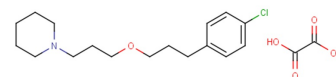


Pitolisant oxalate

Chemical Properties

| | |
|-------------------|--|
| CAS No.: | 362665-57-4 |
| Formula: | C ₁₉ H ₂₈ ClNO ₅ |
| Molecular Weight: | 385.88 |
| Appearance: | N/A |
| Storage: | 0-4°C for short term (days to weeks), or -20°C for long term (months). |



Biological Description

| | |
|----------------------------|---|
| Description | Pitolisant oxalate is a potent and selective inverse agonist of nonimidazole at the recombinant human histamine H ₃ receptor with K _i of 0.16 nM. |
| Targets(IC ₅₀) | Others: None |
| In vitro | Pitolisant behaves as a competitive antagonist with a K _i value of 0.16 nM and as an inverse agonist with an EC ₅₀ value of 1.5 nM and an intrinsic activity ~50% higher than that of ciproxifan. |
| In vivo | The administration of Pitolisant at a single dose of 10 mg/kg 30 min before a single dose of Olanzapine (2 mg/kg b.w.) also significantly affects immobility time in the FST. Subsequent administration of the aforementioned drug sequence in mice statistically significantly increases the duration of immobility in comparison to the time determined in the control group in the FST. It decreased locomotor activity as well[3] |

Solubility Information

| | |
|------------|--|
| Solubility | DMSO: 50 mg/mL (129.57 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|--|

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|----------|-----------|-----------|
| 1 mM | 2.591 mL | 12.957 mL | 25.915 mL |
| 5 mM | 0.518 mL | 2.591 mL | 5.183 mL |
| 10 mM | 0.259 mL | 1.296 mL | 2.591 mL |
| 50 mM | 0.052 mL | 0.259 mL | 0.518 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Ligneau X, et al. BF2.649 [1-{3-[3-(4-Chlorophenyl)propoxy]propyl}piperidine, hydrochloride], a nonimidazole inverse agonist/antagonist at the human histamine H3 receptor: Preclinical pharmacology. *J Pharmacol Exp Ther.* 2007 Jan;320(1):365-75.
2. Dudek M, et al. H3 histamine receptor antagonist pitolisant reverses some subchronic disturbances induced by olanzapine in mice. *Metab Brain Dis.* 2016 Oct;31(5):1023-9.
3. Uguen M, et al. Preclinical evaluation of the abuse potential of Pitolisant, a histamine H₃ receptor inverse agonist/antagonist compared with Modafinil. *Br J Pharmacol.* 2013 Jun;169(3):632-44.

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