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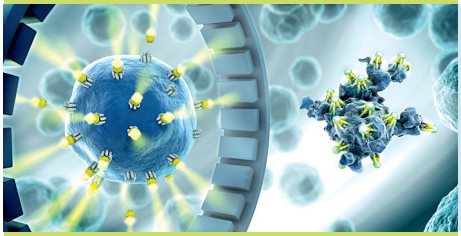
Abstract of Poster presentation



[^{68}Ga]-OPS202 targeting somatostatin receptors: In vivo biodistribution and dosimetry in a pig model

Presenter

Uta Eberlein

Time

Sunday, October 19, 2014
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Location

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Poster Exhibition Area

Poster Walk 1

Radionuclide Therapy & Dosimetry: Preclinical studies

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Introduction

[^{68}Ga]-OPS202 is a new somatostatin receptor antagonist with high affinity towards the somatostatin receptor subtype sst 2 for PET imaging in patients with neuroendocrine tumors. We present the *in vivo* biodistribution in a pig model as a basis for human dosimetry.

Materials and Methods

All procedures involving animals were performed after a written permission was obtained from the Danish Animal Experiments Inspectorate. [^{68}Ga]-OPS202 was produced in an E&Z Modular lab PharmTracer system by reacting 30 nM of OPS202 precursor with ^{68}GaCl3(IGG100 ^{68}Ge/^{68}Ga generator) in a sodium acetate buffer (pH 4.0) at 75°C.

In vivo biodistribution and dosimetry studies were performed in anesthetised Danish Landrace pigs (3 female, 2 male, age ca. 3 months, weight: 28 kg [+/- 2 kg]) applying a series of PET/CT scans until 6 hours after application. The physiological parameters were monitored and if necessary, corrected during the scans. 12 arterial blood samples were taken for determining the time-activity curve in blood. 6 of these samples were used for analyzing for metabolites.

Time-activity curves and residence times were assessed for organs showing visible uptake. Based on these data a dose assessment was performed using OLINDA/EXM.

Results and Discussion

The applied radio labeling procedure yielded [^{68}Ga]-OPS202 (72-78% decay corrected, RCP>98%). After injection (mean activity: 183 MBq), clearance of [^{68}Ga]-OPS202 from the blood was fast. Less than 10% of the injected ^{68}Ga activity per liter of blood was observed 10 min after injection. There was no visible uptake in the spleen, however some uptake in the spine. The highest organ residence times were observed in the bladder, kidneys, and liver. The effective dose in humans was estimated to 3.7•10-2 mSv/MBq; the organs with the highest exposure were bladder, kidneys, liver, gall bladder, and testes. No metabolites of [^{68}Ga]-OPS202 were observed.

Conclusion

In vivo distributions and absorbed doses are generally comparable to those obtained for other somatostatin receptor ligands and should be no hindrance for human applications.