# PD 102807

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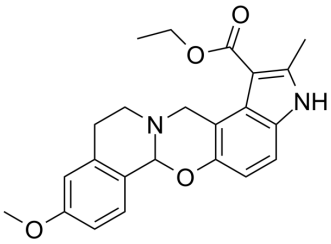
| **Cat. No.:** | HY-107646 |
| **CAS No.:** | 23062-91-1 |
| **Molecular Formula:** | $C_{23}H_{24}N_2O_4$ |
| **Molecular Weight:** | 392.45 |
| **Target:** | mAChR |
| **Pathway:** | GPCR/G Protein; Neuronal Signaling |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |




## 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.

**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].

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| 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.<br>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.<br>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.



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