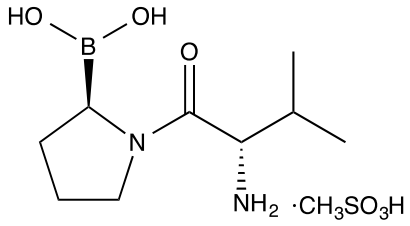
Catalog # 10-4005
Talabostat Mesylate
CAS# 150080-09-4

Val-boroPro; ((2R)-1-((2S)-2-Amino-3-methylbutanoyl)pyrrolidin-2-yl)boronic acid methanesulfonate; PT-100

Lot # FBS1049



Talabostat mesylate is a non-selective inhibitor of the S9 family of serine proteases (IC_{50}'s: DPPIV = <4nM, DPP8 = 4nM, DPP9 = 11nM, QPP = 310nM, FAP = 560nM, PEP = 390nM).[1] Toxicity caused by DPP8/9 inhibition observed with non-selective DPPIV inhibitors limits their use as a diabetes treatment. However, Talabostat displays potent antitumor effects dependent on an intact host immune response.[2] It mediates tumor regression by accelerating the expansion of tumor-specific T cells.[3] Additionally, DPP8/9 inhibition by Talabostat activates the proprotein form of Caspase-1 leading to a proinflammatory form of cell death in monocytes and macrophages - pyroptosis.[4] Pyroptosis induction by DPP8/9 inhibition has been shown to be caused by activation of the inflammasome sensor protein Nlrp1b.[5]

1) Lankas *et al.* (2005) *Dipeptidyl Peptidase IV Inhibition for the Treatment of Type 2 Diabetes;* Diabetes **54** 2988
2) Adams *et al.* (2004) *PT-100,a small molecule dipeptidyl peptidase inhibitor, has potent antitumor effects and augments antibody-mediated cytotoxicity via a novel immune mechanism;* Cancer Res. **64** 5471
3) Walsh *et al.* (2013) *Val-BoroPro Accelerates T Cell Priming via Modulation of Dendritic Cell Trafficking Resulting in Complete Regression of Established Murine Tumors;* PLoS One **8** e58860
4) Okondo *et al.* (2017) *DPP8/9 inhibition induces pro-caspase-1-dependent monocyte and macrophage pyroptosis;* Nat.Chem.Biol. **13** 46
5) Okondo *et al.* (2018) Inhibition of *DPP8/9 Activates the Nlrp1b Inflammasome;* Cell Chem.Biol. **25** 1

PHYSICAL DATA

Molecular Weight:	310.18
Molecular Formula:	$C_9H_{19}BN_2O_3 \cdot CH_3SO_3H$
Purity:	>97% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20ºC for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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Focus Biomolecules LLC 400 Davis Drive, Suite 600 Plymouth Meeting PA 19462
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