Mardepodect hydrochloride

Cat. No.: HY-50098A

CAS No.: 2070014-78-5

Molecular Formula: $C_{25}H_{21}ClN_4O$

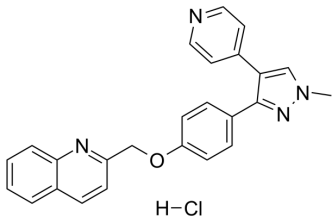
Molecular Weight: 428.91

Target: Phosphodiesterase (PDE)

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (58.29 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3315 mL	11.6575 mL	23.3149 mL
	5 mM	0.4663 mL	2.3315 mL	4.6630 mL
	10 mM	0.2331 mL	1.1657 mL	2.3315 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: ≥ 3.25 mg/mL (7.58 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3.25 mg/mL (7.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mardepodect hydrochloride (PF-2545920 hydrochloride) 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 hydrochloride can cross the blood-brain barrier[1][2].

IC_{50} & Target

IC50: 0.37 nM (PDE10A)[1].

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]. Wilson JM et al. Phosphodiesterase 10A inhibitor, MP-10 (PF-2545920), produces greater induction of c-Fos in D2 neurons than in D1 neurons in the neostriatum. Neuropharmacology. 2015 Dec;99:379-86.

[2]. 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.

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