

Mofegiline (hydrochloride)

Catalog No: tcsc3195



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

120635-25-8

Formula:

$C_{11}H_{14}ClF_2N$

Pathway:

Neuronal Signaling

Target:

Monoamine Oxidase

Purity / Grade:

>98%

Solubility:

H₂O : ≥ 39 mg/mL (166.89 mM)

Alternative Names:

MDL72974A

Observed Molecular Weight:

233.69

Product Description

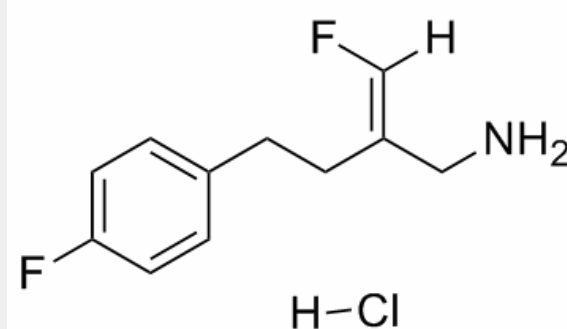
Mofegiline HCl (MDL72974A) is a potent and selective enzyme-activated irreversible inhibitor of MAO-B; shows marked selectivity for the B form (IC₅₀ = 680 and 3.6 nM for MAO-A and MAO-B).

IC₅₀ value: 3.6 nM [1]

Target: MAO-B

in vitro: MDL72974 inhibits rat brain mitochondrial MAO in a concentration and time-dependent fashion and shows marked selectivity for the B form (IC₅₀ = 680 and 3.6 nM for MAO-A and MAO-B, respectively) [1]. is also capable of inhibiting semicarbazide-sensitive amine oxidases (SSAOs) obtained from vascular tissues and sera of different species. The inhibition of SSAO by MDL-72974A was irreversible and time dependent. It was competitive without preincubation of the enzyme with the inhibitor and demonstrated a mixed-type of inhibition when the enzyme was preincubated with the inhibitor. The IC₅₀ values were estimated to be 2 x 10⁽⁻⁹⁾ M, 5 x 10⁽⁻⁹⁾ M, 8 x 10⁽⁻⁸⁾ M and 2 x 10⁽⁻⁸⁾ M for SSAO from dog aorta, rat aorta, bovine aorta and human umbilical artery, respectively [2].

in vivo: After oral administration to rats, the compound shows preferential inhibition of brain MAO-B with ED₅₀ values of 8 and 0.18 mg/kg p.o. for the A and B forms, respectively. Selectivity is retained on repeat dosing. MDL 72,974 did not significantly potentiate the cardiovascular effects of intraduodenally-administered tyramine in anaesthetized rats and had only minor indirect sympathomimatic effects in the pithed rat [1]. Male beagle dogs were given single p.o. (20 mg/kg) and i.v. (5 mg/kg) doses of [14C]-Mofegiline. Total radioactivity excreted in urine and feces over 96 hr was, respectively, 75.5 +/- 3.8 and 6.3 +/- 3.4% of the dose after p.o. and 67.9 +/- 0.5 and 3.9 +/- 2.4% after i.v. administration. Unchanged drug in urine represented 3% of the dose after po and less than 1% after i.v. administration. Mofegiline was thus extensively metabolized in dogs, and urinary excretion was the major route of elimination of metabolites [3].



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