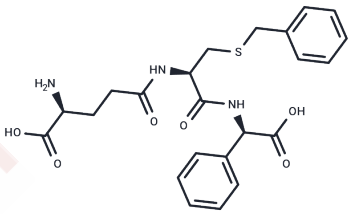
Data Sheet (Cat.No.T8424)

TargetMol

TLK117

Chemical Properties



CAS No. : 152684-53-2

Formula: C23H27N3O6S

Molecular Weight: 473.54

Storage: Keep away from moisture,Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	TLK117 is an inhibitor of GSTs that reduces the severity of pulmonary fibrosis and can be used to study idiopathic pulmonary fibrosis.
Targets(IC50)	Glutathione Peroxidase,GST
In vitro	TLK117 is a potent and specific glutathione S-transferase P (GSTP) inhibitor with a higher binding affinity to the target than glutathione (GSH) and more than 50-fold selectivity for GSTP compared to GSTM and GSTA classes, with a constant of inhibition (Ki) of 0.4 μM.[1] TLK117 was developed as an inhibitor of the GST P1-1 isoenzyme to address the critical role of GST P1-1 in drug resistance in tumor cells. To enhance the cellular uptake efficiency of TLK117, the compound is delivered as a diethyl ester (TER 117 DEE, also known as TER 199).TLK117 competitively inhibits GST P1-1 and aldolase I. The inhibition constant (Ki) of TLK117 on aldolase I is 0.56 μM.[2]
In vivo	In cases where fibrosis has already formed, TLK117 attenuates pathological changes by inhibiting GSTP to attenuate tissue remodeling induced by bleomycin and adenoviral TGFβ (AdTGFβ), and decreasing the levels of α-SMA, cysteine asparaginase activity, FAS S-glutathionylation, and total protein S-glutathionylation. Within 4 hours after administration of 50 mg/kg TLK117, GSTP activity was substantially reduced and maintained at approximately 60% inhibition for at least 24 hours. [2]

Solubility Information

Solubility	DMSO: 150 mg/mL (316.76 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (8.45 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1118 mL	10.5588 mL	21.1175 mL
5 mM	0.4224 mL	2.1118 mL	4.2235 mL
10 mM	0.2112 mL	1.0559 mL	2.1118 mL
50 mM	0.0422 mL	0.2112 mL	0.4224 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Johansson AS, et al. The human glutathione transferase P1-1 specific inhibitor TER 117 designed for overcoming cytostatic-drug resistance is also a strong inhibitor of glyoxalase I. Mol Pharmacol. 2000 Mar;57(3):619-24.
McMillan DH, et al. Attenuation of lung fibrosis in mice with a clinically relevant inhibitor of glutathione-S-transferase π. JCI Insight. 2016 Jun 2;1(8):e85717.