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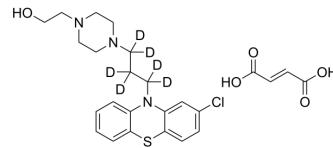
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Perphenazine-d₆ fumarate

Cat. No.:	HY-A0077S2
CAS No.:	1261432-36-3
Molecular Formula:	C ₂₅ H ₂₄ D ₆ ClN ₃ O ₅ S
Molecular Weight:	526.08
Target:	Histamine Receptor; Autophagy; Adrenergic Receptor; Apoptosis; Dopamine Receptor; 5-HT Receptor; Isotope-Labeled Compounds
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Autophagy; Apoptosis; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Perphenazine-d6 (fumarate) is a deuterated labeled Perphenazine ^[1] . 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 ^{[2][4][6]} .
In Vitro	<p>Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs^[1].</p> <p>Perphenazine (40 μM, 48 h) inhibits cell viability, and induces cell apoptosis mediated by CTSD (Cathepsin D) in L02 cells^[3].</p> <p>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^[3].</p> <p>Perphenazine (10-40 μM, 24 h) inhibits autophagic flux in L02 cells^[3].</p> <p>Perphenazine (1 μM, 24 h) decreases glioblastoma U-87 MG cell migration and invasion^[5].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>Perphenazine (oral gavage, 180 mg/kg, every other day for 21 days) induces liver injury and lysosomal membrane damage in ICR mice^[3].</p> <p>Perphenazine (oral administration, 10 mg/kg, every other day for 6 days) attenuates morphological phenotype in mouse models of Th2-type allergic dermatitis^[4].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

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]. Michal 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 ($\alpha 3$, $\alpha 5$, and $\beta 1$) 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.

[6]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. *Ann Pharmacother.* 2019 Feb;53(2):211-216.

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

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