Proteins

GPX4-IN-4

Cat. No.: HY-149923 CAS No.: 2920221-53-8 Molecular Formula: $\mathsf{C_{22}H_{21}CIN_2O_5S}$

Molecular Weight: 460.93

Target: Glutathione Peroxidase Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

Product Data Sheet

BIOLOGICAL ACTIVITY

Description	GPX4-IN-4 (Compound 24) is a potent GPX4 inhibitor. GPX4-IN-4 can be used for the research of cancer $^{[1]}$.						
IC ₅₀ & Target	$GPX4^{[1]}$						
In Vitro	GPX4-IN-4 (Compound 24; 0-1000 nM; 0-24 h) inhibits HT1080 cell viability in a concentration- and time- dependent manner [1]. GPX4-IN-4 (0-10 μ M; 72 h) inhibits NCI-H1703 cell viability with EC ₅₀ s of 0.117 μ M and 4.74 μ M without and with Fer-1 (HY-100579), respectively [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Viability Assay [1]						
	Cell Line:	HT1080 (GPX4 dependent) cells					
	Concentration:	0-1000 nM					
	Incubation Time:	0, 1.5, 3, 6 and 24 h					
	Result:	Inhibited cell viability with EC $_{50} s$ of 0.85, 0.27, 0.17 and 0.09 μM at 1.5, 3, 6 and 24 h, respectively.					
	Cell Viability Assay ^[1]						
	Cell Line:	NCI-H1703					
	Concentration:	0-10 μΜ					
	Incubation Time:	72 h					
	Result:	Inhibited cell viability with EC $_{50} s$ of 0.117 μM and 4.74 μM without and with Fer-1, respectively.					
In Vivo	GPX4-IN-4 (50 mg/kg; i.p	24; 100 and 200 mg/kg; i.p.; once) engages kidney GPX4 and induces PD markers in mice $^{[1]}$. o.; daily for 20 days) has no effect on WSU-DLCL2 tumor growth in mice, although partial target d in tumor homogenate $^{[1]}$.					

 ${\tt MCE}\ has\ not\ independently\ confirmed\ the\ accuracy\ of\ these\ methods.\ They\ are\ for\ reference\ only.$

Animal Model:	SCID/Beige mice $^{[1]}$					
Dosage:	100 and 200 mg/kg					
Administration:	IP, once					
Result:	The GPX4 band was shifted. Engaged kidney GPX4 and induced PD markers.					
Animal Model:	SCID/Beige mic	e ^[1]				
Dosage:	30 and 100 mg/kg					
Administration:	IP (Pharmacokinetic Analysis)					
Result:	PK Properties of GPX4-IN-4 (Compound 24) $^{[1]}$					
	Dose	t _{1/2} (h)	C _{max} (μg/mL)	AUC (μg*h/mL)		
	30 (IP)	0.5	0.92 (±0.24)	1.89 (±0.17)		
	100 (IP)	1.7	5.31 (±0.53)	16.20 (±1.70)		

REFERENCES

[1]. Randolph JT, et al. Discovery of a Potent Chloroacetamide GPX4 Inhibitor with Bioavailability to Enable Target Engagement in Mice, a Potential Tool Compound for Inducing Ferroptosis In Vivo. J Med Chem. 2023 Mar 23;66(6):3852-3865.

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

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