Carfilzomib

Irreversible proteasome inhibitor for cancer research

Carfilzomib is a second-generation, irreversible proteasome inhibitor that selectively targets the chymotrypsin-like activity of the 20S proteasome. It is structurally related to epoxomicin and is approved for the treatment of relapsed or refractory multiple myeloma. Carfilzomib exhibits potent activity with an IC_{50} of 5 nM in multiple myeloma cell lines such as ANBL-6 and RPMI 8226.

Key features and applications include:

- **Irreversible Binding:** Covalently binds to the N-terminal threonine of the proteasome's β5 subunit, leading to sustained inhibition.
- **Selective Proteasome Targeting:** Minimizes off-target effects compared to first-generation inhibitors like bortezomib.
- **Induces Apoptosis:** Triggers accumulation of misfolded proteins and activates the unfolded protein response (UPR), leading to cell death in cancer cells.
- **Overcomes Drug Resistance:** Effective in bortezomib-resistant multiple myeloma models.

Research Applications:

- Multiple myeloma and hematologic malignancy models
- Proteostasis and protein degradation studies
- Apoptosis and ER stress pathway analysis
- Combination therapy and resistance profiling

Relevant disease states include:

- **Multiple Myeloma:** Clinically approved for relapsed/refractory cases, especially in patients resistant to other proteasome inhibitors.
- **Mantle Cell Lymphoma (MCL):** Investigated for its efficacy in proteasome-dependent B-cell malignancies.
- **Solid Tumors:** Explored in preclinical models of breast, pancreatic, and lung cancers for its ability to disrupt proteostasis.

- **Neurodegenerative Diseases:** Studied for its role in modulating protein aggregation and degradation pathways.

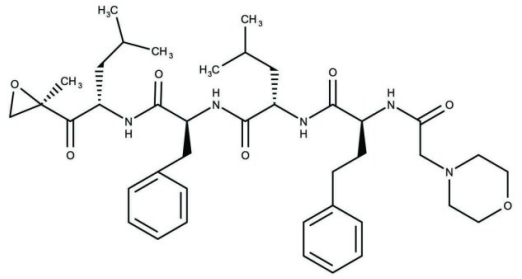
Ordering Information

Order Online »

ENZ-CHM372-0250	250mg

Manuals, SDS & CofA

View Online »


H3C
CH3
CH3
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H3C
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Handling & Storage

Use/Stability	As indicated on product label or CoA when stored as recommended.
Short Term Storage	-20°C
Long Term Storage	-20°C
Shipping	Ambient Temperature

Regulatory Status

RUO - Research Use Only

Product Details

Alternative Name	PR-171, (2S)-4-methyl-N-[(2S)-1-[[(2S)-4-methyl-1-[(2R)-2-methyloxiran-2-yl]-1-oxopentan-2-yl]amino]-1-oxo-3-phenylpropan-2-yl]-2-[[(2S)-2-[(2-morpholin-4-ylacetyl)amino]-4-phenylbutanoyl]amino]pentanamide
Appearance	White solid.
CAS	868540-17-4
Couple Target	Proteasome 20S
Couple Type	Inhibitor
Formula	$C_{40}H_{57}N_5O_7$
Identity	Determined by NMR.
MW	719.93
Purity	≥98% (TLC)
Solubility	Soluble in DMSO (up to 80 mg/mL) or in ethanol (up to 25 mg/mL).

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