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Data Sheet Part No. SalA



SALINOSPORAMIDE A (Marizomib, NP-0052)

Salinosporamide A Proteasome Inhibitor

Irreversible 1.3nM Ki inhibitor of the 20S Proteasome Ref1 - Pubchem

Synonyms: Marizomib, NPI-0052

Chemical Database References Pubchem: 11347535 Chemspider: 21106379 Chembl: CHEMBL371405

Quantities Offered: 25 µg 50 µg 100 µg

Salinosporamide A is a highly selective and potent irreversible inhibitor of the 20S proteasome with1.3nM Ki. The inhibitory potency of Salinosporamide A is approximately 200X higher than for lactacystin toward the 20S proteasome. Salinosporamide A binds covalently to the proteasome active site N-terminal threonine. Salinosporamide is highly selective at targeting all three proteolytic activities of the proteasome (trypsin, chymotrypsin, and chymotrypsin-like) without inhibiting other cellular proteases.

Salinosporamide A has been explored in early stage clinical trials for multiple myeloma treatments and cancer studies. Salinosporamide A offered by Fivephoton Biochemicals is a natural product that is purified from marine bacterial cultures and analyzed by LC-MS and NMR.

Specifications

- 1. IUPAC: (4R,5S)-4-(2-chloroethyl)-1-((1S)-cyclohex-2-enyl(hydroxy)methyl)-5-methyl-6-oxa-2azabicyclo[3.2.0]heptane-3,7-dione
- 2. Purity: >95% (HPLC),LC-MS, NMR.
- 3. Molecular Formula: C₁₅H₂₀CINO₄
- 4. Formula Weight: 313.781 g/mole
- 5. Appearance: White solid. Provided as lyophilized material.
- 6. Solubility: DMSO (1mg/ml). Stable in DMSO stored at -20°C for at least one year.
- Source: Natural product isolated from bacterial culture. 7.
- 8. Licensed by the University of California as a research reagent
- Shipping and storage: Ambient Temperature. Store at -20°C on arrival. Stable for at least 2 years as lyophilized solid.

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Directions: Make a stock solution by addition of 100% dimethyl sulfoxide (DMSO) at a dilution ratio of 1 mg salinosporamide A to 1 ml DMSO. Aliquote and Store at -20°C. Material suspended in DMSO and frozen is stable for at least one year.

Experimental recommendation: Dilute in cell culture medium or assay buffer at a concentration 100X the Ki for proteasome inhibition (Ki = 1.3 nM¹; therefore dilute Salinosporamide to 1300 nM in cell culture medium or assay buffer. Incubate with cells for 2-4 hrs. Wash cells, and process for your experiment. Note that Salinosporamide A is a irreversible proteasome inhibitor. As a further guide for experimentation in cell culture, view reference below under "Methods for Cell Culture Experimentation."

1. Pubchem: http://pubchem.ncbi.nlm.nih.gov/assay/assay.cgi?aid=628579

Methods for Cell Culture Experimentation

Salinosporamide A (NPI-0052) potentiates apoptosis, suppresses osteoclastogenesis, and inhibits invasion through down-modulation of NF-kappaB regulated gene products.

Ahn, Kwang Seok; Sethi, Gautam; Chao, Ta-Hsiang; Neuteboom, Saskia T C; Chaturvedi, Madan M et al. (2007) Blood vol. 110 (7) p. 2286-95

General References

- 1. Discovery and development of the anticancer agent salinosporamide A (NPI-0052). Fenical, William; Jensen, Paul R; Palladino, Michael A; Lam, Kin S; Lloyd, G Kenneth et al. (2009) Bioorganic & medicinal chemistry vol. 17 (6) p. 2175-80.
- 2. Marizomib, a proteasome inhibitor for all seasons: preclinical profile and a framework for clinical trials.

C Potts, B; X Albitar, M; C Anderson, K; Baritaki, S; Berkers, C et al. (2011) Current cancer drug targets vol. 11 (3) p. 254-84.

3. Structure-activity relationship studies of salinosporamide A (NPI-0052), a novel marine derived proteasome inhibitor. Macherla, Venkat R; Mitchell, Scott S; Manam, Rama Rao; Reed, Katherine A; Chao, Ta-Hsiang et al. (2005)

Journal of medicinal chemistry vol. 48 (11) p. 3684-7.

Application Restrictions, Safety, Storage, & Shipping

Restrictions: For use only as research reagents and not for use in humans and specifically excluding use for drug discovery and therapeutics. Due to licensing restrictions with the University of California, purchases are limited to United States addresses.

Safety: Avoid contact and inhalation. Storage: -20°C solid. -20°C suspended in DMSO Shipping: Shipped at ambient temperature as a solid.

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