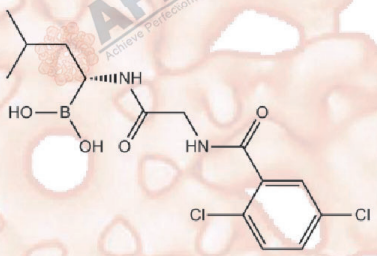
Product Data Sheet

MLN2238



Cat. No.:	A4008
CAS No.:	1072833-77-2
Formula:	C14H19BCl2N2O4
M.Wt:	361
Synonyms:	
Target:	Ubiquitination/ Proteasome
Pathway:	Proteasome
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾103 mg/mL in EtOH with ultrasonic; ⩾16.8 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.7701 mL	13.8504 mL	27.7008 mL
5 mM	0.5540 mL	2.7701 mL	5.5402 mL
10 mM	0.2770 mL	1.3850 mL	2.7701 mL

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

Biological Activity

Shortsummary	β 5 site of the 20S proteasome inhibitor
IC_{50} & Target	3.4 nM (Ki=0.93 nM) (chymotrypsin-like proteolytic (β5) site of the 20S proteasome), 31 nM (caspase-like (β1) proteolytic sites proteasome), 3500 nM (trypsin-like (β2) proteolytic sites proteasome)

In Vitro

Cell Viability Assay

Cell Line:	Calu-6 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:	≤ 10 nM; 1 hr
	Applications:	MLN2238 inhibited Calu-6 cells with an IC50 value of 9.7 nM.
In Vivo	**Animal experiment**	
	Animal models:	DP54-Luc tumor-bearing NOD-SCID mice
	Dosage form:	11 mg/kg; i.v.; twice weekly for 17 consecutive days
	Applications:	Both Bortezomib and MLN2238 reduced tumor burden (T/C = 0.48 and 0.22, respectively).
	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

See more customer validations on www.apexbt.com.

References

[1]. Kupperman E, Lee EC, Cao Y, et al. Evaluation of the proteasome inhibitor MLN9708 in preclinical models of human cancer. Cancer Research, 2010, 70 (5): 1970-80.

[2]. Lee EC, Fitzgerald M, Bannerman B, et al. Antitumor Activity of the Investigational Proteasome Inhibitor MLN9708 in Mouse Models of B-cell and Plasma Cell Malignancies. CLINICAL CANCER RESEARCH, 2011, 17 (23): 7313-7323.

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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