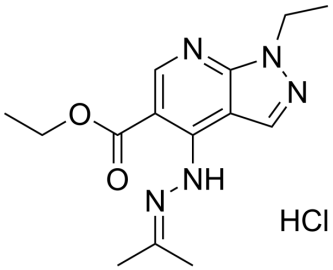
Etazolate hydrochloride

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



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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