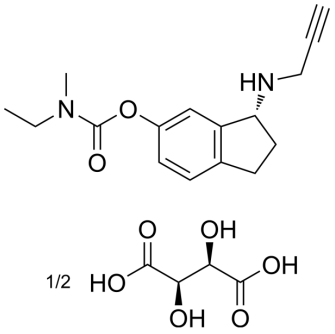
Ladostigil hemitartrate

Cat. No.:	HY-10400
CAS No.:	209394-46-7
Molecular Formula:	$C_{16}H_{20}N_2O_2 \cdot 1/_2C_4H_6O_6$
Molecular Weight:	694.77
Target:	Monoamine Oxidase; Cholinesterase (ChE)
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

H_2O : 60 mg/mL (86.36 mM; Need ultrasonic and warming)
DMSO : 50 mg/mL (71.97 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.4393 mL	7.1966 mL	14.3933 mL
5 mM	0.2879 mL	1.4393 mL	2.8787 mL
10 mM	0.1439 mL	0.7197 mL	1.4393 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: ≥ 1.25 mg/mL (1.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (1.80 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (1.80 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ladostigil (TV-3326) hemitartrate is an orally active dual inhibitor of cholinesterase and brain-selective monoamine oxidase (MAO), with IC_{50}s of 37.1 and 31.8 μM for MAO-B and AChE, respectively. Ladostigil hemitartrate exhibits neuroprotective, antioxidant and anti-inflammatory activities. Ladostigil hemitartrate can be used for the research of depression and Alzheimer's disease[1][2]. Ladostigil (hemitartrate) is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target	MAO-B	AChE
	37.1 nM (IC_{50})	31.8 nM (IC_{50})

In Vitro	Ladostigil (1-10 μM) hemitartrate exerts neuroprotective activities, including a prevention of the fall of the mitochondrial membrane potential (ψ), attenuation of apoptotic cascades and an inhibition of ROS production induced by OS insults[2]. Ladostigil (1-10 μM) hemitartrate has a significant neuroprotective activity, including inhibition of caspase-3 activation, induction of Bcl-2 and reduction of Bad and Bax gene and protein expression in human neuroblastoma SK-N-SH cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Ladostigil (17 mg/kg; p.o. daily for 6 weeks) hemitartrate abolishes their hyperanxiety and depressive-like behaviour in the elevated plus maze (EPM) and forced swim tests (FST) tests in adulthood from puberty to prenatally-stressed rats[4]. Ladostigil (50 μmol/kg; single p.o.) hemitartrate restores the loss of episodic memory in the object recognition test in rats[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Pathogen-free (SPF) Sprague-Dawley rats[4]
Dosage:	17 mg/kg
Administration:	P.o. (added to the drinking water) daily for 6 weeks
Result:	Inhibited brain MAO-A and B by more than 60%. Reduced hyperanxiety of male and female prenatally stressed (PS) rats in the EPM and depressive-like behaviour in the FST.

REFERENCES

[1]. Denya I, et, al. Design, synthesis and evaluation of indole derivatives as multifunctional agents against Alzheimer's disease. Medchemcomm. 2018 Jan 16;9(2):357-370.

[2]. Weinreb O, et, al. Ladostigil: a novel multimodal neuroprotective drug with cholinesterase and brain-selective monoamine oxidase inhibitory activities for Alzheimer's disease treatment. Curr Drug Targets. 2012 Apr;13(4):483-94.

[3]. Weinstock M, et, al. Ladostigil, a novel multifunctional drug for the treatment of dementia co-morbid with depression. J Neural Transm Suppl. 2006;(70):443-6.

[4]. Poltyrev T, et, al. Effect of chronic treatment with ladostigil (TV-3326) on anxiogenic and depressive-like behaviour and on activity of the hypothalamic-pituitary-adrenal axis in male and female prenatally stressed rats. Psychopharmacology (Berl). 2005 Aug;181(1):118-25.

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