Revision 1

Store at -20C

#15022

Carfilzomib

1 mg

Cell Signaling TECHNOLOGY®

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Background

Carfilzomib, also known as PR-171, is a potent and irreversible epoxomycin-related proteasome inhibitor (1-4). It preferentially inhibits the chymotrypsin-like (CT-L) activity (low nanomolar IC_{50}) of the 20S proteasome with greater than ten-fold selectivity over trypsin-like and caspase-like activities (1,2). CT-L inhibition with carfilzomib prevents degradation of short-lived misfolded and ubiquitinated proteins intended for proteasomal degradation, inducing cell cycle arrest and/or apoptosis in a variety of tumor cell lines (1-3). Carfilzomib has been shown to have greater antiproliferative activity against multiple myeloma (MM) cells than bortezomib and can overcome bortezomib-induced drug resistance (1). Synergistic interactions between carfilzomib and the histone deacetylase inhibitors vorinostat and entinostat have been observed (4). Proteasome inhibitors such as carfilzomib are important research tools for studying cellular degradation of the ubiquitin-proteasome pathway.

This product has applications to SARS-CoV-2 research into the mechanisms of the Novel Coronavirus, which has caused the COVID-19 pandemic.

Molecular Formula

$C_{40}H_{57}N_5O_7$

Molecular Weight

719.9 g/mol

Purity

>98%

CAS

868540-17-4

Solubility

Soluble in DMSO at 80mg/ml and EtOH at 25mg/ml.

Storage

Store lyophilized or in solution at -20ºC, desiccated. The chemical is stable for 24 months in lyophilized form. Once in solution, use within 1 week to prevent loss of potency. *Aliquot to avoid multiple freeze/thaw cycles.*

Directions for Use

Carfilzomib is supplied as a lyophilized powder. For a 5 mM stock, reconstitute the 1 mg of powder in 277.82 µl of DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used at 20-2000 nM for 4-48 hours.

Background References

1. Kuhn, D.J. et al. (2007) *Blood* 110, 3281-90.
2. Demo, S.D. et al. (2007) *Cancer Res* 67, 6383-91.
3. Sacco, A. et al. (2011) *Clin Cancer Res* 17, 1753-64.
4. Dasmahapatra, G. et al. (2011) *Mol Cancer Ther* 10, 1686-97.

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