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产品名称: 莫非吉兰

产品别名: **Mofegiline hydrochloride; MDL72974A**

生物活性:					
Description	Mofegiline hydrochloride (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].				
Solvent&Solubility	In Vitro: H₂O : ≥ 39 mg/mL (166.89 mM) * "≥" means soluble, but saturation unknown.				
	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
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液，一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时，请在 6 个月内使用， -20°C 储存时，请在 1 个月内使用。					
References	[1]. 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. [2]. 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				



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isolated from vascular tissues and sera of different species. *Biochem Pharmacol.* 1992 Jan 22;43(2):307-12.

[3]. 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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