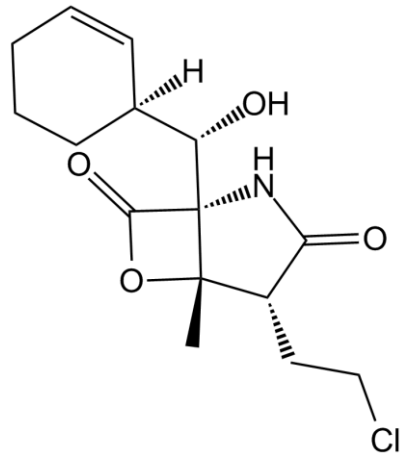
Product Data Sheet

Chemical Properties



Product Name:	Salinosporamide A (NPI-0052, Marizomib)
Cas No.:	437742-34-2
M.Wt:	313.78
Formula:	C15H20ClNO4
Synonyms:	salinosporamide A, MARIZOMIB, NPI-0052, (-)-Salinosporamide A
Chemical Name:	(1S,2R,5R)-2-(2-chloroethyl)-5-[(S)-[(1S)-cyclohex-2-en-1-yl]-hydroxy methyl]-1-methyl-7-oxa-4-azabicyclo[3.2.0]heptane-3,6-dione
Canonical SMILES:	CC12C(C(=O)NC1(C(=O)O2)C(C3CCCC=C3)O)CCCl
Solubility:	Soluble in DMSO
Storage:	Store at -20°C
General tips:	For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets :	Proteasome
Pathways:	Ubiquitination/ Proteasome >> Proteasome

Description:

Salinosporamide A is a potent inhibitor of 20S proteasome with IC50 value of 1.3 nM [1]. Salinosporamide A was isolated from the crude extract of a Salinospora strain CNB-392. It showed potent anti-tumor activity with an IC50 value of 11 ng/mL in HCT-116 cells. It also exerted a mean

GI50 value of less than 10 nM in the NCI's 60 cell line-panel. Among these cell lines, Salinosporamide A showed the greatest potent efficacies in NCI-H226, SF-539, SK-MEL-28 and MDA-MB-435 cells. Salinosporamide A inhibited the purified 20S proteasome with IC50 value of 1.3 nM. It was about 35-fold more potent than the first discovered specific proteasome inhibitor, omuralide [1].

***Reference**:*
[1] Feling R H, Buchanan G O, Mincer T J, et al. Salinosporamide A: a highly cytotoxic proteasome inhibitor from a novel microbial source, a marine bacterium of the new genus Salinospora. Angewandte Chemie International Edition, 2003, 42(3): 355-357.

Protocol

Cell experiment:

Cell lines	Human MM-cell lines (MM.1S, INA-6, RPMI-8226, MM.1R,KMS12PE, and U266)
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	24 h; 2nM
Applications	Human MM-cell lines were pretreated with lenalidomide for 24 hours; NPI-0052 was then added for an additional 24 hours, followed by assessment for cell viability using MTT assays. A significant decrease in viability of all cell lines was observed in response to treatment with combined low doses of NPI-0052 and lenalidomide compared with either agent alone($P < 0.05$; n=3). These data demonstrate synergistic anti-MM activity of NPI-0052 plus lenalidomide.

Animal experiment [3]:

Animal models	CB-17 SCID-male mice
Dosage form	0.15 mg/kg; i.v.
Applications	MM.1S-tumour bearing mice were injected with NPI-0052(0.15 mg/kg; i.v.) twice a week for 3 weeks, and tumour volume was measured. NPI-0052 treatment significantly decreased tumour growth relative to vehicle-treated control mice (P =0.005). NPI-0052 treatment was not associated with any toxicity, because no

	differences in body weight and overall appearance were noted. Importantly, the anti-MM activity of NPI-0052 was evident as early as day 5–7, when significant proteasome inhibition was observed in the tumours.
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.

Reference:
[1] Chauhan D, Singh A V, Ciccarelli B, et al. Combination of novel proteasome inhibitor NPI-0052 and lenalidomide trigger in vitro and in vivo synergistic cytotoxicity in multiple myeloma[J]. Blood, 2010, 115(4): 834-845.
[2] Singh A V, Palladino M A, Lloyd G K, et al. Pharmacodynamic and efficacy studies of the novel proteasome inhibitor NPI‐0052 (marizomib) in a human plasmacytoma xenograft murine model[J]. British journal of haematology, 2010, 149(4): 550-559.

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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