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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Etazolate hydrochloride

Cat. No.: HY-100936

CAS No.: 35838-58-5

Molecular Formula: $C_{14}H_{20}ClN_5O_2$

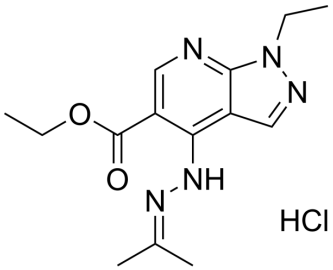
Molecular Weight: 325.79

Target: Phosphodiesterase (PDE); GABA Receptor

Pathway: Metabolic Enzyme/Protease; Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: -20°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

DMSO : 66.67 mg/mL (204.64 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0695 mL	15.3473 mL	30.6946 mL
	5 mM	0.6139 mL	3.0695 mL	6.1389 mL
	10 mM	0.3069 mL	1.5347 mL	3.0695 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Etazolate hydrochloride (SQ 20009) is an orally active, selective inhibitor of type 4 phosphodiesterase (PDE4) with an IC_{50} of 2 μM. Etazolate hydrochloride is a γ-aminobutyric acid A ($GABA_A$) receptor regulator. Etazolate hydrochloride is an α-secretase activator and induced the production of soluble amyloid precursor protein (sAPPα). Etazolate hydrochloride, a pyrazolopyridine class derivative, increases cAMP levels. Etazolate hydrochloride has anxiolyticlike, antidepressant-like and anti-inflammatory effects[1][2][3][4][5].

IC_{50} & Target

PDE4

2 μM (IC_{50})

In Vitro

Etazolate hydrochloride (SQ 20009; 25 μM) significantly increases the expression of arginase and ODC at the protein level (-3.1- and -1.6-fold for arginase and ODC, respectively) in LtT7.TR cells[2].

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

In Vivo

Etazolate hydrochloride (SQ 20009; 1, 3, 10 mg/kg; IP) improves recognition memory and reduces locomotor hyperactivity in a persistent manner following traumatic brain injury (TBI) in mice[3].

Etazolate hydrochloride (0.5, 1 mg/kg; p.o.; once a day during 21 days) significantly inhibits the chronic unpredictable mild

stress (CUMS)-induced behavioral (decreases sucrose consumption and increases duration of immobility) and biochemical (increases lipid peroxidation and nitrite level; decreases glutathione, superoxide dismutase and catalase activity) changes in Swiss Albino mice (22-25 g)[4].

Etazolate hydrochloride (0.5, 1 mg/kg; i.p.; single dose) antagonizes the Reserpine (HY-N0480; 1 mg/kg; i.p.)-induced hypothermia in male Wistar rats (250-275 g)[5].

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

Animal Model:	Male Swiss mice with 28-30 g[3]
Dosage:	1, 3, 10 mg/kg
Administration:	IP
Result:	Reduced cerebral œdema when delivered 5 min and 2 h post-TBI. Improved recognition memory and reduces locomotor hyperactivity in a persistent manner following TBI.

REFERENCES

[1]. Xuemei Wei, et al. Targeting phosphodiesterase 4 as a therapeutic strategy for cognitive improvement. Bioorg Chem. 2023 Jan;130:106278.

[2]. Arijit Bhattacharya, et al.Role of a differentially expressed cAMP phosphodiesterase in regulating the induction of resistance against oxidative damage in Leishmania donovani. Free Radic Biol Med. 2009 Nov 15;47(10):1494-506.

[3]. Eleni Siopi, et al. Etazolate, an α-secretase activator, reduces neuroinflammation and offers persistent neuroprotection following traumatic brain injury in mice. Neuropharmacology. 2013 Apr;67:183-92.

[4]. Ankur Jindal, et al. Etazolate, a phosphodiesterase 4 inhibitor reverses chronic unpredictable mild stress-induced depression-like behavior and brain oxidative damage. Pharmacol Biochem Behav. 2013 Apr;105:63-70.

[5]. Ankur Jindal, et al. Antidepressant-like effect of etazolate, a cyclic nucleotide phosphodiesterase 4 inhibitor--an approach using rodent behavioral antidepressant tests battery. Eur J Pharmacol. 2012 Aug 15;689(1-3):125-31.

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