Buclizine dihydrochloride

Cat. No.: HY-A0128A

CAS No.: 129-74-8

Molecular Formula: $C_{28}H_{35}Cl_3N_2$

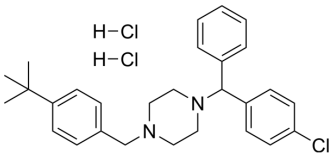
Molecular Weight: 505.95

Target: Histamine Receptor

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 2.5 mg/mL (4.94 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9765 mL	9.8824 mL	19.7648 mL
	5 mM	---	---	---
	10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Buclizine dihydrochloride is an orally active antihistamine antiallergic compound. Buclizine dihydrochloride is a potent teratogen in the rat and shows anti-tumor activity[1][2][3].

In Vitro

Buclizine (0.1-100 μM; 72 h) inhibits growth of MCF-7 cells[2].
Buclizine (9.625-77 μM; 72 h) arrests the cell cycle in the G1 phase in a dose-dependent manner[2].
Buclizine (0-75 μM; 72 h) decreases TCTP (translationally controlled tumor protein) and cell cycle regulatory proteins expression in MCF-7 cells, increases pro-apoptotic MCL-1S expression[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2].

Cell Line:	MCF-7 cells[3].
Concentration:	0-100 μM.
Incubation Time:	72 hours
Result:	Showed considerable growth inhibition (IC_{50}=19.18 μM).

Cell Cycle Analysis[2].

Cell Line:	MCF-7 cells
Concentration:	9.625, 19.25, 38.5, and 77 μM
Incubation Time:	72 hours
Result:	Increased the percentages of cells in the G1 phase to 73% at 77 μM.

Western Blot Analysis[2].

Cell Line:	MCF-7 cells
Concentration:	0-75 μM
Incubation Time:	72 hours
Result:	Decreased TCTP expression by 40% at 75 μM. Decreased cyclin D1, cyclin D3, CDK2 and CDK4 expression after 72 h.

In Vivo

Buclizine dihydrochloride (30-200 mg/kg; tenth to fifteenth and twelfth to fifteenth days of gestation) shows potent teratogens in the rat[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Eighty-seven mature female rats weighing 240±20 grams[3].
Dosage:	30, 40, 60, 100, and 200 mg/kg
Administration:	30-200 mg/kg; tenth to fifteenth and twelfth to fifteenth days of gestation
Result:	Resulted in malformations in 100% of the young at a dose level of 60-100 mg/kg.

REFERENCES

[1]. Gamal A E Mostafa, et al. Buclizine. Profiles Drug Subst Excip Relat Methodol. 2011;36:1-33.

[2]. Ean-Jeong Seo, et al. Interaction of antihistaminic drugs with human translationally controlled tumor protein (TCTP) as novel approach for differentiation therapy. Oncotarget. 2016 Mar 29;7(13):16818-39.

[3]. C T King, et al. Teratogenic effect of buclizine and hydroxyzine in the rat and chlorcyclizine in the mouse. Am J Obstet Gynecol. 1966 May 1;95(1):109-11.

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