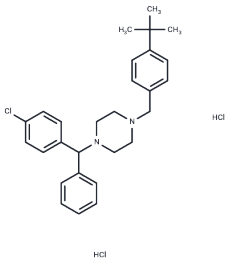
Data Sheet (Cat.No.T6426)

TargetMol
YOUR TARGET MOLECULES

Buclizine dihydrochloride

Chemical Properties



CAS No. : 129-74-8

Formula: C28H33ClN2·2HCl

Molecular Weight: 505.95

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Buclizine dihydrochloride (Buclina) is the hydrochloride salt form of buclizine, a piperazine histamine H1 receptor antagonist with primarily antiemetic and antivertigo activities. Buclizine dihydrochloride binds to and blocks the histamine H1 receptor, thereby preventing the symptoms that are caused by histamine activity. Buclizine dihydrochloride exerts its anti-emetic effect by binding to and blocking the muscarinic and histamine receptors in the vomiting center of the central nervous system (CNS). This may prevent activation of the chemoreceptor trigger zone (CTZ) and may reduce nausea and vomiting.
Targets(IC50)	5-HT Receptor,AChR,Histamine Receptor
In vitro	Buclizine hydrochloride, a piperazine derivative, is a sedating antihistamine with antimuscarinic and moderate sedative action. Buclizine is used in the prevention and treatment of nausea, vomiting, and dizziness associated with motion sickness. Additionally, it has been used in the management of vertigo in diseases affecting the vestibular apparatus. Although the mechanism by which buclizine exerts its antiemetic and antivertigo effects has not been fully elucidated, its central anticholinergic properties are partially responsible. The drug depresses labyrinth excitability and vestibular stimulation, and it may affect the medullary chemoreceptor trigger zone. It also possesses anticholinergic, antihistaminic, central nervous system depressant, and local anesthetic effects. [1]
Kinase Assay	Topoisomerase I Catalytic Actioity Assay [1]: Topoisomerase I Catalytic Actioity Assay: The enzymatic activity is analyzed by the DNA unwinding assay. DNA topoisomerase I, from TopoGEN (1 unit, which is defined as the amount of enzyme that converts 0.5 μg of superhelical DNA to the relaxed state in 30 minutes at 37 °C), is incubated with 0.5 μg of 6x174 RF DNA, in the presence or absence of Beta-Lapachone, in 20 μL of relaxation buffer (50 mM Tris (pH 7.5). 50 mM KCl, 10 mM MgCl2, 0.5 mM dithiothreitol, 0.5 mM EDTA, 30 μg/mL bovine serum albumin) for 30 minutes at 37 °C. Reactions are stopped by adding 1% SDS and proteinase K (50 μg/mL). After an additional 1-hour incubation at 37 °C, the products are separated by electrophoresis in 1% agarose gel in TAE buffer (0.04 M tris acetate, 0.001 M EDTA). The gel is stained with ethidium bromide after electrophoresis. The photographic negative is scanned with an NIH image analysis system.

Solubility Information

Solubility	DMSO: 11 mg/mL (21.74 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9765 mL	9.8824 mL	19.7648 mL
5 mM	0.3953 mL	1.9765 mL	3.953 mL
10 mM	0.1976 mL	0.9882 mL	1.9765 mL
50 mM	0.0395 mL	0.1976 mL	0.3953 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Mostafa GA, et al. Profiles Drug Subst Excip Relat Methodol, 2011, 36:1-33.