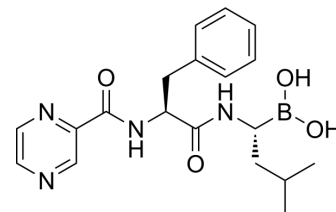


## Bortezomib

Cat. No.:	HY-10227
CAS No.:	179324-69-7
Molecular Formula:	C <sub>19</sub> H <sub>25</sub> BN <sub>4</sub> O <sub>4</sub>
Molecular Weight:	384
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

Ethanol : 66.67 mg/mL (173.62 mM); ultrasonic and warming and heat to 60°C  
DMSO : 50 mg/mL (130.21 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.6042 mL	13.0208 mL	26.0417 mL
	5 mM	0.5208 mL	2.6042 mL	5.2083 mL
	10 mM	0.2604 mL	1.3021 mL	2.6042 mL

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

#### In Vivo

- Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 4 mg/mL (10.42 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 4 mg/mL (10.42 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 90% corn oil  
Solubility: ≥ 4 mg/mL (10.42 mM); Clear solution
- 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
- 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
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.08 mg/mL (5.42 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.08 mg/mL (5.42 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.08 mg/mL (5.42 mM); Clear solution
- Add each solvent one by one: 1% DMSO >> 99% saline

Solubility:  $\geq 0.5$  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- $\kappa$ B. Bortezomib is the first proteasome inhibitor anticancer agent. Anti-cancer activity<sup>[1][2]</sup>.

**IC<sub>50</sub> & Target** Ki: 0.6 nM (20S proteasome)<sup>[1]</sup>

**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<sup>[1]</sup>.

?Bortezomib (PS-341) (5-100 nM; 20 hours) induces apoptosis in mantle-cell lymphoma (MCL) cell lines<sup>[3]</sup>.

?Bortezomib (PS-341) (20 nM; 1-14 hours) induces Noxa up-regulation in both MCL cell lines<sup>[3]</sup>.

?The IC<sub>50</sub> of Bortezomib (PS-341) is found to be 2.46 nM for 26S proteasome in the B16F10 cells<sup>[4]</sup>.

?Bortezomib (PS-341) suppresses several anti-apoptotic proteins (e.g., Bcl-XL, Bcl-2, and STAT-3)<sup>[5]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis<sup>[1]</sup>

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<sup>[3]</sup>

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<sup>[3]</sup>

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<sup>[1]</sup>. 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) <sup>[1]</sup>
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 metastatic melanoma: 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.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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