# Radiopharmaceutical Preparations

## Isotopes

**Isotopes:** are an elements having the same atomic number (number of protons) but different mass number (number of neutrons). For examples chlorine has two isotopes of masses 35 and 37 in the ratio of 1:3 respectively. Hydrogen has three isotopes; hydrogen-1, hydrogen-2 and hydrogen-3. They have 0, 1, and 2 neutrons respectively.

Two major types of isotopes are found in nature **stable** isotopes and **unstable** or **radioactive** isotopes. Stable isotopes maintain their elemental integrity and do not decompose to other isotopic or elemental forms. Unstable or radioactive isotopes decompose or decay into other isotopes of the same or different elements by emission of nuclear particles in the form of alpha, beta, positron and gamma rays. Example carbon has five isotopes, two are stable and three are radioactive.

## Radiopharmaceutical preparations

**Radiopharmaceutical preparations:** are a specific type of medicinal products. When ready for use they contain one or more radioisotopes. Radioisotopes disperse excess energy by spontaneously emitting radiation in the form of alpha, beta, positron and gamma rays. Radiopharmaceuticals make use of the radiation emitted by radioisotopes.

Radiopharmaceuticals have specific characteristics which differ from other medicinal products. They have relatively short expiration date, due to their radioactive decay. The physical half-life (duration that halves radioactivity) of the medical radionuclides varies between few hours to few days. Because of the short expiration date radiopharmaceuticals (especially for diagnostic use) are often prepared shortly before use.

**Radiopharmaceutical preparations are used** for therapeutic (destruction of diseased body cells) and diagnostic purposes (detection of radiation and transforming into images).

**Diagnostic use:** Radioisotopes emitting penetrating **gamma rays** are used for diagnostic (imaging) where the radiation has to escape the body before being detected by a specific device. Typically, the radiation emitted by isotope used for imaging disappears completely after 1 day through radioactive decay and normal body excretion.

**Therapeutic use:** Radioisotopes emitting short range particles (**alpha or beta**) are used for therapy due to their power to lose all their energy over a very short distance, therefore causing a lot of local damage (cell destruction). Radioisotopes for therapeutic use stay longer in the body than imaging ones; this is in order to increase treatment efficiency, but this remains limited to several days.

## Biological effects of radiation

1. **Cellular effects:** e.g. essential enzymes are inactivated
2. **Skin Damage:** e.g. dryness of skin, loss of hair and burns
3. **Somatic effects:** e.g. severe anemia, leukemia and cancer
4. **Genetic effects:** e.g. damage chromosomes and gene mutation

## Criteria of Safe Isotopes

The safest radioisotopes to use and handle are those in which:

1. The particles and rays have relatively low energy
2. The physical half life is short
3. The biological half life is short

## Physical half-life:

The time taken for half of the radioactive nuclei to disintegrate (i.e. for the activity to fall to half of its original value) is known as the half-life (t1/2).

## Biological Half Life:

Biological half-life is a term used to describe the rate of elimination of a radionuclide from the body. It is the time taken for 50% of the radioactive nuclei to be eliminated.

# Some Radiopharmaceutical Preparations

## 1. Iodine125 and Iodine-131

Sodium Iodide 125 Solution

Sodium Iodide 131 Capsules and Solution

They are used as for diagnostic (determine thyroid function) and therapeutic purpose.

**Sodium iodide-131** is the most frequently employed of the two isotopes, emits both beta and gamma radiation, it is the most common isotope and chemical from in uses as a diagnostic aid in the study of the functioning of the thyroid gland, and in scanning the thyroid to determine size, position, and possible tumor location.

The usual procedure in the study of thyroid function is to measure the uptake of radioactive iodine in a 24-hour period. The thyroid (normal) patient will take up from 10 to 15% of the administered dose in 24 hours. If the uptake is less than 10% the patient is hypothyroid, and an uptake of over 50% is an indication of hyperthyroidism.

Iodine-125 emits significantly lower energy radiation than iodine-131.

**2. Chromium-51:** Sodium Chromate Cr-51 injection. It is used to measure circulating red cell volume, red cell survival time and whole blood volume.

### 3. Cobalt-57 and Cobalt-60:

Cyanocobalamin Co 57 capsules and solution

Cyanocobalamin Co 60 capsules and solution

They are used as diagnostic aid to study the absorption and deposition of vitamin $B_{12}$ in normal individuals and in patients with megaloblastic anemia.

**4. Iron-59:** Ferrous Citrate Fe-59 injection. It is used as a diagnostic aid for the evaluation of the kinetics of iron metabolism.

**5. Technetium-99:** Technetium Tc 99 Injections. They are used for the diagnostic study of lungs, bone imaging and renal imaging.

### 6. Mercury-197 and Mercury-203

The two preparations Hg-197 and Hg-203 are special radioactive tracer for scans of the kidney and brain.

### 7. Phosphorus-32, $P^{32}$

This solution is useful for oral or IV administration and for both diagnostic and therapeutic purposes. Phosphorus is very useful in cell metabolism so as the metabolism accumulation of phosphorus. Therefore, the proliferation cells or cancer cells or cancer cells turnover or accumulate this isotope (P) more than other cells. Cancer cells characterized by rapid proliferation and therefore it accumulates P-32 more than the other cells. Therapeutically, this isotope used in the treatment of polycythemia Vera in which there is an increase in number and mass of the RBC. The effect of radioactive isotope is to reduce the formation of erythrocytes in the body.

# Radiopaque and Contrast Media

Radiopaque media (contrast media), also called contrast agents or contrast materials are chemical compounds containing elements of high atomic number which will stop the passage of x-rays. These types of compounds are used as diagnostic aids in radiology, computed tomography (CT), magnetic resonance imagining (MRI), and ultrasound. Contrast materials are not dyes that permanently discolor internal organs. They are substances that temporarily change the way x-rays or other imaging tools interact with the body.

X-rays are capable of passing through most soft tissue so that when special photographic film or a photosensitive plate is placed on the side of the patient opposite to the x-ray source, the film or plate will become darkened in an amount proportional to the number of x-ray photons that are able to pass.

Bone and teeth are the only types of tissue capable of significantly arresting the passage of X-rays.

Radiopaque materials appear light on exposed x-ray film, allowing their visualization for the diagnosis of fractures, malformations, and the like. The chemical constituents of bone and teeth which give them the ability to stop this type of radiation are the large concentrations of calcium and phosphorus.

Although these elements do not have extremely high atomic numbers, they represent the highest available in biological systems in any significant concentration.

Furthermore, they occur in close-packed structures providing large localizations of electron density. As a general rule, the more electrons in an atom or molecule the greater the chance of stopping the passage of x-rays.

Soft tissues, being less dense and composed primarily of carbon, hydrogen, and oxygen, which are relatively low in atomic number, do not present a dense enough electron "screen" or barrier. For this reason skin and soft organs appear only as shadows, if at all, on x-ray film.

Contrast materials enter the body in one of three ways. They can be:

- **Swallowed** (taken by mouth or orally)
- Administered by **enema** (given rectally)
- **Injected** into a blood vessel (vein or artery; also called given intravenously or intra-arterially)

Following an imaging exam with contrast material, the material is absorbed by the body or eliminated through urine or bowel movements.

**Types of Contrast Materials**

There are several types of contrast materials:

**1. Iodine based and barium sulfate compounds:** are used in x-ray and computed tomography (CT) imaging exams. Contrast materials can have a chemical structure that includes iodine, a naturally occurring chemical element. These contrast materials can be injected into veins or arteries, within the disks or the fluid spaces of the spine, and into other body cavities.

Barium-sulfate is the most common contrast material taken by mouth, or orally. It is also used rectally and is available in several forms, including, powder, liquid, paste and tablet. When iodine based and barium sulfate contrast materials are present in a specific area of the body, they block or limit the ability of x-rays to pass through. As a result, blood vessels, organs and other body tissue that temporarily contain iodine-based or barium compounds change their appearance on x-ray or CT images.

**2. Gadolinium**: is the key component of the contrast material most often used in magnetic resonance (MR) exams. When this substance is present in the body, it alters the magnetic properties of nearby water molecules, which enhances the quality of MR images.