TP-10

Cat. No.: HY-14550

CAS No.: 898563-00-3

Molecular Formula: $C_{26}H_{19}F_3N_4O$

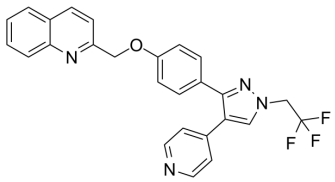
Molecular Weight: 460.45

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 : 100 mg/mL (217.18 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1718 mL	10.8589 mL	21.7179 mL
5 mM	0.4344 mL	2.1718 mL	4.3436 mL
10 mM	0.2172 mL	1.0859 mL	2.1718 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.43 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.38 mg/mL (5.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TP-10 is a selective PDE10A inhibitor with an IC_{50} value of 0.8 nM. TP-10 shows an antioxidant activity with IC_{50}s of 31.72 and 16.04 μg/ml for DPPH and CUPRAC, respectively. TP-10 can be used for the research of neuropathy[1][2][3].

IC_{50} & Target

IC50: 0.8 nM (PDE10A), 31.72 μg/mL (DPPH), 16.04 μg/mL (CUPRAC)[1][3]

In Vitro

TP-10 (10 μg /mL; 24 h) affects total reactive oxygen species in human brain-derived cells (U-87 MG)[3].

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

Cell Viability Assay[3]

Cell Line:	U-87 MG cells
Concentration:	10 μg/ml
Incubation Time:	24 hours
Result:	Decreased the total number of ROS-positive cells and showed no toxic effects to U-87 MG cells.

In Vivo

TP-10 (0.1-10 mg/kg; i.h. once) shows an effect on cyclic nucleotides[2].

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

Animal Model:	Male CD rats[2]
Dosage:	0.1, 0.32, 1.0, 3.2 and 10 mg/kg
Administration:	Subcutaneous injection; 0.1-10 mg/kg once
Result:	Dose- and time-dependently increased the levels of both cGMP and cAMP in striatal of mice. Increased pCREB-LI level first and returned to basal levels after injected for 3 hours at a dose of 3.2 mg/kg.

REFERENCES

[1]. Schmidt CJ, et al. Preclinical characterization of selective phosphodiesterase 10A inhibitors: a new therapeutic approach to the treatment of schizophrenia. J Pharmacol Exp Ther. 2008 May;325(2):681-90.

[2]. Kaproń B, et al. 1,2,4-Triazole-based anticonvulsant agents with additional ROS scavenging activity are effective in a model of pharmacoresistant epilepsy. J Enzyme Inhib Med Chem. 2020 Dec;35(1):993-1002.

[3]. Hamaguchi W, et al. Synthesis and in vivo evaluation of novel quinoline derivatives as phosphodiesterase 10A inhibitors. Chem Pharm Bull (Tokyo). 2014;62(12):1200-1213.

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