

PHARMACON CLASSES

Radiopharmaceuticals

Radiopharmaceuticals

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- ✓ not controlled by drug & cosmetic act
- ✓ are manufactured & supplied by Bhabha Atomic Research Centre - Mumbai
- ✓ are not official in the Indian Pharmacopeia
- ✓ Isomers: have same Z & M
- ✓ Isotope - (^{14}C)
 - Atomic number (Z) = same (equal to no. of proton)
 - Atomic Mass number(M) = Different (sum of Proton + Neutron in the nucleus)
 - Same no. of proton & electron so exhibit the same chemical property & occupy the same position in the periodic table

Isotopes

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- Stable Isotopes

- Stable so do not emit radiation
- Carbon 12, chlorine 35, Hydrogen 1 (protium), Hydrogen 2 (Deuterium)

- Radioactive Isotopes

- Unstable so they emit radiations
- Occurs naturally (Uranium, Radium etc) or may produce artificially.
- The phenomenon of emitting radiation by these isotopes is known as radioactivity and such isotopes are called radioactive isotopes.

Type of Radiation

(Ionization order $\alpha > \beta > \gamma$)

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α /Alpha particles

- composed of two proton and two neutron (nucleus of Helium atom)
- least penetrating power (0.01 cm/0.1 mm)
- Not used in Pharmaceuticals

β /Beta particles

- Electron emission (same mass of electron)
- β^- decay (negative beta decay/negatron) H-3 to He-3, daughter nucleus; increase 1 Z
 - results in a daughter nucleus, the proton number (atomic number) of which is one more than its parent but the mass number (total number of neutrons and protons) of which is the same
- β^+ decay (positive beta decay/Positron)
 - positive beta decay produces a daughter nucleus, the atomic number of which is one less than its parent and the mass number of which is the same; decrease 1 Z
- penetrating power 1 cm (100 times than α)

γ /Gamma Rays

- Electromagnetic radiation (NO mass/no charge) form like visible light
- much more energy and greater penetrating power (about 100 cm/10000 times more than the α particle)
- Lead (Shielding)
- Similar to X-Ray

Unit of Measurement of Radioactivity

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- Becquerel (Bq) - S.I unit (old - Curie/Ci)
- 1 Bq: 1 disintegration per sec = 2.7×10^{-11} Ci
- Unit of exposure: Roentgen(R)
- unit of absorbed dose: Rad
- unit of effective dose equivalent: REM (red equivalent men)

Properties of Radioactive Radiation:

- Penetrate matter
- Ionised the matter
- Darkening of photographic
- Scintillation of some substance = Flash
- Deflected by electrical or magnetic field

Measurement of Radioactivity

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Gas Ionization

1. GM (Geiger mullar) detector
2. Ionisation chamber detector
3. Proportional chamber detector

Scintillation detector

Principle: certain substances when exposed to radiation emit flashes of light through fluorescence or phosphorescence

1. Inorganic scintillators (alkali halide; NaI, CsI, LiI, BaF₂)
2. Organic scintillators (Anthracene and stilbene)
3. Semiconductor detector

Others

1. Photography emulsions/ autoradiography
2. Cerenkov detectors
3. thermoluminescence dosimeters
4. Track-etch detectors

Disintegration Rate

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- $dN/dt = -\lambda N$ (dN/dt = rate of change of Radioactive nuclei with time; λ = decay cont.)
- $N_t = N_0 e^{-\lambda t}$
- N_0 Initial No of Radioactive Nuclei
- N_t No of radioactive nuclei at time t
- $T_{\text{half}}(t_{1/2}) = 0.693 / \lambda$

Biological effect of radiation

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- Ionization & Excitation (alpha & beta are charged particle)
- Causes abnormal chemical reaction in the body
 - Inactivation of essential enzyme
 - Coagulation of protein in Nucleic acid
 - Free radical production
 - Genetic defect due to mutation
 - Somatic defect like cancer, leukaemia, anaemia

Production of Radioisotopes

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1. Reactor irradiation
2. Cyclotron irradiation

Application Radioactivity (Therapeutic use & Diagnostic use)

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Summary:

- ✓ Sodium Rose Bengal **injection** = I^{131} - Liver scanning & liver function test
- ✓ Iodinated = I^{125} - Serum albumin **injection** (Plasma volume determination of total volume of blood)
- ✓ Iodinated = I^{131} - Serum albumin **injection**
- ✓ Ferric Chloride or Ferric Citrate **solution** = (Fe^{59}) isomers = study of iron metabolism and RBC formation
- ✓ Sodium Iodide **solution** = I^{125} and I^{131} = thyroid scanning and study of thyroid uptake
- ✓ Sodium Iodo hippurate **injection** = Kidney function, Kidney scanning & Renal function
- ✓ Sodium Phosphate **injection** = Treatment of Polycythemia
- ✓ Gold (Au-198) **injection** - Scanning of liver, Reticular, Endothelial, rheumatoid arthritis
- ✓ Cyanobalamin (Vit. B₁₂) **solution & capsule** = Co^{57} , Co^{58} , Co^{60} = Megaloblastic
Diagnosis of Pernicious anemia

Therapeutic use

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- Treatment of Cancers and Tumours
- Americium 241 used as antineoplastic.
- Californium 252 used as antineoplastic."
- Cobalt 60 used as antineoplastic.
- Gold 94 used as antineoplastic.
- Holmium 66 (26 h) being developed for diagnosis and treatment of liver tumours.
- Iodine-125 (60 d) used in cancer brachytherapy (prostate and brain).

Therapeutic use

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- Treatment of Thyroid Disease with Iodine 131
- Iodine-131 is therapeutically used for to treat thyroid cancer, hyperthyroidism (including Graves' disease, toxic multinodular goiter, and toxic autonomously functioning thyroid nodules), and Nontoxic multinodular goiter.

Diagnostic Radiopharmaceuticals

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- Ammonia N 13 Injection used for diagnostic coronary artery disease.
- Chromium 51 used for diagnosis of pernicious anaemia.
- Holmium 166 used for diagnosis and treatment of liver tumours.
- Iodine 125 used diagnostically to evaluate the filtration rate of kidneys.

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Diagnostic Radiopharmaceuticals

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- Iron 59 is a beta and gamma emitting isotope.
 - Used in diagnosis to study the iron metabolism and to study the red blood cell formation.
 - The preparation is administered orally for studying the absorption of iron from GIT.
 - Administered I.V to study incorporation of iron in formation of red blood cells. Used to study the formation and destruction of spleen, liver etc. from outside the body.