

**Data Sheet** 

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| Product Name<br>Cat. No.<br>CAS No.             | <ul> <li>Talabostat mesylate</li> <li>PC-43412</li> <li>150080-09-4</li> </ul> |   |
|---|--|---|
| Molecular Formula<br>Molecular Weight<br>Target | 10 10 1 0  |   |
| Solubility                                      | <ul> <li>DMSO: ≥ 40 mg/mL</li> </ul>   | 0 |
|   |  | 0 |



## **Biological Activity**

Talabostat mesylate (PT-100, Val-boroPro) is a potent, nonselective, orally available inhibitor of post-proline cleaving serine proteases with Ki of 0.18 nM for **DPP4**, also potently inhibits **DPP8/9** (IC50=1.5/0.76 nM), FAP, DPP2 and some other DASH family enzymes.

Talabostat induces powerful anti-tumor immune responses in syngeneic cancer models.

Talabostat shows the inhibition of the highly related cytosolic serine proteases Dpp8 and Dpp9 (Dpp8/9) by Val-boroPro was recently demonstrated to trigger an immunostimulatory form of programmed cell death known as pyroptosis selectively in monocytes and macrophages.

Talabostat activates the inflammasome sensor protein NIrp1b, which in turn activates pro-caspase-1 to mediate pyroptosis.

## References

Connolly BA, et al. *J Med Chem.* 2008 Oct 9;51(19):6005-13.

Cristillo AD, et al. Biochem Biophys Res Commun. 2008 May 23;370(1):22-6.

Okondo MC, et al. Cell Chem Biol. 2018 Mar 15;25(3):262-267.

Okondo MC, et al. Nat Chem Biol. 2017 Jan;13(1):46-53.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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