^{18}F fluorocholine

^{18}F-choline, IASOcholine®

1. Indications

^{18}F-Fluorocholine injection is approved for use with positron emission tomography (PET) imaging for detection of enhanced choline influx of specific organs or tissues in patients undergoing oncologic diagnostic procedures describing function or disease.

Prostate cancer

Detection of prostate cancer lesions in high risk patients.

Hepatocellular carcinoma

^{18}F-Fluorocholine is used to localize lesions of proven well differentiated hepatocellular carcinoma as well as in addition to FDG PET, characterization of liver nodes and/or staging of proven or very likely hepatocellular carcinoma, when FDG PET is non conclusive or when surgery or grafting is scheduled.

2. Preparation

Product produced under GMP conditions with approved use for certain manufacturers, see SmPC of IASOcholine® 1 GBq/ml, solution for injection.

3. Quality control

See SmPC of IASOcholine® 1 GBq/ml, solution for injection.

4. Interactions

In patients receiving anti-androgen therapy, the indication of ^{18}F-Fluorocholine must be particularly documented by rising serum PSA levels. Any recent change in therapy must lead to the revision of the ^{18}F-Fluorocholine indication taking into consideration the expected impact on patient management.

5. Contraindications

Contraindication is given by hypersensitivity to the active substance, to any of the excipients or to any of the components of the labeled radiopharmaceutical. Further ^{18}F-Fluorocholine is contra indicated during pregnancy.

6. Adverse events

No adverse events have been reported yet.

7. Biodistribution & pharmacokinetics

^{18}F-Fluorocholine chloride is an analogue of choline (precursor for the biosynthesis of phospholipids) in which a hydrogen atom has been replaced by fluorine (^{18}F). After crossing the cell membrane by a carrier-mediated mechanism, choline is phosphorylated by

choline kinase (CK). In the next step, phosphorylcholine is converted to cytidinediphosphatecholine [(CDP)-choline] and subsequently incorporated into phosphatidylcholine which is a component of the cell membrane.
The activity of CK has been found to be upregulated in malignant cells, providing a mechanism for the enhanced accumulation of radiolabelled choline by neoplasms. ^{18}F-Fluorocholine chloride has been shown to closely follow the metabolism of choline through these steps, although within the short timeframes of the PET scan (<1 h) and the half-life of the ^{18}F radionuclide (110 min), the major radio labeled metabolite is phosphorylated fluorocholine (^{18}F). The concentration of ^{18}F in the liver increases rapidly in the first 10 min and then increases slowly thereafter. The concentration of ^{18}F radioactivity in lung is relatively low at all times. The highest uptake is in the kidney followed by the liver and spleen.
The pharmacokinetics fits to a model that has 2 rapid exponential components plus a constant. The 2 rapid phases, which are nearly complete by 3 min after administration, represent >93% of the peak radioactivity concentration. Thus, the tracer is extensively cleared in the first 5min after administration.

8. Stability

14 h from the time of calibration (15 min after time of production). Do not refrigerate or freeze. Store below 25°C, in the original package.

9. Literature

- SmPC of IASOcholine® 1GBq/ml, solution for injection, IASON.