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Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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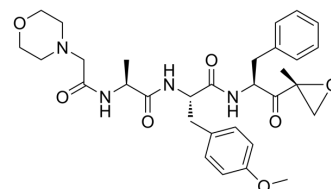
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ONX-0914

Cat. No.:	HY-13207		
CAS No.:	960374-59-8		
Molecular Formula:	C ₃₁ H ₄₀ N ₄ O ₇		
Molecular Weight:	580.67		
Target:	Proteasome; Bacterial; HIV		
Pathway:	Metabolic Enzyme/Protease; Anti-infection		
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 : ≥ 35 mg/mL (60.28 mM)
 * "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	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

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
 Solubility: ≥ 2.17 mg/mL (3.74 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: ≥ 2.17 mg/mL (3.74 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.17 mg/mL (3.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ONX-0914 (PR-957) is a selective inhibitor of low-molecular mass polypeptide-7 (LMP7), the chymotrypsin-like subunit of the immunoproteasome. ONX-0914 blocks cytokine production and attenuates progression of experimental arthritis. ONX-0914 is a noncompetitive irreversible inhibitor of the mycobacterial proteasome ($K_i=5.2 \mu\text{M}$). ONX-0914 reactivates latent HIV-1 through p-TEFb activation mediated by HSF-1^{[1][2][3]}.

IC₅₀ & Target

HIV-1

In Vitro	ONX-0914 inhibits LMP7-specific antigen presentation. ONX-0914 blocks cytokine production by mouse splenocytes and blocks T cell differentiation^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	ONX-0914 (2-10 mg/kg; i.v.; on days 4, 6 and 8) meliorates disease in mouse arthritis^[1]. ?ONX-0914 (2, 6 and 10 mg per kg body weight on days 25, 27, 29, 31 and 33; i.v.) treatment also induced a rapid therapeutic response in the T and B cell-dependent CIA (collagen-induced arthritis) model^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	Collagen antibody-induced arthritis (CAIA, Arthritis was induced in BALB/c mice with antibodies specific for type II collagen (mAb) and endotoxin) ^[1]
	Dosage:	2, 6 or 10 mg per kg body weight
	Administration:	I.v.; treated on days 4, 6 and 8
	Result:	Blocked disease progression in a dose-dependent manner and completely ameliorated visible signs of disease at the highest dose.

CUSTOMER VALIDATION

- Redox Biol. 2021 Oct 14;47:102167.
- Cell Death Dis. 2022 Oct 8;13(10):860.
- Eur J Med Chem. 2021, 113455.
- Comput Struct Biotech. 2023 Mar.
- Cells. 2021, 10(12), 3431.

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REFERENCES

- [1]. Muchamuel T, et al. A selective inhibitor of the immunoproteasome subunit LMP7 blocks cytokine production and attenuates progression of experimental arthritis [published correction appears in Nat Med. 2009 Nov;15(11):1333]. Nat Med. 2009;15(7):781-787.
- [2]. Rožman K, et al. Psoralen Derivatives as Inhibitors of Mycobacterium tuberculosis Proteasome. Molecules. 2020;25(6):1305. Published 2020 Mar 12.
- [3]. Lin J, et al. PR-957, a selective immunoproteasome inhibitor, reactivates latent HIV-1 through p-TEFb activation mediated by HSF-1. Biochem Pharmacol. 2018;156:511-523.

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

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