

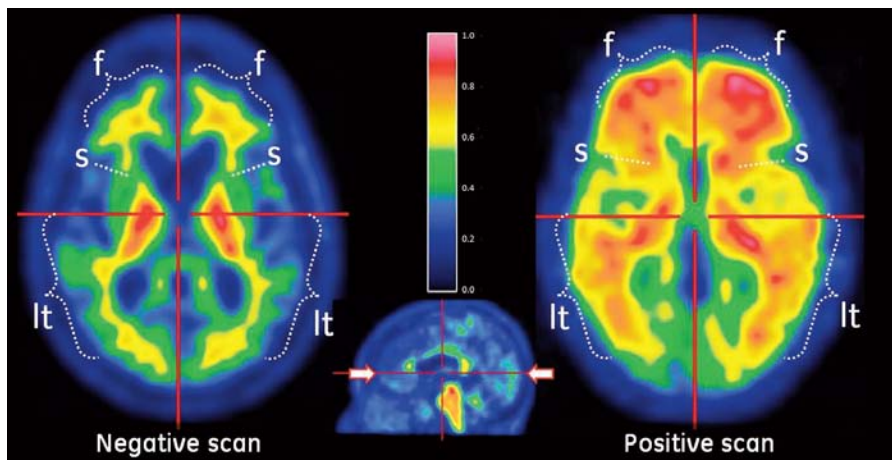
Flutemetamol

[18F]- Flutemetamol

Radiopharmaceutical Name

Chemical name: 2-[3-[¹⁸F]fluoro-4-(methyl amino) phenyl]-6-benzothiazolol
F-18 Flutemetamol

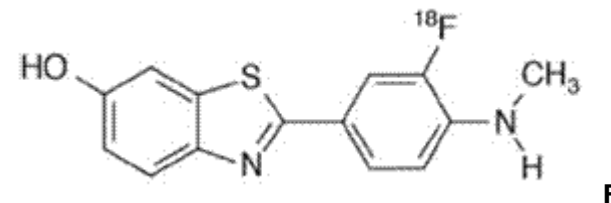
Radiopharmaceutical Image



Normal Biodistribution

Following intravenous injection of 185 MBq (5 mCi) of Vizamyl in humans, flutemetamol F 18 plasma concentrations declined by approximately 75% in the first 20 minutes post-injection, and by approximately 90% in the first 180 minutes. The F 18 in circulation during the 30-120 minutes imaging window in plasma was principally associated with flutemetamol metabolites. Excretion was approximately 37% renal (28-45%; n=6) and 52% hepatobiliary (40-65%; n=6).

Radiopharmaceutical Structure



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Radionuclide	F-18 Half-life 109.77 minutes Emission: positron (97%) Emax 0.6335 MeV Electron capture (3%) Emax 1.6555 MeV
Molecular Formula and Weight	$C_{14}H_{11}^{18}FN_2OS$ molecular weight: 273.32 g atom/mole
General Tracer Class	Diagnostic PET Radiopharmaceutical
Target	Beta amyloid aggregates or plaques in the brain
Molecular Process Imaged	F-18 Flutemetamol is used to estimate beta-amyloid neuritic plaque density in adult patients with cognitive impairment who are being evaluated for Alzheimer disease (AD) or other causes of cognitive decline.
Mechanism for in vivo retention	Binding to beta-amyloid plaques
Metabolism	Following iv injection, plasma concentration decreases by 75% in the first 20 minutes post injection, and by approximately 90% by 180 minutes. Excretion is approximately 37% renal and 52% hepatobiliary.
Radiosynthesis	See Molecular Imaging and Contrast Agent Database (MICAD)
Availability	US FDA approval in 2013.
Status with USP / EuPh	

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Recommended Activity and Allowable mass	Recommended administered activity: 185 MBq (5 mCi) in max. 10 mL. Maximum mass dose is 20 micrograms.
Dosimetry	Adult effective dose is 5.92 mSv from administration of 185 MBq (5 mCi). Critical organ is the gallbladder wall, which receives 287 microSv/MBq (53.1 mSv/185 MBq). Absorbed radiation dose to the brain is 11 microSv/MBq. By comparison, CT of the brain results in an average effective dose of 2.2mSv.
Pharmacology and Toxicology	<p>Animal studies have not been performed to evaluate the carcinogenicity potential of flutemetamol. Flutemetamol was positive for mutagenicity in two <i>in vitro</i> assays: the bacterial reverse mutation assay (Ames test) and the mouse lymphoma assay.</p> <p>Flutemetamol was negative for genotoxicity after <i>in vivo</i> exposure in rats to flutemetamol at the highest cumulative dose level tested, as measured in bone marrow micronucleus assays (157 and 27 microgram/kg/day for 2 and 14 days respectively) and an unscheduled DNA synthesis assay in rat hepatocytes (39 microgram/kg/day).</p>
Current Clinical Trials	
Reference Site / Person	The best reference site for U.S. based physicians is the manufacturer. Manufactured by Cardinal Health 414, LLC, Dublin, OH 43017, for GE Healthcare, Medi-Physics Inc., Arlington Heights, IL 60004, U.S.A.
Imaging Protocol	185 MBq (5 mCi) in max. of 10 mL, given IV as a single bolus (inject within 40 sec.). Following injection, flush with 5-15 mL of 0.9% sterile sodium chloride. Acquire 20 min PET image beginning at 90 min post injection. Acquire in 3-D mode. Position patient so anterior commissure – posterior commissure plane is perpendicular to the

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	bore-axis of the PET scanner.
Human Imaging Experience	<p>Listed below are selected references for F-18 Flutemetamol</p> <p>Vandenberghe R, Van Laere K, Ivanoiu A, Salmon E, Bastin C, Triau E, Hasselbalch S, Law I, Andersen A, Korner A, Minthon L, Garraux G, Nelissen N, Bormans G, Buckley C, Owenius R, Thurfjell L, Farrar G, Brooks DJ. 18F-flutemetamol amyloid imaging in Alzheimer disease and mild cognitive impairment: a phase 2 trial. Ann Neurol. 2010 Sep;68(3):319-29. doi: 10.1002/ana.22068</p> <p>Nelissen N, Van Laere K, Thurfjell L, Owenius R, Vandenberghe R, Koole M, Bormans G, Brooks DJ, Vandenberghe R. Phase 1 study of the Pittsburgh compound B derivative 18F-flutemetamol in healthy volunteers and patients with probable Alzheimer disease. J Nucl Med. 2009 Aug;50(8):1251-9. doi: 10.2967/jnumed.109.063305. Epub 2009 Jul 17.</p> <p>de Lartigue J. Flutemetamol (18F): a β-amyloid positron emission tomography tracer for Alzheimer's and dementia diagnosis. Drugs Today (Barc). 2014 Mar;50(3):219-29. doi: 10.1358/dot.2014.50.3.2116672.</p> <p>Hatashita S, Yamasaki H, Suzuki Y, Tanaka K, Wakebe D, Hayakawa H. [18F]Flutemetamol amyloid-beta PET imaging compared with [11C]PIB across the spectrum of Alzheimer's disease. <i>Eur J Nucl Med Mol Imaging</i>. 2014 Feb;41(2):290-300. doi: 10.1007/s00259-013-2564-y. Epub 2013 Oct 2. PMID: 24085503 [PubMed - in process]</p> <p>Vandenberghe R, Nelissen N, Salmon E, Ivanoiu A, Hasselbalch S, Andersen A, Korner A, Minthon L, Brooks DJ, Van Laere K, Dupont P. Binary classification of ¹⁸F-flutemetamol PET using machine learning: comparison with visual reads and structural MRI. <i>Neuroimage</i>. 2013 Jan 1;64:517-25. doi: 10.1016/j.neuroimage.2012.09.015. Epub 2012 Sep 14. PMID: 22982358 [PubMed - indexed for MEDLINE]</p>

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	<p>Landau SM, Thomas BA, Thurfjell L, Schmidt M, Margolin R, Mintun M, Pontecorvo M, Baker SL, Jagust WJ; the Alzheimer's Disease Neuroimaging Initiative. Amyloid PET imaging in Alzheimer's disease: a comparison of three radiotracers. Eur J Nucl Med Mol Imaging. 2014 Mar 20. [Epub ahead of print] PMID: 24647577 [PubMed - as supplied by publisher]</p>
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