

# Talabostat (mesylate)

Catalog No: tcsc3187



## Available Sizes

**Size:** 5mg

**Size:** 10mg

**Size:** 50mg



## Specifications

**CAS No:**

150080-09-4

**Formula:**

$C_{10}H_{23}BN_2O_6S$

**Pathway:**

Metabolic Enzyme/Protease

**Target:**

Dipeptidyl Peptidase

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 40$  mg/mL (128.96 mM)

**Alternative Names:**

Val-boroPro;PT100

**Observed Molecular Weight:**

310.18

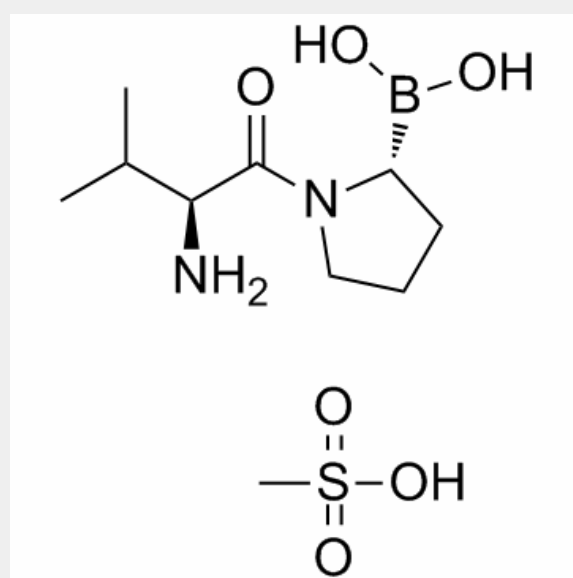
## Product Description

Talabostat mesylate is a potent, nonselective and orally available **dipeptidyl peptidase IV** (DPP-IV) inhibitor with a **K<sub>i</sub>** of 0.18 nM.

IC50 & Target: K<sub>i</sub>: 0.18 nM (DPP-IV), 1.5 nM (DPP8), 0.76 nM (DPP9)<sup>[1]</sup>

**In Vitro:** Talabostat mesylate is a nonselective DPP-IV inhibitor, inhibiting DPP8/9, FAP, DPP2 and some other DASH family enzymes essentially as potently as it inhibits DPP-IV<sup>[1]</sup>. Talabostat stimulates the immune system by triggering a proinflammatory form of cell death in monocytes and macrophages known as pyroptosis. The inhibition of two serine proteases, DPP8 and DPP9, activates the proprotein form of caspase-1 independent of the inflammasome adaptor ASC<sup>[2]</sup>. Talabostat competitively inhibits the dipeptidyl peptidase (DPP) activity of FAP and CD26/DPP-IV, and there is a high-affinity interaction with the catalytic site due to the formation of a complex between Ser<sup>630/624</sup> and the boron of talabostat<sup>[3]</sup>.

**In Vivo:** Talabostat 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, PT-100 causes regression and rejection of tumors. The antitumor effect appears to involve tumor-specific CTL and protective immunological memory. Talabostat 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<sup>[3]</sup>. Talabostat treated mice show significant less fibrosis and FAP expression is reduced. Upon PT100 treatment, significant differences in the MMP-12, MIP-1α, and MCP-3 mRNA expression levels in the lungs are also observed. Treatment with PT100 in this murine model of pulmonary fibrosis has an anti-fibro-proliferative effect and increases macrophage activation<sup>[4]</sup>.



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