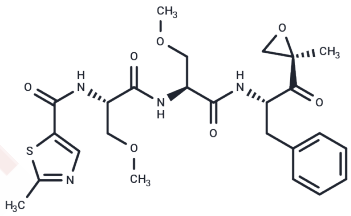
Data Sheet (Cat.No.T6041)

TargetMol

Oprozomib

Chemical Properties



CAS No. : 935888-69-0

Formula: C25H32N4O7S

Molecular Weight: 532.61

Appearance: no data available

Storage: store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Oprozomib (PR-047) (ONX 0912) , an inhibitor for CT-L activity of 20S proteasome β5 (IC50=36 nM)/LMP7(IC50=82 nM), is orally bioavailable. Oprozomib also has potential antineoplastic activity.
Targets(IC50)	Proteasome,Autophagy
In vitro	In various human tumor xenografts and mouse syngeneic models, Oprozomib can inhibit over 80% of proteasomes, inducing an anti-tumor response. Following repeated oral administration, Oprozomib demonstrates good safety and tolerability across most tissues in animals.
In vivo	Oprozomib exhibits anti-MM (multiple myeloma) activity by inhibiting the migration and angiogenesis of MM cells. Furthermore, Oprozomib suppresses the activation of caspase-8, caspase-9, caspase-3, and PARP.
Kinase Assay	ELISA-based active site binding assay: Samples (lysed cells or tissue homogenates) are treated for 1 h at room temperature with the biotinylated active site probe PR-584 (5-15 μM). Samples are denatured by addition of SDS (0.9% final) and heating to 100 °C for 5 min. The denatured samples are transferred to a 96-well or 384-well filter plat, mixed with streptavidin-sepharose beads (2.5-5 μL packed beads/well), and incubated for 1 h at room temperature on a plate shaker. The beads are washed 5 times with 100-200 μL /well of ELISA buffer (PBS, 1% bovine serum albumin, 0.1% Tween-20) by vacuum filtration. The beads are incubated overnight at 4 °C on a plate shaker with the following antibodies recognizing the six catalytic subunits diluted into ELISA buffer: β5, β1, and β2 diluted 1:3000, LMP7 and LMP2 diluted 1:5000, and MECL-1 diluted 1:1000. The beads are washed 5 times with 100-200 μL /well of ELISA buffer and incubated with HRP-conjugated secondary antibody diluted 1:5000 in ELISA buffer and incubated 2 h at room temperature on a plate shaker. The beads are washed 5 times with 100-200 μL /well of ELISA buffer and developed for chemiluminsecence signal using the supersignal ELISA pico substrate following the manufacturer's instructions. Luminescence is measured on a plate reader and converted to ng of proteasome or μg/ml of lysate by comparison with 20S proteasome or untreated cell lysate standard curves. For proteasome inhibitor studies, active site probe binding values are expressed as the percent of binding relative to DMSO treated cells.
Cell Research	MTT assay(Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 93 mg/mL (174.61 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	1.8775 mL	9.3877 mL	18.7755 mL
5 mM	0.3755 mL	1.8775 mL	3.7551 mL
10 mM	0.1878 mL	0.9388 mL	1.8775 mL
50 mM	0.0376 mL	0.1878 mL	0.3755 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.

Reference

Zhou HJ, et al. J Med Chem, 2009, 52(9), 3028-3038.
Chen X, Chen Y, Ou Y, et al. Bortezomib inhibits NLRP3 inflammasome activation and NF-κB pathway to reduce psoriatic inflammation. Biochemical Pharmacology. 2022, 206: 115326.
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Chauhan D, et al. Blood, 2010, 116(23), 4906-4915.
Muchamuel T, Nat Med, 2009, 15(7), 781-787.