

OH

TLK117

Chemical F	Properties
CAS No.:	152684-53-2
Formula:	C23H27N3O6S
Molecular Weight:	473.54
Appearance:	N/A
Storage:	0-4°C for short te

Biological Description

Description	TLK117 selective inhibits Glutathione S-transferase P1–1 (GSTP1-1)(Ki of 0.4 μ M for GSTP).			
Targets(IC ₅₀)	Others: None			
In vitro	Oropharyngeal is inhibitor of GSTP, TLK117, at a time when fibrosis is already apparent, attenuated bleomycin- and AdTGF β -induced remodeling, α -SMA, caspase activation, FAS S-glutathionylation, and total protein S- glutathionylation. Four hours after administration of 50 mg/kg TLK117, GSTP activity is strongly decreased and remains decreased by about 60% for at least 24 hours[2].			
In vivo	TLK117 is the most inhibitor of specific GSTP, with a binding affinity greater than GSH itself and a selectivity for GSTP over 50-fold greater than the GSTM and GSTA classes with Ki of 0.4 µM[1]. TER 117 is developed as a GST P1-1 isoenzyme inhibitor to circumvent the indicated contribution of GST P1-1 to drug resistance of tumor cells. To facilitate the cellular uptake of TER 117, it is delivered as a diethyl ester (TER 117 DEE, also called TER 199). TER 117 is a competitive both GST P1-1 and glyoxalase I inhibitor[2].			

Solubility Information

Solubility

DMSO: 150 mg/mL (316.76 mM)

Preparing Stock Solutions

	1mg	5mg	10mg		
1 mM	2.112 mL	10.559 mL	21.118 mL		
5 mM	0.422 mL	2.112 mL	4.224 mL		
10 mM	0.211 mL	1.056 mL	2.112 mL		
50 mM	0.042 mL	0.211 mL	0.422 mL		

(< 1 mg/ml refers to the product slightly soluble or insoluble)

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 $^{\circ}$ C for 6 months; - 20 $^{\circ}$ C for 1 month. Please use it as soon as possible.

Reference

1. The human glutathione transferase P1-1 specific inhibitor TER 117 designed for overcomingcytostatic-drug resistance is also a strong inhibitor of glyoxalase I. Mol Pharmacol. 2000 Mar;57(3):619-24.

2. 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). pii: e85717.

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