Radiopharmaceuticals

Compounds or substances which emit radiation and which are used in medicine are called Radiopharmaceuticals.

The term 'Radio-Isotopes', Radio-Nuclide & Isotopes are used generally for substances which emit ionising radiations.

These are used in medicine for the following two purposes:

(i) As source of radiation for radiotherapy, for example in cases of cancer.

(ii) As radioactive tracers for diagnostic purposes.

Units of Radioactivity

(i) **Curie (C)**: It is defined as the quantity of the radioactive substance undergoing 3.7×10^{10} disintegrations per second. This is equal to about 1gm of radium which also undergoes 3.7×10^{10} disintegration per second.

Mili-curie $= 3.7 \times 10^{10}$ d/s

Micro-curie $= 3.7 \times 10^{4}$ d/s

(ii) **Roentgen (R)**: It is the unit of exposure.

$1R = 2.58 \times 10^{-4}\ C\,kg^{-1}$

(iii) **RAD**: It is the unit of absorbed dose.

$1rad = 10^{-2}\ J\,kg^{-1}$

(iv) **Rem**: It refers to unit of dose equivalent.

Dose in Rem = Dose in rads x Quality factor x Distribution factor.

(v) **Exposure rate constant**: It refers to the dose rate in roentgen per hour at 1m distance from 1 curie. It is about one tenth the dose at a distance of 1foot from 1 Curie.

Nature of Radiation

① Alpha particles (α particles)

→ These particles are composed of two protons and two neutrons and are identical to the nucleus of a helium atom.

→ These are heavy but slow and are least penetrating

→ These can be stopped by a piece of thin paper.

→ These penetrate into the tissues for only 0.01 cm.

② Beta particles (β)

→ These particles are simply electrons emitted by nucleus. These have unit negative charge.

→ These have negligible mass but their energy and velocity are very high.

→ These particles can penetrate into the tissues to the extent of ~~one~~ 1 cm or slightly more.

→ Penetration of β-particles is about 100 time more as compared to α- particles.

③ Gamma rays (γ rays)

→ It is a form of electromagnetic radiation like visible light. It travels with the same velocity as the visible light.

→ Shorter wavelength as compared to visible light.

→ It has much more energy & much greater penetrating power.

→ These can penetrate in the tissue to about 100 cm.

Measurement of radioactivity

→ In order to measure the radiations of alpha, beta and gamma particles, Many techniques involving detection and couting of particles or photons have been available.

→ The gas ionisation devices include pulse ionisation chambers, proportional counter and Geiger-Muller counter. Scintillation methods are especially employed for counting gamma radiations.

→ The method selected for the measurement of radioactivity depends upon the extend of energy dissipation & penetrability of radiation.

① Ionisation Chambers

These are available in various shape and sizes. An ionisation chambers consist of chambers filled with gas and fitted with two electrodes kept at different electrical potentials and a measuring device to indicate the flow of electric current.

→ Radiation brings about the ionization of gas molecules or ions which cause emission of electrons which in turn reveals the changes in electrical current.

② Proportional chambers

→ These are the modified ionization chambers in which an applied potential ionization of primary electrons causes thundesrous bursting or production of more free electrons which get carried to the anode.

→ For each primary electron liberated, a large number of additional electrons are liberated, the current pulse through electrical circuit is greatly amplified.

→ The voltage range over which the gas amplification (ionization) occurs is called the proportional region, and the counter working in this region are called proportional counters.

③ Geiger - Muller (G-M) counters

→ These are still the most popular radiation detectors due to its simplicity, low cost and ease of operation.

→ They donot need the use of high-gain amplifier and they can detect α, β and γ radiations.

Construction

~~figure~~

→ A Geiger-Muller counter possess a cylindrical cathod, which is usually 1-2 cm in diameter. along the centre of which is a wire anode.

→ The space is filled with a special gas mixture which gets readily ionised together with a small proportion of quenching vapour.

→ For counting the radioactive solic sources, the end window type G-M counter hasbeen the most populor which is shown in figure (a).

→ The tube has been coated on the inside with graphite to form the cathode. A counter of this type is depicted in fig (b).

→ In order to count the radioactive liquid, the counter takes the form shown in fig (c).

→ The type shown in fig (d) is having a capacity of approximately 5cm³ and gets connected by a plug & socket.

→ In order to count the radioactive gases, the type shown in fig (c) is used. In this counter, radioactive gas is introduced together with the counting gas.

Operation of Geiger-Muller Counters

→ A Geiger-Muller probe unit is regarded as an eletrical switch, in which each pulse may make the current to pass to scaler to counting unit for recording the no. of pulses.

→ It is having shelves to hold a source in one or more positions and for holding absorbed b/w the counter and the source.

→ The high voltage is to be adjusted so that the counter gets operated on the plateau of its characteristics curve (fig – Next page).

The voltage selected for operating point is generally 100 volts above the threshold voltage.

(fig)

(4) Scintillation counter

→ Certain substances when exposed to radiation emit flashes of light through fluoroscence. This light output can be used as a measure of absorbed radiations in a scintillator detector.

→ The important properties of a good scintillator detector are —

(i) high scintillation efficiency

(ii) the light produced should be proportional to the absorbed radiation

(iii) the detector material should be transparent to the wavelength of its own emission.

→ Both inorganic and organic scintillators can be used as detector.

Inorganic scintillators : Alkali halides are the most commonly used compounds. They are insulators and have a wide gap between the valence band and the conduction band. NaI(Tl) is most used scintillator.

Organic scintillators : Anthracene having high scintillation efficiency and stilbene having low scintillation efficiency are most widely used scintillators. Scintillation detectors, however, suffer from the limitation of poor energy resolution.

(5) Autoradiography

→ It is more useful in detecting and determining gamma radiations in physiological studies of plants and animals.

→ The radioactive atoms (present in the cut section) are emitting particles which darken photographic emulsion. After sufficient time of exposure, the emulsion has been developed and fixed.

(6) Photographic emulsions

Ordinary photographic films consist of an emulsion of silver halide grains suspended in a gelatin matrix and supported with a glass or cellulose acetate film. eg- Radigraphic films & nuclear emulsions.

(7) Cerenkov detectors

These are based on the light which is emitted by fast charged particles passing through an optically transparent medium with refractive index more than one.

Half-life of Radioelement

→ The decay of individual atoms of a radioactive substance has been found to be irregular.

→ If a certain amount of radionuclide is taken and the no. of disintegration per second is measured, it is found that, after some time, half of the original atoms would have got disintegrated and only half of the original active atom would be left behind.

→ So, Half-life is defined as the time required for a radioactive isotope to decay to one-half of its original value at any given point of time. Denoted by $t_{1/2}$.

$$\text{Half life, } t_{1/2} = \frac{0.693}{\lambda}$$

where, λ is disintegration const. in unit of sec^{-1}.

Handling and Storage of Radioactive Materials

Great care must be taken in handling and storage of radioactive materials so as to protect people and personnel from harmful radiations which the radioactive material emits.

The following precautions have to be kept in mind while handling and storage of radioactive substance—

(i) Radioactive materials must never be touched with hand but handled by means of forceps or suitable instruments.

(ii) Smoking, eating, drinking activities must not be carried out in the laboratory where the radioactive materials are handled.

(iii) Sufficient protective clothing (shielding) must be used while handling the materials.

(iv) Should be kept in suitable labelled containers shielded by lead bricks & preferably in a remote corner.

(v) Areas where radioactive materials are stored or used should be monitored.

(vi) Disposal of radioactive materials is done with great care.

Scanned with CamScanner

Production of Radio Isotopes

(a) Reactor Irradiation

A reactor is having an arrangement of fissionable material (Uranium) in a moderator which slows down the fast neutrons to thermal energies.

eg –

$$^{59}_{27}Co + ^{1}_{0}n \longrightarrow ^{60}_{27}Co + \gamma$$

$$^{31}_{15}P + ^{1}_{0}n \longrightarrow ^{32}_{15}P + \gamma$$

(b) Cyclotron Irradiation

While the reactors are able to produce a flux of neutrons and gamma-rays, accelerating machines can use many other types of bombarding particles which have been charged particles.

eg :-

$$^{24}_{12}Mg + ^{2}_{1}H \longrightarrow ^{22}_{11}Na + ^{4}_{2}He$$

$$^{98}_{42}Mo + ^{2}_{1}H \longrightarrow ^{99}_{43}Tc + ^{1}_{0}n$$

Applications of Radioisotopes

Radioisotopes find use in medicines in four different ways —

(i) Radiations source in therapy.

(ii) Radioactive tracers for diagnostic purposes.

(iii) Research

(iv) Sterilisation.

(i) Radioisotopes in therapeutics

→ The therapeutics used radioisotopes have been found to depend mainly on their ability to ionize atoms.

→ The energy measurement involved in radiation and resulting in ionization may be expressed in million of electron volts called MeV.

eg: ^{198}Au finds use in the treatment of carcinoma of uterus and urinary bladder.

- Sodium Iodide (^{131}I) preparation finds use in the treatment of thyroid dissorders etc.

(ii) Radioisotopes in Diagnosis

Labelled cyanocobalamin finds use for measuring the glomerular filtration rate.

eg — Colloidal gold (^{198}Au) injection — (Diagnostic use in the study of blood circulation in the liver)

Sodium Iodohippurate I-131 injection — study of renal functions.

(iii) Research : Excellent biological & medicinal studies have been carried out with radio- active isotopes as tracers. Modern knowledge of many biochemical processes have been the cause of such elaverate studies.

eg- $^{16}_{6}C$ and $^{3}_{1}H$ are most commonly used radio-nuclides for this purpose.

(iv) Sterilization

Excellent use is being made of the radiation constantly available from some strong radiation source for sterilising pharmaceuticals in their final packed containers and surgical instruments in hospitals.

eg- $^{50}_{27}Co$ or Cesium- 137 maybe used for sterilising surgical instrument.

Radio- Pharmaceuticals

These are more or less like pharmaceutical preparations (solutions, capsules, injections etc).
A radioactive pharmaceutical preparation is named by one of these methods.
eg- sodium-radio-iodide injection or sodium iodide-I131 capsules.

Sodium Iodide I131 solution USP

→ Sodium iodide I131 solution is suitable either for oral or IV administration.

→ The solutions are clear and colourless, but over a period of time both the solution and gas may darken due to the effects of radiation.

→ Radioactive I131 is processed in the form of sodium iodide from the product of uranium fission or the neutron bombardment of tellurium untill it becomes essentially carrier free and is having only minute amounts of naturally occuring iodine -127 BP.

→ It is also having sodium thiosulphate as reducing agents.
→ For injection, a suitable preservative such as benzyl alcohol is added.

Iodine 131 and Iodine-125

Iodine-131 and to some extent Iodine-125 have been found to occurs as an isotopes in numerous radiopharmaceuticals for diagnostic and therapeutic purposes.

Properties

→ Iodine-131 has been the most frequently employed of the two isotopes.

→ It emits both beta and gamma radiations for producing a rather complex emission spectrum.

Production

→ The important emission from Iodine-131 for medical purposes have been the 0.608 MeV beta or as given below —

$$^{131}_{53}I \xrightarrow{80.8\,d} {}^{131}_{54}Xe + 0.608\ MeV\ \beta^- + 0.364\ MeV\ \gamma$$

→ Iodine-125 has been emitting significantly lower energy radiations than Iodine-131.

→ Both Iodine-125 and Iodine-125 can be produced in the reactor for producing essentially carrier-free isotopes that is —

$$^{125}_{53}I \xrightarrow{60\text{-}d} {}^{125m}_{52}Te + 0.027\ MeV\ X + {}^{125}_{52}Te + 0.035\ MeV\ Y.$$

Some examples are —

→ Rose Bengal I-131

→ Sodium Iodohippurate I-131 Injection USP.

→ Ferric citrate Fe-59.

→ Sodium phosphate P-32

→ Radioactive sodium chloride Na-24 soln. etc.

Contrast Media (Radiopaques)

→ The chemical compounds which have the ability to absorb X-rays and block the passage of X-rays. Thus, they are opaque to X-ray examination. The compounds and their preparation are known as X-rays contrast media.

eg – Barium Sulphate ($BaSO_4$) M.W = 233.39

Preparation

(i) It is prepared by the action of dil. H_2SO_4 on BaS.

$$BaS + H_2SO_4 \longrightarrow \underset{(ppt)}{BaSO_4} + H_2S$$

(ii) For pharmaceutical purposes, barium sulphate is prepared by treating an aqueous soln containing barium ion with a suitable containing sulphate ions.

$$BaCl_2 + H_2SO_4 \longrightarrow BaSO_4 \downarrow + 2HCl.$$

Properties

(i) It is heavy, fine, white, odourless, tasteless and bulky powder.

(ii) It is insoluble in water, organic solvents and dil. acid and alkalies and soluble in H_2SO_4.

Reaction

The powder is boiled with water and filtered. The filterate has been natural to litmus.

Uses

$BaSO_4 \downarrow$ is a diagonostic drug which is used medicinally in X-ray examination.

Storage

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