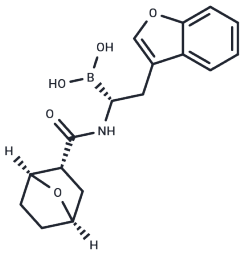
# Data Sheet (Cat.No.T11924)

M3258

## Chemical Properties



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| CAS No. : | 2285330-15-4 |
| Formula: | C17H20BNO5 |
| Molecular Weight: | 329.16 |
| Storage: | Powder: -20°C for 3 years \| In solvent: -80°C for 1 year<br>Actual storage temperature shall be subject to the COA. |

## Biological Description

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| Description | M3258 is an immunoproteasome subunit LMP7 (β5i) inhibitor, with an IC50 of 3.6 nM for LMP7 and 3.4 nM at the cellular level. In a multiple myeloma xenograft model, M3258 exhibits significant antitumor activity. In multiple myeloma cells, M3258 significantly and persistently inhibits LMP7 activity and ubiquitinated protein turnover in tumor tissues, further inducing apoptosis. |
| Targets(IC50) | Apoptosis,Proteasome |
| In vitro | M3258 inhibits human LMP7 with an IC50 of 4.1 nM, while showing much weaker inhibitory activity against the constitutive proteasome subunit β5, with an average IC50 of 2519 nM.It also effectively inhibits LMP7 activity in human multiple myeloma cell lines MM.1S and U266B1, as well as in human, rat, and canine peripheral blood mononuclear cells (PBMCs), with IC50 values ranging from 2 to 37 nM.<br>In MM.1S cells, M3258 increases ubiquitinated protein levels by more than 4-fold, with an EC50 of 1980 nM, indicating that the compound disrupts the normal function of the immunoproteasome.Furthermore, M3258 induces apoptosis by activating caspase-3/7 activity, with an EC50 of 420 nM and an apoptosis induction fold of over 3.5. It also significantly reduces the viability of MM.1S cells, with an IC50 of 367 nM [2]. |
| In vivo | M3258 exhibits superior antitumor efficacy compared to the marketed non-selective proteasome inhibitors bortezomib and ixazomib in specific multiple myeloma and mantle cell lymphoma xenograft models at doses of 1 mg/kg and 10 mg/kg [2]. |

## Solubility Information

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| Solubility | DMSO: 200 mg/mL (607.61 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (10.03 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 | 3.038 mL | 15.1902 mL | 30.3804 mL |
| 5 mM | 0.6076 mL | 3.038 mL | 6.0761 mL |
| 10 mM | 0.3038 mL | 1.519 mL | 3.038 mL |
| 50 mM | 0.0608 mL | 0.3038 mL | 0.6076 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

Klein M, et al. Structure-Based Optimization and Discovery of M3258, a Specific Inhibitor of the Immunoproteasome Subunit LMP7 (β5i) [published online ahead of print, 2021 Jul 6]. J Med Chem. 2021;10.1021/acs.jmedchem.1c00604.

Sanderson MP, et al. M3258 Is a Selective Inhibitor of the Immunoproteasome Subunit LMP7 (β5i) Delivering Efficacy in Multiple Myeloma Models [published online ahead of print, 2021 May 27]. Mol Cancer Ther. 2021; 10.1158/1535-7163.MCT-21-0005.



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