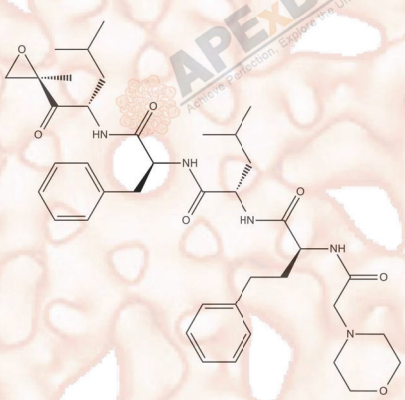
Product Data Sheet

Carfilzomib (PR-171)

Cat. No.:	A1933
CAS No.:	868540-17-4
Formula:	C40H57N5O7
M.Wt:	719.91
Synonyms:	PR 171,PR171,PR-171,Carfilzomib
Target:	Ubiquitination/ Proteasome
Pathway:	Proteasome
Storage:	Desiccate at -20°C



Solvent & Solubility

In Vitro

⩾36.0mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1mg	5mg	10mg
1 mM	1.3891 mL	6.9453 mL	13.8906 mL
5 mM	0.2778 mL	1.3891 mL	2.7781 mL
10 mM	0.1389 mL	0.6945 mL	1.3891 mL

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

Biological Activity

Shortsummary	Proteasome inhibitor,epoxomicin analog
IC_{50} & Target	5 nM (Proteasome)

In Vitro

Cell Viability Assay

Cell Line:	HT-29 colorectal adenocarcinoma cells
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	1 h; IC50=9 nM
Applications:	Incubation of HT-29 colorectal adenocarcinoma cells with PR-171 for 1 h

		resulted in a dose-dependent inhibition of all three proteasome catalytic activities with the chymotrypsin-like activity exhibiting the greatest sensitivity (IC50=9 nM). The caspase-like and trypsin-like activities were inhibited to a greater extent in the cellular assay (IC50=150–200 nM) than in the isolated enzyme assay (IC50>1 μM).
In Vivo	**Animal experiment**	
	Animal models:	BNX mice
	Dosage form:	5 mg/kg delivered weekly; QDx2; intravenous injection
	Applications:	The antitumor activity of PR-171 was evaluated in BNX mice bearing established human tumor xenografts derived from three tumor cell lines: HT-29 (colorectal adenocarcinoma), RL (B cell lymphoma), and HS-Sultan (Burkitt's lymphoma). All PR-171 dosing schedules (up to 5 mg/kg delivered weekly QDx2) were tolerated in the tumor-bearing animals, resulting in weight loss of <10%. The results show that the activity of PR-171 is dose and schedule dependen. And PR-171 also suppressed proteasome activity in blood and adrenals.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Hongo A, Kanaseki T, et al. "Upstream Position of Proline Defines Peptide-HLA Class I Repertoire Formation and CD8(+) T Cell Responses." J Immunol. 2019 May 15;202(10):2849-2855.PMID:30936292

2. Ayse Tarbin Jannuzzi, Gulce Sari, et al. "Proteasomal Inhibition with Bortezomib Causes Selective Autophagy Upregulation and Perinuclear Clustering of Mitochondria in Human Neuronal Cells?." Proceedings 2018, 2(25), 1583.

3. Karademir B, Sari G, et al. "Proteomic approach for understanding milder neurotoxicity of Carfilzomib against Bortezomib." Sci Rep.2018 Nov 5;8(1):16318.PMID:30397214

4. Uddin MM, Zou Y, et al. "Proteasome inhibition induces IKK-dependent interleukin-8 expression in triple negative breast cancer cells: Opportunity for combination therapy." PLoS One. 2018 Aug 8;13(8):e0201858.PMID:30089134

5. Zheng Y, Liu Q, t al. "Zika virus elicits inflammation to evade antiviral response by cleaving cGAS via NS1-caspase-1 axis." EMBO J. 2018 Jul 31. pii: e99347.PMID:30065070

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References

[1] Demo S D, Kirk C J, Aujay M A, et al. Antitumor activity of PR-171, a novel irreversible inhibitor of the proteasome[J]. Cancer research, 2007, 67(13): 6383-6391.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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