Proteins

Product Data Sheet



E1231

Cat. No.: HY-117006 CAS No.: 1031195-19-3 Molecular Formula: $C_{21}H_{21}N_3O_3$ Molecular Weight: 363.41 Target: Sirtuin

Pathway: Cell Cycle/DNA Damage; Epigenetics

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: 100 mg/mL (275.17 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.7517 mL	13.7586 mL	27.5171 mL
	5 mM	0.5503 mL	2.7517 mL	5.5034 mL
	10 mM	0.2752 mL	1.3759 mL	2.7517 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 (6.88 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- β -CD in saline) Solubility: ≥ 2.5 mg/mL (6.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	E1231 is an orally active activator of Sirtuin 1 (SIRT1) (EC_{50} =0.83 μ M), to modulate cholesterol and lipid metabolism. E1231 interactes with SIRT1 (K_D =9.61 μ M) and deacetylated liver X receptor-alpha ($LXR\alpha$), and increases ATP-binding cassette transporter A1 (ABCA1) expression. E1231 also reduces atherosclerotic plaque development in <i>ApoE</i> -/- mice model. E1231 can be used for research in cholesterol and lipid disorder-related diseases ^[1] .	
IC ₅₀ & Target	SIRT1 0.83 μM (EC50)	
In Vitro	E1231 (0.1-10 μ M; 18 h) promotes cholesterol efflux and inhibits lipid accumulation in RAW 264.7 cells ^[1] . E1231 (10 μ M; 6 h) significantly increases deacetylation of Doxo-induced p53 in HepG2 cells ^[1] .	

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
In Vivo	E1231 (40 mg/kg; po; once daily for 7 days) regulates cholesterol and lipid metabolism in Golden hamsters fed with HFD diet [1]. E1231 (25, 50, and 100 mg/kg, in 0.5% CMC-Na; po; once daily for 12 weeks) reduces atherosclerosis development, without hepatotoxicity ornephrotoxicity in ApoE-/- mice fed with atherogenic diet[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
	Animal Model:	HFD-diet Golden hamsters (80-90 g) $^{[1]}$	
	Dosage:	40 mg/kg	
	Administration:	PO; once daily for 7 days	
	Result:	Increased SIRT1 protein expression but not SIRT3, SIRT6, or SIRT7. And reduced liver and serum cholesterol in hamsters.	
	Animal Model:	Atherogenic diet ApoE ^{-/-} mice ^[1]	
	Dosage:	25, 50, and 100 mg/kg	
	Administration:	PO; once daily for 12 weeks	
	Result:	Modulated plaque composition, immunofluorescence staining. And reduced CD68 positive	

REFERENCES

[1]. Feng T, et al. SIRT1 activator E1231 protects from experimental atherosclerosis and lowers plasma cholesterol and triglycerides by enhancing ABCA1 expression. Atherosclerosis. 2018 Jul;274:172-181.

areas while increasing ABCA1 expression in the aortic sinus.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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