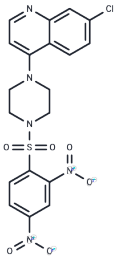
Data Sheet (Cat.No.T7016)

TargetMol

VR23

Chemical Properties



CAS No. : 1624602-30-7

Formula: C19H16ClN5O6S

Molecular Weight: 477.88

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	VR23 is a potent proteasome inhibitor. Data shows IC50 =1 nM for trypsin-like proteasomes, IC50=50-100 nM for chymotrypsin-like proteasomes, and IC50=3 μM for caspase-like proteasomes.
Targets(IC50)	Apoptosis,Proteasome,Caspase
In vitro	In HeLa cells, VR23 induces ubiquitinated proteins accumulation. In RPMI 8226 and KAS 6 cells, VR23 inhibits cell growth with IC50 of 2.94 and 1.46 μM, respectively. VR23 is also equally effective on both bortezomib (BTZ)-sensitive and -resistant RPMI 8226 and ANBL6 cells cells. When used in combination of bortezomib in the cells above, VR23 shows synergistic effects on cell growth inhibition. In addition, VR23 selectively induces cancer cell apoptosis by causing the accumulation of ubiquitinated cyclin E. [1]
In vivo	In ATH490 athymic mice engrafted with MDA-MB-231 metastatic breast cancer cells, VR23 (30 mg/kg, i.p.) shows effective antitumor and antiangiogenic activities. VR23 also reduces adverse effects caused by paclitaxel in mice. [1]
Kinase Assay	Proteasome assay: Exponentially growing cells on a 96-well clustered plate are treated with different concentrations of drugs or left untreated (control) for 6 hours. Proteasomes extracted with 0.5% NP40 buffer are mixed with equal amounts of samples in 100 μL total volume, and then incubated with 25 μmol/L of fluorogenic substrates (LRR- specific for trypsin-like activity, LLE-specific for caspase-like activity, and SUVY-specific for chymotrypsin-like activity) in black-bottom 96-well plates at 37°C. Fluorescence is monitored every 5 minutes at the wavelength of 360 nm (excitation) and 480 nm (emission).

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 29 mg/mL (60.68 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0926 mL	10.4629 mL	20.9258 mL
5 mM	0.4185 mL	2.0926 mL	4.1852 mL
10 mM	0.2093 mL	1.0463 mL	2.0926 mL
50 mM	0.0419 mL	0.2093 mL	0.4185 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

Pundir S, et al. Cancer Res. 2015, 75(19), 4164-4175.