

Radiopharmaceutical Name	[¹⁸ F]fluorocholine Abbreviations: FCH	
Radiopharmaceutical Image	Normal Biodistribution	Radiopharmaceutical Structure
		<p>[¹⁸F]fluorocholine (FCH)</p>
Radionuclide	¹⁸ F Half-life 109.7 minutes Emission positron: E _{max} 1.656 MeV	
MICAD	http://www.ncbi.nlm.nih.gov/books/NBK23563/	
Molecular Formula and Weight	C ₅ H ₁₃ ClFNO 157.61 g atom mole ⁻¹	
General Tracer Class	Investigational Diagnostic PET Radiopharmaceutical	
Target	Cellular membrane phospholipids, primarily in cancers	
Molecular Process Imaged	Malignancy-induced overexpression of choline kinase (CK)	
Mechanism for in vivo retention	Enters cell through choline transporters with accumulation in tumors in part due to malignancy-induced overexpression of choline kinase (CK) that catalyzes the phosphorylation of choline to form phosphorylcholine followed by generation of phosphatidylcholine in the tumor cell membrane (Janardhan S, et al. Curr Med Chem 2006)	

Metabolism	FCH is cleared via the kidneys and excretion in the urine
Radiosynthesis	DeGrado et al reported the synthesis of ¹⁸ F labeled formulation of choline (DeGrado TR et al. Cancer Res 2001). Additional information available at Molecular Imaging and Contrast Agent Database (MICAD), Bethesda (MD): National Center for Biotechnology Information http://www.ncbi.nlm.nih.gov/pubmed/20641761
Availability	Fluorocholine is patent protected and at this time not available in the US. Better availability in Europe.
Status with USP / EuPh	None
Recommended Activity and Allowable mass	As FCH is investigational, there is no current standard for the amount of radiation to be administered. The kidney is the critical organ and limits administration levels of ¹⁸ F-FCH to 4.07 MBq/kg (0.110 mCi/kg) in human research studies (DeGrado TR et al. J Nucl Med 2002)
Dosimetry	The effective dose equivalent (whole body) from administration of 4.07 MBq/kg (0.110 mCi/kg) is approximately 0.01 Sv for females and males. The dose-critical organ is the kidney, which receives 0.17 +/- 0.05 and 0.16 +/- 0.07 mSv/MBq (0.64 +/- 0.18 and 0.55 +/- 0.32 rad/mCi) for females and males (DeGrado TR et al. J Nucl Med 2002)
Pharmacology and Toxicology	The urinary excretion of FCH has been reported to be 4.9%+/-4.8% of the administered dose in female patients and 1.9%+/-1.6% in male patients within 60 min after injection (DeGrado TR et al. J Nucl Med 2002) In the acute toxicity studies in mice receiving 1mg/kg of body weight of nonradioactive [¹⁹ F]FCH, neither death nor behavioral or movement abnormalities were noted for up to 48h after administration. Based on these findings, it has been estimated that normal dose of FCH in the radiotracer preparation would be a factor of 300,000 times lower than the dose given in this toxicity study (DeGrado TR et al. J Nucl Med 2001)

Current Clinical Trials	<p>The NIH clinical trials registry (www.clinicaltrials.gov) should be consulted for a list of current trials using ¹⁸FCH. As of April 2012, no clinical trial has been listed for the United States. Five European clinical trials are listed as “recruiting” (1 glioma, 1 liver cancer, and 3 prostate cancer)</p>
Reference Site / Person	<p>The best reference at this time for the state of FCH trials is clinical trials.gov)</p>
Imaging Protocol	<p>The imaging protocol for FCH has not been standardized yet. No definite patient fasting is required, although many studies have required fasting for 4 or more hours. Typically the procedure involves IV administration of 4.07 MBq/kg (0.110 mCi/kg) FCH with static images obtained at 60-90 min following tracer administration. For prostate bed evaluation, occasionally early static or dynamic pelvic images may be obtained before 10 min after tracer administration (Beheshti M et al. Radiology 2010; 254:925-933).</p>
Human Imaging Experience	<p>Listed below are selected references but the use of FCH PET/CT is growing rapidly, especially for the imaging evaluation of prostate cancer. Either MICAD or PubMed should be searched to find the most recent reports of human imaging studies.</p> <p>Bauman G et al. 18F-fluorocholine for prostate cancer imaging: a systematic review of the literature. <i>Prostate Cancer Prostatic Dis</i> 2012; 15:45-55.</p> <p>DeGrado TR et al. Pharmacokinetics and radiation dosimetry of ¹⁸F-fluorocholine. <i>J Nucl Med</i> 2002; 43:92-6.</p> <p>DeGrado TR et al. Synthesis and evaluation of (¹⁸F)F-labeled choline analogs as oncologic PET tracers. <i>J Nucl Med</i> 2001; 42:1805-14.</p> <p>Janardhan S, Srivani P, Sastry GN. Choline kinase: an important target for cancer. <i>Curr Med Chem</i> 2006; 13:1169-86.</p>

Kwee SA et al. Cancer imaging with fluorine-18-labeled choline derivatives. *Semin Nucl Med* 2007; 37:420-8.

Mertens K et al. PET with (¹⁸F)-fluorocholine-based tracers for tumor imaging: a review of the literature. *Eur J Nucl Med Mol Imaging* 2010; 37:2188-93.

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