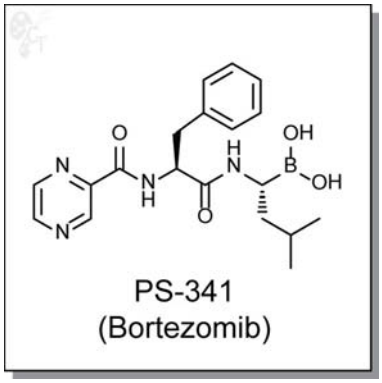
Product Specification Sheet

Product Name: PS-341 (Bortezomib)

Catalog Number: C7734



Technical information:

Chemical Formula:	$C_{19}H_{25}BN_4O_4$
CAS #:	179324-69-7
Molecular Weight:	384.24
Purity:	> 98%
Appearance:	Light Yellow Crystalline solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	[(1R)-3-methyl-1-({(2S)-3-phenyl-2-[(pyrazin-2-ylcarbonyl)amino]propanoyl}amino)butyl]boronic acid
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.26mL of DMSO for each mg of PS-341 (Bortezomib).
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

Bortezomib is a first-in-class dipeptide boronic acid-based, water-soluble proteasome inhibitor. As a single agent, bortezomib was found to have consistent antitumor activity in both chemosensitive and chemoresistant multiple myeloma cells at an IC50 of 10-20 ng/mL. [1] Bortezomib overcomes the resistance to apoptosis in multiple myeloma cells that is induced by IL-6. [2] Additionally, bortezomib prevents TNF-a-induced, NF-kB-dependent upregulation of IL-6 and reduces cell adhesion; proliferation of remaining adherent multiple myeloma cells was also inhibited by bortezomib. [1]

In MM cell lines U266, IM-9, and Hs Sultan, bortezomib inhibited at IC50 concentrations of 3, 6, and 20 nM, respectively. [2] Cell growth of Dox40, MR20, and LR5 MM cells was completely inhibited by bortezomib at 100 nM IC50.

Bortezomib suppresses growth and induces apoptosis in Bcr/Abl-positive cells sensitive and resistant to IM. Interestingly, sequential combination of bortezomib followed by imatinib resulted in a synergistic pro-apoptotic effect in imatinib-resistant cells; simultaneous exposure of bortezomib and imatinib was antagonistic. [3]

Reference:

1. Richardson et al., Cancer Control, 2003, 10(6), 361-369.
2. Hideshima et al., The proteasome inhibitor PS-341 inhibits growth, induces apoptosis, and overcomes drug resistance in human multiple myeloma cells. Cancer Res. 2001, 61, 3071-3076. Pubmed ID: 1306489
3. Gatto et al., The proteasome inhibitor PS-341 inhibits growth and induces apoptosis in Bcr/Abl-positive cell lines sensitive and resistant to imatinib mesylate. Haematologica, 2003, 88(8), 853-863. Pubmed ID: 12935973

To reorder: http://www.cellagentech.com/PS-341-Bortezomib/

For Technical Support: technical@cellagentech.com

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