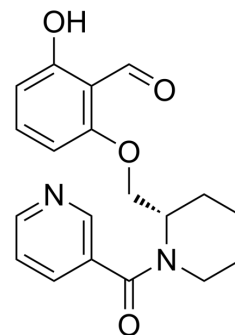


GBT1118

Cat. No.:	HY-153182		
CAS No.:	1628799-51-8		
Molecular Formula:	C ₁₉ H ₂₀ N ₂ O ₄		
Molecular Weight:	340.37		
Target:	Others		
Pathway:	Others		
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 (293.80 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.9380 mL	14.6899 mL	29.3798 mL
		5 mM	0.5876 mL	2.9380 mL	5.8760 mL
10 mM		0.2938 mL	1.4690 mL	2.9380 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: 2.5 mg/mL (7.34 mM); Clear solution; Need ultrasonic Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 2.5 mg/mL (7.34 mM); Clear solution; Need ultrasonic Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: 2.5 mg/mL (7.34 mM); Clear solution; Need ultrasonic 				

BIOLOGICAL ACTIVITY

Description	GBT1118 is a potent and orally active allosteric modifier of hemoglobin oxygen affinity. GBT1118 increases tolerance to severe hypoxia ^[1] .
In Vitro	GBT1118 binds covalently and reversibly via an imine intermediate to the N-terminal valine of hemoglobin α chain, and allosterically increases intracellular hemoglobin affinity for O ₂ ^[1] . GBT1118 (25% of sample hemoglobin concentration) protects red blood cells from damage during severe hypoxia ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GBT1118 (70 or 140 mg/kg; oral; once) increases tolerance to severe hypoxia in mice^[1].

Blood and plasma pharmacokinetic parameters of GBT1118 in male mice following 10 mg/kg IV Administration of GBT1118 [1]

Matrix	T _{1/2} (h)	AUC _{0-∞} (µg·h/mL)	V _{ss} (L/kg)	CL _s (mL/min/kg)	Blood/Plasma Ratio
Blood	13.9	2929	0.07	0.06	51.4
Plasma	11.3	60	2.95	3.21	

Blood and plasma pharmacokinetic parameters of GBT1118 in male mice following 100 mg/kg PO Administration of GBT1118^[1]

Matrix	T _{max} (h)	C _{max} (µg/mL)	AUC _{0-∞} (µg·h/mL)	F (%)	Blood/Plasma Ratio
Blood	2	318	13428	45.8	34.1
Plasma	8	12	224	33.5	

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57Bl/6 mice, acute hypoxia model ^[1]
Dosage:	70 or 140 mg/kg
Administration:	Oral, single dose
Result:	Improved tolerance to 5% O ₂ hypoxia exposure. Increased O ₂ delivery during hypoxia, thus preserving MAP, HR, blood flow, and aerobic metabolism. Increased blood O ₂ loading in the lungs and allowed for increased O ₂ delivery during hypoxia. Decreased hypoxia in vital tissues and lowered lactate levels.

Animal Model:	C57Bl/6 mice ^[1]
Dosage:	10 or 100 mg/kg
Administration:	IV or PO (Pharmacokinetic Analysis)
Result:	Showed good pharmacokinetic parameters.

REFERENCES

[1]. Dufu K, et al. GBT1118, a potent allosteric modifier of hemoglobin O₂ affinity, increases tolerance to severe hypoxia in mice. *Am J Physiol Heart Circ Physiol.* 2017 Aug 1;313(2):H381-H391.

[2]. Tarasev M, et al. GBT1118, a voxelotor analog, protects red blood cells from damage during severe hypoxia. *Am J Transl Res.* 2022 Jan 15;14(1):240-251.

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

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