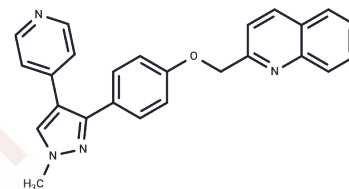


Mardepodect

Chemical Properties

CAS No. :	898562-94-2
Formula:	C ₂₅ H ₂₀ N ₄ O
Molecular Weight:	392.45
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Mardepodect (PF-2545920) is a phosphodiesterase inhibitor selective for the PDE10A subtype with an IC ₅₀ of 0.37 nM and over 1000-fold selectivity over PDE. It is potentially useful for the treatment of schizophrenia. Phosphodiesterase 10A (PDE10A) is highly expressed in striatal medium spiny neurons of both the direct and indirect output pathways. Mardepodect can cross the blood-brain barrier.
Targets(IC ₅₀)	PDE
In vivo	Mardepodect is active in a range of antipsychotic models with the ED ₅₀ of 1 mg/kg, antagonizing apomorphine-induced climbing in mice, inhibiting conditioned avoidance responding in both rats and mice, and blocking N-methyl-D-aspartate antagonist-induced deficits in prepulse inhibition of acoustic startle response in rats, while improving baseline sensory gating in mice. Mardepodect induces a dose-dependent increase in striatal cGMP in mice.

Solubility Information

Solubility	DMSO: 45 mg/mL (114.66 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.1 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5481 mL	12.7405 mL	25.481 mL
5 mM	0.5096 mL	2.5481 mL	5.0962 mL
10 mM	0.2548 mL	1.274 mL	2.5481 mL
50 mM	0.051 mL	0.2548 mL	0.5096 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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)-phenoxy-methyl]-quinoline (PF-2545920) for the treatment of schizophrenia. *J Med Chem*, 2009, 52(16), 5188-5196.

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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