
Product Data Sheet

Product Name: Etazolate hydrochloride

Cat. No.: GC12771

Chemical Properties

Cas. No. 35838-58-5

Chemical Name ethyl 1-ethyl-4-(2-(propan-2-ylidene)hydrazinyl)-1H-pyrazolo[3,4-b]pyridine-5-carboxylate hydrochloride

SMILES O=C(C1=CN=C2N(CC)N=CC2=C1N/N=C(C)\C)OCC.ClFormula $C_{14}H_{19}N_5O_2.HCl$ M.Wt 325.8Solubility $\geq 3.9\text{mg/mL}$ in Water Storage Store at RT

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure **Protocol****Cell experiment [1]:**

Cell lines Neuronal cortical cells from Wistar rat embryos

Preparation method The solubility of this compound in sterile water is 50 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reacting condition 48 h, 0~2 μM **Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Applications

In cortical neurons, 0~2 μ M etazolate hydrochloride dose-dependently increased secreted levels of non-amyloidogenic sAPP α . etazolate hydrochloride (0.2 μ M) prevented the neurotoxicity of A β on cortical neurons via the GABA-A receptor, which was associated with α -secretase activity and sAPP α induction. Etazolate hydrochloride induced sAPP α through the stimulation of the α -secretase pathway, and didn't affect the amyloidogenic pathway.

Clinical experiment [2]:

Clinical models Alzheimer's disease patients

Dosage form 40 and 80 mg bid for 3 month, oral administration

Application

Etazolate hydrochloride in combination with one AChEI (acetylcholinesterase inhibitor) was shown to be safe and generally well tolerated in this Phase IIA study in 159 Alzheimer's Disease patients over a 3-month treatment period. Most of psychiatric and neurologic AEs (Adverse events) were observed in the high-dose (etazolate 80 mg bid) group, suggesting a potential dose-related effect. Gastrointestinal and cardiovascular related AEs were no more frequent in the etazolate groups compared to placebo, indicating the absence of a potential clinical adverse interaction between the AChEI and etazolate hydrochloride.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Other notes

Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1] Marcade M, Bourdin J, Loiseau N, et al. Etazolate, a neuroprotective drug linking GABA(A) receptor pharmacology to amyloid precursor protein processing.[J]. Journal of Neurochemistry, 2008, 106(1):392-404.

[2] Vellas B; Sol O; Snyder PJ; Ousset PJ; Haddad R; Maurin M; Lemarié JC; Désiré L; Pando MP; EHT0202/002 study group. EHT0202 in Alzheimer's disease: a 3-month, randomized, placebo-controlled, double-blind study.[J]. Current Alzheimer Research, 2011, 8(2):203-12.

Background

Etazolate hydrochloride is a PDE-4 inhibitor and selective GABA-A receptor modulator

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

[3].

PDE-4 modulates the release of inflammatory mediators through cAMP-dependent and -independent mechanisms. Selective targeting PDE-4 is a novel therapeutic approach in the treatment of inflammation-associated respiratory diseases, such as asthma and COPD (chronic obstructive pulmonary disease) [1].

In rat neuronal cortical cells, etazolate hydrochloride (0.2 μ M) induced sAPP α through the stimulation of the α -secretase pathway, and exerted a neuroprotective effect against A β which was associated with sAPP α induction via the GABA-A receptor [2].

In 159 Alzheimer's Disease patients, etazolate hydrochloride in combination with one AchEI (acetylcholinesterase inhibitor) was shown to be safe and generally well tolerated in the Phase IIA study over a 3-month treatment period [3].

References:

[1] Dastidar S G, Rajagopal D, Ray A. Therapeutic benefit of PDE4 inhibitors in inflammatory diseases.[J]. Current Opinion in Investigational Drugs, 2007, 8(5):364-72.

[2] Marcade M, Bourdin J, Loiseau N, et al. Etazolate, a neuroprotective drug linking GABA(A) receptor pharmacology to amyloid precursor protein processing.[J]. Journal of Neurochemistry, 2008, 106(1):392-404.

[3] Vellas B; Sol O; Snyder PJ; Ousset PJ; Haddad R; Maurin M; Lemarié JC; Désiré L; Pando MP; EHT0202/002 study group. EHT0202 in Alzheimer's disease: a 3-month, randomized, placebo-controlled, double-blind study.[J]. Current Alzheimer Research, 2011, 8(2):203-12.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA