

^{99m}Tc sestamibi

Cardiolite[®], Stamicis[®], ^{99m}Tc-2-methoxyisobutylisonitrile, MIBI

1. Indications

Sestamibi is approved for the following indications:

- Myocardial perfusion scintigraphy for the detection and localization of coronary artery disease (angina pectoris and myocardial infarction)
- Assessment of global ventricular function. First-pass technique for determination of ejection fraction and/or ECG-triggered, gated SPECT for evaluation of left ventricular ejection fraction, volumes and regional wall motion.
- Scintimammography for the detection of suspected breast cancer when mammography is equivocal, inadequate or indeterminate.
- Localization of hyperfunctioning parathyroid tissue in patients with recurrent or persistent disease in both primary and secondary hyperparathyroidism, and in patients with primary hyperparathyroidism scheduled to undergo initial surgery of the parathyroid glands.

2. Preparation

Approved product, see summary of product characteristics (SmPC)

3. Quality control

Approved product, see summary of product characteristics (SmPC) and the European Pharmacopeia.

4. Interactions

Myocardial perfusion scintigraphy

Medicinal products which affect myocardial function and/or blood flow may cause false negative results in the diagnosis of coronary arterial disease. For this reason, concomitant medication should be taken into consideration when interpreting the results of the scintigraphic examination.

Parathyroid gland scintigraphy

For dual tracer imaging with ¹²³I and ^{99m}Tc check the interactions section of the ¹²³I chapter.

When imaging secondary hyperparathyroidism, drugs used to refrain parathyroid hyperfunction should also be temporarily withheld. Active vitamin D therapy should be withheld for at least 1 week, and at least 4 weeks if supplementation with native vitamin D. Calcimimetics should be interrupted for at least 2 weeks before the parathyroid imaging.

Scintimammography

P-glycoprotein (PGP)-inductors such as Hypericum perforatum and rifampicin can decrease tissue uptake of ^{99m}Tc-sestamibi and consequently give false-negative results.

5. Adverse reactions

General

Common ($\geq 1/100$, $< 1/10$): immediately after injection, a metallic or bitter taste, partly in combination with dry mouth and an alteration in the sense of smell may be observed.

Rare ($\geq 1/10.000$, $< 1/1.000$): fever, fatigue, dizziness, transient arthritic-like pain.

Cardiac disorders

Uncommon ($\geq 1/1.000$, $< 1/100$): Chest pain/angina pectoris, abnormal ECG.

Rare: Arrhythmia.

Gastrointestinal disorders

Uncommon: Nausea.

Rare: Abdominal pain.

Nervous system disorders

Uncommon: Headache.

Rare: Seizures (shortly after administration), syncope.

Immune system disorders

Rare: Severe hypersensitivity reactions such as dyspnoea, hypotension, bradycardia, asthenia and vomiting (usually within two hours of administration), angioedema.

Skin and subcutaneous tissue disorders

Rare: Allergic skin and mucosa reactions with exanthema (pruritus, urticaria, oedema), vasodilatation, local reactions at the injection site, hypoesthesia and paraesthesia, flushing.

Very rare ($< 1/10.000$): Other hypersensitivity reactions have been described in predisposed patients.

6. Biodistribution & pharmacokinetics

^{99m}Tc-sestamibi from the blood is rapidly distributed into the tissue: 5 min after injection only about 8% of the injected dose remains in the blood pool. In physiological distribution, evident concentration of ^{99m}Tc-sestamibi can be seen in vivo in several organs. In particular, normal tracer uptake is evident in the salivary glands, thyroid, myocardium, liver, gallbladder, small and large intestine, kidneys, bladder, choroid plexuses and skeletal muscles, occasionally in the nipples. Faint homogeneous uptake in the breast or axilla is normal.

Myocardial perfusion scintigraphy

^{99m}Tc-sestamibi is a cationic complex which diffuses passively through the capillary and cell membrane. Within the cell it is localized in the mitochondria, where it is trapped, and retention is based on intact mitochondria, reflecting viable myocytes. After intravenous injection, it is distributed within the myocardium according to myocardial perfusion and viability. Myocardial uptake which is coronary flow dependent is 1,5% of the injected dose at stress and 1,2% of the injected dose at rest. Irreversibly damaged cells however do not

take up ^{99m}Tc-sestamibi. The myocardial extraction level is reduced by hypoxia.

Scintimammography

The tissue uptake of ^{99m}Tc-sestamibi depends primarily on the vascularization which is generally increased in tumour tissue. ^{99m}Tc-sestamibi accumulates in various neoplasms and most markedly in mitochondria. Its uptake is related to increased energy-dependent metabolism and cell proliferation.

Parathyroid imaging of hyperfunctioning tissue

^{99m}Tc-sestamibi localizes in both parathyroid tissue and functioning thyroid tissue but usually washes out of normal thyroid tissue more rapidly than out of abnormal parathyroid tissue.

Elimination

Elimination of ^{99m}Tc-sestamibi occurs mostly through the kidneys and the hepatobiliary system. About 27% of the injected dose is cleared through renal elimination after 24 h and approximately 33% of the injected dose is cleared through the faeces in 48 h.

7. Literature

- Santos-Oliveira R et al. Radiopharmaceutical drug interactions: a critical review. Anais de Academia Brasileira de Ciencias 2008.
- SmPC, ^{99m}Tc Technetium Sestamibi (Cardiolite).
- Hindié E et al. 2009 EANM parathyroid guidelines. Eur J Nuclear Medicine and Molecular Imaging 2009;36:1201-6.
- Greenspan BS, Dillehay G, Intenzo C, et al. SNM practice guideline for parathyroid scintigraphy 4.0. Journal of nuclear medicine technology. 2012;40(2):111-8.