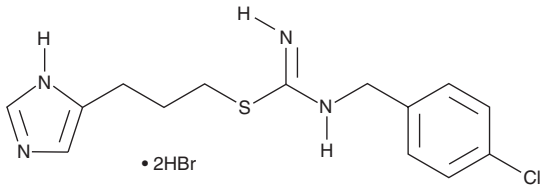
PRODUCT INFORMATION

Clobenpropit (hydrobromide)

Item No. 10011126

CAS Registry No.: 145231-35-2
Formal Name: N-[(4-chlorophenyl)methyl]-carbamimidothioic acid, 3-(1H-imidazol-5-yl)propyl ester, dihydrobromide
Synonym: VUF 9153
MF: $C_{14}H_{17}ClN_4S \bullet 2HBr$
FW: 470.7
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

Clobenpropit (hydrobromide) is supplied as a crystalline solid. A stock solution may be made by dissolving the clobenpropit (hydrobromide) in the solvent of choice, which should be purged with an inert gas. Clobenpropit (hydrobromide) is soluble in organic solvents such as ethanol and DMSO. It is also soluble in water. The solubility of clobenpropit (hydrobromide) in ethanol, DMSO, and water is approximately 2.5, 30, and 20 mg/ml, respectively. We do not recommend storing the aqueous solution for more than one day.

Description

Clobenpropit is a selective histamine H_3 receptor antagonist (K_i = 0.17 nM in rat cortical membranes).[1] Clobenpropit (0.3, 0.1, and 3 nM) reduces inhibition of electrically induced contractions in isolated guinea pig ileum longitudinal muscle by the H_3 receptor agonist (R)-α-methylhistamine (Item No. 25601). It does not inhibit histamine-induced contractions in isolated guinea pig ileum or tachycardia in isolated right atria at concentrations up to 1 µM, indicating a lack of functional antagonist activity at H_1 and H_2 receptors, respectively. Clobenpropit (1 and 3 mg/kg) decreases the duration of the tonic, clonic, and convulsive coma phases of electrically induced convulsions in mice, an effect that can be blocked by (R)-α-methylhistamine or imetit (Item No. 29517).[2] Clobenpropit (0.1 µM) also increases GABA release and inhibits NMDA-induced neurotoxicity in primary rat cortical neurons.[3]

References

1. Solt, L.A., Kumar, N., Nuhant, P., *et al.* Suppression of T_H17 differentiation and autoimmunity by a synthetic ROR ligand. *Nature* **472(7344)**, 491-494 (2011).
2. Yokoyama, H., Onodera, K., Maeyama, K., *et al.* Clobenpropit (VUF-9153), a new histamine H_3 receptor antagonist, inhibits electrically induced convulsions in mice. *Eur. J. Pharmacol.* **260(1)**, 23-28 (1994).
3. Dai, H., Fu, Q., Shen, Y., *et al.* The histamine H_3 receptor antagonist clobenpropit enhances GABA release to protect against NMDA-induced excitotoxicity through the cAMP/protein kinase A pathway in cultured cortical neurons. *Eur. J. PHarmacol.* **563(1-3)**, 117-123 (2007).

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