PD-168077 maleate

Cat. No.: HY-21098A

CAS No.: 630117-19-0

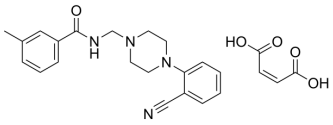
Molecular Formula: $C_{24}H_{26}N_4O_5$

Molecular Weight: 450.49

Target: Dopamine Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

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 : 150 mg/mL (332.97 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2198 mL	11.0990 mL	22.1981 mL
	5 mM	0.4440 mL	2.2198 mL	4.4396 mL
	10 mM	0.2220 mL	1.1099 mL	2.2198 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: ≥ 2.5 mg/mL (5.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PD-168077 maleate is a selective dopamine D_4 receptor agonist, with a K_i of 9 nM.

IC_{50} & Target

D_4 Receptor

In Vitro

PD-168077 is one of the first agents to be identified as putative selective D_4 agonists. It shows >100-fold selectivity over other members of the D_2-like receptor family and over their D_1-like counterparts; PD-168077 shows a 20-fold selectivity over α_1, and α_2, a 45-fold selectivity over 5-HT_{1A}, and a 460-fold selectivity over 5-HT_{2A} receptors; PD-168077 evidences intrinsic activity at the D_4 receptor in terms of quinpirole-like inhibition of forskolin-stimulated cAMP accumulation or stimulation of [^{3}H]thymidine uptake? in CHO cells expressing the human D_4 receptor[1]. In the PD-168077-treated cell, p-CaMKII exhibits a

	significantly increased clustering at synaptic sites, as indicated by the enhanced colocalization with PSD-95[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PD-168077 (0.2-25.0 mg/kg) dose-dependently induces locomotion, which takes an unusual and characteristic ”shuffling” form with uncoordinated movements together with yawning, and episodes of myoclonic jerking; grooming, and rearing are reduced[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Research Square Preprint. 2023 Oct 3.

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REFERENCES

[1]. Clifford JJ, et al. Topographically based search for an "Ethogram" among a series of novel D(4) dopamine receptor agonists and antagonists. Neuropsychopharmacology. 2000 May;22(5):538-44.

[2]. Gu Z, et al. Activation of dopamine D4 receptors induces synaptic translocation of Ca2+/calmodulin-dependent protein kinase II in cultured prefrontal cortical neurons. Mol Pharmacol. 2006 Mar;69(3):813-22.

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