Bortezomib

Cat. No.: HY-10227

CAS No.: 179324-69-7

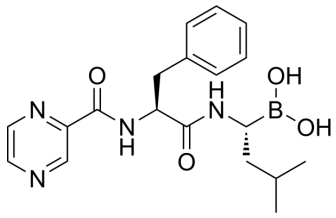
Molecular Formula: $C_{19}H_{25}BN_4O_4$

Molecular Weight: 384.24

Target: Proteasome; Apoptosis; Autophagy; NF-κB

Pathway: Metabolic Enzyme/Protease; Apoptosis; Autophagy; NF-κB

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 83.3 mg/mL (216.79 mM)
Ethanol : 66.67 mg/mL (173.51 mM; ultrasonic and warming and heat to 60°C)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6025 mL	13.0127 mL	26.0254 mL
5 mM	0.5205 mL	2.6025 mL	5.2051 mL
10 mM	0.2603 mL	1.3013 mL	2.6025 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 4 mg/mL (10.41 mM); Clear solution
2. Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 4 mg/mL (10.41 mM); Clear solution
3. Add each solvent one by one: 10% EtOH >> 90% corn oil
   Solubility: ≥ 4 mg/mL (10.41 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.5 mg/mL (6.51 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.51 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.41 mM); Clear solution
7. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.41 mM); Clear solution
8. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.41 mM); Clear solution

	9. Add each solvent one by one: 1% DMSO >> 99% saline Solubility: ≥ 0.5 mg/mL (1.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Bortezomib (PS-341) is a reversible and selective proteasome inhibitor, and potently inhibits 20S proteasome (K_i=0.6 nM) by targeting a threonine residue. Bortezomib disrupts the cell cycle, induces apoptosis, and inhibits NF-κB. Bortezomib is the first proteasome inhibitor anticancer agent. Anti-cancer activity[1][2].
IC_{50} & Target	Ki: 0.6 nM (20S proteasome)[1]

In Vitro

Bortezomib (PS-341) (100 nM; 8 hours) results in the accumulation of cells in G2-M, with a corresponding decrease in the number of cells in G1[1].
?Bortezomib (PS-341) (5-100 nM; 20 hours) induces apoptosis in mantle-cell lymphoma (MCL) cell lines[3].
?Bortezomib (PS-341) (20 nM; 1-14 hours) induces Noxa up-regulation in both MCL cell lines[3].
?The IC_{50} of Bortezomib (PS-341) is found to be 2.46 nM for 26S proteasome in the B16F10 cells[4].
?Bortezomib (PS-341) suppresses several anti-apoptotic proteins (e.g., Bcl-XL, Bcl-2, and STAT-3)[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	PC-3 cells
Concentration:	100 nM
Incubation Time:	8 hours
Result:	Resulted in the accumulation of cells in G2-M, with a corresponding decrease in the number of cells in G1.

Apoptosis Analysis[3]

Cell Line:	JVM-2, Granta-519, Jeko, REC-1 cells (MCL cell lines)
Concentration:	5-100 nM
Incubation Time:	20 hours
Result:	The median LD50 for these MCL cell lines was 31 nM (range, 18.2-60.1 nM).

Western Blot Analysis[3]

Cell Line:	wtp53 (Granta-519), mutp53 (Jeko) cells
Concentration:	20 nM
Incubation Time:	1, 2, 4, 6, 14 hours
Result:	Noxa up-regulation was detected between 2 to 4 hours after bortezomib (PS-341).

In Vivo

Bortezomib (PS-341) (0.3-1 mg/kg; i.v.; once weekly for 4 weeks) inhibits PC-3 Tumor Growth in Nude Mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male nude mice (xenograft tumor model bearing PC-3 cells)[1]

Dosage:	0.3, 1 mg/kg
Administration:	Intravenous injection; once weekly for 4 weeks
Result:	Resulted in a significant decrease in tumor growth ~60% at dose of 1 mg/kg.

CUSTOMER VALIDATION

- Cell. 2019 Jul 11;178(2):330-345.e22.
- Nat Immunol. 2023 Mar;24(3):531-544.
- Drug Resist Updat. 2024 Jan 9, 101040.
- Nat Cancer. 2020 Feb;1(2):235-248.
- Nat Commun. 2023 Nov 23;14(1):7656.

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REFERENCES

[1]. Adams J, et al. Proteasome inhibitors: a novel class of potent and effective antitumor agents. Cancer Res. 1999 Jun 1;59(11):2615-22.

[2]. Shahshahan MA, et al. Potential usage of proteasome inhibitor bortezomib (Velcade, PS-341) in the treatment of metastaticmelanoma: basic and clinical aspects. Am J Cancer Res. 2011;1(7):913-24.

[3]. Pérez-Galán P, et al. The proteasome inhibitor bortezomib induces apoptosis in mantle-cell lymphoma through generation of ROS and Noxa activation independent of p53 status. Blood. 2006 Jan 1;107(1):257-64.

[4]. Yerlikaya A, et al. Combined effects of the proteasome inhibitor bortezomib and Hsp70 inhibitors on the B16F10 melanoma cell line. Mol Med Rep. 2010 Mar-Apr;3(2):333-9.

[5]. Mujtaba T, et al. Advances in the understanding of mechanisms and therapeutic use of bortezomib. Discov Med. 2011 Dec;12(67):471-80.

[6]. Fernández Y, et al. Chemical blockage of the proteasome inhibitory function of bortezomib: impact on tumor cell death. J Biol Chem. 2006 Jan 13;281(2):1107-18.

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