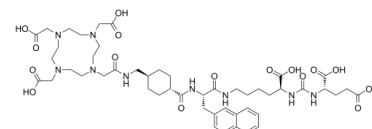


Data Sheet

Product Name:	Vipivotide tetraxetan
Cat. No.:	CS-0065894
CAS No.:	1702967-37-0
Molecular Formula:	C ₄₉ H ₇₁ N ₉ O ₁₆
Molecular Weight:	1042.14
Target:	Others
Pathway:	Others
Solubility:	DMSO : 125 mg/mL (119.95 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Vipivotide tetraxetan (PSMA-617) is a high potent prostate-specific membrane antigen (**PSMA**) inhibitor, with a K_i of 0.37 nM. IC₅₀ & Target: K_i : 0.37 nM (PSMA)^[1]. **In Vitro**: Vipivotide tetraxetan (PSMA-617) demonstrates high radiolytic stability for at least 72 h. A high inhibition potency (equilibrium dissociation constant $K_i=2.34\pm2.94$ nM on LNCaP; $K_i=0.37\pm0.21$ nM enzymatically determined) and highly efficient internalization into LNCaP cells are demonstrated^[1]. **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].

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.

CAIndexNames:

L-Lysine, N2-[[[(1S)-1,3-dicarboxypropyl]amino]carbonyl]-N6-[3-(2-naphthalenyl)-N-[[trans-4-[[[2-[4,7,10-tris(carboxymethyl)-1,4,7,10-tetraazacyclododec-1-yl]acetyl]amino]methyl]cyclohexyl]carbonyl]-L-alanyl]-

SMILES:

O=C(O)[C@H](CCCCNC([C@H](CC1=CC=CC=C1)NC([C@H]3CC[C@H](CNC(CN4CCN(CC(O)=O)CCN(CC(O)=O)CCN(CC(O)=O)CC4=O)CC3)=O)=O)NC(N[C@H](C(O)=O)CCC(O)=O)=O

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

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