
- Tablet Diluent: Functions as bulk-forming agent in tablet formulations
- Food Additive: Light kaolin serves as approved food additive in specific applications

BENTONITE

Synonym: Soap Clay

Preparation Process 戻

Processing Steps:

- 1. **Initial Extraction:** Bentonite is extracted from natural deposits with moisture content of approximately 30%
- 2. **Crushing and Activation:** The raw material is crushed and activated with soda addition

- 3. **Drying and Milling:** The activated material is dried and milled while removing unwanted minerals
- 4. **Purification:** The product is purified through acid treatment to produce acid-activated bentonite or organic treatment to produce organic clay

Properties 🔬



Physical Characteristics:

- Color variations: white, pale buff, or cream-colored fine powder
- Odorless with neutral sensory properties
- Insoluble in water and inorganic solvents
- High absorption capacity

Therapeutic and Industrial Uses

Clinical Applications:

- Intestinal Detoxification: Used for comprehensive intestinal cleansing
- Dual Action: Effective treatment for both constipation and diarrhea conditions
- **Toxin Absorption:** Capable of attracting and absorbing toxins and impurities

Pharmaceutical Applications:

 Suspending Agent: Functions as pharmaceutical aid in suspension formulations

- **Antidote Application:** Used as antidote for heavy metal poisoning cases
- Formulation Enhancer: Improves stability and consistency of pharmaceutical preparations

ANTIMICROBIAL AGENTS 🖦



Definition and Scope

Antimicrobials represent a crucial class of chemical agents specifically designed to destroy or inhibit the growth and proliferation of pathogenic microorganisms including bacteria, fungi, protozoa, and other diseasecausing microbes. These agents play fundamental roles in infection control, disease prevention, and therapeutic intervention across various medical and pharmaceutical applications.

Important Limitation: Antimicrobials are generally ineffective against the sporing (dormant) state of microorganisms, which represents a significant challenge in complete microbial eradication.

Comprehensive Category Inclusion:

- **Antiseptics**
- Disinfectants
- **Bacteriostatic agents**
- Germicidal compounds
- Sanitizers
- Sterilization agents

Classification of Antimicrobial Agents 📊

ANTISEPTICS

Definition and Application: Antiseptics are substances specifically formulated to prevent the growth and action of microorganisms when applied to living tissues, particularly skin and mucous membranes. These agents are designed for topical application and must demonstrate safety for contact with human tissue while maintaining antimicrobial efficacy.

Examples: Phenol, Iodine preparations, Alcohol-based solutions

DISINFECTANTS

Definition and Application: Disinfectants are chemical substances or drugs used either to kill bacteria or prevent their growth and multiplication. These agents are specifically formulated for application on non-living objects and surfaces, where higher concentrations and more potent formulations can be safely utilized.

Applications:

- Surgical instrument disinfection
- Sputum and body fluid disinfection
- Environmental surface sterilization
- Equipment and device decontamination

GERMICIDES 💥

Definition and Mechanism: Germicides are potent substances or agents that kill microorganisms through various destructive mechanisms. These

agents demonstrate broad-spectrum antimicrobial activity and work through multiple pathways to ensure microbial destruction.

Mechanisms of Action:

- Oxidation of bacterial protoplasm
- Denaturation of bacterial enzymes and proteins
- Disruption of cellular membrane integrity

Specialized Categories:

- Fungicides: Specifically target fungal organisms
- **Virucides:** Specifically target viral particles

BACTERIOSTATIC AGENTS

Definition and Function: Bacteriostatic substances primarily function by inhibiting the growth and reproduction of bacteria without necessarily killing them. These agents create unfavorable conditions for bacterial multiplication, allowing the body's natural immune system to eliminate the organisms.

SANITIZERS

Definition and Process: Sanitization represents the process of rendering surfaces and objects sanitary by significantly reducing the number of bacterial contaminants to safe levels. This process doesn't necessarily achieve complete sterilization but reduces microbial load to acceptable standards.



Definition and Methods: Sterilization represents the complete destruction of all living microorganisms, including vegetative forms and spores. This process can be achieved through various methodologies:

Physical Methods:

- Radiation exposure (UV, gamma rays)
- Heat application (dry heat, moist heat)
- Filtration through microporous membranes

Chemical Methods:

- Chemical disinfectants at appropriate concentrations
- Gas sterilization (ethylene oxide)
- Liquid chemical sterilants

Mechanisms of Antimicrobial Action

General Principles 6

Ideal Antimicrobial Characteristics: Ideally, an antimicrobial agent should selectively affect only pathogenic microbes while sparing host cells and beneficial organisms. Many organic compounds, particularly antibiotics, demonstrate this selective toxicity through specific targeting mechanisms.

Non-Specific Action of Inorganic Antimicrobials: The action of inorganic antimicrobials is predominantly non-specific, affecting proteins in similar manners regardless of their origin. In higher concentrations, these agents can affect both host proteins and microbial proteins, requiring careful dosing considerations.

Primary Mechanisms of Action

1. OXIDATION MECHANISM

Process Description: Reducing groups present in proteins undergo oxidation when exposed to oxidizing agents. This chemical transformation fundamentally alters protein structure and function.

Specific Example: Sulfhydryl groups (-SH) present in cysteine residues form disulfide bridges (-S-S-) upon oxidation. This cross-linking dramatically alters the molecular shape (conformation) of proteins, leading to loss of biological activity and ultimately protein destruction.

Common Oxidizing Agents:

- Non-metallic elements (chlorine, bromine)
- Certain anions (permanganate, hypochlorite)
- Hydrogen peroxide and related compounds

2. HALOGENATION MECHANISM >

Process Description: Halogenation involves the introduction of halogen atoms (particularly chlorine) into protein molecules. Primary and secondary amide groups in proteins at peptide linkages undergo chlorination reactions.

Structural Impact: This halogenation process changes the molecular shape of proteins and disrupts their three-dimensional structure, leading to protein denaturation and destruction of biological activity.

3. PROTEIN PRECIPITATION MECHANISM 🧥



Process Description: Metal ions interact with protein ligands (electrondonating groups) to form coordination complexes. This complex formation leads to protein aggregation and precipitation.

Result: The formation of metal-protein complexes results in complete inactivation of proteins and loss of all biological functions.

Examples: Boric acid, Borax, Heavy metal salts

SPECIFIC ANTIMICROBIAL AGENTS



Molecular Formula: KMnO₄

Molecular Weight: 158.03

Preparation Method 📻

Potassium permanganate is prepared from potassium manganate through oxidation processes using chloride or under acidic conditions:

 $K_2MnO_4 + Cl_2 \rightarrow 2KMnO_4 + 2KCI$ (under alkaline conditions) $3K_2MnO_4 + 4HCI \rightarrow 2KMnO_4 + MnO_2 + 4KCI + 2H_2O$ (under acidic conditions)

Properties 🔬

Physical Characteristics:

- Distinctive dark purple crystalline appearance
- Odorless with sweetish astringent alkaline taste
- Highly soluble in water forming characteristic purple solutions

Strong oxidizing properties

Therapeutic Uses 🥕



Dermatological Applications:

- Treatment of various skin conditions including superficial wounds
- Management of topical ulcers and skin infections
- Antiseptic applications for minor cuts and abrasions

Oral and Systemic Applications:

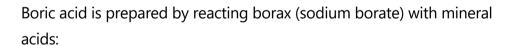
- Used as antiseptic mouthwashes for oral hygiene
- Antidote therapy in barbiturate and alkaloid poisoning cases

BORIC ACID

Molecular Formula: H₃BO₃

Molecular Weight: 61.83

Preparation Method



 $Na_2B_4O_7 \cdot 10H_2O + H_2SO_4 \rightarrow 4H_3BO_3 + Na_2SO_4 + 5H_2O$ (using sulfuric acid)

Na₂B₄O₇·10H₂O + 2HCl → 4H₃BO₃ + 2NaCl + 5H₂O (using hydrochloric acid)

Properties 🔬

Physical Characteristics:

- White crystalline solid with well-defined crystal structure
- Odorless with characteristic sweet taste
- Stable under normal storage conditions
- Fine powder consistency when ground

Solubility Profile:

- Readily soluble in water and alcohol
- Freely soluble in glycerine
- Forms weakly acidic solutions when dissolved
- Enhanced solubility at elevated temperatures

Therapeutic Uses

Primary Applications:

- Antiseptic Properties: Used as antiseptic for minor cuts, wounds, and burns
- Bacteriostatic Action: Provides bacterial growth inhibition in topical applications
- **Insecticidal Properties:** Functions as insecticide in appropriate formulations
- Astringent Effects: Provides tissue-tightening effects for therapeutic purposes

Pharmaceutical Applications:

- **Buffer Solution:** Essential component in primary buffer solution preparations
- Preservative Action: Provides antimicrobial preservation in various formulations
- **pH Adjustment:** Used for maintaining optimal pH in pharmaceutical preparations

HYDROGEN PEROXIDE 🥕

Molecular Formula: H₂O₂

Molecular Weight: 34.02

Preparation Method

Hydrogen peroxide is formed through the controlled reaction of sodium peroxide with cold dilute sulfuric acid:

$$Na_2O_2 + H_2SO_4 \rightarrow Na_2SO_4 + H_2O_2$$

Industrial Preparation Methods:

- Electrolytic Method: Electrolysis of sulfuric acid solutions
- Anthraquinone Process: Most common industrial method using organic catalysts
- **Direct Combination:** H₂ + O₂ under specific catalytic conditions

Properties 🔬

Physical Characteristics:

Clear, colorless liquid appearance

- Completely odorless in pure form
- Distinctive bitter acidic taste
- Miscible with water in all proportions

Chemical Properties:

- Strong oxidizing agent
- Unstable compound that decomposes readily
- Releases oxygen upon decomposition: 2H₂O₂ → 2H₂O + O₂
- Light-sensitive requiring dark storage

Therapeutic Uses 🥜

Primary Clinical Applications:

Antiseptic Properties: Effective antiseptic for wound cleansing and disinfection

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- Germicidal Action: Broad-spectrum antimicrobial activity
- Disinfectant Properties: Used for surface and equipment disinfection

Cosmetic and Therapeutic Applications:

- Hair Bleaching: Safe bleaching agent for hair lightening procedures
- Tooth Whitening: Used in dental whitening formulations
- Oral Hygiene: Component in mouthwash formulations

Antidote Applications:

Phosphorous Poisoning: Effective antidote in cases of phosphorous intoxication

Cyanide Poisoning: Used as supportive treatment in cyanide poisoning cases

CHLORINATED LIME 🥕

Molecular Formula: Ca(ClO)₂

Molecular Weight: 142.98

Common Names: Bleaching Powder, Calcium Hypochlorite

Preparation Method 🛒

Chlorinated lime is prepared by treating slaked lime (calcium hydroxide) with chlorine gas at controlled temperature conditions around 25°C:

$$Ca(OH)_2 + Cl_2 \rightarrow Ca(CIO)_2 + H_2O$$

Industrial Process:

- 1. **Lime Preparation:** Calcium oxide is slaked with water to form calcium hydroxide
- 2. Chlorination: Dry chlorine gas is passed over the slaked lime
- Temperature Control: Maintained at optimal temperature for maximum yield
- 4. **Product Collection:** The resulting powder is collected and standardized

Properties 🔬

Physical Characteristics:

White or grey powder appearance

- Strong characteristic odor of chlorine
- Hygroscopic nature requiring careful storage
- Gradual decomposition upon exposure to air and moisture

Solubility Profile:

- Partially soluble in water forming turbid solutions
- Limited solubility in alcohol
- Releases available chlorine when dissolved
- Forms calcium hydroxide and hypochlorous acid in solution

Therapeutic and Industrial Uses 🥜

Antimicrobial Applications:

Bactericidal Action: Powerful broad-spectrum bactericidal properties

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- Disinfection of Organic Materials: Used to disinfect feces, urine, and other organic materials
- Sanitation Applications: Effective cleansing agent for effluents, toilets, and drains

Industrial Applications:

- Bleaching Agent: Powerful bleaching properties for textile and paper industries
- Dye Decolorization: Used to decolorize most organic dyes
- Water Treatment: Municipal water disinfection and purification

IODINE 🥕

Molecular Formula: 12

Molecular Weight: 253.8

Preparation Methods 🛒

Laboratory Preparation: lodine can be prepared through several methods in laboratory settings:

Method 1: Heating potassium iodide with dilute sulfuric acid and manganese dioxide: $2KI + MnO_2 + 3H_2SO_4 \rightarrow K_2SO_4 + MnSO_4 + 2H_2O + I_2$

Method 2: Using sodium iodide with similar reagents: 2NaI + MnO₂ + 3H₂SO₄ → Na₂SO₄ + MnSO₄ + 2H₂O + I₂

Properties 🛓

Physical Characteristics:

- Greyish-violet or bluish-black crystalline solid
- Distinctive irritant odor
- Bitterly pungent taste
- Volatile nature with characteristic purple vapor

Solubility Profile:

- Insoluble in water in pure form
- Readily soluble in alcohol forming brown solutions
- Soluble in potassium iodide solutions
- Enhanced solubility in organic solvents

Therapeutic Uses 🥜

Primary Applications:

- Disinfectant Properties: Effective broad-spectrum disinfectant
- Iodine Deficiency Treatment: Essential for treating iodine deficiency disorders
- **Topical Applications:** lodine ointments applied as counter-irritant for pain relief

Specialized Medical Uses:

- Thyroid Function: Essential for normal thyroid hormone synthesis
- Wound Care: Traditional antiseptic for wound treatment
- Preoperative Preparation: Used in surgical site preparation

Preparation of Iodine Solutions

Types of Iodine Preparations

Preparation	Composition	Alcohol	Primary Use	
Туре	Composition	Content	Primary Ose	
Aqueous	E9/ I . 109/ I/I	None	Internal use	
(Lugol's)	5% I ₂ + 10% KI	None	internal use	
Weak Tincture	2% I ₂ + 2.5% KI	Present	Topical antiseptic	
Strong Tincture	10% I ₂ + 6% KI	Present	Strong antiseptic	
Povidone Iodine	9-12% Available	Nama	Surgical	
	l ₂	None	preparation	
4		1	•	

Detailed Preparation Methods

1. AQUEOUS IODINE SOLUTION (Lugol's Solution)

- Also Known As: Lugol's Solution
- Alcohol Content: Does not contain any alcohol
- Composition: Contains 5.0% w/v of iodine and 10% w/v of potassium iodide
- Preparation: Dissolve iodine and potassium iodide in distilled water (100 ml total volume) with trituration or shaking until complete dissolution

2. WEAK IODINE TINCTURE

- Also Known As: Iodine Tincture (Weak)
- Composition: Contains 2% I₂ and 2.5% KI
- Preparation: Dissolve in sufficient quantity of alcohol and make up volume to 100 ml
- Clinical Use: General antiseptic applications

3. STRONG IODINE TINCTURE 🂪

- Composition: Contains 10% I₂ and 6% KI
- Preparation: Similar alcoholic preparation method with higher concentrations
- Clinical Use: Strong antiseptic for severe infections

4. TRADITIONAL TINCTURE OF IODINE

• Composition: 2% I₂ and 2.4% KI in diluted alcoholic solution

- Preparation: Classical preparation method using diluted alcohol as solvent
- Historical Use: Traditional antiseptic formulation

5. POVIDONE IODINE COMPLEX 🔬

Available Iodine Content: Not less than 9% and not more than 12% of I₂

er

- **Chemical Nature:** Complex of I₂ with polymer povidone (polyvinylpyrrolidone)
- Advantages:
 - Sustained release of iodine
 - Reduced irritation compared to free iodine
 - Broader spectrum of antimicrobial activity
 - Better tissue tolerance
 - Longer duration of action

Clinical Advantages of Povidone Iodine:

- Reduced Staining: Less staining of skin and fabrics compared to traditional iodine preparations
- Lower Toxicity: Significantly reduced local and systemic toxicity
- Enhanced Stability: Improved chemical stability and shelf life
- Broad Spectrum: Effective against bacteria, viruses, fungi, and protozoa
- Surgical Applications: Preferred choice for preoperative skin preparation

SUMMARY AND CLINICAL SIGNIFICANCE 📊



Gastrointestinal Agents Overview



The comprehensive study of gastrointestinal agents encompasses a diverse range of pharmaceutical compounds, each serving specific therapeutic roles in maintaining and restoring gastrointestinal health. These agents represent essential tools in modern pharmaceutical practice, addressing various pathological conditions affecting the digestive system.

Key Learning Outcomes 6

Understanding of Drug Classification: Students will develop comprehensive understanding of how gastrointestinal agents are systematically classified based on their mechanisms of action, therapeutic applications, and physiological effects.

Mechanism-Based Therapy: The detailed study of each agent's mechanism of action provides foundation for rational drug therapy and optimal therapeutic outcomes in clinical practice.

Safety and Efficacy Considerations: Knowledge of properties, preparation methods, and clinical applications ensures safe and effective use of these agents in pharmaceutical practice.

Clinical Integration

Pharmaceutical Practice: This knowledge forms the cornerstone of pharmaceutical practice, enabling pharmacists to provide appropriate counseling, ensure proper drug selection, and monitor therapeutic outcomes.

Future Applications: Understanding these fundamental concepts prepares students for advanced pharmaceutical studies and professional practice in various healthcare settings.

END OF UNIT 3 - GASTROINTESTINAL AGENTS 🔽



