Technetium Tc 99m Tetrofosmin (Systemic)

VA CLASSIFICATION Primary: DX201

Commonly used brand name(s): *Myoview.*
Note: For a listing of dosage forms and brand names by country availability, see *Dosage Forms* section(s).

†Not commercially available in Canada.

Category:
Diagnostic aid, radioactive (cardiac disease)—

Accepted
Cardiac imaging, radionuclide
Myocardial infarction (diagnosis) or
Myocardial perfusion imaging, radionuclide—Technetium Tc 99m tetrofosmin is indicated in myocardial perfusion imaging to distinguish regions of reversible myocardial ischemia in the presence or absence of infarcted myocardium, following separate administration under stress and rest conditions

Physical Properties

Nuclear data

Radionuclide (half-life)	Decay constant	Mode of decay	Principal photon emissions (keV)	Mean number of photons/ disintegration (³0.01)
Tc 99m (6 hr)	0.1151 h^{-1}	Isomeric transition to Tc 99	Gamma (18)	0.062
			Gamma (140.5)	0.891

Pharmacology/Pharmacokinetics

Mechanism of action/Effect:
The mechanisms for uptake and retention of technetium Tc 99m tetrofosmin by myocardial tissue are not well established. Technetium Tc 99m tetrofosmin is a lipophilic cationic agent (diphosphine group). The myocardial uptake of technetium Tc 99m tetrofosmin appears to occur by a passive diffusion process. When injected at rest, technetium Tc 99m tetrofosmin appears to accumulate in viable myocardial tissue; infarcts are thus delineated as areas that lack accumulation. When injected at stress, technetium Tc 99m tetrofosmin accumulates in viable myocardial tissue in relation to myocardial blood flow; thus, ischemic areas (e.g., those supplied by stenotic vessels) are detectable as areas of less accumulation.

Distribution:
Technetium Tc 99m tetrofosmin is rapidly cleared from the blood after intravenous administration (< 5% of administered activity remains in blood by 10 minutes postinjection), accumulating in myocardium, skeletal muscle, liver, spleen, and kidneys in proportion to the regional perfusion. Uptake in myocardium is approximately 1.2% of the administered activity 5 minutes after injection, and approximately 1% at 2 hours Once technetium Tc 99m tetrofosmin is taken up by the myocardium, there is no, or minimal, redistribution over the following 3 to 4 hours. Washout from the myocardium is slow (4% of myocardial activity per hour postexercise).

Following injection at peak exercise, activity in the liver is lower than that in the heart as early as 5 minutes

postinjection, with further decline over time (< 4.5% by 60 minutes). The gallbladder shows slightly higher activity than the heart in the first 15 minutes.

Sequestration of activity by skeletal muscle is enhanced during exercise (probably due to a relative increase in the blood flow to skeletal tissue), but significantly reduced in all other organ systems.

Time to radioactivity visualization
Imaging is generally performed at 15 minutes after injection during stress, and at 30 to 60 minutes after injection during rest (delay allows for hepatic clearance). Imaging is possible for up to 4 hours due to the slow washout of technetium Tc 99m tetrofosmin from myocardium.

Note: Heart-to-liver activity ratios may be dependent on the applied stress condition (e.g., exercise vs. dipyridamole injection). Higher heart-to-liver ratios occur with exercise than with dipyridamole stress.

Radiation dosimetry:

Organ	Estimated absorbed radiation dose			
	With exercise		At rest	
	mGy/ MBq	rad/ mCi	mGy/ MBq	rad/ mCi
Gallbladder wall	0.027	0.1	0.036	0.13
Large intestine (upper)	0.02	0.075	0.027	0.1
Large intestine (lower)	0.015	0.057	0.02	0.075
Bladder wall	0.014	0.052	0.017	0.063
Small intestine	0.012	0.045	0.015	0.057
Ovaries	0.0077	0.029	0.0088	0.033
Uterus	0.0071	0.026	0.0078	0.029
Bone surfaces	0.0062	0.023	0.0056	0.021
Heart wall	0.0051	0.019	0.0046	0.017
Pancreas	0.0047	0.017	0.0045	0.017
Thyroid	0.0047	0.017	0.0055	0.02
Stomach	0.0044	0.016	0.0044	0.016
Kidneys	0.0042	0.016	0.0041	0.015
Adrenal glands	0.004	0.015	0.0037	0.014
Red bone marrow	0.0038	0.014	0.0036	0.013
Spleen	0.0038	0.014	0.0034	0.013
Muscle	0.0034	0.013	0.0032	0.012
Testes	0.0033	0.012	0.003	0.011
Thymus	0.0032	0.012	0.0027	0.01
Liver	0.0031	0.012	0.0037	0.014
Lungs	0.0032	0.012	0.0027	0.01
Brain	0.0027	0.01	0.0022	0.008
Breasts	0.0023	0.008	0.0019	0.007
Skin	0.0022	0.008	0.0019	0.007
Total body	0.0037	0.014	0.0036	0.013
Radionuclide	Effective dose			
	With exercise		At rest	
	mSv/ MBq	rem/ mCi	mSv/ MBq	rem/ mCi
Tc 99m	0.0071	0.026	0.0082	0.03

* For adults; intravenous injection. Data based on the Radiopharmaceutical Internal Dose Information Center, August 1996. Oak Ridge Institute for Science and Education.

Elimination
Within 48 hours—

Renal, approximately 40% of the administered activity under both rest and exercise conditions.

Fecal, approximately 26 to 41% (mean, 34%) of the administered activity at rest, and approximately 17 to 34% (mean, 25%) after exercise.

Precautions to Consider

Carcinogenicity

Long-term animal studies to evaluate carcinogenic potential of technetium Tc 99m tetrofosmin have not been performed.

Mutagenicity

Tetrofosmin has not been shown to be mutagenic *in vitro* in the Ames test, mouse lymphoma and human lymphocyte tests, and in *in vivo* mouse micronucleus assay.

Pregnancy/Reproduction

Pregnancy—

Tc 99m (as free pertechnetate) crosses the placenta. However, studies to assess transplacental transfer of technetium Tc 99m tetrofosmin have not been done in humans.

The possibility of pregnancy should be assessed in women of child-bearing potential. Clinical situations exist in which the benefit to the patient and fetus from information derived from radiopharmaceutical use outweighs the risks from fetal exposure to radiation. In these situations, the physician should use discretion and reduce the administered activity of the radiopharmaceutical to the lowest practical amount.

Studies have not been done in animals.

FDA Pregnancy Category C.

Breast-feeding

Although it is not known whether technetium Tc 99m tetrofosmin is distributed into breast milk, it is known that Tc 99m as free pertechnetate is distributed into breast milk. To avoid radiation exposure to the infant, discontinuation of nursing for a period of 24 hours is recommended after administration of technetium Tc 99m–labeled radiopharmaceuticals.

Pediatrics

Although technetium Tc 99m tetrofosmin is used in children, there have been no specific studies evaluating safety and efficacy.

Geriatrics

Appropriate studies on the relationship of age to the effects of technetium Tc 99m tetrofosmin have not been performed in the geriatric population. However, clinical trials and studies that included older patients were conducted, and geriatrics-specific problems that would limit the usefulness of this agent in the elderly are not expected .

Medical considerations/Contraindications

The medical considerations/contraindications included have been selected on the basis of their potential clinical significance (reasons given in parentheses where appropriate)— not necessarily inclusive (» = major clinical significance).