



Xcess Biosciences Inc.

7144 N Harlem Ave #169

Chicago, IL 60631 USA

<http://www.xcessbio.com>

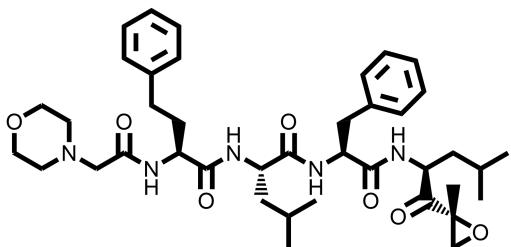
Toll free: 1-866-706-2330

Fax: 1-619- 810-0718

Email: info@xcessbio.com

Proteasome Inhibitor – Carfilzomib (PR-171)

Chemical Name: (S)-4-methyl-N-(((S)-1-(((S)-4-methyl-1-((R)-2-methyloxiran-2-yl)-1-oxopentan-2-yl)amino)-1-oxo-3-phenylpropan-2-yl)-2-((S)-2-(2-morpholinoacetamido)-4-phenylbutanamido)pentanamide



Molecular Weight:	719.91
Formula:	C ₄₀ H ₅₇ N ₅ O ₇
Purity:	≥98%
CAS#:	868540-17-4
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

Carfilzomib is a selective, irreversible proteasome inhibitor (over 80% inhibition at doses of 10 nM and above). In models of multiple myeloma, Carfilzomib potently bound and specifically inhibited the chymotrypsin-like proteasome and immunoproteasome activities, resulting in accumulation of ubiquitinated substrates. It induced a dose- and time-dependent inhibition of proliferation, ultimately leading to apoptosis. It also inhibited proliferation and activated apoptosis in patient-derived MM cells and neoplastic cells from patients with other hematologic malignancies. Carfilzomib showed increased efficacy compared with bortezomib and was active against bortezomib-resistant MM cell lines and samples from patients with clinical bortezomib resistance. Currently it is approved by US FDA for relapsed and refractory multiple myeloma in 2012.

How to Use:

In vitro: Carfilzomib was used at 0.1 μM in vitro and in cellular assays.

In vivo: Carfilzomib was dosed to mice/rats by intravenous administration at 0.5-4 mg/kg once per day.

Reference:

1. Kuhn DJ, et al. Potent activity of carfilzomib, a novel, irreversible inhibitor of the ubiquitin-proteasome pathway, against preclinical models of multiple myeloma. (2007) *Blood*. 110(9):3281-90.
2. Parlati F, et al. Carfilzomib can induce tumor cell death through selective inhibition of the chymotrypsin-like activity of the proteasome. (2009) *Blood*. 114(16):3439-47.
3. Dasmahapatra G, et al. Carfilzomib interacts synergistically with histone deacetylase inhibitors in mantle cell lymphoma cells in vitro and in vivo. (2011) *Mol Cancer Ther*. 10(9):1686-97.
4. Sacco A, et al. Carfilzomib-dependent selective inhibition of the chymotrypsin-like activity of the proteasome leads to antitumor activity in Waldenstrom's Macroglobulinemia. (2011) *Clin Cancer Res*. 17(7):1753-64.

Products are for research use only. Not for human use.