*Third lecture*

# Radiopharmaceuticals

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*2023- 2024*

## Therapeutic Radionuclides

Nuclear Medicin: A branch of medical science in which open radioactivity is used to diagnose and treat disease.

Nuclear medicine relies on the physiology of the cell and allows the physiological and biochemical properties of each organ and the placement of radioactive material in the cells, imaging and mapping of body organs.

## Nuclear Medicine Methods

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Types of Nuclear Medicine

- ❖In vivo
- ❖In vitro
- ❖ Treatment with radiopharmaceuticals

## In vivo

- It is a diagnostic method for the use of radioisotopes in nuclear medicine. The radioactive material is taking intravenously and then an organ or organs are imaged to determine the amount of radioactive material being absorbed.
- By tracking and detecting the emitted rays, the path of movement, location and signs left by these elements are studied.

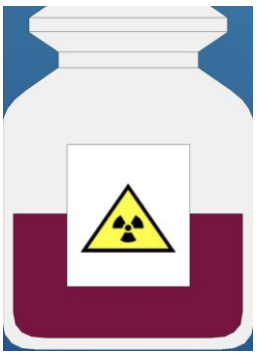
## In vitro

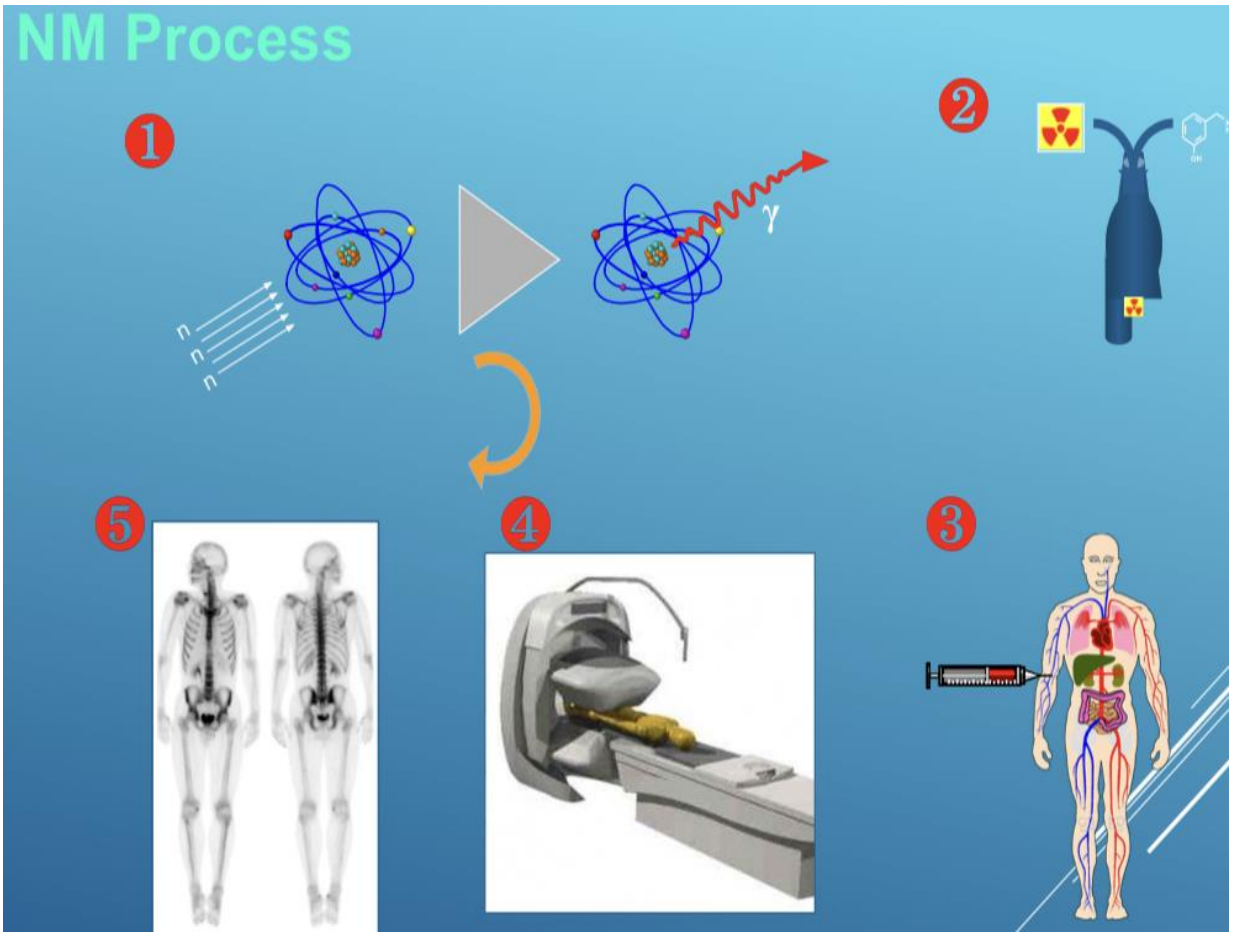
- Another method is to diagnose the use of radioisotopes in nuclear medicine.
- In this method, radioisotopes are used as a tracer to label samples taken from the patient, such as blood, urine, serum, etc.
- It is possible to measure very small amounts of hormones and substances in body fluids..

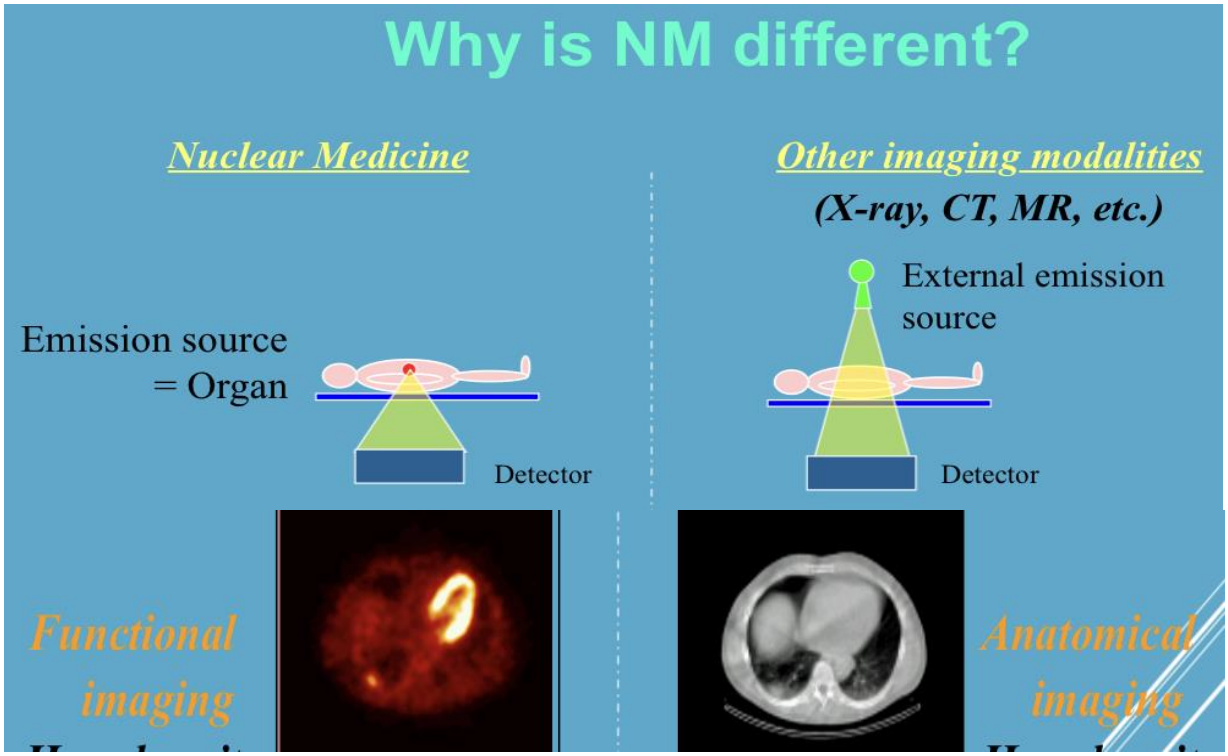
## Treatment with radiopharmaceuticals

- Therapeutic applications of radioactive materials in nuclear medicine are far less than their diagnostic applications.
- The main application is the use of iodine 131 to treat hyperthyroidism and thyroid cancer.

In nuclear medicine, radioisotopes that emit gamma photons are used to image and scan organs, and radioisotopes that emit beta rays are used for treatment.



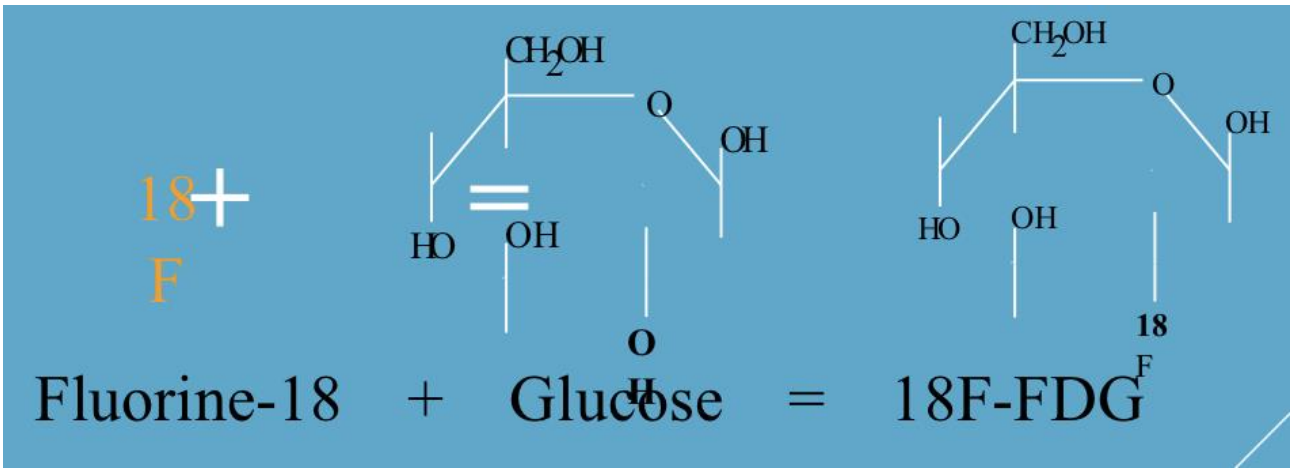





## Radioisotopes and Radiopharmaceuticals

- Radioisotope is the radiation source (radioactive atom)
- Pharmaceutical is the vector molecule that targets the organ

❖ Radioisotope + pharmaceutical = radiopharmaceutical (radiotracer)



RADIOISOTOPES PRODUCTION METHODS

Radioactivity is the end-result from disturbing the balance between neutrons and protons in the atomic nucleus by :

1) adding a neutron to the nucleus, or

2) removing a proton from the nucleus,or

3) removing a neutron from the nucleus,or

4) adding a proton to the nucleus.

In practice, effects (1) and (2) ,

leading to the neutron rich radioisotopes, can be achieved through reactions available via a nuclear reactor

On the other hand, effects (3) and (4), leading to the neutron deficient family of radioisotopes, are achievable in a cyclotron

One clear advantage that accelerators possess is the fact that, the target and product are different chemical elements making it possible to find suitable chemical means for separation.

The most important point in radionuclide production:

› Choosing nuclear reaction giving the highest yield of desired radionuclide and low cost material

RADIOISOTOPES IN DIAGNOSTIC

The radioisotopes used in DIAGNOSTIC nuclear medicine should meet these criteria:

▸ possess a short half-life(hours) which is enough of the duration of the procedure

▸ not emit alpha or beta radiation, because these particles would be trapped in the patient's tissues and not be detected externally

▸ emit gamma radiation of an energy which will allow its origin to be efficiently assessed

▸ not invoke either a toxic or pharmacological response in the patient.

## RADIOISOTOPES IN THERAPY

The radioisotopes used in Therapy nuclear medicine should meet these criteria:

- the half life should not be the cause of an extended stay in hospital for the patient

- the radioisotope should emit particulate (alpha or beta) radiation of sufficient energy to penetrate to all parts of the lesion by
- it should, in addition, emit gamma rays to facilitate the assessment that the appropriate region of the body has been targeted.

### Disadvantages of natural radioisotopes for medical use

❖ inaccessibility

❖Existence of many impurities in them

❖ Half-lives too short or too long

### Specific conditions of a radiopharmaceutical

- Be available.

- Has suitable energy for detection (minimum 60 and maximum 500 kV).

- Have a suitable physical half-life (short enough to reduce the patient's

absorbed dose and long enough to complete the test)

- It has a suitable biological half-life (it should not stay in the body for a long time).

- Ability to focus on the desired organ selectively.

## Application of radiopharmaceuticals in treatment

- Due to their ionizing properties, ionizing rays are very effective in destroying healthy or unhealthy cells in the body.

- Iodine 131 for the treatment of hyperthyroidism and thyroid cancer
- Phosphorus 32 for the treatment of erythrocytes (polycythemia)
- Gold 198 for the treatment of ovarian cancer
- Yttrium 90 for the treatment of liver cancers

## Production of radiopharmaceuticals in nuclear medicine

- Reactor

- The most important fission reaction is the production of unstable uranium-236 from uranium-235 bombardment with thermal neutrons in the reactor core.

- The fission process leads to the production of useful nuclei such as molybdenum.

- Uranium-236 decomposes immediately through fission

## Production of radiopharmaceuticals

- More than 20 elements are found in uranium-236 fission products.

- The distribution of fission fragments is seen in the previous curve. Fission of uranium-236 usually results in a fission fraction in the

mass range of 85 to 105 and other fragments with a mass number in the range of 130 to 150.

- If the half-life of one of the fission fragments is long enough, it can be removed from the fission product and used as a medical radionuclide.

- Like the conversion of vanadium 99 to zirconium 99

and with the decomposition of beta to neobium 99

and the decomposition of beta to molybdenum 99

## What is NM used for?

NM is best for assessing and diagnosing:

1.Coronary Artery Disease

2.Cardiac function

3.Spread of cancer

4.Bone diseases

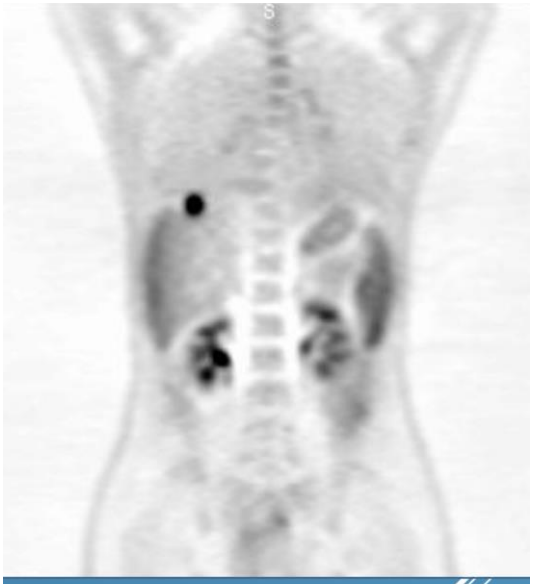
5.Renal dysfunction

6.Pulmonary embolism

7.Infection/inflammation

8.Recurrent colon cancer (lung metastasis)

9.Thyroid/Parathyroid malfunction

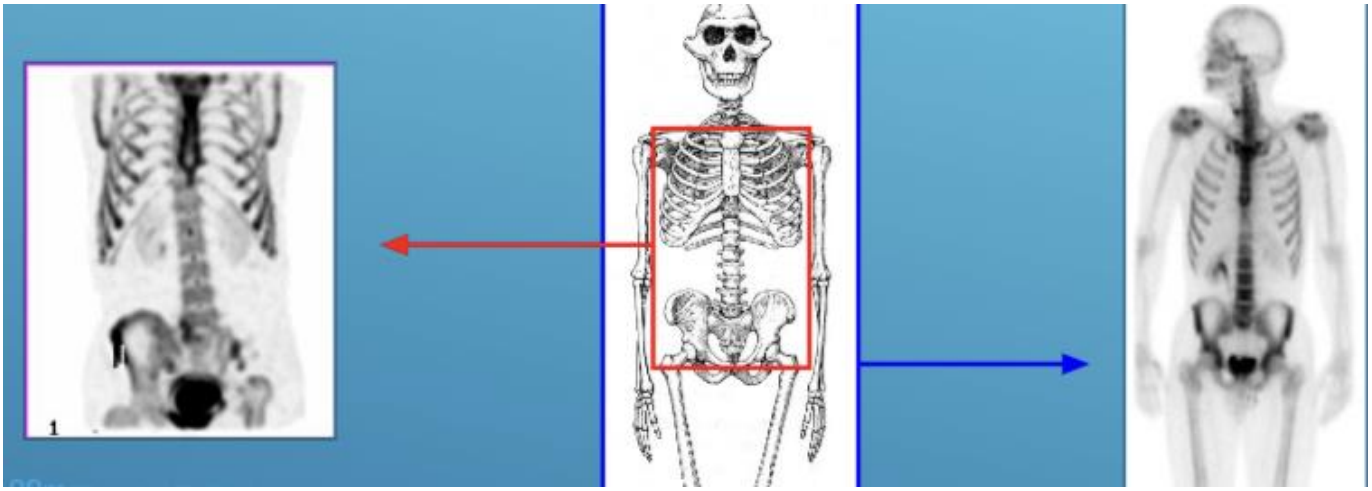


Recurrent colon cancer (lung metastasis)

Orthopedics :

♦ Bones and joints

☐ Fracture, infection, inflammation, primary and secondary cancer



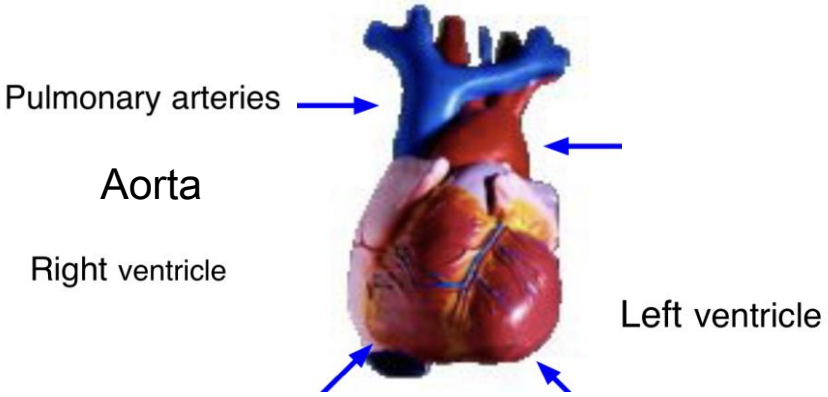
99mTc-MDP bone tomoscintigraphy. Multiple foci of abnormal tracer. uptake on the spine and the pelvis. after left iliac crest ablation.

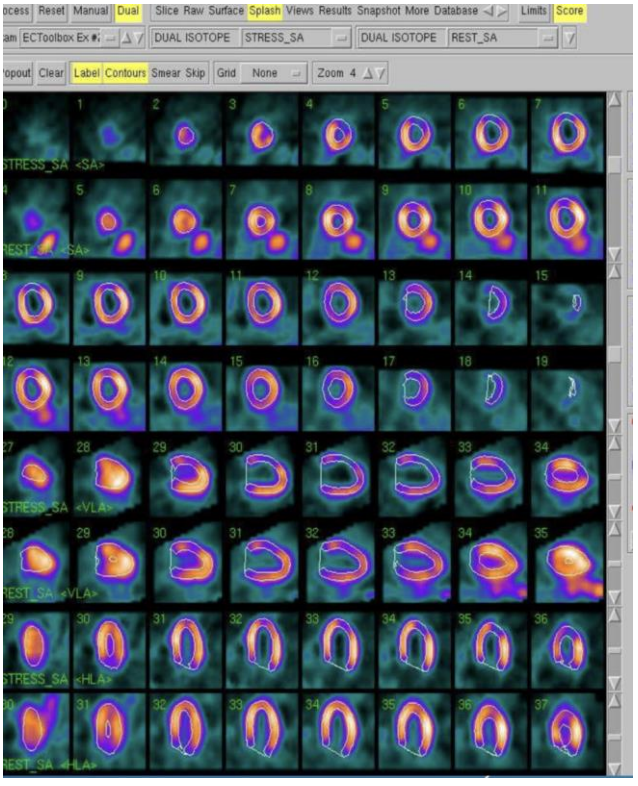
Normal whole-body bone scan (99mTc-MAd)

## Cardiology

♦ Cardiac blood pool or tissue imaging

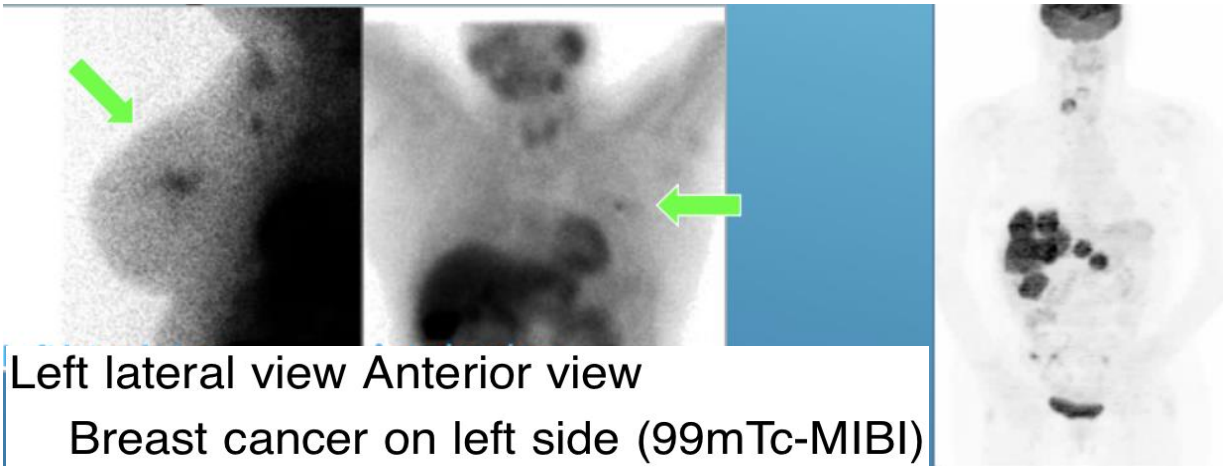
☐ Abnormalities, perfusion, viability, metabolism, contractility



## Oncology

♦ Primary cancer, secondary cancer (metastases, lymph nodes)



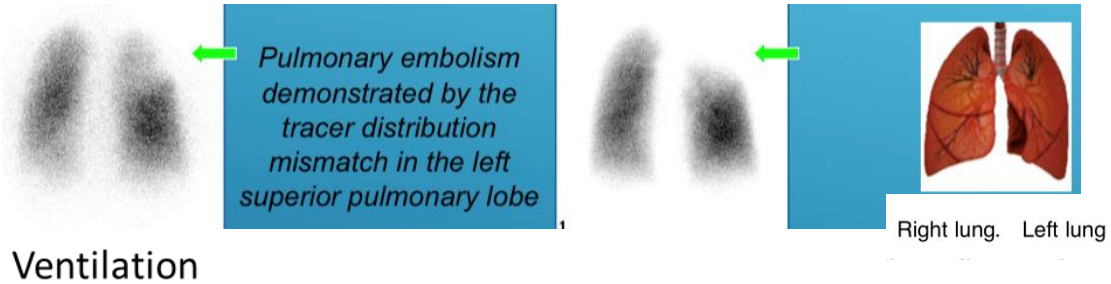
Left lateral view Anterior view
Breast cancer on left side (99mTc-MIBI)

☐ Detection, localization, characterization and therapy monitoring

Liver metastases (18F-FDG)

Pneumology

♦ Respiratory system




Ventilation
($^{99m}$Tc-aerosol)
Anterior view

Pulmonary embolism demonstrated by the tracer distribution mismatch in the left superior pulmonary lobe

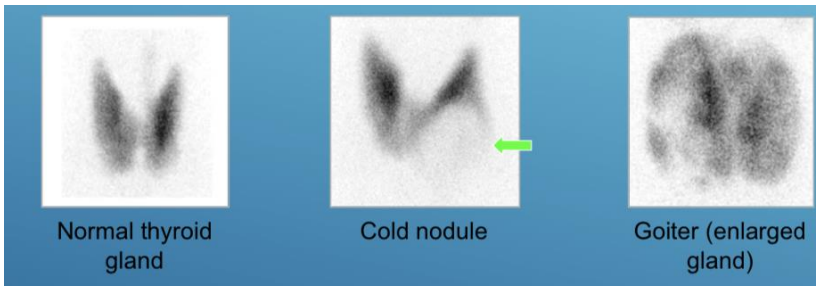
Perfusion
($^{99m}$Tc-MAA)
Anterior view

- Organ abnormality, malfunction, inflammation, infection, ca

## Endocrinology

♦ Parathyroid glands, thyroid, adrenal and pituitary glands

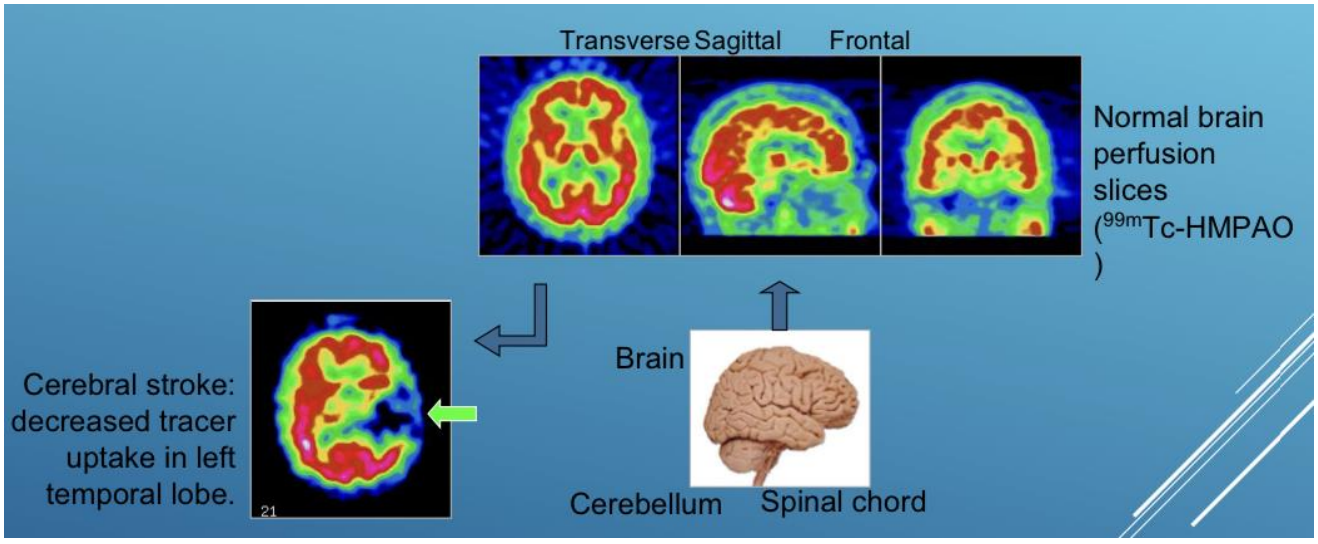
- Organ abnormality, malfunction, inflammation, infection, cancer




## Neurology

♦ Central Nervous System

- Cerebral metabolism, tissue perfusion, infection, inflammation,

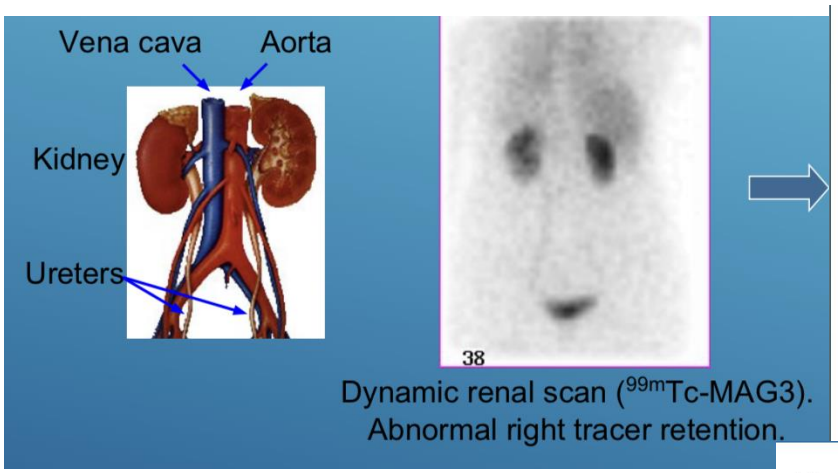


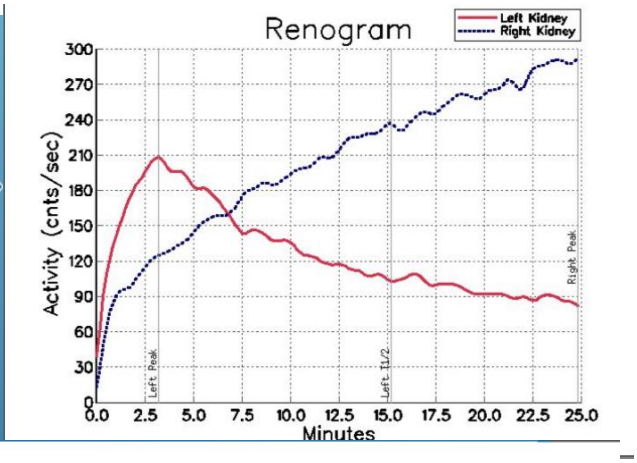

cancer, cerebrospinal fluid

## Nephrology

♦ Genitourinary system

☐ Malfunction, inflammation, infection, renovascular hypertension, kidney transplantation



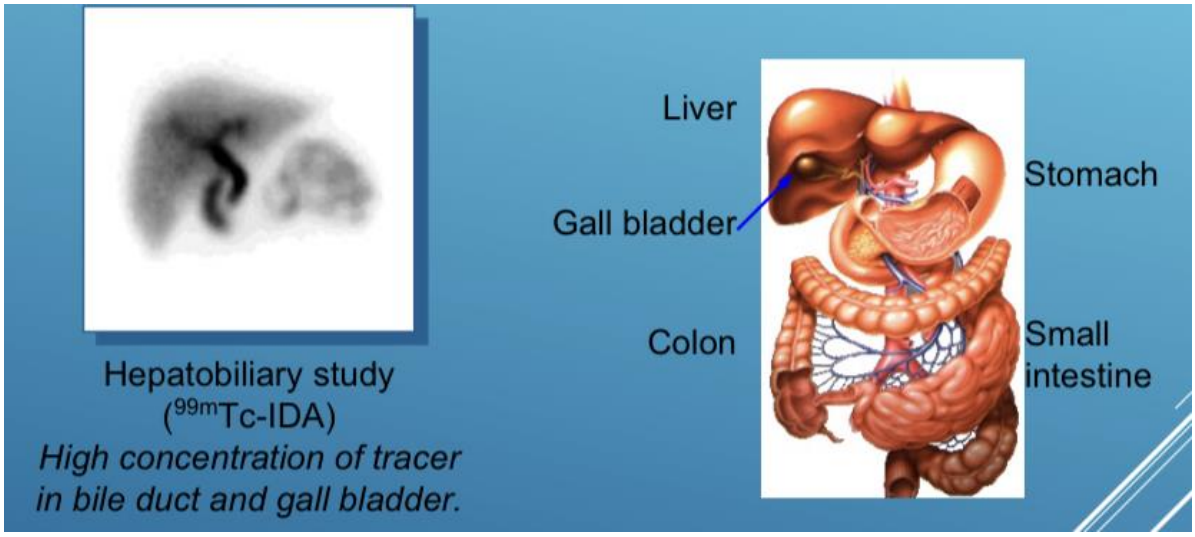




Renal time activity curves allow assessment of renal function. Abnormal right kidney function

## Gastroenterology

♦ Salivary glands, esophagus, stomach, liver, pancreas, colon

Abnormality, malfunction, inflammation, infection, cancer



$Q_1$-Krypton-81m (13 sec) from Rubidium-81 (4.6 h), Kr-81m gas can yield functional images of pulmonary ventilation, e.g. inasthmatic patients, and for the early diagnosis of …….and function.

A. red blood cells B. lung diseases C. skeletal cancer
D. brain cancer E. Menke's diseases

$Q_2$-Indium-111 (2.8 d), used for specialist diagnostic studies for……., infection and colon transit studies.

A. lymph nodes cancer B. respiratory cancer C. liver cancer
D. brain studies E. skeletal cancer

$Q_3$- Iodine-123 (13 h), increasingly used for diagnosis of……,it is a gamma emitter without the beta radiation of I-131.

A. lymph nodes cancer B. thyroid function C. liver cancer
D. brain cancer E. skeletal cancer

$Q_4$-……..it is a diagnostic method for use a radioisotopes in nuclear medicine. The radioactive material is taking intravenously and then an organ or organs are imaged to determine the amount of radioactive material being absorbed.

A. Invivo B. Invitro C. Riadiopharmaceutical
D. X-ray E. No each one
B.

$Q_5$-Be availableand has suitable energy for detection (minimum 60 and maximum 500 kV) , these same Specific conditions of……..

A. Invivo B. Invitro C. Riadiopharmaceutical
D. X-ray E. No each one