Proteasome inhibitor IX

Cat. No.: HY-107412

CAS No.: 856849-35-9

Molecular Formula: $C_{20}H_{21}B_2NO_5$

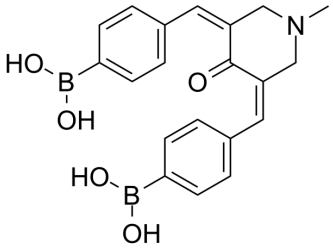
Molecular Weight: 377.01

Target: Proteasome

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 6.25 mg/mL (16.58 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6524 mL	13.2622 mL	26.5245 mL
	5 mM	0.5305 mL	2.6524 mL	5.3049 mL
	10 mM	0.2652 mL	1.3262 mL	2.6524 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.62 mg/mL (1.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.62 mg/mL (1.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Proteasome inhibitor IX (PS-IX; AM114) is a Chalcone derivative and a chymotrypsin-like activity of the 20S proteasome inhibitor with an IC_{50} value of ~1 μM. Proteasome inhibitor IX exhibits HCT116 $p53^{+/+}$ cells growth inhibitory activity with an IC_{50} value of 1.49 μM. Proteasome inhibitor IX has potent anticancer activity[1][2].

IC_{50} & Target

IC50: 1 μM (20S proteasome)[1]

In Vitro

Proteasome inhibitor IX (AM114; 0.1-10 μM; 14 days; HCT116 $p53^{+/+}$ cells) treatment causes a loss of cell survival in the $p53^{+/+}$ and $p53^{-/-}$ cells by approximately 70 and 20%, respectively at a concentration of 1 μM[1].
Proteasome inhibitor IX (AM114) exhibits potent activity against $p53^{+/+}$ cells in colony formation assay, with an IC_{50} value of 0.6 μM[1].

Incubation of HCT116 $p53^{+/+}$ cells with 1 μM Proteasome inhibitor IX (AM114) causes 28% of the cells to exhibit positive Annexin V staining at 48 h, and this fraction of dead cells increased to 76% at 72 h[1].
Proteasome inhibitor IX (AM114) treatment inhibits the chymotrypsin-like activity of the 20S proteasome in vitro, leading to a significant accumulation of ubiquitinated p53 and other cellular proteins in whole cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Geetha Achanta , et al. A Boronic-Chalcone Derivative Exhibits Potent Anticancer Activity Through Inhibition of the Proteasome. Mol Pharmacol. 2006 Jul;70(1):426-33.

[2]. Encouse B Golden, et al. Green Tea Polyphenols Block the Anticancer Effects of Bortezomib and Other Boronic Acid-Based Proteasome Inhibitors. Blood. 2009 Jun 4;113(23):5927-37.

Caution: Product has not been fully validated for medical applications. For research use only.

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