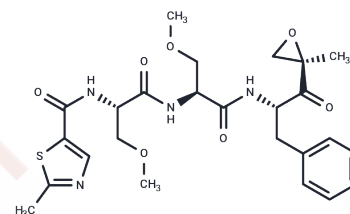


Oprozomib

Chemical Properties

CAS No. :	935888-69-0
Formula:	C ₂₅ H ₃₂ N ₄ O ₇ S
Molecular Weight:	532.61
Storage:	Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	Oprozomib (PR-047) (ONX 0912), an inhibitor for CT-L activity of 20S proteasome β 5 (IC ₅₀ =36 nM)/LMP7 (IC ₅₀ =82 nM), is orally bioavailable. Oprozomib also has potential antineoplastic activity.
Targets(IC50)	Apoptosis, 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 μ M). 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 μ L packed beads/well), and incubated for 1 h at room temperature on a plate shaker. The beads are washed 5 times with 100-200 μ L /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: β 5, β 1, and β 2 diluted 1:3000, LMP7 and LMP2 diluted 1:5000, and MECL-1 diluted 1:1000. The beads are washed 5 times with 100-200 μ L /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 μ L /well of ELISA buffer and developed for chemiluminescence 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 μ g/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)
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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)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.2 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

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.

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

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