### Radiopharmaceutical Name

11C-choline chloride, [11C]choline chloride
Abbreviations: [11C]CH

### Radiopharmaceutical Image

#### Normal Biodistribution

After IV injection, 11C-choline rapidly clears from the circulation (<3 min), with high clearance by liver and kidneys. Highest normal tissue uptakes are seen in renal cortex, liver, pancreas, salivary glands, variable bowel uptake, prostate, and pituitary gland. Low normal uptake is seen in cerebral cortex.

Image provided by Dr. Val Lowe, Mayo Clinic

### Radiopharmaceutical Structure

![Chemical Structure of 11C-choline chloride](image)

### Radionuclide

11C
Half-life 20.4 minutes

### Emission

Emission positron: Emax 0.970 MeV

### MICAD


### Molecular Formula and Weight

11C-choline chloride
139.62 g atom mole⁻¹

### General Tracer Class

Clinical Diagnostic PET Radiopharmaceutical

### Target

The target is neoplasms, particularly prostate cancer and brain tumors.

### Molecular Process Imaged

Various neoplasms have upregulated choline transport and phosphorylation. 11C-choline is taken up by the tumors and phosphorylated by choline kinase to form phosphorylated 11C-choline which is essentially trapped within cells. Slow metabolism to phospholipids also contributes to the signal, although the extent is minimal within the short time frame of the PET scan.

### Mechanism for in vivo retention

Metabolic trapping in the cell in the form of phosphorylated 11C-choline

### Metabolism

Choline is phosphorylated by choline kinase and incorporated into various phospholipids in the body. In certain tissues, including kidney and liver, choline oxidation is prominent. The oxidative metabolite of choline is betaine. Betaine is excreted into the urine.

### Radiosynthesis

11C-choline is synthesized by 11C-methylation of dimethylethanolamine (DME) in acetone, followed by solid phase extraction isolation of the product from DME on a cation-exchange cartridge. The cartridge is rinsed with ethanol to remove residual DME before elution of the product with sterile saline through a sterilization filter.

### Availability

Due to the short half-life, it must be delivered within 2-3 half-lives from a producing cyclotron. Requires a site with an IND, NDA or ANDA filed with the Food and Drug Administration (FDA) for the radiopharmaceutical (IND = investigational new drug application, NDA = new drug application, ANDA = amended new drug application).
**Status with USP / EuPh**

An NDA was approved by the FDA in 2012 (NDA #203-155, Mayo Clinic). Status in US is the same as other PET radiopharmaceuticals (requires an IND, NDA or ANDA for use).

**Recommended Activity and Allowable mass**

**DOSAGE AND ADMINISTRATION** (Ref. Mayo Clinic NDA)

IV administration, typically 370-740 MBq (10-20mCi) as a bolus.

**Dosimetry**

The effective dose (ED) is estimated to be 0.0040±0.0003 mSv/MBq (0.0148 rem/mCi) for adults. The dose-critical organ is the liver in adults, which receives 0.0131±0.0015 mGy/MBq (0.0485 rad/mCi) for adults.

**Pharmacology and Toxicology**

**Pharmacokinetics:** After intravenous injection, $^{11}$C-choline is rapidly cleared from the blood stream ($T_1/2 < 1$ min).

Distribution: $^{11}$C-choline distributes mainly to the pancreas, kidneys, liver, spleen and colon. Based upon the relatively low urinary excretion of radioactivity, renal distribution is predominantly to the organ itself, rather than via formation of urine.

Metabolism: Following intravenous administration, $^{11}$C-choline undergoes metabolism resulting in the detection of $^{11}$C-betaine as the major metabolite in blood. In a study of patients with prostate cancer or brain disorders, the fractional activities of $^{11}$C-choline and $^{11}$C-betaine in human arterial plasma appeared to reach a plateau within 25 minutes, with $^{11}$C-betaine representing 82% ± 9% of the total $^{11}$C detected at that time point. A small amount of unmethylated 11C-choline was detected within the blood at the final sampling time point (40 minutes).

Elimination: Urinary excretion of $^{11}$C-choline was < 2% of the injected radioactivity at 1.5 hours after injection of the drug. The rate of $^{11}$C-choline excretion in urine was 0.014 mL/min.

Toxicology: Choline is a natural compound with no known toxic effects at levels present in the $^{11}$C-Choline injection. Long term studies have not been performed to evaluate the carcinogenic potential of $^{11}$C-Choline.

**Current Clinical Trials**

The NIH clinical trials registry ([www.clinicaltrials.gov](http://www.clinicaltrials.gov)) should be consulted for a list of current trials using $^{11}$C-choline.

**Reference Site / Person**

The best reference at this time is Dr. Joseph Hung, Mayo Clinic. jhung@mayo.edu

**Imaging Protocol**

The imaging protocol for $^{11}$C-choline can vary, but typically the procedure used is:

- On day before exam patient to drink 48 oz of water. On day of exam, 4 hr fast and drink 24 oz of water
- 370-740 MBq (10-20mCi) as a bolus through a catheter inserted into a large peripheral vein.
- Positioning of the patient on the imaging table:
  - Patient supine, head first, head in head holder
  - Arms elevated over the head for all procedures unless otherwise specified
  - Metallic objects should be removed from the patient whenever possible
- Scan Range:
  - Routinely from ischial tuberosity to the base of skull, unless otherwise specified
  - Make sure that the multiple CT reconstructions cover the same range
- Scan direction for PET:
  - Inferior to superior
  - Start imaging 2 minutes after the injection and acquire images for a total of 10-20 minutes in 2- or 3-D mode with 2–5 min per bed position depending on PET camera.

**Human Imaging Experience**

Listed below are selected references for $^{11}$C-choline injection.
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SNMMI would like to acknowledge Timothy R. DeGrado, PhD for his contributions to developing this content.