Ladostigil hydrochloride

Cat. No.: HY-10399A

CAS No.: 209394-18-3

Molecular Formula: $C_{16}H_{21}ClN_2O_2$

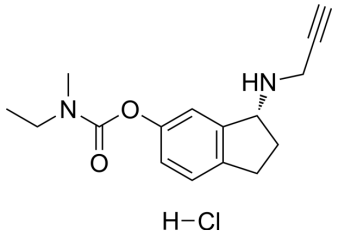
Molecular Weight: 308.8

Target: Monoamine Oxidase; Cholinesterase (ChE)

Pathway: Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



BIOLOGICAL ACTIVITY

Description

Ladostigil (TV-3326) hydrochloride 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 hydrochloride exhibits neuroprotective, antioxidant and anti-inflammatory activities. Ladostigil can be used for the research of depression and Alzheimer's disease[1][2]. Ladostigil (hydrochloride) 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

AChE	MAO-B
31.8 μM (IC_{50})	37.1 μM (IC_{50})

In Vitro

Ladostigil (1-10 μM) hydrochloride 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) hydrochloride 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) hydrochloride 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.) hydrochloride 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:	Oral (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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