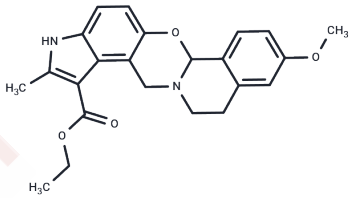
Data Sheet (Cat.No.T23124)

PD-102807

Chemical Properties



CAS No. : 23062-91-1

Formula: C23H24N2O4

Molecular Weight: 392.45

Storage: Store at low temperature,Keep away from moisture
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	PD-102807 is a selective and competitive M4 muscarinic receptor antagonist (IC50 = 90.7 nM), with IC50 values of 950-7412 nM for M1, M2, M3, and M5 receptors, and can be used for Parkinson's disease research, as well as to inhibit airway smooth muscle (ASM) contraction.
Targets(IC50)	AChR
In vitro	**Methods**: To evaluate the antagonistic selectivity of PD-102807 toward different subtypes of muscarinic receptors, [^35S]-GTPγS binding assays were performed to measure its inhibitory effect on agonist-induced G protein activation at M1, M2, M3, and M4 receptors. The pK_B values for each receptor subtype were determined to assess its antagonistic potency and selectivity.[1] **Results**: PD-102807 significantly inhibited M4 receptor-mediated G protein activation, with a pK_B value of 7.40, which was substantially higher than its potency at M1 (5.60), M2 (5.88), and M3 (6.39) receptors, indicating strong selectivity for the M4 receptor.
In vivo	**Methods**: To evaluate the effects of PD-102807 on L-DOPA-induced abnormal involuntary movements (AIMs) and neurotransmitter release, male Sprague-Dawley rats were used. A 3 μM concentration of PD-102807 was perfused starting 40 minutes before administration of L-DOPA and benserazide, and microdialysis was used to measure GABA and glutamate (Glu) levels in the substantia nigra pars reticulata (SNr) and striatum.[2] **Results**: PD-102807 significantly inhibited the L-DOPA-induced increases in GABA and Glu levels in the SNr and striatum, and reduced the axial-limb-orolingual (ALO) AIMs score from 70.75±5.64 to 25.38±6.64, effectively alleviating abnormal involuntary movements.

Solubility Information

Solubility	DMSO: 120 mg/mL (305.77 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

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

M C Olianas, et al. PD-102807, a novel muscarinic M4 receptor antagonist, discriminates between striatal and cortical muscarinic receptors coupled to cyclic AMP. Life Sci. 1999;65(21):2233-40.

Alberto Brugnoli, et al. Striatal and nigral muscarinic type 1 and type 4 receptors modulate levodopa-induced dyskinesia and striato-nigral pathway activation in 6-hydroxydopamine hemilesioned rats. Neurobiol Dis. 2020 Oct;144:105044.