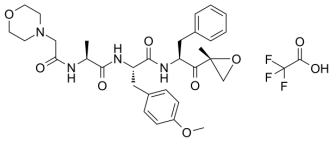
ONX-0914 TFA

Cat. No.:	HY-13207A
Molecular Formula:	$C_{33}H_{41}F_3N_4O_9$
Molecular Weight:	694.7
Target:	Proteasome; HIV; Bacterial
Pathway:	Metabolic Enzyme/Protease; Anti-infection
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (143.95 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4395 mL	7.1974 mL	14.3947 mL
	5 mM	0.2879 mL	1.4395 mL	2.8789 mL
	10 mM	0.1439 mL	0.7197 mL	1.4395 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.60 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.60 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.60 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

In Vitro

ONX-0914 inhibits LMP7-specific antigen presentation. ONX-0914 blocks cytokine productionby mouse splenocytes and blocks T celldifferentiation[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 Biotec. 2023 Mar.
- Cells. 2021, 10(12), 3431.

See more customer validations on www.MedChemExpress.com

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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA