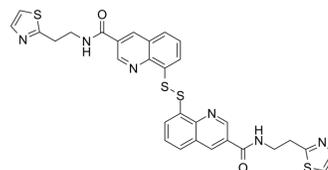


Capzimin

Cat. No.:	HY-110404		
CAS No.:	2084868-04-0		
Molecular Formula:	C ₃₀ H ₂₄ N ₆ O ₂ S ₄		
Molecular Weight:	628.81		
Target:	Proteasome; Deubiquitinase		
Pathway:	Metabolic Enzyme/Protease; Cell Cycle/DNA Damage		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 55.67 mg/mL (88.53 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.5903 mL	7.9515 mL	15.9031 mL
	5 mM	0.3181 mL	1.5903 mL	3.1806 mL
	10 mM	0.1590 mL	0.7952 mL	1.5903 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.5 mg/mL (3.98 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (3.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Capzimin is a potent and moderately specific proteasome isopeptidase Rpn11 inhibitor.

IC₅₀ & Target

Target: Rpn11^[1]

In Vitro

Capzimin (3027) shows 80-fold selectivity for Rpn11 over Csn5, 10-fold over AMSH and 6-fold over BRCC36 (IC₅₀=30 μM, 4.5 μM and 2.3 μM respectively). Capzimin is screened against the NCI panel of 60 cancer cell lines. The median GI₅₀ is 3.3 μM. Capzimin exhibits promising activity in leukemia cells including the SR and K562 cell lines (GI₅₀ values of 0.67 μM and 1 μM respectively), as well as several solid tumor cell lines including NCI-H460 (non-small cell lung cancer; GI₅₀= 0.7 μM) and MCF7 (breast cancer; GI₅₀=1.0 μM). Immunoblotting for the processed form of caspase 3 and caspase-cleaved poly ADP-ribose

polymerase in HCT116 cells confirm that Capzimin not only blocks cell growth, but also induces apoptosis^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay ^[1]

Fluorescence polarization assays are performed in low-volume 384 well solid black plates in quadruplicate. The assays are performed in buffer containing 50 mM Tris-HCl pH7.5, 1mM MgCl₂, 50 μM ATP, 1 mM DTT and 0.01% NP-40. The components for the assay are added in the follow sequence: 1) 5 μL compound (Capzimin, et al.) (in 3% DMSO) at different concentrations, 2) 5 μL of diluted human 26S proteasome, and 3) 5μL of substrate (3 nM Ub4- peptideOG). 100 μM Zn(cyclen)²⁺ is present in the titration reaction for the experiments performed with Zn(cyclen)²⁺. Fluorescence polarization is measured at 30°C with excitation at 480 nm and emission at 520 nm. Collected data is normalized to DMSO control and fitted to a dose-response curve to determine the IC₅₀ value^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Assay ^[1]

HCT116 cells are treated with different concentrations of 3021 or Capzimin for 72 hours in normal or low serum medium and then mixed with CellTiter-Glo reagent to estimate cell proliferation. Measured luminescence values are normalized to DMSO control and data are fitted to a dose-response equation to determine the GI₅₀^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Immunol. 2021 Apr 30;6(58):eabe2933.
- Cancer Lett. 2023 Oct 14:216444.
- Prostate. 2019 Aug;79(11):1304-1315.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Li J, et al. Capzimin is a potent and specific inhibitor of proteasome isopeptidase Rpn11. Nat Chem Biol. 2017 May;13(5):486-493.

Caution: Product has not been fully validated for medical applications. For research use only.

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