Zatolmilast

Cat. No.: HY-117571

CAS No.: 1606974-33-7

Molecular Formula: $C_{21}H_{15}ClF_3NO_2$

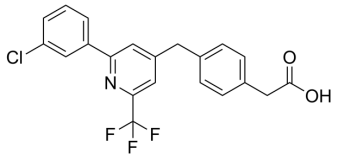
Molecular Weight: 405.8

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 (246.43 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4643 mL	12.3213 mL	24.6427 mL
5 mM	0.4929 mL	2.4643 mL	4.9285 mL
10 mM	0.2464 mL	1.2321 mL	2.4643 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: ≥ 2.17 mg/mL (5.35 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.17 mg/mL (5.35 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Zatolmilast (BPN14770) is a selective phosphodiesterase 4D (PDE4D) allosteric inhibitor with IC_{50}s of 7.8 nM and 7.4 nM for PDE4D7 and PDE4D3, respectively[1].

IC_{50} & Target

PDE4D3	PDE4D7
7.4 nM (IC_{50})	7.8 nM (IC_{50})

In Vivo

Zatolmilast increases brain cAMP, increases phosphorylation of CREB and increases production of brain-derived neurotrophic factor (BDNF) in hippocampus[1].

?Zatolmilast (0.1-30 mg/kg; p.o.; 24 hours) provides cognitive benefit in the mouse novel object recognition (NOR) at doses

above 0.3 mg/kg[2].

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

Animal Model:	C57Bl6 mice[2]
Dosage:	0.1, 0.3, 1, 3, 10, 30 mg/kg
Administration:	p.o.; 24 hours
Result:	Significantly improved novel object discrimination at doses above 0.3 mg/kg.

CUSTOMER VALIDATION

- Behav Brain Res. 2023 Dec 4:114798.
- BMC Neurosci. 2023 Jul 31;24(1):39.

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REFERENCES

[1]. Ricciarelli R, et al. Memory-enhancing effects of GEBR-32a, a new PDE4D inhibitor holding promise for the treatment of Alzheimer's disease. Sci Rep. 2017 Apr 12;7:46320.

[2]. Gurney ME, et al. Design and Synthesis of Selective Phosphodiesterase 4D (PDE4D) Allosteric Inhibitors for the Treatment of Fragile X Syndrome and Other Brain Disorders. J Med Chem. 2019 May 23;62(10):4884-4901.

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