

Certificate of Analysis

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Product Name: Carfilzomib

Catalog No.: 7188

Batch No.: 1

CAS Number: 868540-17-4

IUPAC Name: (αS)-α-[[2-(4-Morpholinyl)acetyl]amino]benzenebutanoyl-L-leucyl-N-[(1S)-3-methyl-1-[[2R)-2-methyl-2-oxiranyl]carbonyl]butyl]-L-phenylalaninamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₄₀H₅₇N₅O₇·½H₂O

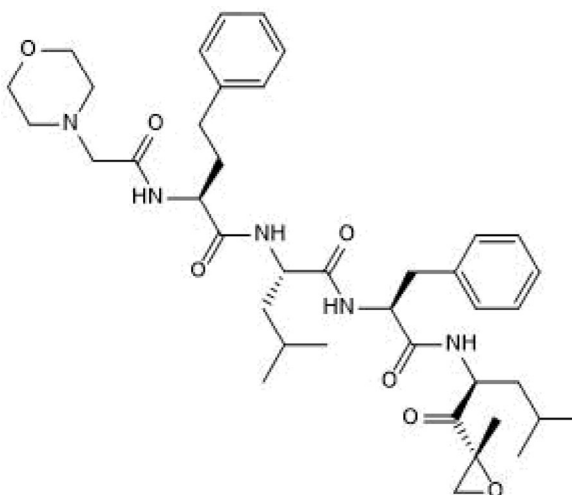
Batch Molecular Weight: 728.93

Physical Appearance: White solid

Solubility: DMSO to 100 mM
ethanol to 20 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.5% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

| | Carbon | Hydrogen | Nitrogen |
|-------------|--------|----------|----------|
| Theoretical | 65.91 | 8.02 | 9.61 |
| Found | 65.72 | 7.98 | 9.67 |

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Carfilzomib is a potent irreversible proteasome inhibitor. Preferentially inhibits the chymotrypsin-like β 5 subunit of the constitutive 20S proteasome (IC_{50} = 5.2 nM) and the β 5i subunit of the immunoproteasome 20Si (LMP7; IC_{50} = 14 nM) in vitro with minimal cross-reactivity to other proteases. Exhibits little or no effect on PGPH and T-L activities. Activates prosurvival autophagy and induces cell apoptosis. Acts synergistically with dexamethasone (Cat. No. 1126). Suppresses tumor growth in an in vivo xenograft model. Decreases bone resorption and enhances bone formation in non-tumor bearing mice. Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

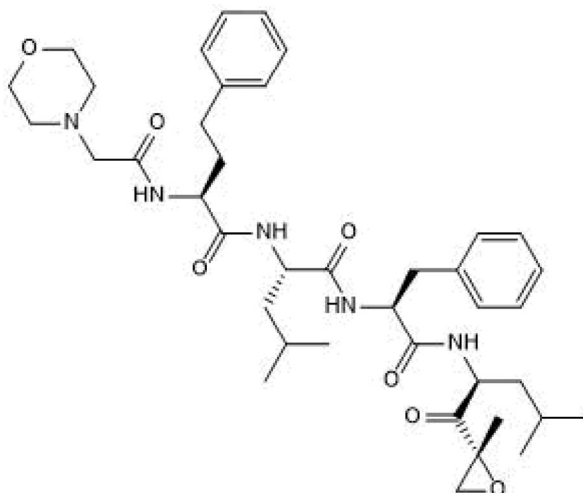
Batch Molecular Formula: $C_{40}H_{57}N_5O_7 \cdot \frac{1}{2}H_2O$

Batch Molecular Weight: 728.93

Physical Appearance: White solid

Minimum Purity: $\geq 98\%$

Batch Molecular Structure:



Storage: Store at $-20^{\circ}C$

Solubility & Usage Info:

DMSO to 100 mM

ethanol to 20 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a $45-60^{\circ}C$ water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at $-20^{\circ}C$ or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Wang et al (2020) Fast identification of possible drug treatment of coronavirus disease-19 (COVID-19) through computational drug repurposing study. *J.Chem.Inf.Model* **60** 3277. PMID: 32315171.

Dou et al (2014) Overview of proteasome inhibitor-based anti-cancer therapies: perspective on bortezomib and second generation proteasome inhibitors versus future generation inhibitors of ubiquitin-proteasome system. *Curr.Cancer Drug Targets* **14** 517. PMID: 25092212.

Zhou et al (2009) Design and synthesis of an orally bioavailable and selective peptide epoxyketone proteasome inhibitor (PR-047). *J.Med.Chem.* **52** 3028. PMID: 19348473

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