

Product Data Sheet

Product Name: Vipivotide tetraxetan (PSMA-617)

Cat. No.: GC32974

Chemical Properties

Cas. No. 1702967-37-0

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

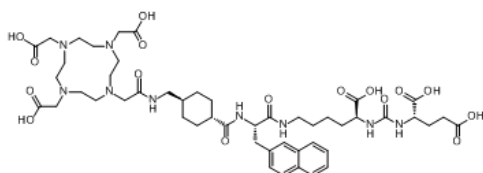
Formula $C_{49}H_{71}N_9O_{16}$ M.Wt 1042.14

Solubility DMSO : 125 mg/mL (119.95 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Cell experiment [1]:

Cell lines	PC-3 PIP (PSMA-positive human prostate cancer cell line) and PC-3 flu (PSMA-negative human prostate cancer cell line)
Preparation Method	PC-3 PIP and PC-3 flu cells were maintained in RPMI-1640 medium supplemented with 10% fetal calf serum, L-glutamine, and antibiotics at 37°C, 5% CO ₂ . Cell treatment with ¹⁶¹ Tb- or ¹⁷⁷ Lu-labeled Vipivotide tetraxetan (0.1-10MBq/mL).
Reaction Conditions	0.1-10MBq/mL; 4 hours.
Applications	¹⁶¹ Tb-labeled Vipivotide tetraxetan significantly and more effectively reduced the viability and survival of PSMA-positive PC-3 PIP tumor cells in an activity concentration-dependent manner compared to ¹⁷⁷ Lu-labeled Vipivotide tetraxetan. The effects on PSMA-negative PC-3 flu cells were minimal and comparable for both radioligands.

Animal experiment [2]:

Animal models	BALB/cAnNRj mice
Preparation Method	Mice were injected with a single dose of ¹⁷⁷ Lu-labeled Vipivotide tetraxetan (at activities of 120, 160, or 200MBq) via the tail vein. Blood samples were collected at multiple time points (4, 13, 17, 21, 25, and 32 days post-injection) for hematological analysis, and animals were sacrificed 32 days post-injection.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Dosage form 120, 160, 200MBq per mouse; i.v.; single injection.

Applications Administration of ^{177}Lu -labeled Vipivotide tetraxetan led to transient hematological toxicity, including a significant reduction in white blood cells, red blood cells, and platelets. All blood values returned to normal levels within 32 days, and no radiation-related deaths were observed.

References:

- [1] Müller C, Umbricht CA, Gracheva N, et al. Terbium-161 for PSMA-targeted radionuclide therapy of prostate cancer. *Eur J Nucl Med Mol Imaging*. 2019 Aug;46(9):1919-1930.
- [2] Kristiansson A, Vilhelmsson Timmermand O, Altai M, et al. Hematological Toxicity in Mice after High Activity Injections of ^{177}Lu -PSMA-617. *Pharmaceutics*. 2022 Mar 28;14(4):731.

Background

Vipivotide tetraxetan (PSMA-617) is a synthetic ligand molecule that targets the prostate-specific membrane antigen (PSMA; $K_i=0.3\text{nM}$)^[1-2]. Vipivotide tetraxetan can be chelated with ^{68}Ga or ^{177}Lu for the diagnosis and targeted therapy research of metastatic castration-resistant prostate cancer (mCRPC)^[3-4].

In vitro, PC3-PSMA and LNCaP prostate cancer cell lines were pretreated with 5-aza-2'-deoxycytidine (5-aza-dC) and valproic acid (VPA) for 5 days, followed by co-incubation with ^{177}Lu -chelated Vipivotide tetraxetan (50kBq/1 mL) for 1-24 hours. 5-aza-dC and VPA significantly increased the cellular uptake of Vipivotide tetraxetan^[5]. PSMA-positive PC-3 PIP tumor cells were treated with ^{161}Tb - or ^{177}Lu -labeled Vipivotide tetraxetan (0.1-10MBq/mL) for 4 hours. ^{161}Tb - or ^{177}Lu -labeled Vipivotide tetraxetan significantly reduced cell viability and survival^[6].

In vivo, BALB/c nude mice bearing HepG2 hepatocellular carcinoma xenografts were treated with a single intravenous injection of ^{177}Lu -labeled Vipivotide tetraxetan (37MBq per mouse). ^{177}Lu -labeled Vipivotide tetraxetan significantly inhibited tumor growth and prolonged the survival of the tumor-bearing mice^[7]. BALB/cAnNRj mice were treated with a single intravenous injection of ^{177}Lu -labeled

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Vipivotide tetraxetan (120, 160, or 200MBq per mouse). ¹⁷⁷Lu-labeled Vipivotide tetraxetan caused transient hematological toxicity (including decreased counts of white blood cells, red blood cells, and platelets) but did not cause death^[8].

References:

- [1] Benešová M, Schäfer M, Bauder-Wüst U, 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.
- [2] Ruigrok EAM, van Vliet N, Dalm SU, et al. Extensive preclinical evaluation of lutetium-177-labeled PSMA-specific tracers for prostate cancer radionuclide therapy. Eur J Nucl Med Mol Imaging. 2021 May;48(5):1339-1350.
- [3] Han XD, Liu C, Liu F, et al. ⁶⁴Cu-PSMA-617: A novel PSMA-targeted radio-tracer for PET imaging in gastric adenocarcinoma xenografted mice model. Oncotarget. 2017 May 26;8(43):74159-74169.
- [4] Vilangattil MM, Swaidan A, Godinez J, et al. Hematological toxicity of [²²⁵Ac]Ac-PSMA-617 and [¹⁷⁷Lu]Lu-PSMA-617 in RM1-PGLS syngeneic mouse model. EJNMMI Radiopharm Chem. 2025 Mar 24;10(1):12.
- [5] Runge R, Naumann A, Miederer M, et al. Up-Regulation of PSMA Expression In Vitro as Potential Application in Prostate Cancer Therapy. Pharmaceuticals (Basel). 2023 Apr 4;16(4):538.
- [6] Müller C, Umbricht CA, Gracheva N, et al. Terbium-161 for PSMA-targeted radionuclide therapy of prostate cancer. Eur J Nucl Med Mol Imaging. 2019 Aug;46(9):1919-1930.
- [7] Lu Q, Long Y, Gai Y, et al. [¹⁷⁷Lu]Lu-PSMA-617 theranostic probe for hepatocellular carcinoma imaging and therapy. Eur J Nucl Med Mol Imaging. 2023 Jul;50(8):2342-2352.
- [8] Kristiansson A, Vilhelmsson Timmermand O, Altai M, et al. Hematological Toxicity in Mice after High Activity Injections of ¹⁷⁷Lu-PSMA-617. Pharmaceuticals. 2022 Mar 28;14(4):731.

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA