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产品名称：[(2R)-1-[(2S)-2-氨基-3-甲基丁酰基]吡咯烷-2-基]硼酸甲磺酸盐
产品别名：Talabostat mesylate；Val-boroPro mesylate; PT100 mesylate

生物活性：

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].
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].
Solvent&Solubility	***In Vitro:*** **DMSO : ≥ 40 mg/mL (128.96 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出

	现沉淀、析出现象，可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (8.06 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.06 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (8.06 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.06 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (8.06 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (8.06 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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.

实验参考：

Animal Administration	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].
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.