Mardepodect

Cat. No.: HY-50098

CAS No.: 898562-94-2

Molecular Formula: $C_{25}H_{20}N_4O$

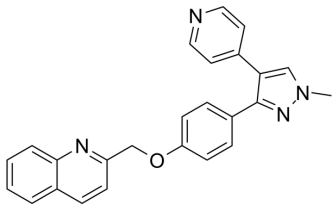
Molecular Weight: 392.45

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 : ≥ 45 mg/mL (114.66 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5481 mL	12.7405 mL	25.4810 mL
	5 mM	0.5096 mL	2.5481 mL	5.0962 mL
	10 mM	0.2548 mL	1.2740 mL	2.5481 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 (6.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mardepodect (PF-2545920) is a potent, orally active and selective PDE10A inhibitor with an IC_{50} of 0.37 nM, with >1000-fold selectivity over other PDEs. Mardepodect can cross the blood-brain barrier[1][2].

In Vivo

In the conditioned avoidance response assay (CAR), Mardepodect (PF-2545920) is active with an ED_{50} of 1 mg/kg. Administration of Mardepodect (PF-2545920) to mice causes a dose dependent increase in striatal cGMP[2].

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

CUSTOMER VALIDATION

- Patent. US20230111925A1.

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REFERENCES

[1]. Verhoest PR, et al. Discovery of a novel class of phosphodiesterase 10A inhibitors and identification of clinical candidate 2-[4-(1-methyl-4-pyridin-4-yl-1H-pyrazol-3-yl)-phenoxymethyl]-quinoline (PF-2545920) for the treatment of schizophrenia. J Med Chem

[2]. Grauer SM, et al. Phosphodiesterase 10A inhibitor activity in preclinical models of the positive, cognitive, and negative symptoms of schizophrenia. J Pharmacol Exp Ther, 2009, 331(2), 574-590.

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