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B.PHARM SEMESTER I

Pharmaceutical Inorganic and Analytical Chemistry

(Course Code: BP106T)

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UNIT IV

Gastrointestinal Agents and Radiopharmaceuticals

SHORT NOTES

Condensed Study Notes for Quick Revision (PCI Syllabus, NEP 2020)

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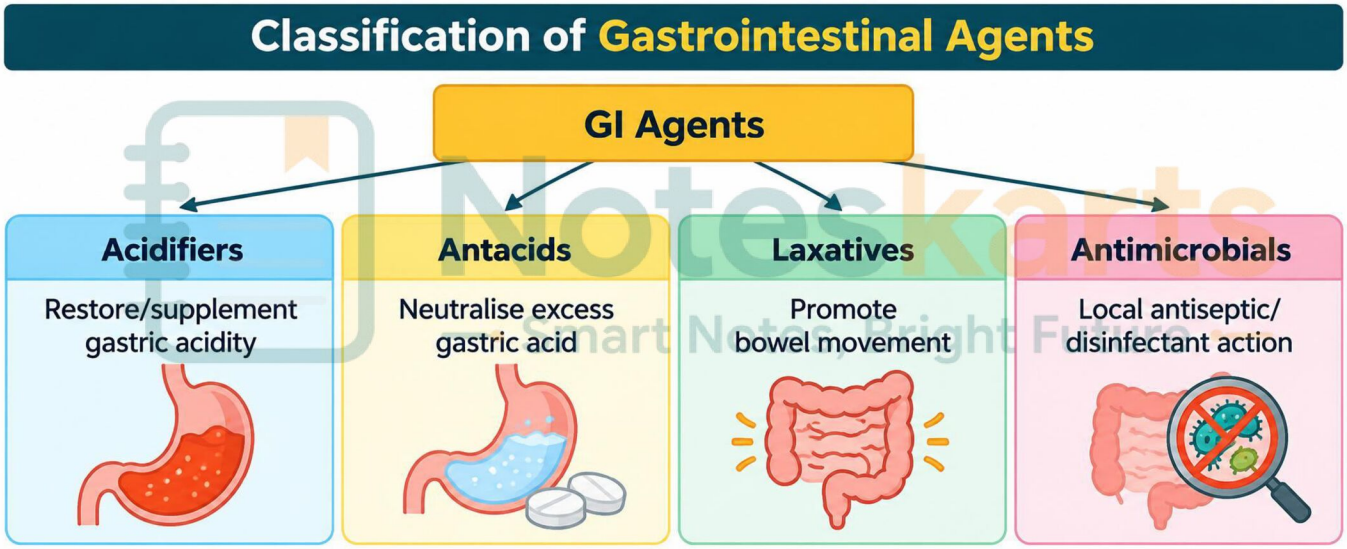
Short notes for Unit IV, covering gastrointestinal agents and radiopharmaceuticals. Condensed bullets, tables and key diagrams for fast revision.

4.1 Gastrointestinal Agents

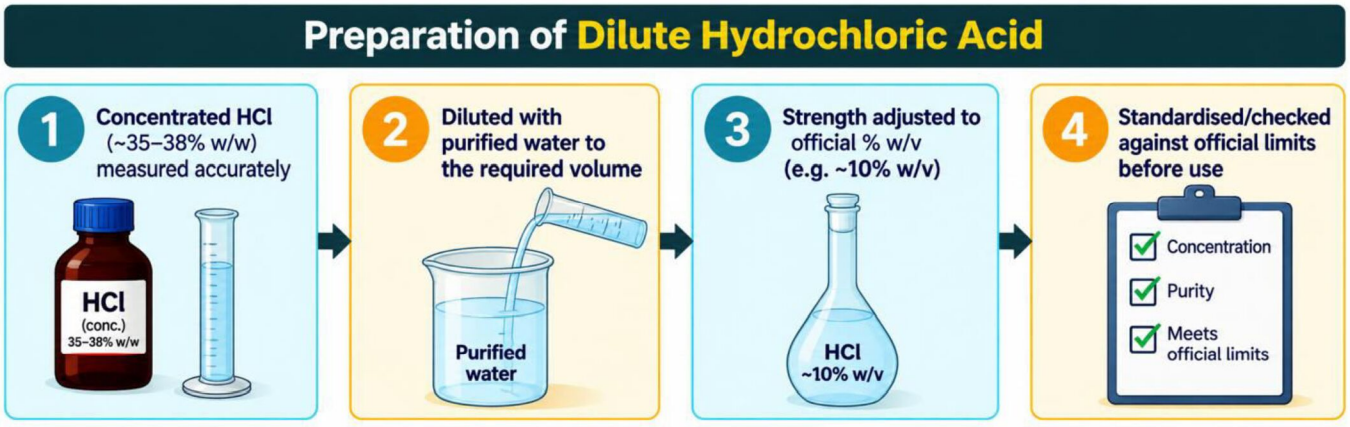
- Gastrointestinal (GI) agents are **pharmaceutical substances used to prevent, treat, or manage disorders of the gastrointestinal tract**, including the stomach and intestines.
- They are widely used to relieve symptoms such as **acidity, heartburn, indigestion, nausea, vomiting, diarrhoea, constipation, and abdominal discomfort**.
- The gastrointestinal tract normally maintains a balance between **gastric acid, digestive enzymes, mucus, bicarbonate, intestinal secretions, and gastrointestinal motility**.
- Disturbance of this balance can lead to conditions such as **hyperacidity, peptic ulcer disease, gastro-oesophageal reflux disease (GERD), diarrhoea, and constipation**.
- Gastrointestinal agents act by restoring or modifying these physiological processes.



Classification of gastrointestinal agents

Acidifiers

- Sodium acid phosphate: urinary/mild gastric acidifier — enhances methenamine antiseptics, helps dissolve phosphate calculi.



Preparation of dilute hydrochloric acid

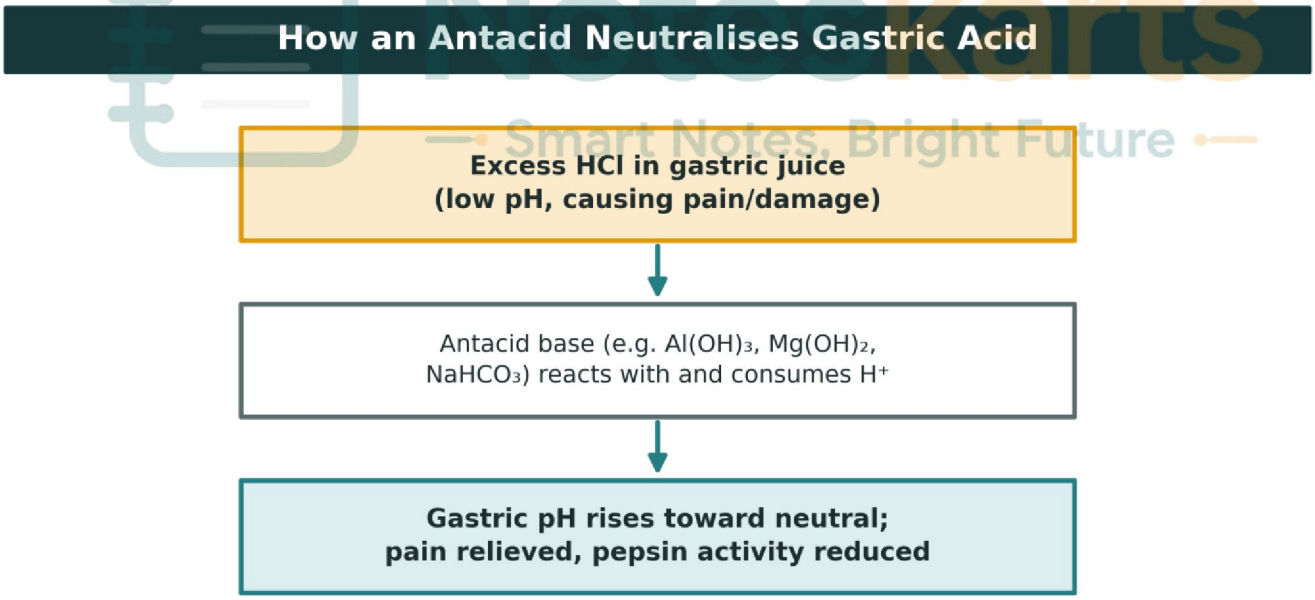
- Dilute HCl: gastric acidifier for achlorhydria — given diluted, via straw to protect teeth.

COMMON MISTAKE

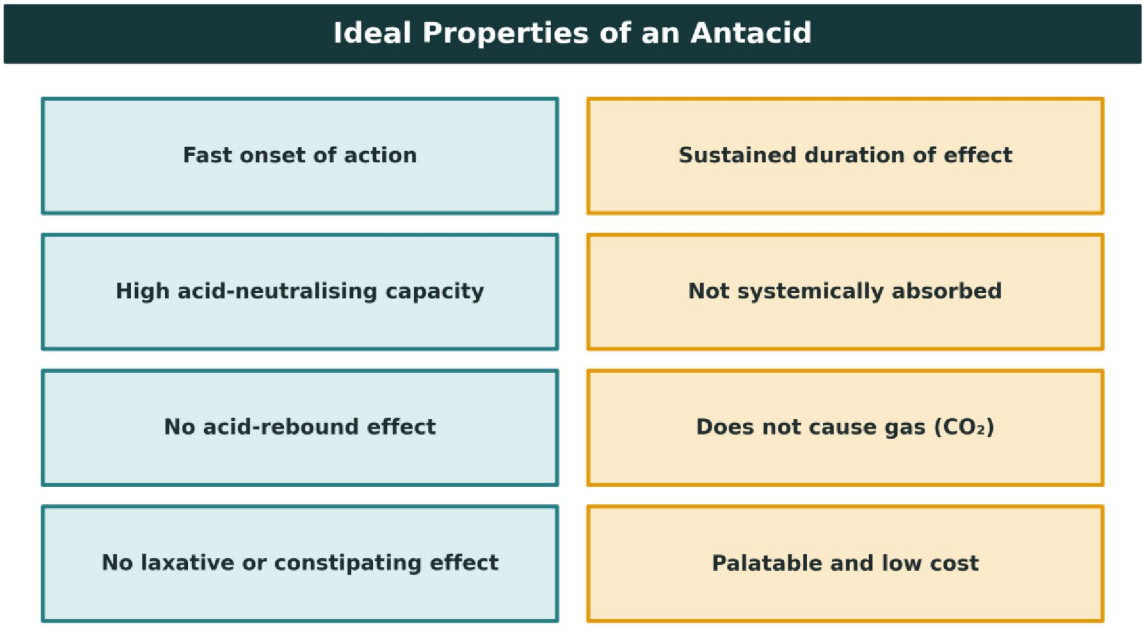
Sipping dilute HCl undiluted or without a straw is a serious error — even at pharmacopoeial dilution, it is strongly erosive to dental enamel with repeated direct contact.

- Sodium acid phosphate is also used to enhance methenamine (hexamine) urinary antiseptics, which release formaldehyde only in acidic urine.

Antacids



How an antacid relieves hyperacidity



Ideal properties of an antacid

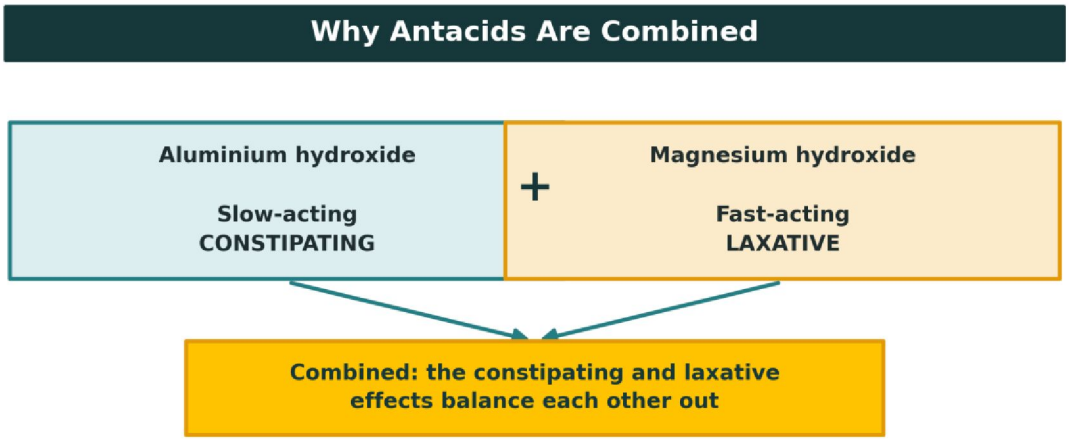
- Ideal properties: fast onset, sustained action, high ANC, non-systemic, no acid-rebound, no gas, no bowel effect, palatable.

Agent	Type	Key Feature
Sodium bicarbonate	Systemic, fast	CO_2 gas; risk of alkalosis, Na^+ overload
Aluminium hydroxide gel	Non-systemic, slow	Constipating; binds phosphate

ADVERSE EFFECTS

Sodium bicarbonate: systemic alkalosis with repeated use; significant sodium load (caution in hypertension, heart failure, renal impairment); gastric distension.

Aluminium hydroxide: constipation; also binds dietary phosphate (used deliberately in hyperphosphataemia); aluminium accumulation risk in renal impairment.



Why $Al(OH)_3$ and $Mg(OH)_2$ are combined

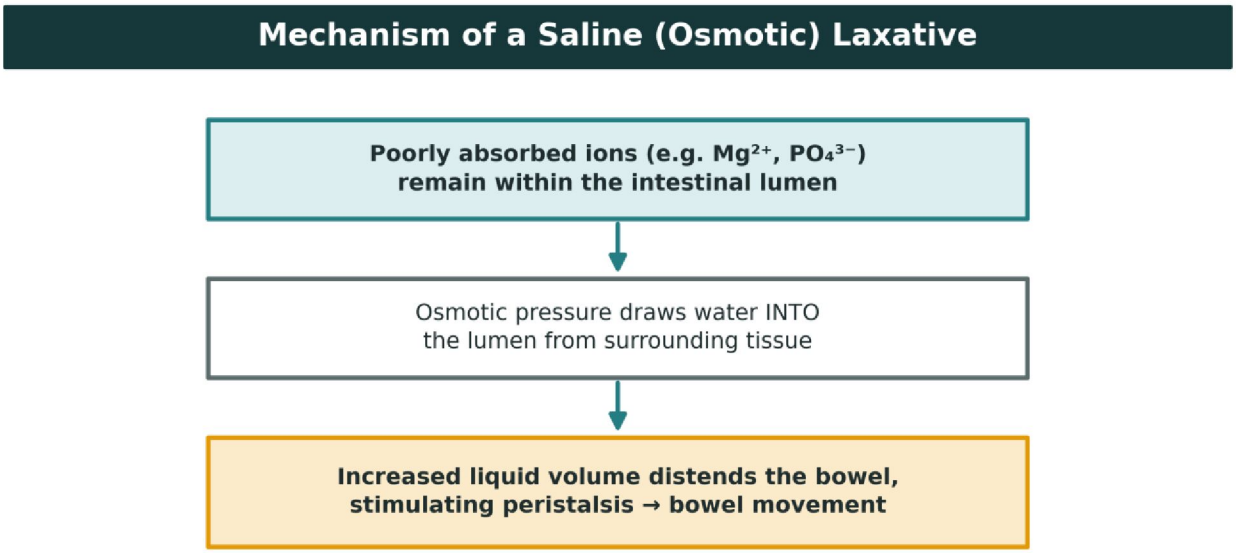
- $Al(OH)_3$ (constipating) + $Mg(OH)_2$ (laxative) combined — bowel effects cancel out.
- Calcium carbonate: fast but causes acid-rebound (stimulates gastrin release).

WORKED EXAMPLE — ACID-NEUTRALISING CAPACITY (ANC)

One antacid tablet added to 30 mL of 1 N HCl (excess). Back-titrated with 1 N NaOH, requiring 12 mL.

Total acid = 30 mEq; Unreacted acid = 12 mEq; Acid neutralised (ANC) = 30 − 12 = 18 mEq

Agents Promoting Bowel Movement (Saline Laxatives)

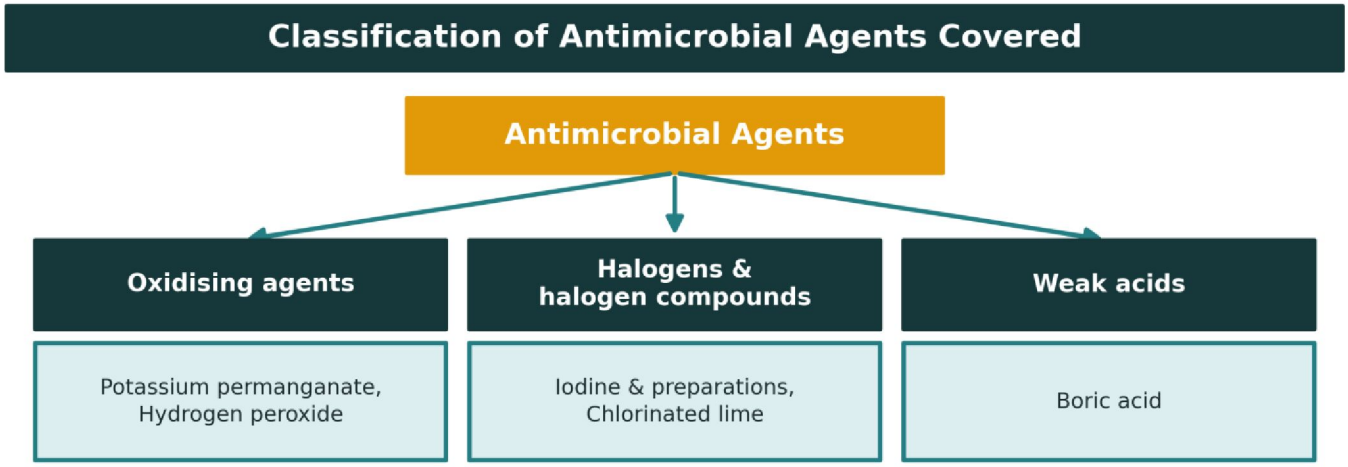


Mechanism of a saline (osmotic) laxative

- Mechanism: poorly absorbed ions (Mg^{2+}, PO_4^{3-}) osmotically draw water into the gut lumen → distension → peristalsis.
- Magnesium hydroxide: antacid (low dose) / laxative (high dose) — dose-dependent dual action.
- Sodium orthophosphate (oral + enema/bowel prep); Sodium potassium tartrate (Seidlitz powder component); Magnesium trisilicate (slow antacid + mild laxative + mild adsorbent).
- Laxative classes for context: bulk-forming (ispaghula), stimulant (bisacodyl, senna), lubricant (liquid paraffin), osmotic/saline (this unit).

Class	Example	General Mechanism
Bulk-forming	Ispaghula husk	Absorbs water, increasing stool bulk and softness
Stimulant	Bisacodyl, senna	Directly stimulates intestinal wall, increasing motility
Lubricant	Liquid paraffin	Coats and softens stool, easing passage
Osmotic (saline)	$Mg(OH)_2$, sodium orthophosphate	Draws water into the lumen osmotically

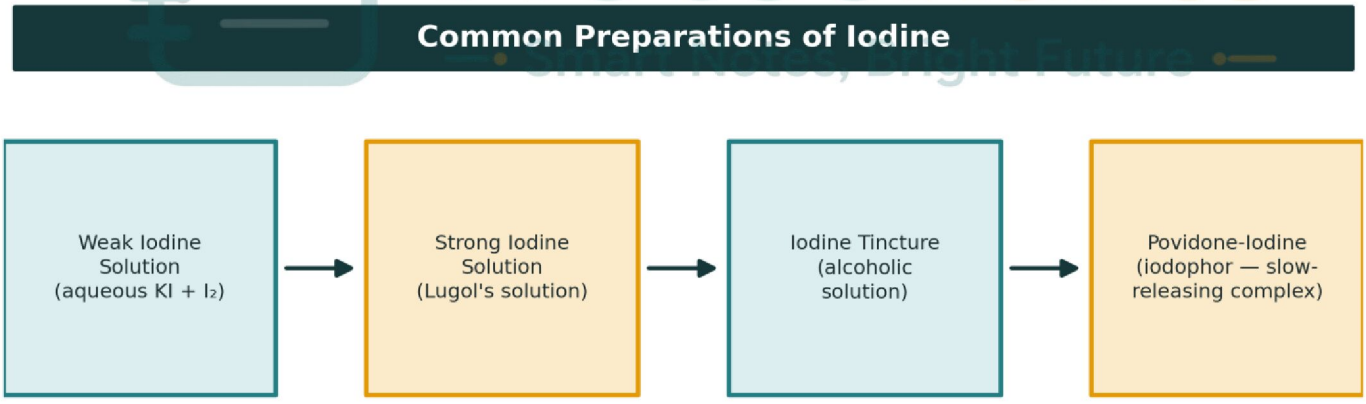
Antimicrobials



Classification of antimicrobial agents

- Mechanisms: oxidation, halogenation (protein iodination/chlorination), protein denaturation, mild chemical irritation.

Agent	Mechanism/Use
Potassium permanganate	Oxidising agent; dilute (1:4000–1:10000) wet dressings; concentrated causes burns
Boric acid	Weak, bacteriostatic; restricted in infants (systemic toxicity risk)
Hydrogen peroxide	Releases nascent O_2 (catalase); wound cleaning; "10 volume" ~3% typical
Chlorinated lime	Releases Cl_2/HOCl; water & surface disinfection, not skin
Iodine preparations	Weak/Strong (Lugol's) solution, tincture, povidone-iodine (iodophor)



Common preparations of iodine

- Oligodynamic action: certain metal ions (Ag, Hg, Cu) are antimicrobial at extremely low concentration by binding microbial enzyme –SH groups.

WORKED EXAMPLE — PREPARING A DILUTE $KMnO_4$ SOLUTION

Prepare 500 mL of 1:5000 w/v potassium permanganate solution.

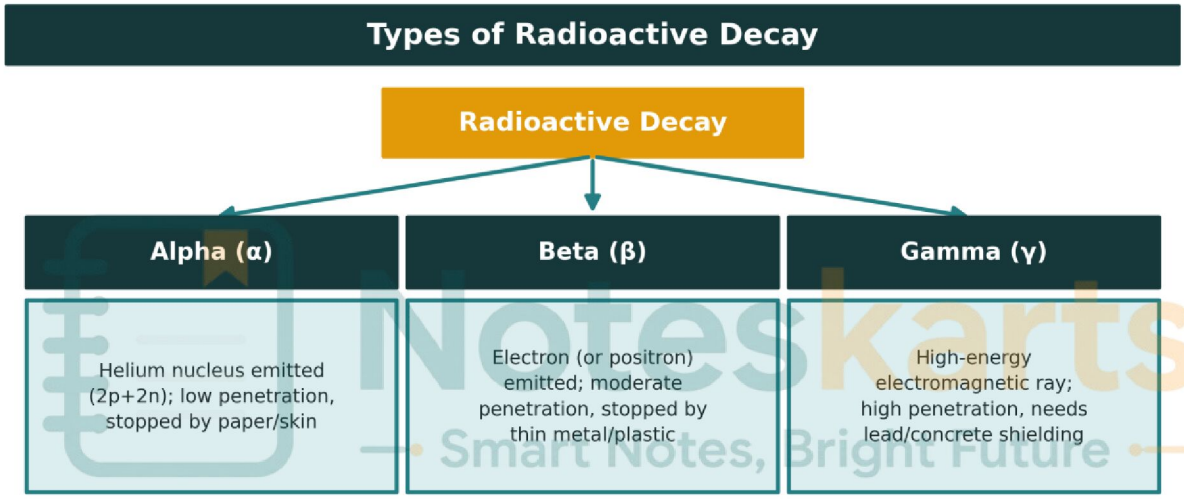
1:5000 means 1 g in 5000 mL. For 500 mL: weight = (1×500)/5000 = 0.1 g

Dissolve 0.1 g $KMnO_4$ in water and make up to 500 mL.

4.2 Radiopharmaceuticals

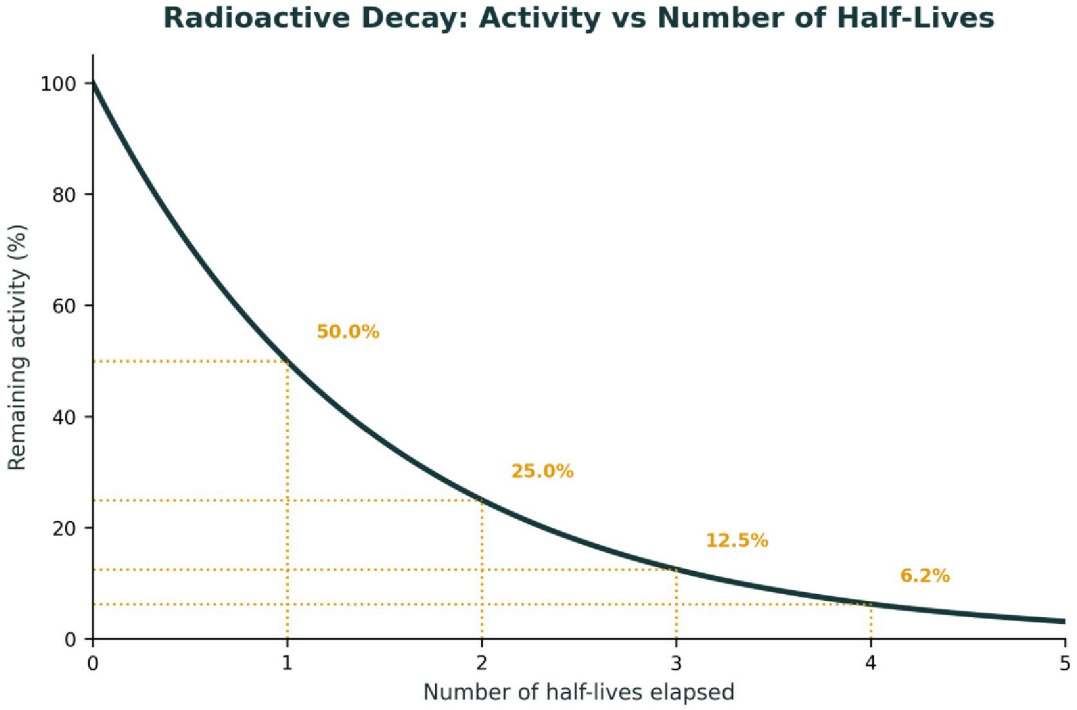
Introduction

- **Radiopharmaceuticals** are medicinal preparations that contain a **radioactive isotope (radionuclide)** and are used for the **diagnosis, treatment, or monitoring of diseases**.
- They consist of two main components:
  - **Radionuclide** – produces radiation that can be detected or used therapeutically.
  - **Pharmaceutical carrier** – directs the radionuclide to a specific organ, tissue, or biological process.
- Radiopharmaceuticals are administered in very small quantities, usually by **intravenous injection**, although some may be given orally or by other routes.



Types of radioactive decay

- Alpha (α): He nucleus, low penetration (stopped by paper/skin). Beta (β): electron, moderate penetration. Gamma (γ): EM radiation, high penetration (needs lead/concrete).
- Half-life: time for activity to fall to half; follows first-order/exponential decay.



Radioactive decay: activity vs half-lives

WORKED EXAMPLE — HALF-LIFE

Tc-99m ($t_{½}$ = 6 h), initial activity 80 mCi. Activity after 24 h?

24/6 = 4 half-lives; Remaining = $80 \times (1/2)^4 = 5$ mCi

Basics of Radioactivity

- **Radioactivity** is the spontaneous disintegration of an unstable atomic nucleus with the emission of **ionising radiation**.
- The unstable atom is called a **radioactive isotope or radionuclide**.
- The major types of nuclear radiation are:
  - **Alpha (α) particles** – heavy, positively charged particles with low penetrating power but high ionising ability.
  - **Beta (β) particles** – high-speed electrons or positrons with moderate penetrating power.
  - **Gamma (γ) rays** – electromagnetic radiation with high penetrating power and no electrical charge.
- **Half-life** is the time required for the activity of a radioactive substance to decrease to **50% of its original value**.
- Radioactive decay is measured in units such as:
  - **Becquerel (Bq)** – one nuclear disintegration per second.
  - **Curie (Ci)** – an older unit of radioactivity.

Key Radioisotopes and Their Applications

Na-131I	99mTc	60Co	32P
Iodine-131	Technetium-99m	Cobalt-60	Phosphorus-32
Thyroid uptake studies; thyroid cancer therapy	Most widely used diagnostic imaging agent	External beam radiotherapy; sterilisation	Polycythemia vera; bone metastases therapy

Key radioisotopes and their applications

Applications of Important Radioisotopes

Sodium Iodide I-131 (^{131}I)

- ^{131}I is a radioactive isotope of iodine that is selectively taken up by the **thyroid gland**.
- It emits **beta and gamma radiation**.
- **Major applications:**
  - Treatment of **hyperthyroidism**.
  - Treatment of **differentiated thyroid cancer** after appropriate clinical evaluation.
  - Thyroid function and imaging applications in selected settings.
- Its beta radiation provides the main **therapeutic effect**, while gamma radiation can be detected for imaging.
- Because it has a relatively long physical half-life, appropriate radiation-safety precautions are required.

Technetium-99m (^{99m}Tc)

- ^{99m}Tc is one of the most widely used radionuclides in **diagnostic nuclear medicine**.
- It emits **gamma radiation**, which is suitable for detection by a gamma camera.
- It has a short physical half-life of approximately **6 hours**, reducing radiation exposure while allowing imaging.
- It can be attached to different pharmaceutical compounds to image different organs and physiological processes.
- **Applications include:**
  - Bone imaging
  - Renal imaging
  - Hepatobiliary imaging
  - Cardiac imaging
  - Lung imaging
  - Other organ and functional studies

Cobalt-60 (^{60}Co)

- ^{60}Co is a radioactive isotope that emits **high-energy gamma radiation**.
- It has a relatively long half-life of approximately **5.27 years**.
- **Applications:**
  - Historically used in **cobalt teletherapy** for cancer treatment.
  - Used as a radiation source in certain specialised medical and industrial applications.

- Because of its highly penetrating gamma radiation, **shielding and strict radiation protection** are essential.

Phosphorus-32 (^{32}P)

- **^{32}P** is a **beta-emitting radionuclide**.
- It can be incorporated into biological molecules and is therefore useful in certain therapeutic applications.
- **Applications include:**
  - Selected treatment of **myeloproliferative disorders**.
  - Historically used in certain forms of therapeutic radiopharmaceutical treatment.
  - Laboratory and research applications involving radioactive phosphorus.
- Because it is primarily a beta emitter, appropriate contamination-control and radiation-protection measures are particularly important.

Safe Handling of Radiopharmaceuticals

- Radiopharmaceuticals must be handled only by **appropriately trained and authorised personnel**.
- Radiation exposure should follow the basic principle of **ALARA — As Low As Reasonably Achievable**.
- The three fundamental methods of reducing external radiation exposure are:
  - **Time:** minimise the time spent near radioactive sources.
  - **Distance:** maximise the distance from the radioactive source.
  - **Shielding:** use appropriate shielding between the worker and the source.
- Use appropriate **personal protective equipment (PPE)** and contamination-control procedures.
- Avoid direct contact with radioactive materials and use appropriate handling devices where required.
- Clearly label radioactive materials with:
  - Radionuclide
  - Activity
  - Date/time
  - Appropriate radiation warning information
- Monitor work areas and personnel according to the applicable radiation-safety programme.
- Maintain accurate records of **receipt, preparation, administration, storage, and disposal**.

Storage of Radiopharmaceuticals

- Store radiopharmaceuticals in **designated, controlled areas** with restricted access.
- Appropriate **shielding** should be provided according to the radionuclide and activity.
- Containers should be securely closed and clearly labelled.
- Storage conditions such as **temperature, light protection, and sterility requirements** should follow the product and institutional specifications.
- Radioactive materials should be segregated from non-radioactive medicines where appropriate.
- Access should be limited to **authorised personnel**.
- Inventory and activity records should be maintained to ensure proper control of radioactive materials.

Disposal of Radiopharmaceuticals

- Radioactive waste must **not be disposed of as ordinary pharmaceutical or domestic waste**.
- Disposal must follow the requirements of the relevant **national and institutional radiation-safety regulations**.

- Short-lived radioactive waste may be managed using an authorised **decay-in-storage** procedure where permitted.
- Radioactive waste should be:
  - Properly identified and labelled.
  - Segregated according to radionuclide and waste type.
  - Stored in designated shielded areas when required.
  - Monitored before release or disposal according to applicable regulations.
- Sharps and contaminated materials require appropriate radioactive-waste procedures.
- Records of radioactive waste disposal should be maintained as required by the regulatory authority.

Regulatory and Safety Guidelines

- The use of radiopharmaceuticals must comply with applicable **radiation-protection, pharmaceutical, nuclear-medicine, and radioactive-waste regulations**.
- In India, facilities handling radioactive materials operate under the regulatory framework of the **Atomic Energy Regulatory Board (AERB)** and applicable atomic-energy and radiation-safety requirements.
- Important principles include:
  - **Justification** – use radiation only when the expected benefit outweighs the risk.
  - **Optimisation** – keep radiation exposure **ALARA**.
  - **Dose limitation** – apply applicable occupational and public exposure limits.
  - Proper **training, documentation, monitoring, and emergency procedures**.
- Patients should receive appropriate instructions regarding radiation safety after administration, particularly with therapeutic radionuclides.