Talabostat mesylate

Cat. No.: HY-13233A

CAS No.: 150080-09-4

Molecular Formula: $C_{10}H_{23}BN_2O_6S$

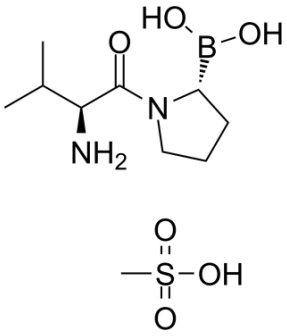
Molecular Weight: 310.18

Target: Dipeptidyl Peptidase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

H_2O : 250 mg/mL (805.98 mM; Need ultrasonic)

DMSO : ≥ 40 mg/mL (128.96 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2239 mL	16.1197 mL	32.2393 mL
	5 mM	0.6448 mL	3.2239 mL	6.4479 mL
	10 mM	0.3224 mL	1.6120 mL	3.2239 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 (8.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.06 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Talabostat mesylate (Val-boroPro mesylate; PT100 mesylate) is an orally active and nonselective dipeptidyl peptidase IV (DPP-IV) inhibitor (IC_{50} < 4 nM; K_i = 0.18 nM) and the first clinical inhibitor of fibroblast activation protein (FAP) (IC_{50} = 560 nM), inhibits DPP8/9 (IC_{50} = 4/11 nM; K_i = 1.5/0.76 nM), quiescent cell proline dipeptidase (QPP) (IC_{50} = 310 nM), DPP2, and some other DASH family enzymes. Antineoplastic and hematopoiesis- stimulating activities[1][2][3].

IC_{50} & Target	IC50: < 4 nM (DPP-IV), 4/11 nM (DPP8/9), 310 nM (QPP), 560 nM (FAP)[1] Ki: 0.18 nM (DPP-IV), 1.5/0.76 nM (DPP8/9)[2]
In Vitro	By cleaving N-terminal Xaa-Pro or Xaa-Ala residues, Talabostat mesylate (Val-boroPro mesylate) inhibits dipeptidyl peptidases, such as FAP, resulting in the stimulation of cytokine and chemokine production and specific T-cell immunity and T-cell dependent activity[3]. Talabostat mesylate (Val-boroPro mesylate) competitively inhibits the dipeptidyl peptidase (DPP) activity of FAP and CD26/DPP-IV, and there is a high-affinity interaction with the catalytic site[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Talabostat mesylate (Val-boroPro mesylate) 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, Talabostat mesylate (Val-boroPro mesylate) causes regression and rejection of tumors. The antitumor effect appears to involve tumor-specific CTL and protective immunological memory. Talabostat mesylate (Val-boroPro mesylate) 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[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [4]	Mice: BLM (0.5mg/kg/day) is administered on days -7, -6, -5, -2, -1, 0 in the nostrils of male mice. Talabostat (40 μg/mouse) or vehicle (0.9% NaCl) is dosed per os twice daily from day 1-14. MRI is performed before BLM and at days 0, 7 and 14. After the last MRI acquisition, animals are euthanised and the lungs harvested for histological and quantitative real-time polymerase chain reaction (qRT-PCR) analyses[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Science. 2020 Dec 4;370(6521):eaay2002.
- Nat Commun. 2019 May 7;10(1):2091.
- Cancer Res. 2016 Jul 15;76(14):4124-35.
- Proc Natl Acad Sci U S A. 2019 Sep 17;116(38):19055-19063.
- Anal Chem. 2016 Aug 16;88(16):8309-14.

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REFERENCES

[1]. Lankas GR, et al. Dipeptidyl peptidase IV inhibition for the treatment of type 2 diabetes: potential importance of selectivity over dipeptidyl peptidases 8 and 9. Diabetes. 2005 Oct;54(10):2988-94.

[2]. 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.

[3]. Talabostat

[4]. 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.

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