^{68}Ga-DOTANOC: a first compound for PET imaging with high affinity for somatostatin receptor subtypes 2 and 5

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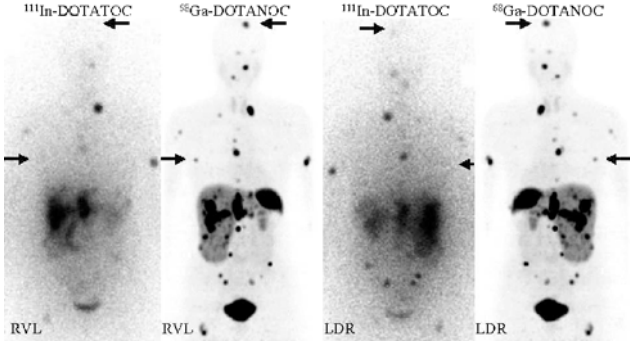
Existing somatostatin-based radiotracers (e.g. ^{111}In-DOTATOC) have sole affinity for somatostatin receptor subtype 2 (sst_2). This represents a drawback, given that sst_{1-5} have been shown to be over-expressed in different tumours, alone or concomitantly [1]. Our goal, therefore, was to develop radiopeptides with broader receptor subtype profiles.

^{68}Ga-DOTANOC is a first compound for PET imaging with high affinity for sst_2 and sst_5 [2]. Its affinity profile (IC_{50} nM) for human sst_{1-5} is, respectively, >10,000, 1.9±0.4, 40±5.8, 260±74 and 7.2±1.6. For comparison, the values for the standard compound, ^{111}In-DOTATOC, are >10,000, 4.6±0.2, 120±26, 230±82 and 130±17.

Here we present the 60 min p.i. ^{68}Ga-DOTANOC PET images and the 21 h p.i. ^{111}In-DOTATOC planar images of a 52-year-old patient with an advanced neuroendocrine tumour. The two examinations were performed within 4 weeks. During this time interval the patient received bisphosphonates.

Preparation and application of ^{68}Ga-DOTANOC PET and ^{68}Ga-DOTATOC PET are comparable [3].

In the reported case study, the ^{68}Ga-DOTANOC PET scan shows high radioligand uptake in the liver and bone metastases. Although many bone metastases appeared visually similar in the two scans, the right sixth rib and left occipital bone metastases (arrows) are much more visible on the ^{68}Ga-DOTANOC PET scan. This selective difference cannot be explained simply by the advantages of the PET technique. The possible predominance of sst_5 in these two bone metastases and the high sst_5 affinity of ^{68}Ga-DOTANOC are in fact the probable reasons for the high



^{68}Ga-DOTANOC and low ^{111}In-DOTATOC uptake. The enlarged liver and somatostatin receptor-positive organs such as the spleen (high uptake) and pituitary gland and thyroid (moderate uptake) are also visible. These normal organs, known to express more sst than just sst_2, are better visualised with ^{68}Ga-DOTANOC (see in particular the spleen).

We conclude that ^{68}Ga-DOTANOC is an excellent candidate for primary diagnostic and follow-up investigations in patients with suspected or proven somatostatin receptor-positive tumours. Furthermore, in this case, predictive imaging indicates that ^{90}Y- or ^{177}Lu-DOTANOC has greater potential for treatment of this patient than ^{90}Y- or ^{177}Lu-DOTATOC.

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