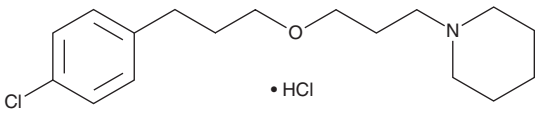
PRODUCT INFORMATION

Pitolisant

Item No. 19307

CAS Registry No.: 903576-44-3
Formal Name: 1-[3-[3-(4-chlorophenyl) propoxy]propyl]-piperidine, monohydrochloride
Synonym: BF 2649
MF: $C_{17}H_{26}ClNO \bullet HCl$
FW: 332.3
Purity: ≥98%
UV/Vis.: λ_{max}: 221 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Pitolisant is supplied as a crystalline solid. A stock solution may be made by dissolving the pitolisant in the solvent of choice. Pitolisant is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of pitolisant in ethanol and DMSO is approximately 30 mg/ml and approximately 20 mg/ml in DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of pitolisant can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of pitolisant in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Pitolisant is a nonimidazole histamine H_3 receptor antagonist (K_i = 0.16 nM) and inverse agonist (EC_{50} = 1.5 nM).[1] It increases the levels of *tele*-methylhistamine in mouse brain, indicating histaminergic neuron activity, with an ED_{50} value of 1.6 mg/kg. Pitolisant also increases dopamine and acetylcholine levels in the rat prefrontal cortex when administered at a dose of 10 mg/kg. It decreases the time spent in slow wave sleep and increases the time spent awake in cats. Pitolisant (2.5 and 5 mg/kg), when administered post-training, facilitates contextual fear memory consolidation and reverses dizocilpine-induced amnesia in mice.[2] When administered following reactivation, it reverses dizocilpine-induced reconsolidation deficits.

References

1. Ligneau, X., Perrin, D., Landais, L., *et al.* BF2.649 [1-{3-[3-(4-chlorophenyl)propoxy]propyl}piperidine, hydrochloride], a nonimidazole inverse agonist/antagonist at the human histamine H_3 receptor: Preclinical pharmacology. *J. Pharmacol. Exp. Ther.* **320(1),** 365-375 (2007).
2. Brabant, C., Charlier, Y., and Tirelli, E. The histamine H_3-receptor inverse agonist pitolisant improves fear memory in mice. *Behav. Brain Res.* **243**, 199-204 (2013).

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