Vipivotide tetraxetan

Cat. No.: HY-117410

CAS No.: 1702967-37-0

Molecular Formula: $C_{49}H_{71}N_9O_{16}$

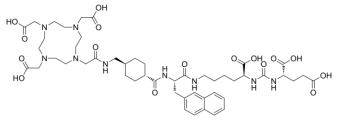
Molecular Weight: 1042.14

Target: Drug-Linker Conjugates for ADC

Pathway: Antibody-drug Conjugate/ADC Related

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (119.95 mM; Need ultrasonic)

H_2O : ≥ 100 mg/mL (95.96 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	0.9596 mL	4.7978 mL	9.5956 mL
5 mM	0.1919 mL	0.9596 mL	1.9191 mL
10 mM	0.0960 mL	0.4798 mL	0.9596 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (2.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (2.00 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vipivotide tetraxetan (PSMA-617) is a high potent prostate-specific membrane antigen (PSMA) inhibitor, with a K_i of 0.37 nM. Vipivotide tetraxetan (PSMA-617) is designed consisting of three components: the pharmacophore Glutamate-urea-Lysine, the chelator DOTA able to complex both 68Ga or 177Lu, and a linker connecting these two entities. Glutamate-urea-Lysine is the selective pharmacophore to bind to prostate specific membrane antigen.

IC_{50} & Target	Traditional Cytotoxic Agents
In Vitro	Vipivotide tetraxetan (PSMA-617) demonstrates high radiolytic stability for at least 72 h. A high inhibition potency (equilibrium dissociation constant K_i=2.34±2.94 nM on LNCaP; K_i=0.37±0.21 nM enzymatically determined) and highly efficient internalization into LNCaP cells are demonstrated[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Organ distribution with 68Ga-labeled Vipivotide tetraxetan (PSMA-617) after 1 h (n=3) reveals a high specific uptake in LNCaP tumors and in the kidneys. The high uptake in the kidneys is nearly completely blocked by coinjection of 2 mg of 2-PMPA per kilogram. Other organs such as the liver, lung, and spleen show rather low uptake and no blocking effect, with the exception of the spleen. Tumor-to-background ratios are 7.8 (tumor to blood) and 17.1 (tumor to muscle) at 1 h after injection. As compared with the 68Ga-labeled version, the organ distribution with 177Lu-labeled Vipivotide tetraxetan (PSMA-617) (n=3) show a similar uptake in the LNCaP tumors and in the kidneys. The liver uptake is found to be statistically different. Tumor-to-background ratios determined 1 h after injection show slightly higher values (tumor to blood, 22.1; tumor to muscle, 25.6) than previous organ distribution with 68Ga-labeled Vipivotide tetraxetan (PSMA-617)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Eur J Nucl Med Mol Imaging. 2023 Mar 6.
- Biomolecules. 2021 Feb 10;11(2):263.
- Pharmaceutics. 2022 Mar 28;14(4):731.
- Mol Pharm. 2022 Jul 27.
- Pharmaceuticals. 2023 May 3, 16(5), 692.

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REFERENCES

[1]. Benešová M, et al. Preclinical Evaluation of a Tailor-Made DOTA-Conjugated PSMA Inhibitor with Optimized Linker Moiety for Imaging and Endoradiotherapy of Prostate Cancer. J Nucl Med. 2015 Jun;56(6):914-20.

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