Product Data Sheet

Product Name: Talabostat (PT100)
Cat. No.: GC33853

Chemical Properties

Cas. No.	149682-77-9		
SMILES	CC(C)[C@H](N)C(N1[C@H](B(O)O)CCC1)=O		
Formula	$C_9H_{19}BN_2O_3$	M.Wt	214.07
Solubility	DMSO : ≥ 40 mg/mL (186.85 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Talabostat (PT100)		

Protocol

Animal experiment:

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].

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

Background

Talabostat (Val-boroPro, PT-100) is a dipeptidyl peptidase inhibitor with IC50 values of <4 nM, 4 nM, 11 nM, 310 nM, 560 nM and 390 nM for DPP-IV, DPP8, DPP9, QPP, FAP and PEP respectively. It has antineoplastic and hematopoiesis- stimulating activities.

In vitro, talabostat upregulates cytokines/chemokines in human bone marrow stromal

cells[2]. Talabostat (Val-boroPro) induces monocytes and macrophage cell death. Val-boroPro induced pyroptosis requires caspase-1[4].

Talabostat has been shown to produce potent antitumor effects when administered orally in multiple mouse tumor models. Val-boroPro mediates complete tumor regression via a novel mechanism that requires more rapid DC trafficking and subsequent acceleration of T cell priming[3]. In tumor stroma, talabostat can directly target FAP expressed by reactive fibroblasts. Talabostat stimulates innate and adaptive immune responses against tumors involving transcriptional upregulation of cytokines and chemokines[2]. Val-boroPro is known to stimulate the transcriptional upregulation several cytokines, including IL-1β, IL-6, G-CSF, and CXCL1/KC, in both tumors and tumor-draining lymph nodes, and to increase the mouse serum protein levels of several of these cytokines, including G-CSF and CXCL1/KC[4].

[1] Lankas GR, et al. Diabetes. 2005, 54(10):2988-94. [2] Michael Jesson, et al. American Association for Cancer Research. 2007, 67(9):Supplement. [3] Walsh MP, et al. PLoS One. 2013, 8(3):e58860.

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