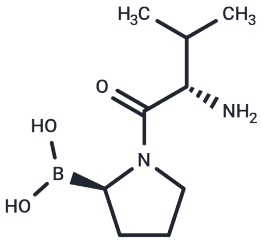
Data Sheet (Cat.No.T37861)

TargetMol

Talabostat

Chemical Properties



CAS No. : 149682-77-9

Formula: C9H19BN2O3

Molecular Weight: 214.07

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Talabostat (PT100, Val-boroPro) is a potent, nonselective and orally available dipeptidyl peptidase IV (DPP-IV) inhibitor with a Ki of 0.18 nM.
Targets(IC50)	Others,Proteasome
In vitro	Talabostat is a nonselective DPP-IV inhibitor, inhibiting DPP8/9, FAP, DPP2 and some other DASH family enzymes essentially as potently as it inhibits DPP-IV[1]. Talabostat stimulates the immune system by triggering a proinflammatory form of cell death in monocytes and macrophages known as pyroptosis. The inhibition of two serine proteases, DPP8 and DPP9, activates the proprotein form of caspase-1 independent of the inflammasome adaptor ASC[2]. Talabostat competitively inhibits the dipeptidyl peptidase (DPP) activity of FAP and CD26/DPP-IV, and there is a high-affinity interaction with the catalytic site due to the formation of a complex between Ser630/624 and the boron of talabostat[3].
In vivo	Talabostat can stimulate immune responses against tumors involving both the innate and adaptive branches of the immune system. In WEHI 164 fibrosarcoma and EL4 and A20/2J lymphoma models, PT-100 causes regression and rejection of tumors. The antitumor effect appears to involve tumor-specific CTL and protective immunological memory. Talabostat treatment of WEHI 164-inoculated mice increases mRNA expression of cytokines and chemokines known to promote T-cell priming and chemoattraction of T cells and innate effector cells[3]. Talabostat treated mice show significant less fibrosis and FAP expression is reduced. Upon PT100 treatment, significant differences in the MMP-12, MIP-1α, and MCP-3 mRNA expression levels in the lungs are also observed. Treatment with PT100 in this murine model of pulmonary fibrosis has an anti-fibro-proliferative effect and increases macrophage activation[4].

Solubility Information

Solubility	DMSO: ≥ 40 mg/mL (186.85 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.6714 mL	23.3568 mL	46.7137 mL
5 mM	0.9343 mL	4.6714 mL	9.3427 mL
10 mM	0.4671 mL	2.3357 mL	4.6714 mL
50 mM	0.0934 mL	0.4671 mL	0.9343 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Connolly BA, et al. Dipeptide boronic acid inhibitors of dipeptidyl peptidase IV: determinants of potencyand in vivo efficacy and safety. J Med Chem. 2008 Oct 9;51(19):6005-13.
Jin X, Liu D, Zhou X, et al.Entrectinib inhibits NLRP3 inflammasome and inflammatory diseases by directly targeting NEK7.Cell Reports Medicine.2023, 4(12).
Okondo MC, et al. DPP8 and DPP9 inhibition induces pro-caspase-1-dependent monocyte and macrophage pyroptosis. Nat Chem Biol. 2017 Jan;13(1):46-53.
Adams S, et al. PT-100, a small molecule dipeptidyl peptidase inhibitor, has potent antitumor effects and augments antibody-mediated cytotoxicity via a novel immune mechanism. Cancer Res. 2004 Aug 1;64(15):5471-80.
Egger C, et al. Effects of the fibroblast activation protein inhibitor, PT100, in a murine model of pulmonary fibrosis. Eur J Pharmacol. 2017 Aug 15;809:64-72.