Perphenazine

Cat. No.: HY-A0077

CAS No.: 58-39-9

Molecular Formula: $C_{21}H_{26}ClN_3OS$

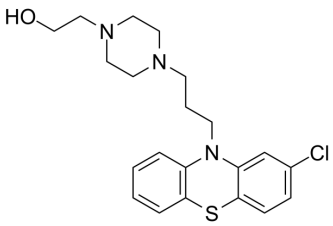
Molecular Weight: 403.97

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

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

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (247.54 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4754 mL	12.3772 mL	24.7543 mL
5 mM	0.4951 mL	2.4754 mL	4.9509 mL
10 mM	0.2475 mL	1.2377 mL	2.4754 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.19 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Perphenazine 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 also binds to Alpha-1A adrenergic receptor. Perphenazine inhibits cancer cell proliferation, and induces apoptosis. Perphenazine can be used in the research of mental disease, cancer, inflammation [1][3][5].

IC_{50} & Target	D_2 Receptor	D_3 Receptor	D_4 Receptor	5-HT_{2A} Receptor

	0.56 nM (Ki)	0.43 nM (Ki)	28.5 nM (Ki)	5.6 nM (Ki)
	5-HT_6 Receptor 17 nM (Ki)	5-HT_7 Receptor 23 nM (Ki)	H_2 Receptor 132 nM (Ki)	5-HT_{1A} Receptor 421 nM (Ki)

In Vitro

Perphenazine (40 μM, 48 h) inhibits cell viability, and induces cell apoptosis mediated by CTSD (Cathepsin D) in L02 cells[2].
Perphenazine (30 μM, 24 h) 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) inhibits autophagic flux in L02 cells[2].
Perphenazine (1 μM, 24 h) 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:	1 μM
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) induces liver injury and lysosomal membrane damage in ICR mice[2].
Perphenazine (oral administration, 10 mg/kg, every other day for 6 days) 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]. 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.

[2]. 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.

[3]. 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.

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

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

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