VO-Ohpic trihydrate

Cat. No.: HY-13074

CAS No.: 476310-60-8

Molecular Formula: $C_{12}H_{16}N_2O_{11}V$

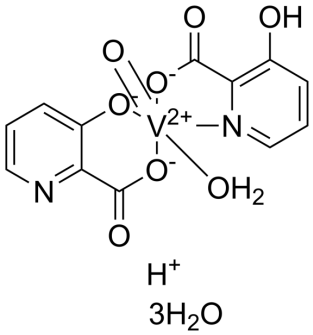
Molecular Weight: 415.2

Target: PTEN; Autophagy

Pathway: PI3K/Akt/mTOR; Autophagy

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 : ≥ 50 mg/mL (120.42 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4085 mL	12.0424 mL	24.0848 mL
5 mM	0.4817 mL	2.4085 mL	4.8170 mL
10 mM	0.2408 mL	1.2042 mL	2.4085 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: ≥ 2.5 mg/mL (6.02 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VO-Ohpic trihydrate is a highly potent inhibitor of PTEN with an IC_{50} of 46±10 nM.

IC_{50} & Target

IC50: 46±10 nM (PTEN)[1]

In Vitro

VO-OHpic with two OHpic ligands and an oxo ligand is a sterically demanding molecule, and one will therefore expect that binds substrate will affect the subsequent binding of the inhibitor due to steric hindrance. VO-OHpic significantly inhibits PTEN activity in low nanomolar concentrations (IC_{50}, 46±10 nM), which is in agreement with the previously determined potency (IC_{50}, 35±2 nM) in a PIP_3-based assay. The inhibition constants K_{ic} and K_{iu} are determined to be 27±6 and 45±11 nM,

	respectively[1]. VO-OHpic is an encouragingly specific and potent PTEN inhibitor. VO-OHpic is the most potent inhibitor (IC_{50} =35 nM) of the PTEN lipid phosphatase activity[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PTEN is inhibited in mice by intra-peritoneal injection of VO-OHpic (10 μg/kg) 30 min before ischemia and then exposed them to 30 min of ischemia and 120 min of reperfusion. At the end of the experiment, myocardial infarct size is measured by triphenyltetrazolium chloride (TTC). Myocardial infarct size is significantly decreased in VO-treated mice (25±6 vs. 56±5 %, n=7, P<0.01). There is no difference in the area at risk between these two groups (46±3 vs. 57±3 %, n=7, P>0.05)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	VO-OHpic is dissolved in DMSO (100 μM) and diluted further to the required concentration with 1% DMSO. For inhibition studies, PTEN is preincubated with VO-OHpic at RT for 10 min before substrate is added to initialise the reaction. Background absorbance (malachite green assay) and fluorescence (OMFP assay) are determined with VO-OHpic in assay buffer and corrected in the data analysis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Mice[3] The experiment is performed with male C57BL6 mice. Briefly, mice are anesthetized with pentobarbital (70 mg/kg). The left coronary artery is occluded about 1-2 mm below the left auricle. Reperfusion is accomplished by loosening the ligature. The PTEN inhibitor VO-OHpic is administered by intra-peritoneal injection at the dosage of 10 μg/kg once 30 min before ischemia. Saline is used as control. At the end of the experiment, the animals are euthanized by transecting the aorta and removing the heart for infarct size determination. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Stem Cell. 2022 Apr 7;29(4):545-558.e13.
- Bone Res. 2018 Nov 10;6:32.
- Redox Biol. 2019 Jan;20:390-401.
- Theranostics. 2019 Jul 9;9(18):5200-5213.
- Theranostics. 2019 Jul 9;9(16):4764-4778.

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REFERENCES

[1]. Mak LH, et al. Characterisation of the PTEN inhibitor VO-OHpic. J Chem Biol. 2010 Oct;3(4):157-63.

[2]. Rosivatz, E, et al. A small molecule inhibitor for phosphatase and tensin homologue deleted on chromosome 10 (PTEN). ACS Chem Biol. 2006 Dec 15;1(12):780-90.

[3]. Zu L, et al. PTEN inhibitors cause a negative inotropic and chronotropic effect in mice. Eur J Pharmacol. 2011 Jan 10;650(1):298-302.

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

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