Acotiamide hydrochloride

Cat. No.: HY-121467A

CAS No.: 185104-11-4

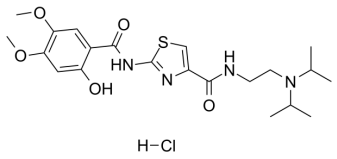
Molecular Formula: $C_{21}H_{31}ClN_4O_5S$

Molecular Weight: 487.01

Target: Cholinesterase (ChE)

Pathway: Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

IC50: 1.79 μM (AChE)[3].

In Vitro

Acotiamide hydrochloride (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 hydrochloride (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 hydrochloride (0.83 mg/kg; i.v.; once) inhibits AChE in rat stomach with an IC_{50} value 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]. 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.

[2]. 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.

[3]. Matsunaga Y, 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.

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