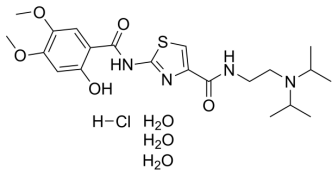
Acotiamide monohydrochloride trihydrate

Cat. No.:	HY-B2155
CAS No.:	773092-05-0
Molecular Formula:	$C_{21}H_{37}ClN_4O_8S$
Molecular Weight:	541.06
Target:	Cholinesterase (ChE)
Pathway:	Neuronal Signaling
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (231.03 mM; Need ultrasonic)

H_2O : 3.03 mg/mL (5.60 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8482 mL	9.2411 mL	18.4822 mL
	5 mM	0.3696 mL	1.8482 mL	3.6964 mL
	10 mM	0.1848 mL	0.9241 mL	1.8482 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: ≥ 2.08 mg/mL (3.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.84 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Acotiamide monohydrochloride trihydrate is an orally active, selective and reversible acetylcholinesterase (AChE) inhibitor, with IC_{50} of 1.79 μM. Acotiamide monohydrochloride trihydrate can enhance gastric contractility and accelerate delayed gastric emptying. Acotiamide monohydrochloride trihydrate has the potential for the research of functional dyspepsia involving gastric motility dysfunction and intestinal inflammatory [1][2][3].

IC_{50} & Target

AChE

In Vitro

Acotiamide monohydrochloride trihydrate (10, 30, 100 μM; 1 hour) reduces expression levels of IκB-α phosphorylation in LPS- and MCP-1-stimulated macrophage cell lines[1].

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

Cell Viability Assay[1]

Cell Line:	NR8383, macrophage
Concentration:	10, 30, 100 μM
Incubation Time:	1 hour
Result:	Significantly reduced both TNF-α and IL-6 productions in LPS/MCP-1-stimulated NR8383 cells.

In Vivo

Acotiamide monohydrochloride trihydrate (0.3, 1, 3 mg/kg; i.v./3, 10, 30 mg/kg; p.o.) increases the postprandial gastric motility index in a dose-dependent manner[2].

Acotiamide monohydrochloride trihydrate (0.83 mg/kg; i.v.; once) inhibits AChE in rat stomach with IC_{50} of 1.79 μM[3].

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

Animal Model:	Male mongrel dogs (9-11 kg), Male beagle dogs (9.6-12.9 kg)[2]
Dosage:	0.3, 1, 3, 10, 30 mg/kg
Administration:	Intravenous injection; once.
Result:	Increased the postprandial gastric motility.

Animal Model:	Male Sprague-Dawley rats (aged 6-7 weeks)[3]
Dosage:	0.83 mg/kg
Administration:	Intravenous injection; once.
Result:	Effectively improved functional dyspepsia by inhibiting AChE in rat stomach.

REFERENCES

[1]. Hiroshi Yamawaki, et al. Acotiamide attenuates central urocortin 2-induced intestinal inflammatory responses, and urocortin 2 treatment reduces TNF-α productions in LPS-stimulated macrophage cell lines. Neurogastroenterol Motil. 2020 Aug;32(8):e13813.

[2]. Matsunaga Y, et al. Acotiamide hydrochloride (Z-338), a new selective acetylcholinesterase inhibitor, enhances gastric motility without prolonging QT interval in dogs: comparison with cisapride, itopride, and mosapride. J Pharmacol Exp Ther. 2011 Mar;336(3):791-800.

[3]. Kazuyoshi Y oshii, et al. Physiologically-Based Pharmacokinetic and Pharmacodynamic Modeling for the Inhibition of Acetylcholinesterase by Acotiamide, A Novel Gastroprokinetic Agent for the Treatment of Functional Dyspepsia, in Rat Stomach. Pharmaceutical Research, 33(2), 292–300.

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