Etazolate hydrochloride

- **Cat. No.**: B6304
- **CAS No.**: 30838-58-5
- **Formula**: C14H19N5O2·HCl
- **M.Wt.**: 325.8
- **Target**: Metabolism
- **Pathway**: PD-E
- **Storage**: Store at RT

### Solvent & Solubility

<table>
<thead>
<tr>
<th>Preparing</th>
<th>Mass</th>
<th>1mg</th>
<th>5mg</th>
<th>10mg</th>
</tr>
</thead>
<tbody>
<tr>
<td><strong>Concentration</strong></td>
<td></td>
<td></td>
<td></td>
<td></td>
</tr>
<tr>
<td>1 mM</td>
<td>3.0694 mL</td>
<td>15.3468 mL</td>
<td>30.6937 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td>0.6139 mL</td>
<td>3.0694 mL</td>
<td>6.1387 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td>0.3069 mL</td>
<td>1.5347 mL</td>
<td>3.0694 mL</td>
<td></td>
</tr>
</tbody>
</table>

≥7.75 mg/mL in DMSO; ≥9.94 mg/mL in EtOH; insoluble in H2O

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

### Biological Activity

**Shortsummary**
- PDE-4 inhibitor and selective GABA-A receptor modulator

**IC50 & Target**

**Cell Viability Assay**
- **Cell Line**: 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 conditions**: 48 h, 0–2 μM
In cortical neurons, 0–2 μM etazolate hydrochloride dose-dependently increased secreted levels of non-amyloidogenic sAPPα. etazolate hydrochloride (0.2 μM) prevented the neurotoxicity of Aβ on cortical neurons via the GABA-A receptor, which was associated with α-secretase activity and sAPPα induction. Etazolate hydrochloride induced sAPPα through the stimulation of the α-secretase pathway, and didn’t affect the amyloidogenic pathway.

### Animal experiment

<table>
<thead>
<tr>
<th>Dosage form:</th>
<th>40 and 80 mg bid for 3 month, oral administration</th>
</tr>
</thead>
</table>

#### Applications:
- 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.

#### 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.

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**Product Citations**

1. Alzoubi KH, Al Subeh ZY, et al. "Molecular targets for the interactive effect of etazolate during post-traumatic stress disorder: Role of oxidative stress, BDNF, and histones." Behav Brain Res. 2019 Sep 2;369:111930. PMID:31047921

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**References**


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