Talabostat

Cat. No.: HY-13233

CAS No.: 149682-77-9

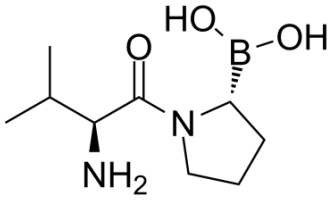
Molecular Formula: $C_9H_{19}BN_2O_3$

Molecular Weight: 214.07

Target: Dipeptidyl Peptidase

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 40 mg/mL (186.85 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Talabostat (Val-boroPro; PT100) 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 (Val-boroPro) 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 (Val-boroPro) 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 (Val-boroPro; PT100) 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 (Val-boroPro) causes regression and rejection of tumors. The antitumor effect appears to involve tumor-specific CTL and protective immunological memory.
Talabostat (Val-boroPro) 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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