WAY-100635 maleate

Cat. No.: HY-10349A

CAS No.: 1092679-51-0

Molecular Formula: $C_{29}H_{38}N_4O_6$

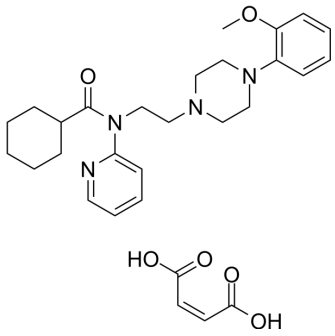
Molecular Weight: 538.64

Target: 5-HT Receptor; 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 : ≥ 250 mg/mL (464.13 mM)

H_2O : 25 mg/mL (46.41 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	1.8565 mL	9.2826 mL	18.5653 mL
5 mM	0.3713 mL	1.8565 mL	3.7131 mL
10 mM	0.1857 mL	0.9283 mL	1.8565 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 25 mg/mL (46.41 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

WAY-100635 maleate is a potent and selective 5-hydroxytryptamine 1A (5-HT1A) receptor antagonist with an IC_{50} value of 0.91 nM and K_i value of 0.39 nM. WAY-100635 maleate has pIC_{50} values for 5-HT1A and α1-adrenergic receptors of 8.9 and 6.6, respectively. WAY-100635 maleate is also a potent dopamine D_4 receptor agonist[1][2][3].

IC_{50} & Target	D_4 Receptor	sPLA2 8.87 (pIC_{50})	sPLA2 9.71 (pA2)

In Vitro

The functional properties and binding affinities of WAY-100635 are evaluated in HEK 293 cells stably expressing dopamine D_{2L} or $D_{4.4}$ receptors[1].

WAY-100635 displays 940, 370, and 16 nM binding affinities at D_{2L}, D_3, and $D_{4.2}$ receptors, respectively. Saturation analyses demonstrat that the K_d of [^{3}H] WAY-100635 at $D_{4.2}$ receptors is 2.4 nM. WAY-100635 is potent agonist in HEK-$D_{4.4}$ cells with EC_{50} of 9.7 nM. WAY-100635 possesses high affinity for $D_{4.4}$ receptor (3.3 nM) [1].

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

In Vivo

WAY-100635 (1 mg/kg; subcutaneous injection; male Sprague-Dawley rats) treatment abolishes the reduction of the severity of abstinence signs induced by Rhodiola rosea administration in nicotine-dependent rat[2].

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

Animal Model:	Male Sprague-Dawley rats (220-240 g)[2]
Dosage:	1 mg/kg
Administration:	Subcutaneous injection (Pharmacokinetic study)
Result:	Reduced total abstinence score, increased immobility time and the burying behavior was increased.

CUSTOMER VALIDATION

- Nat Neurosci. 2021 Dec 9.
- Psychopharmacology. 2022 Sep 15.
- Chin J Integr Med. 2019 Nov 29.

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REFERENCES

[1]. Chemel BR, et al. WAY-100635 is a potent dopamine D4 receptor agonist. Psychopharmacology (Berl). 2006 Oct;188(2):244-51.

[2]. Mannucci C, et al. Serotonin involvement in Rhodiola rosea attenuation of nicotine withdrawal signs in rats. Phytomedicine. 2012 Sep 15;19(12):1117-24.

[3]. Al Hussainy R, et al. Design, synthesis, radiolabeling, and in vitro and in vivo evaluation of bridgehead iodinatedanalogues of N-{2-[4-(2-methoxyphenyl)piperazin-1-yl]ethyl}-N-(pyridin-2-yl)cyclohexanecarboxamide (WAY-100635) as potential SPECT ligands for the 5-HT1A receptor. J Med Chem. 2011 May 26;54(10):3480-91.

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