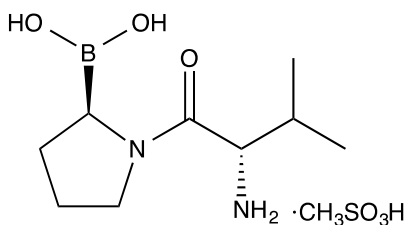


**Catalog # 10-4005**  
**Talabostat Mesylate**  
**CAS# 150080-09-4**

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<sub>50</sub>'s: DPPiV = <4nM, DPP8 = 4nM, DPP9 = 11nM, QPP = 310nM, FAP = 560nM, PEP = 390nM).<sup>1</sup> 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.<sup>2</sup> It mediates tumor regression by accelerating the expansion of tumor-specific T cells.<sup>3</sup> 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.<sup>4</sup> Pyroptosis induction by DPP8/9 inhibition has been shown to be caused by activation of the inflammasome sensor protein NLRP1B.<sup>5</sup>

- 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** 262

**PHYSICAL DATA**

Molecular Weight: 310.18  
Molecular Formula: C<sub>9</sub>H<sub>19</sub>BN<sub>2</sub>O<sub>3</sub>·CH<sub>3</sub>SO<sub>3</sub>H  
Purity: >97% by HPLC  
NMR: (Conforms)  
Solubility: DMSO (>25 mg/ml); water (40 mg/ml)  
Physical Description: White solid  
Storage and Stability: Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or water may be stored at -20°C for up to 1 month.

**Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.**