Data Sheet (Cat.No.T38646)

TargetMol

GKT136901 hydrochloride

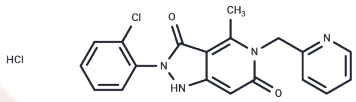
Chemical Properties

CAS No. : 1254507-01-1

Formula: C19H16Cl2N4O2

Molecular Weight: 403.26

Storage: Store at low temperature,Keep away from moisture
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	GKT136901 hydrochloride is a NOX-1/4 inhibitor, a selective scavenger of peroxynitrite, which inhibits METH-induced ROS production.
Targets(IC50)	NADPH,NADPH-oxidase
In vitro	GKT136901 hydrochloride is a potent, selective and orally active NADPH oxidase (NOX1/4) inhibitor with Ki values of 160 nM and 165 nM, respectively. [1] GKT136901 hydrochloride significantly reduced the production of superoxide radical anion and H2O2 in MPT cells induced by high D-glucose and eliminated the effect of high D-glucose on p38MAP kinase activation in MPT cells after treatment with GKT136901 hydrochloride at a dose of 10 μM for 30 min. [3] GKT136901 hydrochloride attenuated methamphetamine (METH)-induced oxidative stress in HBMEC treated at a dose of 10 μM for 2 hours and effectively protected HBMEC from METH-induced blood-brain barrier (BBB) dysfunction. [5]
In vivo	GKT136901 hydrochloride exhibited nephroprotective effects in a mouse model of type 2 diabetes mellitus when administered orally at a dose of 30-90 mg/kg daily for 16 weeks. [6]

Solubility Information

Solubility	H2O: 2 mg/mL (4.96 mM),Sonication is recommended. DMSO: 50 mg/mL (123.99 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: 2 mg/mL (4.96 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	2.4798 mL	12.3989 mL	24.7979 mL
5 mM	0.496 mL	2.4798 mL	4.9596 mL
10 mM	0.248 mL	1.2399 mL	2.4798 mL
50 mM	0.0496 mL	0.248 mL	0.496 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

Laleu B, et al. First in class, potent, and orally bioavailable NADPH oxidase isoform 4 (Nox4) inhibitors for the treatment of idiopathic pulmonary fibrosis. J Med Chem. 2010 Nov 11;53(21):7715-30.
Teixeira G, et al. Therapeutic potential of NADPH oxidase 1/4 inhibitors. Br J Pharmacol. 2017 Jun;174(12):1647-1669.
Schildknecht S, et al. The NOX1/4 inhibitor GKT136901 as selective and direct scavenger of peroxynitrite. Curr Med Chem. 2014;21(3):365-76.
Sedeek M, et al. Critical role of Nox4-based NADPH oxidase in glucose-induced oxidative stress in the kidney: implications in type 2 diabetic nephropathy. Am J Physiol Renal Physiol. 2010 Dec;299(6):F1348-58.
Hwang JS, et al. GKT136901 protects primary human brain microvascular endothelial cells against methamphetamine-induced blood-brain barrier dysfunction. Life Sci. 2020 Sep 1;256:117917.
Sedeek M, et al. Renoprotective effects of a novel Nox1/4 inhibitor in a mouse model of Type 2 diabetes. Clin Sci (Lond). 2013 Feb;124(3):191-202.