TOCRIS
a bio-techne brand

Print Date: Oct 8th 2020

Certificate of Analysis

www.tocris.com

Product Name: **Carfilzomib** **Catalog No.: 7188** **Batch No.: 1**

CAS Number: 868540-17-4

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

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{40}H_{57}N_5O_7.½H_2O$

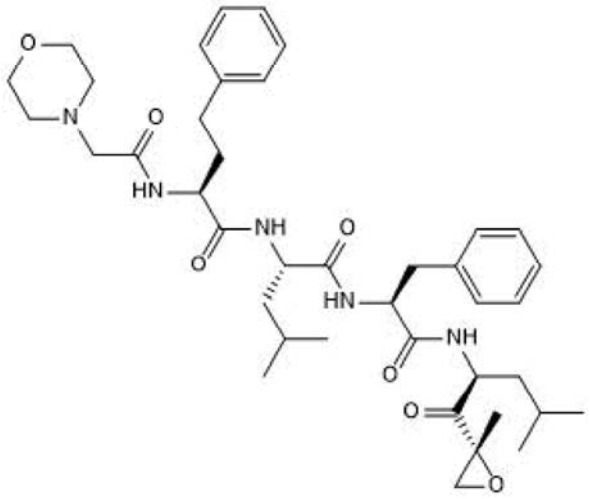
Batch Molecular Weight: 728.93

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 99.8% purity

^{1}H 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

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Description:

Potent irreversible proteasome inhibitor. Displayed preferential in vitro inhibitory potency against the chymotrypsin-like β5 subunit of the constitutive 20S proteasome (IC_{50} = 5.2 nM) and the β5i subunit of the immunoproteasome 20Si (LMP7; IC_{50} = 14 nM) 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 datasheet on www.tocris.com for full description.

Physical and Chemical Properties:

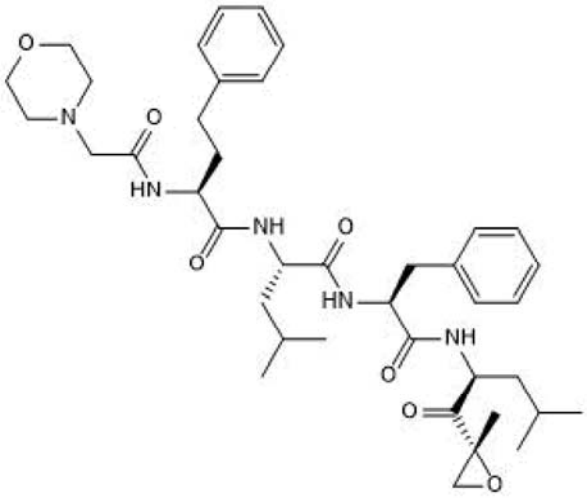
Batch Molecular Formula: $C_{40}H_{57}N_5O_7.½H_2O$

Batch Molecular Weight: 728.93

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°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°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. Our standard recommendations are:

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°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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