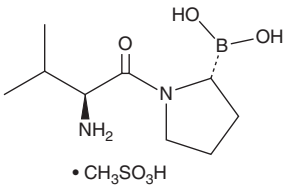
PRODUCT INFORMATION

Talabostat (mesylate)

Item No. 29007

CAS Registry No.: 150080-09-4
Formal Name: B-[(2R)-1-[(2S)-2-amino-3-methyl-1-oxobutyl]-2-pyrrolidinyl]-boronic acid, methanesulfonate
Synonym: Val-boro-Pro
MF: $C_9H_{19}BN_2O_3 \bullet CH_3SO_3H$
FW: 310.2
Purity: ≥95%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Talabostat (mesylate) is supplied as a solid. A stock solution may be made by dissolving the talabostat (mesylate) in the solvent of choice, which should be purged with an inert gas. Talabostat (mesylate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of talabostat (mesylate) in ethanol and DMF is approximately 3 mg/ml and approximately 5 mg/ml in DMSO.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of talabostat (mesylate) can be prepared by directly dissolving the solid in aqueous buffers. The solubility of talabostat (mesylate) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Talabostat is a non-selective inhibitor of dipeptidyl peptidases (DPPs), including DPP-4, DPP-7, DPP-8, DPP-9, fibroblast activation protein (FAP), and prolyl endopeptidase (PREP; IC_{50}s = >4, 310, 4, 11, 560, and 390 nM, respectively).[1] It inhibits proliferation of superantigen-stimulated human peripheral blood mononuclear cells (PBMCs; IC_{50} = ~10 nM). Talabostat (5 µg twice per day) increases expression of a variety of cytokines, including those encoding IL-1β, IL-6, and G-CSF, and chemokines in tumors and tumor-draining lymph nodes in a WEHI-164 fibrosarcoma mouse model.[2] It reduces tumor growth in WEHI-164, MM45T.Sp, and MM52.T fibrosarcoma, EL-4 and A20/2J lymphoma, B16/F10 melanoma, and P815 mastocytoma syngeneic mouse models. Talabostat also increases the efficacy of the antitumor antibodies rituximab and trastuzumab in Namalwa B cell lymphoma and LS180 colon carcinoma mouse xenograft models, respectively.

References

1. Lankas, G.R., Leiting, B., Roy, R.S., *et al.* Dipeptidyl peptidase IV inhibition for the treatment of type 2 diabetes: Potential importance of selectivity over dipeptidyl peptidases 8 and 9. *Diabetes* **54(10),** 2988-2994 (2005).
2. Adams, S., Miller, G.T., Jesson, M.I., *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.* **64(15),** 5471-5480 (2004).

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