Perphenazine dihydrochloride

Cat. No.: HY-A0077A

CAS No.: 2015-28-3

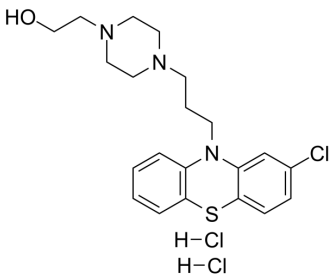
Molecular Formula: $C_{21}H_{28}Cl_3N_3OS$

Molecular Weight: 476.89

Target: Dopamine Receptor; Histamine Receptor; 5-HT Receptor; Adrenergic Receptor; Apoptosis; Autophagy

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

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Perphenazine dihydrochloride is an orally active dopamine receptor and histamine-1 receptor antagonist, with K_i values of 0.56 nM (D2), 0.43 nM (D3), 6 nM (5-HT2A), respectively. Perphenazine dihydrochloride also binds to Alpha-1A adrenergic receptor. Perphenazine dihydrochloride inhibits cancer cell proliferation, and induces apoptosis. Perphenazine dihydrochloride can be used in the research of mental disease, cancer, inflammation[1][3][5].

IC_{50} & Target

D_2 Receptor 0.56 nM (Ki)	D_3 Receptor 0.43 nM (Ki)	D_4 Receptor 28.5 nM (Ki)	5-HT_{2A} Receptor 5.6 nM (Ki)
5-HT_6 Receptor 17 nM (Ki)	5-HT_7 Receptor 23 nM (Ki)	5-HT_{2C} Receptor 132 nM (Ki)	5-HT_{1A} Receptor 421 nM (Ki)

In Vitro

Perphenazine (40 μM, 48 h) dihydrochloride inhibits cell viability, and induces cell apoptosis mediated by CTSD (Cathepsin D) in L02 cells[2].

Perphenazine (30 μM, 24 h) dihydrochloride induces intense lysosome vacuolation, impaired lysosomal membrane, and induces lysosomal membrane permeabilization (LMP), ultimately triggering lysosomal cell death in L02 cells[2].

Perphenazine (10-40 μM, 24 h) dihydrochloride inhibits autophagic flux in L02 cells[2].

Perphenazine (1 μM, 24 h) dihydrochloride decreases glioblastoma U-87 MG cell migration and invasion[4].

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

Cell Viability Assay[2]

Cell Line:	L02 cells
Concentration:	10-100 μM
Incubation Time:	12, 24, 48 h
Result:	Inhibited cell viability in a concentration and time-dependent manner.

Western Blot Analysis[2]

Cell Line:	L02 cells

Concentration:	10, 20, 30, and 40 μM
Incubation Time:	24 h
Result:	Increased LC3 I/II and P62/SQSTM1 levels

Cell Migration Assay [4]

Cell Line:	U-87 MG cells
Concentration:	0, 3, 6, 9, 12, and 24 h
Incubation Time:	0, 3, 6, 9, 12, and 24 h
Result:	Increased the wound closure in human glioblastoma cell cultures from 24.6 to 62.7%.

In Vivo

Perphenazine (oral gavage, 180 mg/kg, every other day for 21 days) dihydrochloride induces liver injury and lysosomal membrane damage in ICR mice[2].
Perphenazine (oral administration, 10 mg/kg, every other day for 6 days) dihydrochloride attenuates morphological phenotype in mouse models of Th2-type allergic dermatitis[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	ICR mice[2]
Dosage:	10, 30, 60, 120, 180 mg/kg
Administration:	Oral gavage, every other day for 21 days.
Result:	Increased histological injury and aminotransferases compared with control.

Animal Model:	Oxazolone-treated animal model of dermatitis[3]
Dosage:	10 mg/kg
Administration:	Oral administration, every other day for 6 days
Result:	Decreased The levels of mice ear swelling.

CUSTOMER VALIDATION

- Toxicol Lett. 29 July 2022.

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REFERENCES

[1]. Richtand NM, et al. Dopamine and serotonin receptor binding and antipsychotic efficacy. Neuropsychopharmacology. 2007 Aug;32(8):1715-26.

[2]. Lei Tao, et al. Lysosomal membrane permeabilization mediated apoptosis involve in perphenazine-induced hepatotoxicity in vitro and in vivo. Toxicol Lett. 2022 Jul 29;367:76-87.

[3]. Min-Jeong Heo, et al. Perphenazine Attenuates the Pro-Inflammatory Responses in Mouse Models of Th2-Type Allergic Dermatitis. Int J Mol Sci. 2020 May 3;21(9):3241.

[4]. Michał Otręba, et al. Perphenazine and prochlorperazine decrease glioblastoma U-87 MG cell migration and invasion: Analysis of the ABCB1 and ABCG2 transporters, E-cadherin, α-tubulin and integrins (α3, α5, and β1) levels. Oncol Lett. 2022 Jun;23(6):182.

[5]. Michał Otręba, et al. n vitro anticancer activity of fluphenazine, perphenazine and prochlorperazine. A review. J Appl Toxicol. 2021 Jan;41(1):82-94.

Caution: Product has not been fully validated for medical applications. For research use only.

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