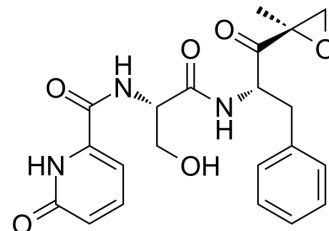


KZR-504

Cat. No.:	HY-101786
CAS No.:	1629052-78-3
Molecular Formula:	C ₂₁ H ₂₃ N ₃ O ₆
Molecular Weight:	413.42
Target:	Proteasome
Pathway:	Metabolic Enzyme/Protease
Storage:	-20°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 170 mg/mL (411.20 mM; Need ultrasonic)				
		Solvent Concentration	Mass		
	Preparing Stock Solutions			1 mg	5 mg
		1 mM		2.4188 mL	12.0942 mL
		5 mM		0.4838 mL	2.4188 mL
	10 mM		0.2419 mL	1.2094 mL	
	Please refer to the solubility information to select the appropriate solvent.				
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 4.25 mg/mL (10.28 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 4.25 mg/mL (10.28 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 4.25 mg/mL (10.28 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	KZR-504 is a highly selective inhibitor of immunoproteasome low molecular mass polypeptide 2 (LMP2), with IC ₅₀ s of 51 nM, 4.274 μM for LMP2 and LMP7, respectively. KZR-504 is of interest for the treatment of autoimmune disease ^[1] .
IC₅₀ & Target	IC ₅₀ : 51 nM (LMP2), 4.274 μM (LMP7) ^[1] .
In Vivo	Evaluating the inhibition of LMP2, and antitargets LMP7 and β5, in mouse tissues reveals that KZR-504 (compound 12) is both selective and potent in vivo with >50% target inhibition achieved at >1 mg/kg in all tissues tested except brain ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Johnson HWB, et al. Discovery of Highly Selective Inhibitors of the Immunoproteasome Low Molecular Mass Polypeptide 2 (LMP2) Subunit. ACS Med Chem Lett. 2017 Mar 9;8(4):413-417.

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

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