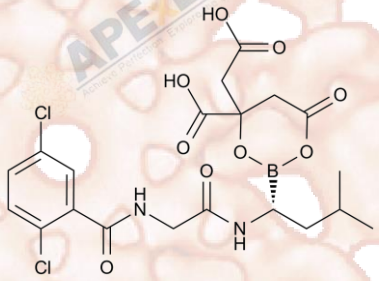
Product Data Sheet

MLN9708



Cat. No.:	A4007
CAS No.:	1201902-80-8
Formula:	C20H23BCl2N2O9
M.Wt:	517.1
Synonyms:	MLN-9708, MLN 9708
Target:	Ubiquitination/ Proteasome
Pathway:	Proteasome
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾20.85 mg/mL in DMSO; ⩾4.56 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.9339 mL	9.6693 mL	19.3386 mL
5 mM	0.3868 mL	1.9339 mL	3.8677 mL
10 mM	0.1934 mL	0.9669 mL	1.9339 mL

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

Biological Activity

Shortsummary	Proteasome inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	MM.1S (Dexamethasone sensitive) cells
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	100 nM, 3 hours for CT-L proteasome inhibition100 nm, 3 hours for C-L

		proteasome inhibition10 μM, 3 hours for T-L proteasome inhibition
	Applications:	MLN9708 significantly inhibited CT-L proteasome activity with an IC50 at 5 nM. Higher concentrations of MLN9708 showed inhibitory activity against C-L and T-L proteasome activities.
In Vivo	**Animal experiment**	
	Animal models:	Female CB17-SCID mice bearing WSU-DLCL2 xenografts
	Dosage form:	Intravenous injection, 14 mg/kg, twice weeklyor subcutaneous injection, 4 mg/kg, once daily
	Applications:	Both intermittent and continuous MLN2238 dosing regimens showed strong antitumor activity (T/C = 0.44 and 0.29 for 14 mg/kg i.v. and 4 mg/kg s.c., respectively) and generated a greater apoptotic response in tumor tissue asmeasured by levels of cleaved caspase-3.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Mañas A, Chen W, et al. "BaxΔ2 sensitizes colorectal cancer cells to proteasome inhibitor-induced cell death." Biochem Biophys Res Commun.2018 Jan 29;496(1):18-24.PMID:29291406

2. Li H, Chen Z, et al. "Novel proteasome inhibitor ixazomib sensitizes neuroblastoma cells to doxorubicin treatment." Sci Rep. 2016 Sep 30;6:34397.PMID:27687684

3. Wang H, Yu Y, et al."Next-generation proteasome inhibitor MLN9708 sensitizes breast cancer cells to doxorubicin-induced apoptosis." Sci Rep. 2016 May 24;6:26456.PMID:27217076

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References

[1] Chauhan D, Tian Z, Zhou B, et al. In vitro and in vivo selective antitumor activity of a novel orally bioavailable proteasome inhibitor MLN9708 against multiple myeloma cells. Clinical Cancer Research, 2011, 17(16): 5311-5321.

[2] Kupperman E, Lee E C, Cao Y, et al. Evaluation of the proteasome inhibitor MLN9708 in preclinical models of human cancer. Cancer research, 2010, 70(5): 1970-1980.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for

long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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