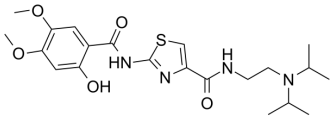
Acotiamide

Cat. No.:	HY-121467
CAS No.:	185106-16-5
Molecular Formula:	$C_{21}H_{30}N_4O_5S$
Molecular Weight:	450.55
Target:	Cholinesterase (ChE)
Pathway:	Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Acotiamide is an orally active, selective and reversible acetylcholinesterase (AChE) inhibitor, with an IC_{50} of 1.79 μM. Acotiamide can enhance gastric contractility and accelerate delayed gastric emptying. Acotiamide 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 (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 (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 (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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