Mofegiline hydrochloride

Cat. No.: HY-16677A

CAS No.: 120635-25-8

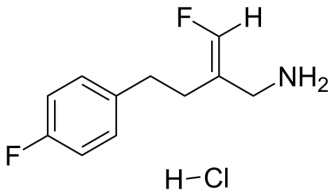
Molecular Formula: $C_{11}H_{14}ClF_2N$

Molecular Weight: 233.69

Target: Monoamine Oxidase

Pathway: 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 : 110 mg/mL (470.71 mM; Need ultrasonic)
H_2O : 25 mg/mL (106.98 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	4.2792 mL	21.3959 mL	42.7917 mL
5 mM	0.8558 mL	4.2792 mL	8.5583 mL
10 mM	0.4279 mL	2.1396 mL	4.2792 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 10 mg/mL (42.79 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (11.77 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (11.77 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (11.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mofegiline hydrochloride (MDL72974A) is an orally active and selective enzyme-activated irreversible inhibitor of MAO-B, with marked selectivity on the MAO-B over MAO-A with IC_{50}s of 3.6 nM (MAO-B) and 680 nM (MAO-A), respectively. Mofegiline hydrochloride is also an inhibitor of semicarbazide-sensitive amine oxidase (SSAO)[1][2][3].

IC_{50} & Target

IC50: 3.6 nM (MAO-B), 680 nM (MAO-A)[1]

In Vitro

Mofegiline hydrochloride (MDL72974A) inhibits rat brain mitochondrial MAO in a concentration and time-dependent fashion [1].

Mofegiline hydrochloride (MDL72974A) inhibits [^{3}H]dopamine (15 nM) uptake with an IC_{50} value of 31.8 μM, but poorly inhibits [^{3}H]GBR-12935 (1 nM) binding (IC_{50} >100 μM) in the rat striatum[2].

Mofegiline hydrochloride (MDL72974A) inhibits SSAOs from dog aorta, rat aorta, bovine aorta and human umbilical artery with IC_{50}s of 2 nM, 5 nM, 80 nM and 20 nM, respectively[3].

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

In Vivo

Mofegiline hydrochloride (MDL72974A) (0.1-2.5 mg/kg; p.o.; single dose) inhibits MAO-B activity external vivo in rat model and (1.25 mg/kg; i.p.; 18 hours prior to MPTP treatment) exerts its ability to block MPTP neurotoxicity in mice model[1].

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

Animal Model:	Male Sprague-Dawley rats (150-400 g)[1]
Dosage:	Group 1: 0.1-2.5 mg/kg; Group 2: 0.05-5 mg/kg
Administration:	Oral gavage; single dose for group 1, as for group 2, once daily for 14 days
Result:	Showed the inhibition effect on rat brain MAO-A and MAO-B with EC_{50}s of 8 mg/kg and 0.18 mg/kg, respectively, in group 1. Resulted more potent efficacy on MAO-A inhibition in a daily dosed-manner (group 2) than single dose (group 1) manner, indicating a long half-life of Mofegiline hydrochloride.

Animal Model:	Mate SwissWebster (CF-W) mice (25-30 g)[1]
Dosage:	1.25 mg/kg
Administration:	Intraperitoneal injection; 18 hours prior to administration of MPTP (20 mg/kg; i.p.; 4 times for two-hourly intervals, for 8 days)
Result:	Rescued MPTP-induced decreases in striatal levels of dopamine (DA), dihydroxyphenylacetic acid (DOPAC) and homovanillic acid (HVA) in mice.

Animal Model:	Male Sprague-Dawley rats (150-400 g) injected with Tyramine (HY-W007606) (1.25-80 μg/kg; i.v.)[1]
Dosage:	Group 1: 1.8, 9 mg/kg; Group 2: 0.1, 1 mg/kg
Administration:	Oral gavage; single dose for group 1, as for group 2, once daily for 14 days
Result:	Did not significantly potentiate the cardiovascular effects of intraduodenally administered Tyramine (HY-W007606) in anaesthetised rats.

REFERENCES

[1]. Fang J, et al. Effect of L-deprenyl, its structural analogues and some monoamine oxidase inhibitors on dopamine uptake. Neuropharmacology. 1994 Jun;33(6):763-8.

[2]. Zreika M, et al. MDL 72,974: a potent and selective enzyme-activated irreversible inhibitor of monoamine oxidase type B with potential for use in Parkinson's disease. J Neural Transm Park Dis Dement Sect. 1989;1(4):243-54.

[3]. Yu PH, et al. Inhibition of a type B monoamine oxidase inhibitor, (E)-2-(4-fluorophenethyl)-3-fluoroallylamine (MDL-72974A), on semicarbazide-sensitive amine oxidases isolated from vascular tissues and sera of different species. Biochem Pharmacol. 1992 Ja

[4]. Dow J, et al. Novel carbamate metabolites of mofegiline, a primary amine monoamine oxidase B inhibitor, in dogs and humans. Drug Metab Dispos. 1994 Sep-Oct;22(5):738-49.

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