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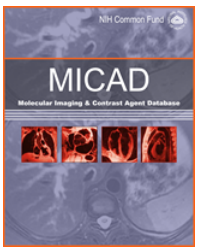

3-(4-Hydroxy-3-[^{125}I]iodophenyl)propionate-exendin(9-39)

[^{125}I]-BH-Exendin(9-39)

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Chemical name:	3-(4-Hydroxy-3-[^{125}I]iodophenyl)propionate-exendin(9-39)	
Abbreviated name:	[^{125}I]-BH-Exendin(9-39)	
Synonym:		
Agent category:	Peptide	
Target:	Glucagon-like peptide-1 (GLP-1) receptor	
Target category:	Receptor	
Method of detection:	Single-photon emission computed tomography (SPECT)	
Source of signal:	^{125}I	
Activation:	No	
Studies:	• *In vitro* • Rodents	Click on protein, nucleotide (RefSeq), and gene for more information about exendin-4.

Background

[PubMed]

Glucagon-like peptide-1 (GLP-1), composed of 30 amino acids (7–36), is secreted from enteroendocrine cells of the distal small intestine in response to food ingestion (1). GLP-1 plays an important role in glucose metabolism and homeostasis. It inhibits gastric emptying, glucagon secretion, and glucose production (2); it also induces insulin release from the pancreatic β-cells as well as their proliferation. The GLP-1 receptor has been identified in normal tissues, such as the pancreas, stomach, brain, and lung, and it has been shown to be highly overexpressed in human insulinomas and gastrinomas (3). In insulinomas, GLP-1 receptor density is considerably higher and is expressed more often than somatostatin receptors.

Exendin, isolated from the venom of the lizard *Heloderma suspectum* (4), is a specific and competitive antagonist of GLP-1. Exendin(9-39) shares 53% sequence homology with GLP-1. Exendin(9-39) has been labeled with [^{125}I]-Bolton-Hunter reagent (*N*-succinimidyl-3-(4-hydroxy-3-[^{125}I]iodophenyl)propionate) at the Lys residues for single-photon emission computed tomography imaging of the GLP-1 receptor (5).

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Related Resource Links:

- Chapters in MICAD
- Gene information in NCBI (GLP-1R).
- Articles in OMIM
- Clinical trials (Exendin)

Synthesis

[PubMed]

[^{125}I]-BH-Exendin(9-39) is commercially available. Exendin(9-39) was radiolabeled with [^{125}I]-Bolton-Hunter reagent with a specific activity of 81.4 GBq/μmol (2.2 Ci/μmol). The radiochemical yield and purity were not disclosed.

In Vitro Studies: Testing in Cells and Tissues

[PubMed]

Mukai et al. (5) reported an *in vitro* binding assay of [^{125}I]-BH-exendin(9-39) in mouse pancreatic β-cell membrane. Exendin(9-39) exhibited a 50% inhibition concentration value of 1.5 nM.

Animal Studies

Rodents

[PubMed]

Mukai et al. (5) performed *ex vivo* biodistribution studies in normal mice (n = 5) after injection of 0.037 MBq (1 μCi) [^{125}I]-BH-exendin(9-39).Coinjection of exendin(9-39) was also studied. The organ with the highest uptake at 2 h after injection was the lung (60% injected dose per gram tissue (ID/g)), followed by the pancreas (20% ID/g), liver (12% ID/g), and neck (7% ID/g). Accumulation in the stomach, kidneys, and intestine was <5% ID/g, whereas accumulation in the spleen, heart, and blood was <2% ID/g. Specific uptake was observed in the pancreas and lung only, with >90% inhibition by exendin(9-39). In another experiment, [^{125}I]-BH-exendin(9-39) was colocalized with green fluorescence protein (GFP) *in vivo* in the pancreatic β-cells in MIP-GFP mice (R^2 = 0.979) at 2 h after injection of the tracer.

Other Non-Primate Mammals

[PubMed]

No publication is currently available.

Non-Human Primates

[PubMed]

No publication is currently available.

Human Studies

[PubMed]

No publication is currently available.

References

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