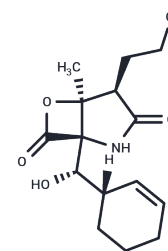


## Marizomib

## Chemical Properties

CAS No. :	437742-34-2
Formula:	C <sub>15</sub> H <sub>20</sub> ClNO <sub>4</sub>
Molecular Weight:	313.78
Storage:	Keep away from moisture, Store at low temperature Powder: -20°C for 3 years   In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



## Biological Description

Description	Marizomib is a novel irreversible brain-permeable proteasome inhibitor that inhibits CT-L ( $\beta$ 5), CT-T-laspase-like (C-L, $\beta$ 1), and trypsin-like (T-L, $\beta$ 2) 20S proteasomes with IC <sub>50</sub> s of 3.5, 28, and 430 nM.[3]
Targets(IC <sub>50</sub> )	Proteasome
In vitro	<p><b>METHODS:</b> TNBC (basal), ductal and non-malignant breast epithelial cells were treated with Marizomib (0-500 nM) and cell proliferation was analyzed using the MTS assay after 6 days.</p> <p><b>RESULTS</b> Marizomib selectively reduced TNBC cell proliferation in a concentration-dependent manner without much effect on non-TNBC and non-malignant mammary epithelial cells (MCF10A and D492), with IC<sub>50</sub> values of Marizomib less than 150 nM in TNBC cell lines and greater than 1 <math>\mu</math>M in non-TNBC cell lines.[1]</p> <p><b>METHODS:</b> SUM159PT cells were treated with 100 nM Marizomib for 0 and 9 hours (prior to induction of apoptosis) and label-free global proteomics analysis was performed to identify proteins or pathways altered by Marizomib.</p> <p><b>RESULTS</b> A total of 2547 proteins were identified, of which 425 proteins were downregulated (<math>\log_2 \leq 0.6</math>) and 293 proteins were upregulated (<math>\log_2 \geq 0.6</math>). Marizomib decreased the levels of 11 proteasome subunits, and 5 proteasome subunits (PSMA5, PSMC2, PSMD2, PSMA1, PSMA7 and PSMA8) were also observed to be Up-regulation. [1]</p> <p><b>METHODS:</b> Cells were treated with 40 nM or 80 nM marizomib for 4 h. CT-L activity of proteasome in total cell lysates was determined by lysing Suc-LLVY-AMC.</p> <p><b>RESULTS</b> marizomib effectively inhibited proteasomes in both responsive and non-responsive cells. [2]</p>
In vivo	<p><b>METHODS:</b> After two weeks of Marizomib (0.15 mg/kg twice/week, IP) treatment of MDA-MB-231 xenografts and patient-derived tumor xenografts (PDX), it was observed whether tumor growth was inhibited in vivo.</p> <p><b>RESULTS</b> Marizomib treatment significantly reduced tumor volume and tumor weight in MDA-MB-231 xenografts and patient-derived tumor xenografts (PDX). [1]</p>

## Solubility Information

## A DRUG SCREENING EXPERT

Solubility	DMSO: 31.38 mg/mL (100.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.37 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1869 mL	15.9347 mL	31.8695 mL
5 mM	0.6374 mL	3.1869 mL	6.3739 mL
10 mM	0.3187 mL	1.5935 mL	3.1869 mL
50 mM	0.0637 mL	0.3187 mL	0.6374 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Raniga PV, et al. Marizomib suppresses triple-negative breast cancer via proteasome and oxidative phosphorylation inhibition. *Theranostics*. 2020 Apr 6;10(12):5259-5275.

Boccellato C, et al. Marizomib sensitizes primary glioma cells to apoptosis induced by a latest-generation TRAIL receptor agonist. *Cell Death Dis*. 2021 Jun 24;12(7):647.

Di K, et al. Marizomib activity as a single agent in malignant gliomas: ability to cross the blood-brain barrier. *Neuro Oncol*. 2016 Jun;18(6):840-8.

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