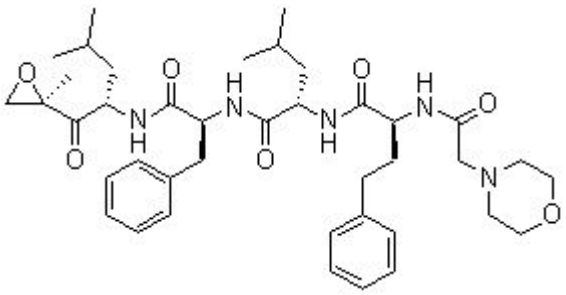
Product Introduction

Carfilzomib (PR-171)

Carfilzomib (PR-171) is an irreversible **proteasome** inhibitor with **IC50** of <5 nM, displayed preferential in vitro inhibitory potency against the ChT-L activity in the β5 subunit, but little or no effect on the PGPH and T-L activities.

Technical Data:

Molecular Weight (MW):	719.91	
Formula:	$C_{40}H_{57}N_5O_7$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 50 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	868540-17-4	

Biological Activity

Carfilzomib inhibits proliferation in a variety of cell lines and patient-derived neoplastic cells, including multiple myeloma, and induced intrinsic and extrinsic apoptotic signaling pathways and activation of c-Jun-N-terminal kinase (JNK). Carfilzomib reveals enhanced anti-MM activity compared with bortezomib, overcome resistance to bortezomib and other agents, and acts synergistically with dexamethasone (Dex). Carfilzomib shoes preferential in vitro inhibitory potency against the ChT-L activity in the β5 subunit, with

over 80% inhibition at doses of 10 nM. Short exposure to low-dose Carfilzomib leads to preferential binding specificity for the β5 constitutive 20S proteasome and the β5i immunoproteasome subunits. Measurement of caspase activity in ANBL-6 cells pulsed with Carfilzomib reveals substantial increases in caspase-8, caspase-9, and caspase-3 activity after 8 hours, giving a 3.2-, 3.9- and 6.9-fold increase, respectively, over control cells after 8 hours. In carfilzomib pulse-treated cells, the mitochondrial membrane integrity is decreased to 41% (Q1 + Q2), compared with 75% in vehicle-treated control cells. [1] In another study, Carfilzomib has also shown preclinical effectiveness against hematological and solid malignancies. [2] Carfilzomib directly inhibits osteoclasts formation and bone resorption. [3]

Carfilzomib moderately reduces tumor growth in an in vivo xenograft model. Carfilzomib effectively decreases multiple myeloma cell viability following continual or transient treatment mimicking. Carfilzomib increases trabecular bone volume, decreases bone resorption and enhances bone formation in non-tumor bearing mice. [3]

References

[1] Kuhn DJ, et al. Blood. 2007, 110(9), 3281-3290.
[2] Kuhn DJ, et al. Curr Cancer Drug Targets. 2011, 11(3), 285-295.
[3] Hurchla MA, et al. Leukemia. 2012.
[4] Dasmahapatra G, et al. Mol Cancer Ther. 2011, 10(9), 1686-1697.

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