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产品名称: **Lazabemide hydrochloride**

CAS 号: **103878-83-7**

产品货号: **S89980**

|                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |                                           |             |             |
|-------------------------------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------|-------------|-------------|
| <b>生物活性:</b>                        |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  |                                           |             |             |
| <b>Description</b>                  | Lazabemide hydrochloride (Ro 19-6327 hydrochloride) is a selective, reversible inhibitor of monoamine oxidase B (MAO-B) (IC <sub>50</sub> =0.03 μM) but less active for MAO-A (IC <sub>50</sub> >100 μM). Lazabemide inhibits monoamine uptake at high concentrations, the IC <sub>50</sub> values are 86 μM, 123 μM and >500 μM for noradrenalin, serotonin and dopamine uptake, respectively. Lazabemide can be used for the research of parkinson and alzheimer's disease[1].                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 |                                           |             |             |
| <b>IC<sub>50</sub> &amp; Target</b> | MAO-B<br>0.4 nM (IC <sub>50</sub> )                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                              |                                           |             |             |
| <b>In Vitro</b>                     | <p>The in vitro binding characteristics of both radiolabeled inhibitors revealed them to be selective, high-affinity ligands for the respective enzymes. KD and Bmax values for 3H-Ro 19-6327 in rat cerebral cortex are 18.4 nM and 3.45 pmol/mg protein, respectively[1].</p> <p>The IC<sub>50</sub> values for lazabemide are: 86 μM for NA uptake; 123 μM for 5HT uptake; &gt; 500 μM for DA uptake, respectively[1].</p> <p>Lazabemide (5 μM) inhibits human MAO-B and MAO-A with IC<sub>50</sub> of 6.9 nM and &gt;10 nM, respectively. And it inhibits rat MAO-B and MAO-A with IC<sub>50</sub> of 37 nM and &gt;10 μM, respectively in a enzymatic assay[2].</p> <p>Lazabemide differs from L-deprenyl in their ability to induce release of endogenous monoamines from synaptosomes. Thus, Lazabemide (500 μM) induces a greater 5 HT release than does L-deprenyl, but is less effective than L-deprenyl in releasing DA. On the contrary, lazabemide was almost completely inactive on either 5 HT and DA release[2].</p> <p>Lazabemide (250 nM) results in a clear inhibition of DOPAC formation, while does not increase the accumulation of newly-formed DA in those tubular epithelial cells loaded with 50 microM L-DOPA[3].</p> |                                           |             |             |
| <b>In Vivo</b>                      | Lazabemide (3 mg/kg) attenuates ischemia reperfusion-induced hydroxyl radical generation and pretreatment with Lazabemide showed decreased DOPAC levels in comparison with those of their respective vehicle-treated control groups[4].                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                          |                                           |             |             |
| <b>Solvent&amp;Solubility</b>       | <b>细胞实验:</b><br>DMSO 中的溶解度 : 25 mg/mL (105.89 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     |                                           |             |             |
|                                     | <b>Preparing Stock Solutions</b>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                 | <div>Solvent / Mass / Concentration</div> | <b>1 mg</b> | <b>5 mg</b> |
|                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  | 1 mM                                      | 4.2355 mL   | 21.1775 mL  |
|                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  | 5 mM                                      | 0.8471 mL   | 4.2355 mL   |
|                                     |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                  | 10 mM                                     | 0.4235 mL   | 2.1177 mL   |
|                                     | <p>* 请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)。-80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。</p>                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                       |                                           |             |             |
| <b>参考文献</b>                         | [1]. Saura J, et al. Quantitative enzyme radioautography with 3H-Ro 41-1049 and 3H-Ro 19-6327 in vitro: localization and abundance of MAO-A and MAO-B in rat CNS, peripheral organs, and human brain. J Neurosci. 1992 May;12(5):1977-99.                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                        |                                           |             |             |



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|  | <p>[2]. Bondiolotti GP, et al. In vitro effects on monoamine uptake and release by the reversible monoamine oxidase-B inhibitors lazabemide and N-(2-aminoethyl)-p-chlorobenzamide: a comparison with L-deprenyl. Biochem Pharmacol. 1995 Jun 29;50(1):97-102.</p> <p>[3]. Guimaraes J, et al. The activity of MAO A and B in rat renal cells and tubules. Life Sci. 1998;62(8):727-37.</p> <p>[4]. Suzuki T, et al. MAO inhibitors, clorgyline and lazabemide, prevent hydroxyl radical generation caused by brain ischemia/reperfusion in mice. Pharmacology. 1995 Jun;50(6):357-62.</p> |
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#### 完整储备液配制表:

\* 请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)。-80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。

| 可选溶剂 | 质量<br>溶剂体积<br>浓度 | 1 mg      | 5 mg       | 10 mg      | 25 mg       |
|------|------------------|-----------|------------|------------|-------------|
| DMSO | 1 mM             | 4.2355 mL | 21.1775 mL | 42.3549 mL | 105.8873 mL |
|      | 5 mM             | 0.8471 mL | 4.2355 mL  | 8.4710 mL  | 21.1775 mL  |
|      | 10 mM            | 0.4235 mL | 2.1177 mL  | 4.2355 mL  | 10.5887 mL  |
|      | 15 mM            | 0.2824 mL | 1.4118 mL  | 2.8237 mL  | 7.0592 mL   |
|      | 20 mM            | 0.2118 mL | 1.0589 mL  | 2.1177 mL  | 5.2944 mL   |
|      | 25 mM            | 0.1694 mL | 0.8471 mL  | 1.6942 mL  | 4.2355 mL   |
|      | 30 mM            | 0.1412 mL | 0.7059 mL  | 1.4118 mL  | 3.5296 mL   |
|      | 40 mM            | 0.1059 mL | 0.5294 mL  | 1.0589 mL  | 2.6472 mL   |
|      | 50 mM            | 0.0847 mL | 0.4235 mL  | 0.8471 mL  | 2.1177 mL   |
|      | 60 mM            | 0.0706 mL | 0.3530 mL  | 0.7059 mL  | 1.7648 mL   |
|      | 80 mM            | 0.0529 mL | 0.2647 mL  | 0.5294 mL  | 1.3236 mL   |
|      | 100 mM           | 0.0424 mL | 0.2118 mL  | 0.4235 mL  | 1.0589 mL   |