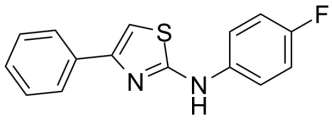
GP130 receptor agonist-1

Cat. No.:	HY-121488
CAS No.:	339303-87-6
Molecular Formula:	$C_{15}H_{11}FN_2S$
Molecular Weight:	270.32
Target:	Interleukin Related
Pathway:	Immunology/Inflammation
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 (369.93 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6993 mL	18.4966 mL	36.9932 mL
	5 mM	0.7399 mL	3.6993 mL	7.3986 mL
	10 mM	0.3699 mL	1.8497 mL	3.6993 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (9.25 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.25 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GP130 receptor agonist-1 is a potent, brain-penetrant and orally active GP130 receptor agonist. GP130 receptor agonist-1 has a neuroprotective effect on NMDA-induced neurotoxicity[1].

In Vitro

In SH-SY5Y cells, GP130 receptor agonist-1 (Compound 2) treatment showed a 2-fold increase in phosphorylation of STAT3 within 10 min at its regulatory Tyr705 site. In primary hippocampal neuronal cultures, the pSTAT3 levels are below levels of detection for GP130 receptor agonist-1 at all time points[1].

GP130 receptor agonist-1 treatment shows increases phosphorylation of AKT at its regulatory Thr308 site and phosphorylation of ERK1/2 at its regulatory Thr202/Tyr204 site in the serum free media condition in SH-SY5Y cells, and in primary cortical neurons[1].

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

In Vivo	For GP130 receptor agonist-1 (Compound 2), mice are dosed orally at 10 or 30 mg/kg, or injected subcutaneously (SQ) at 10 mg/kg, and euthanized after 1, 2, 4, 6, and 8 h post dose. At 2 h after SQ delivery at 10 mg/kg the brain Cmax is 161 ng/g while dosing at 30 mg/kg orally, results in the brain Cmax of 156 ng/g (0.57 μM). The brain to plasma ratio for 2 is -4:1 for oral 30 mg/kg and -7.5:1 for 10 mg/kg SQ injection[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Mohammad Parvez Alam,et al. A Small Molecule Mimetic of the Humanin Peptide as a Candidate for Modulating NMDA-Induced Neurotoxicity. ACS Chem Neurosci. 2018 Mar 21;9(3):462-468.

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