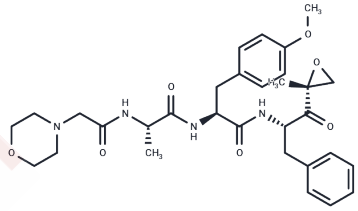
Data Sheet (Cat.No.T6029)

TargetMol

ONX-0914

Chemical Properties



CAS No. : 960374-59-8

Formula: C31H40N4O7

Molecular Weight: 580.67

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	ONX-0914 (PR-957) is a potent and highly specific immunoproteasome inhibitor with minimal cross-reactivity to the constitutive proteasome.
Targets(IC50)	Proteasome,HIV Protease,Antibacterial
In vitro	Selective inhibition of LMP7 by PR-957 blocked production of interleukin-23 (IL-23) by activated monocytes and interferon-gamma and IL-2 by T cells.
In vivo	In mouse models of rheumatoid arthritis and lupus, the maximum tolerated dose (MTD) of ONX-0914 in mice to be 30 mg/kg body weight.
Kinase Assay	20 ng of purified human DDK is pre-incubated with increasing concentrations of each DDK inhibitor for 5 min. Then 10 μCi (γ)-32P ATP and 1.5 μM cold ATP are added in a buffer containing 50 mM Tris-HCl (pH 7.5), 10 mM MgCl2, and 1 mM DTT and incubated for 30 min at 30°C. The proteins are denatured in 1X Laemmli buffer at 100°C followed by SDS-PAGE and autoradiography on HyBlot CL film. Auto-phosphorylation of DDK is used as an indicator of its kinase activity. 32P-labeled bands are quantified using ImageJ and the IC50 values are calculated using GraphPad.

Solubility Information

Solubility	DMSO: 140 mg/mL (241.1 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 10 mg/mL (17.22 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (17.22 mM),Solution. *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.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7221 mL	8.6107 mL	17.2215 mL
5 mM	0.3444 mL	1.7221 mL	3.4443 mL
10 mM	0.1722 mL	0.8611 mL	1.7221 mL
50 mM	0.0344 mL	0.1722 mL	0.3444 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

Muchamuel T, et al. Nat Med, 2009, 15(7), 781-787.
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.
Guo T, Liu C, Yang C, et al. Immunoproteasome subunit PSMB8 regulates microglia-mediated neuroinflammation upon manganese exposure by PERK signaling. Food and Chemical Toxicology. 2022: 112951.
Li Y, Nan G, Hou X, et al.Non-peptidic immunoproteasome β5i-Selective inhibitor as potential treatment for idiopathic pulmonary fibrosis: Virtual screening, hit evolution and lead identification.European Journal of Medicinal Chemistry.2023: 115856.
Chen H, Xiao J, Huang B, et al.Geraniol (GER) attenuated chronic sleep restriction (CSR)-induced neuroinflammation in adolescent mice.Journal of Neuroimmunology.2024: 578400.