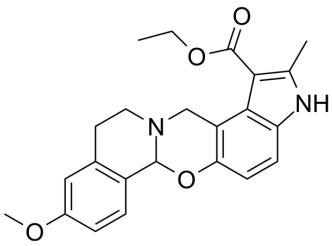
PD 102807

Cat. No.:	HY-107646
CAS No.:	23062-91-1
Molecular Formula:	$C_{23}H_{24}N_2O_4$
Molecular Weight:	392.45
Target:	mAChR
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (318.51 mM; Need ultrasonic)

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.

BIOLOGICAL ACTIVITY

Description

PD 102807 is a M4 muscarinic receptor antagonist with an IC_{50} of 90.7 nM. PD 102807 inhibits M1, M2, M3, M5 muscarinic receptor with IC_{50}s of 6558.7, 3440.7, 950.0, and 7411.7 nM, respectively[1]. Antidyskinetic effect.

IC_{50} & Target

mAChR4

In Vitro

PD 102807 (example 1) shows selectivity for M4 muscarinic receptor with 72-fold (M1), 38-fold (M2), 10-fold (M3), and 82-fold (M5) more selective compared to the other receptors[1].

PD 102807, a novel M4 selective antagonist, counteracts the M4 receptor-induced stimulation of [^{35}S]-GTPγS binding to membrane G proteins with a pK_B of 7.40, a value which is 63-, 33- and 10-fold higher than those display at M1 (pK_B=5.60), M2 (pK_B=5.88) and M3 (pK_B=6.39) receptor subtypes, respectively[2].

PD-102807 is an M4 mAChR preferring antagonist, with 7-28 nM affinity for M4 mAChRs, a 14-36-fold selectivity for M4 over M3 mAChRs, and 76-2600-fold selectivity for M4 over M1, M2 and M5 mAChRs[3].

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

In Vivo

Striatal perfusion of PD-102807 (3 μM) alleviates levodopa-induced dyskinesia (LID) and inhibits nigral GABA and Glu along

with striatal Glu release[3].

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

Animal Model:	Male Sprague-Dawley rats[3]
Dosage:	3 μM
Administration:	Administration:Perfusion started 40 min prior to L-DOPA (6 mg/Kg plus 12 mg/Kg benserazide, s.c.) administration and continued until the end of experiment.
Result:	Basal dialysate levels in PD-102807 experiment were 19.19±2.62 nM and 47.77±3.42 nM for GABA and Glu in SNr, respectively, and 41.38±4.25 nM for Glu in striatum. Reduced global Axial Limb Orolingual (ALO) Abnormal Involuntary Movements (AIM) expression from 70.75±5.64 to 25.38±6.64, significantly attenuating limb, axial and orolingual AIMs at 3 μM. Inhibited the L-DOPA-induced rise of substantia nigra pars reticulata (SNr) GABA, SNr Glu, and striatal Glu at 3 μM.

REFERENCES

[1]. C E Augelli-Szafran, et al. Identification and characterization of m4 selective muscarinic antagonists. Bioorg Med Chem Lett. 1998 Aug 4;8(15):1991-6.

[2]. 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.

[3]. 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA