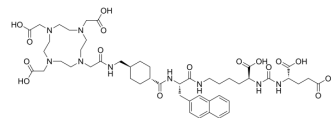


Vipivotide tetraxetan

Cat. No.:	HY-117410		
CAS No.:	1702967-37-0		
Molecular Formula:	C ₄₉ H ₇₁ N ₉ O 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)
 H₂O : ≥ 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

- 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
- 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
- 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.

IC₅₀ & 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 $K_i=2.34\pm 2.94$ nM on LNCaP; $K_i=0.37\pm 0.21$ 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

- Biosens Bioelectron. 2023 Dec 21:247:115956.
- Eur J Nucl Med Mol Imaging. 2023 Mar 6.
- Int J Radiat Oncol Biol Phys. 2023 Dec 18:S0360-3016(23)08191-9.
- Biomolecules. 2021 Feb 10;11(2):263.
- Pharmaceutics. 2022 Mar 28;14(4):731.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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