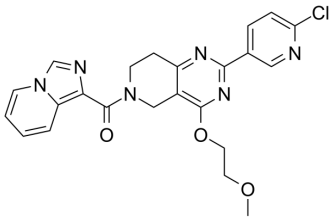
THPP-1

Cat. No.:	HY-117604
CAS No.:	1257051-63-0
Molecular Formula:	$C_{23}H_{21}ClN_6O_3$
Molecular Weight:	464.9
Target:	Phosphodiesterase (PDE)
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 31.25 mg/mL (67.22 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1510 mL	10.7550 mL	21.5100 mL
	5 mM	0.4302 mL	2.1510 mL	4.3020 mL
	10 mM	0.2151 mL	1.0755 mL	2.1510 mL

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

BIOLOGICAL ACTIVITY

Description

THPP-1, a SGC chemical probe, is a potent and orally bioavailable phosphodiesterase 10A (PDE10A) inhibitor, with K_i values of 1 nM and 1.3 nM for human and rat PDE10A, respectively. THPP-1 has excellent pharmacokinetic properties in preclinical species[1].

IC_{50} & Target

IC50: 1-1.3 nM (PDE10A)[1].

In Vivo

THPP-1 (0.1-1.0 mg/kg, p.o.) shows novel object recognition in rats[1].

THPP-1 (p.o., 1-30 mg/kg) dose-dependently increases phosphorylation of GluR1 S845 in the rat striatum whereas the total levels of GluR1 in the striatum are not affected. Administration of THPP-1 also results in an associated increase in the pGluR1/GluR1 ratios at all doses examined in this study[1].

THPP-1 (1, 3, 10 mg/kg, p.o.) significantly attenuated the stimulant effects in stimulant-induced motor activity (SIMA) in monkey[2].

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

Animal Model:	Male Wistar Hannover rats ranging from 200 to 300 g[1].
Dosage:	0.1-1.0 mg/kg.
Administration:	P.O.
Result:	Showed novel object recognition.

Animal Model:	Eleven monkeyswere surgically implanted with subcutaneous telemetric devices to permit the simultaneous recording of electrocorticogram (ECoG), electrooculogram (EOG), and electromyogram (EMG) activit
Dosage:	1, 3, 10 mg/kg.
Administration:	P.O.
Result:	Significantly attenuated the stimulant effects in SIMA.

Animal Model:	Male Wistar Hannover rats ranging from 200 to 300 g[1].
Dosage:	1-30 mg/kg.
Administration:	P.O. (10% Tween 80/90% water) 2 h prior to tissue collection (Pharmacokinetic Analysis).
Result:	Dose-dependently increases phosphorylation of GluR1 S845.

REFERENCES

[1]. Smith SM, et al. The novel phosphodiesterase 10A inhibitor THPP-1 has antipsychotic-like effects in rat and improves cognition in rat and rhesus monkey. Neuropharmacology. 2013 Jan;64:215-23.

[2]. Vardigan JD, et al. Behavioral and qEEG effects of the PDE10A inhibitor THPP-1 in a novel rhesus model of antipsychotic activity. Psychopharmacology (Berl). 2016 Jul;233(13):2441-50.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA