University of Al-Mustaqbal
College of Science
Department f Medical
Physics

Medical physics 4

Fourth stage/ Second course

Radiopharmaceuticals

Lecture Two

Name of lecturer

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Radiopharmaceuticals

Nuclear Medicine uses special chemicals called radiopharmaceuticals to image the structure and function of organs and biological processes.

Radiopharmaceuticals are sometimes called radiotracers and They are labeled with radionuclides, which allow their bio distribution to be imaged in nuclear medicine.

Sometimes because the radiopharmaceuticals concentrate in specific locations, they can be used to treat certain conditions (nuclear medicine therapy) (In therapeutic applications, radiopharmaceuticals are administered in higher activities and are therefore not referred to as radiotracers.)

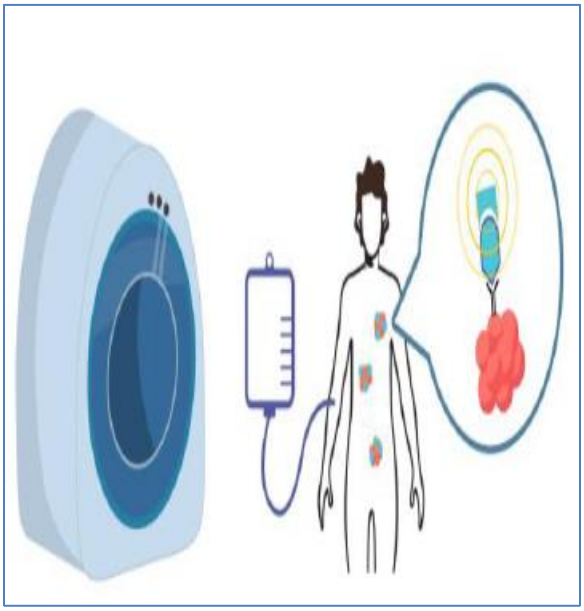
• Are designed to mimic a natural physiological process.

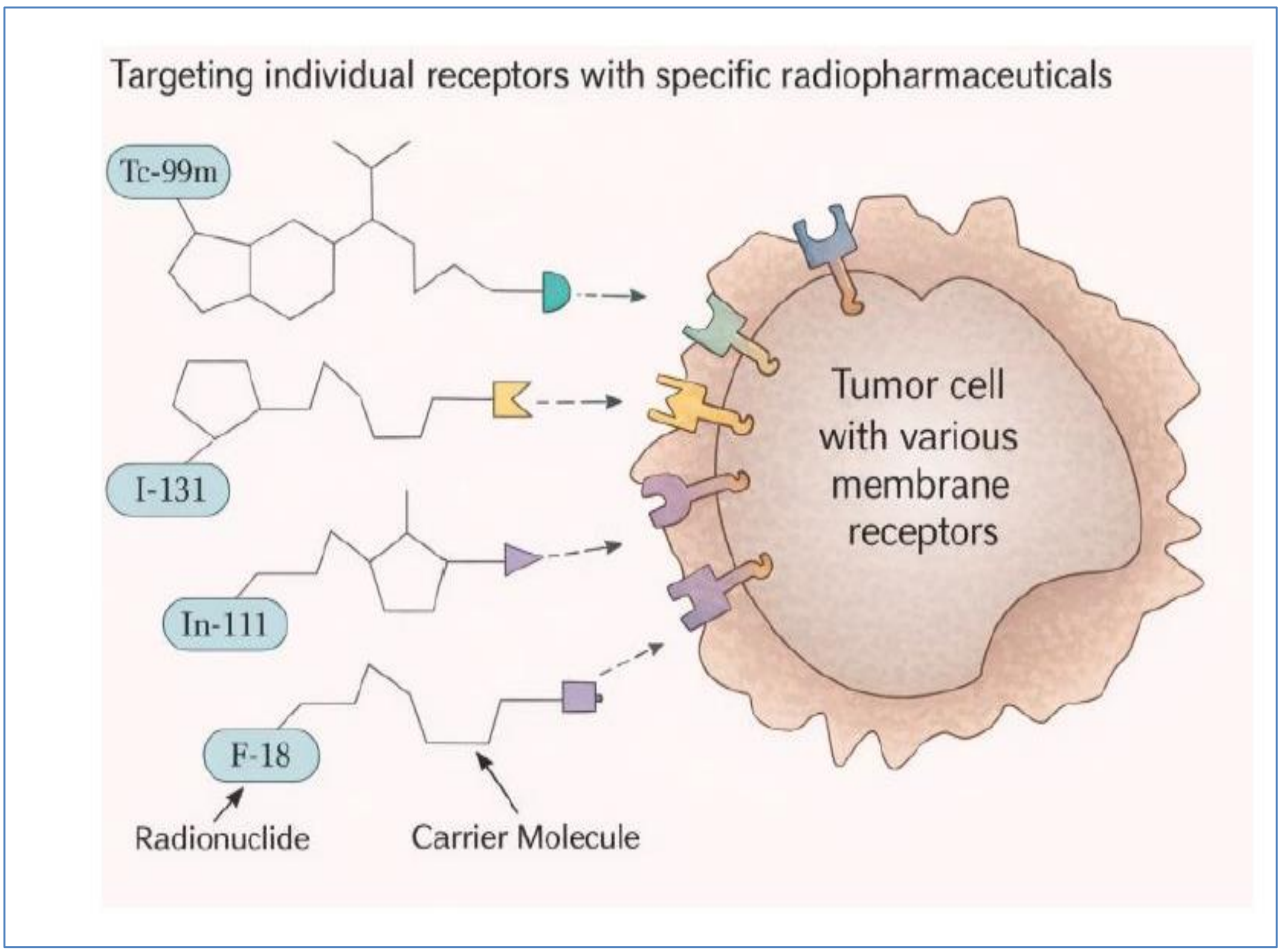
• Are tagged with a radionuclide which emits gamma rays so that their distribution within the body can be imaged.

• Produce images of function primarily, as opposed to anatomy.

• Can be visualized in a single image or in a sequence of images to show both static and dynamic processes.

• A radioactive isotope that can be injected safely into the body, and a carrier molecule which delivers the isotope to the area to be treated or examined.





A) Radionuclide

There are four types mentioned in the image:

•Tc-99m (Technetium-99m)

•I-131 (Iodine-131)

•In-111 (Indium-111)

•F-18 (Fluorine-18)

“These radionuclides serve as radiation sources used for diagnostic imaging or targeted therapy of various diseases, including cancer.”

B) Carrier Molecule

The carrier molecule is an organic molecule that binds to the radionuclide on one side and to receptors on the cell surface on the other.

Function of the carrier molecule:

•Directs the radionuclide to the target cell.

•Increases selectivity so that radiation does not affect healthy cells.

C) Target / Receptor

The tumor cell shown contains several different receptors on its membrane.

Each radiolabeled molecule, attached to a carrier, binds to a specific receptor only (like a key fitting a specific lock).

This allows:

•Accurate imaging of the tumor (for diagnostic purposes).

•Targeted radiotherapy of cancer cells only (for treatment purposes).

2. How This System Works

•The carrier molecules labeled with radionuclides are injected into the body.

•Each molecule circulates through the bloodstream and seeks its specific receptor on the cell surface.

•When it reaches a tumor cell with the appropriate receptor, the molecule binds to it.

The radionuclide can then:

•**Image cancer cells** using nuclear imaging devices such as SPECT or PET.

•**Destroy cancer cells** via localized radiation.

3. Key of the Image

Colors and shapes indicate different receptors and radiolabeled molecules.

Each radiolabeled molecule has a "specific shape" that corresponds to the "matching receptor" on the cell, just like a key fits a specific lock.

Radioisotope

• A version of a chemical element that has an unstable nucleus and emits radiation during its decay to a stable form.

• Not all isotopes are radioisotopes.

Properties of an Ideal Diagnostic Radioisotope:

Type of Emission:

-Pure Gamma Emitter: (Alpha and beta particles are undesirable for diagnostic imaging due to their high radiation dose to tissues & deliver High Radiation Dose)

Energy of Gamma Rays:

-Ideal: 100-250 keV

Photon Abundance:

-Should be high to minimize imaging time

Easy available:

-Readily available, easily produced and inexpensive

Target to Non Target Ratio

-A high target-to-non-target ratio is required to maximize imaging efficiency and minimize unnecessary radiation exposure.

Effective Half Life

-It should be short enough to minimize the radiation dose to patients and long enough to perform the procedure.

Patients Safety

-Should not exhibit toxicity to the patients.

Preparation Quality Control

-No complicated equipment

-No time consuming steps

Pharmacokinetics of Radiopharmaceuticals

Radiopharmaceutical pharmacokinetics describes how a radiopharmaceutical behaves in the body after administration and includes four main processes:

• Absorption:

Most radiopharmaceuticals are administered intravenously, resulting in immediate systemic availability.

• Distribution:

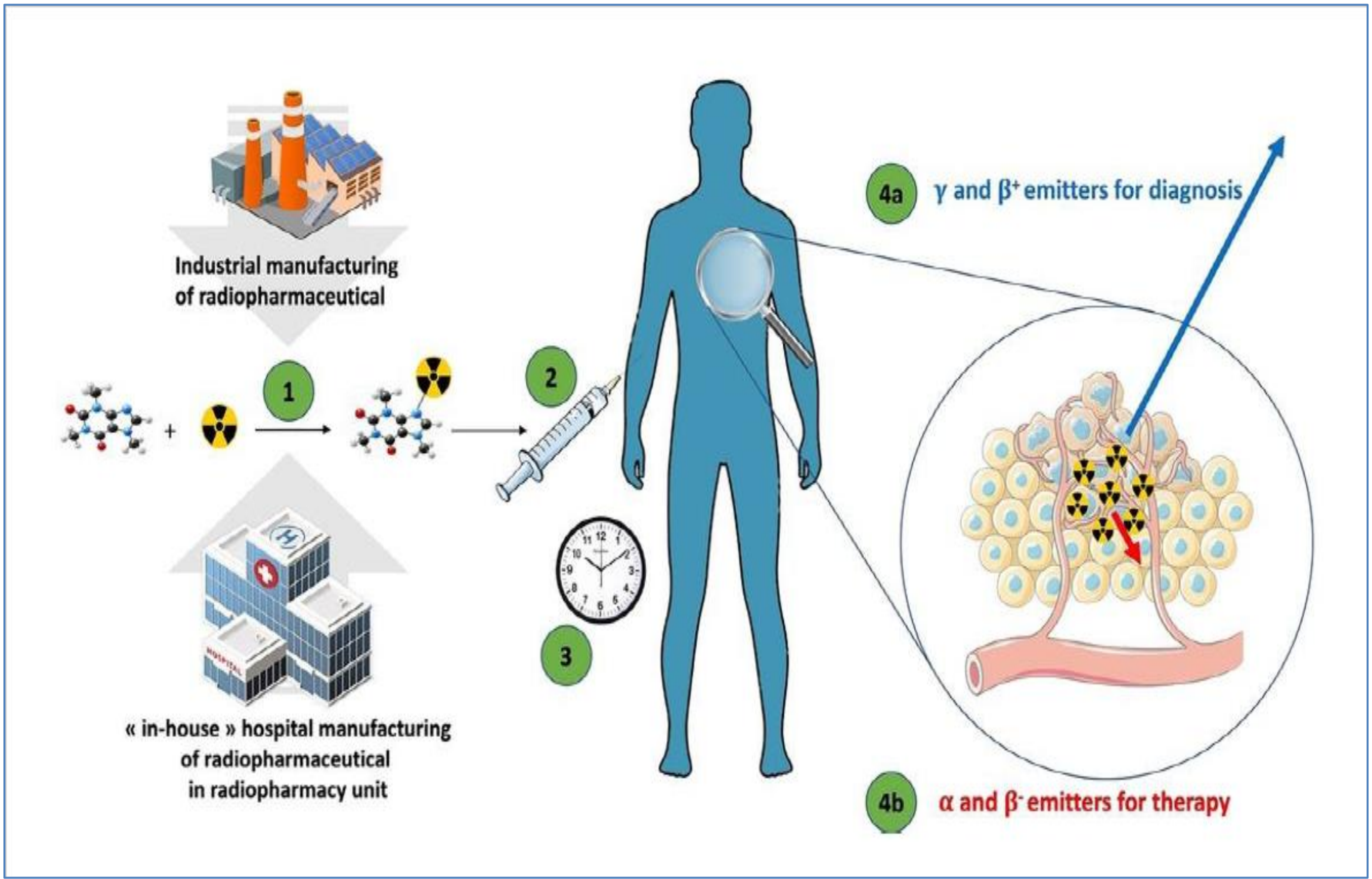
The radiopharmaceutical distributes through the bloodstream and accumulates in target organs based on physiological, biochemical, or receptor-mediated mechanisms.

• Metabolism:

Some radiopharmaceuticals undergo metabolic transformation, particularly PET tracers such as ^{18}F-FDG, which is phosphorylated and trapped inside cells.

• Excretion:

Radiopharmaceuticals are eliminated primarily through the kidneys (urinary system) or hepatobiliary system, depending on their chemical structure. Understanding pharmacokinetics is essential for optimizing image quality, minimizing radiation dose, and selecting appropriate imaging times.



The general flow of radiopharmaceuticals. (1) The radiopharmaceutical compound is manufactured by the industry or "in-house" hospital radio pharmacy unit under GMP or PIC/S regulation, respectively. (2) The radiopharmaceutical compound is injected into the patient in the nuclear medicine department. (3) After the elapsed time needed for the specific pharmacological distribution of the radiopharmaceutical, the radioactivity is used depending on the purpose: (4a) an emission of radioactivity outside the body for external detection (diagnostic) with γ or β+ emitters; or (4b) local irradiation for therapeutic purpose with α, β−, or Auger emitters.

Therapeutic Radiopharmaceuticals

Therapeutic radiopharmaceuticals are designed to deliver a cytotoxic dose of ionizing radiation selectively to diseased tissues, particularly malignant tumors, while minimizing radiation exposure to surrounding healthy tissues. These agents typically emit beta-minus (β^-) particles or alpha (α) particles, which deposit high energy over a short range, leading to DNA damage and cell death. Historically, therapeutic radiopharmaceuticals have been widely used in the treatment of thyroid cancer, Graves' disease, hyperthyroidism, and bone pain palliation in patients with skeletal metastases. More recently, targeted radionuclide therapy and theranostic approaches have expanded their applications to neuroendocrine tumors and prostate cancer.

Diagnostic Radiopharmaceuticals

Diagnostic radiopharmaceuticals are used to obtain detailed information about the morphology, physiology, and functional behavior of organs and tissues. They predominantly emit gamma photons (or positrons in PET imaging), which escape the

body and are detected externally using gamma cameras, SPECT, or PET scanners, allowing image formation without causing significant tissue damage. These agents are administered in trace amounts, ensuring minimal radiation dose to the patient while providing high-quality diagnostic information.

Basic Dosimetry in Nuclear Medicine

• **Absorbed Dose:**

The amount of energy deposited by ionizing radiation per unit mass of tissue and is measured in gray (Gy).

• **Diagnostic Radiopharmaceuticals:**

Use gamma or positron emitters that escape the body, resulting in low absorbed dose to tissues.

• **Therapeutic Radiopharmaceuticals:**

Use beta-minus (β^-) or alpha (α) emitters that deposit high energy over short distances, causing localized cell damage.

• **Linear Energy Transfer (LET):**

Alpha particles have high LET and produce dense ionization, making them highly effective for targeted cancer therapy.

Dosimetry is critical for balancing therapeutic effectiveness with patient safety.

Advantages

➢ It can be used as the diagnosis and treatment of patients

➢ It is the common cure for cancers

➢ Can treat multiple disease sites

➢ Widely available mode of treatment

➢ Directly treats tumors, especially useful for bone metastasis

➢ Can provide fast onset of pain relief

➢ Single dose is effective for some patients

➢ Nuclear medicine tests can be performed on children

➢ Nuclear medicine procedures are cost effective and painless

➢ Nuclear medicine procedures are generally safe, with a very low incidence of side

effects when used appropriately.

Disadvantages

➢ Nuclear medicine procedures involve exposure to ionizing radiation, which limits their

use in pregnant women due to the increased radiosensitivity of the developing fetus.

➢ Although radiation doses are generally low, they are still higher than some alternative

imaging modalities. In addition, nuclear medicine images often have lower spatial

resolution compared to CT or MRI.

➢ Some examinations require relatively long acquisition times, which may be

inconvenient for patients. The high cost of radiopharmaceuticals and specialized

equipment, as well as limited availability, are further disadvantages.

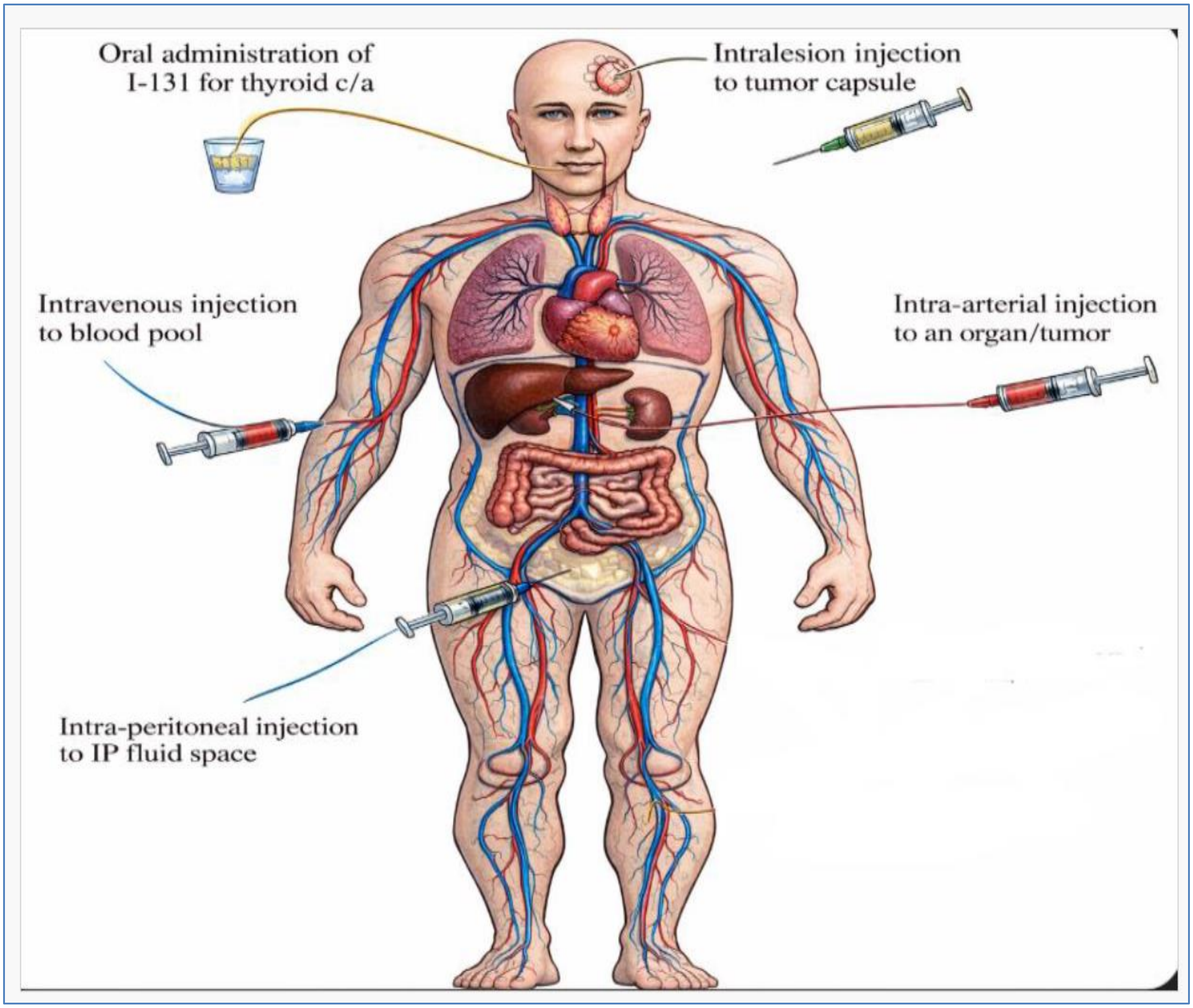
➢ Moreover, metallic dental fillings, braces, and implants may cause image artifacts,

leading to distortion in certain anatomical regions, particularly around the oral cavity.

Mechanisms of Localization for Radiopharmaceuticals

Mechanism	Example
Active transport	Thyroid uptake and scanning with iodine
Compartmental localization	Blood pool scanning with human serum albumin, plasma, or red blood cell volume determinations
Simple exchange or diffusion	Bone scanning with ^{99m}Tc-labeled phosphate compound
Phagocytosis	Liver, spleen, and bone marrow scanning with radiocolloids
Capillary blockade	Lung scanning with macroaggregate (particle size 10–90 μm) organ perfusion studies with intra-arterial injection of macroaggregates
Cell sequestration	Spleen scanning with damaged red blood cells
Phosphorylation	Imaging of glucose metabolism with ^{18}F-FDG
Receptor binding	Neuroendocrine tumor (NET) imaging with somatostatin receptor-binding ^{111}In-pentetreotide (OctreoScan), ^{68}Ga-DOTATOC, and ^{68}Ga-DOTATATE
Antibody-antigen binding	Tumor imaging with antibody ^{111}In-ProstaScint

Note: Although some mechanisms appear similar, each represents a distinct biological localization process.


Oral administration of
I-131 for thyroid c/a
Intralesion injection
to tumor capsule
Intravenous injection
to blood pool
Intra-arterial injection
to an organ/tumor
Intra-peritoneal injection
to IP fluid space