# Data Sheet (Cat.No.T2016)

TargetMol

MLN9708 analogues

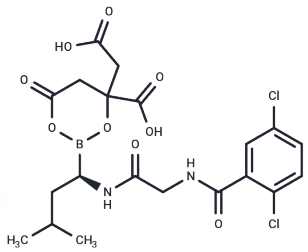
## Chemical Properties

CAS No. : 1201902-80-8

Formula: C20H23BCl2N2O9

Molecular Weight: 517.12

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



## Biological Description

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| Description | MLN2238 suppresses the chymotrypsin-like proteolytic (β5) site of the 20S proteasome (Ki50=0.93 nM, IC50=3.4 nM/). The biologically active form of MLN9708 (Ixazomib Citrate) is MLN2238 in aqueous solutions or plasma. |
| Targets(IC50) | Proteasome,Autophagy |
| In vitro | MLN9708 exhibits anticancer activity in a variety of solid tumors and hematologic malignancies. |
| In vivo | Upon contact with aqueous solutions and plasma, MLN9708 rapidly hydrolyzes into MLN2238, which possesses bioactivity. MLN2238 inhibits the chymotrypsin-like hydrolytic sites of the 20S proteasome ([IC50=3.4 nM,Ki=0.93 nM]). It also inhibits the caspase-like ([IC50=31 nM]) and trypsin-like hydrolytic sites ([IC50=3.5 μM]) of the 20S proteasome. |
| Kinase Assay | Kinase assay: Calu-6 cells are cultured in MEM containing 10% fetal bovine serum and 1% penicillin/streptomycin and plated 1 day before the start of the experiment at 1 × 104 cells per well in a 384-well plate. Proteasome activity is assessed by monitoring hydrolysis of the chymotrypsin-like substrate Suc-LLVY-aminoluciferin in the presence of luciferase using the Proteasome-Glo assay reagents according to the manufacturer's instructions. Luminescence is measured using a LEADseeker instrument. |
| Cell Research | Calu-6 cells are cultured in MEM containing 10% FBS and 1% penicillin/streptomycin and plated 1 day before the start of the experiment at 1 × 104 cells per well in a 384-well plate. For IC50 determinations, cells are treated with varying concentrations of Bortezomib or MLN2238 in DMSO (0.5% final, v/v) for 1 hour at 37 °C. For reversibility experiments, cells are treated with either 1 μM Bortezomib or MLN2238 for 30 minutes at 37 °C and then washed thrice in medium to remove the Bortezomib or MLN2238. Cells are incubated for an additional 4 hours at 37 °C, after which the medium is removed and replaced with fresh medium. (Only for Reference) |

## Solubility Information

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| Solubility | DMSO: 93 mg/mL (179.84 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

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|---|---|
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.38 mM),Sonication is recommended.<br>*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.* |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 1.9338 mL | 9.6689 mL | 19.3379 mL |
| 5 mM | 0.3868 mL | 1.9338 mL | 3.8676 mL |
| 10 mM | 0.1934 mL | 0.9669 mL | 1.9338 mL |
| 50 mM | 0.0387 mL | 0.1934 mL | 0.3868 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

Kupperman E, et al. Cancer Res, 2010, 70(5), 1970-80.
Zhou Q, Liang J, Yang T, et al. Carfilzomib modulates tumor microenvironment to potentiate immune checkpoint therapy for cancer. EMBO Molecular Medicine. 2022 Jan 11;14(1):e14502. doi: 10.15252/emmm.202114502. Epub 2021 Dec 13.
Chauhan D, et al. Clin Cancer Res, 2011, 17(16), 5311-21.
Lee EC, et al.Clin Cancer Res, 2011, 17(23),7313-23.
E. T. Rodler, et al. Journal of Clinical Oncology, 2010, 28(15)