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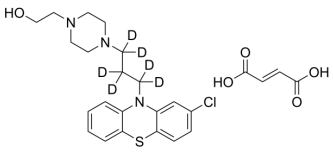
Perphenazine-d_6 fumarate

Cat. No.:	HY-A0077S2
CAS No.:	1261432-36-3
Molecular Formula:	$C_{25}H_{24}D_6ClN_3O_5S$
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	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]. Perphenazine (40 μM, 48 h) inhibits cell viability, and induces cell apoptosis mediated by CTSD (Cathepsin D) in L02 cells[3]. 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]. Perphenazine (10-40 μM, 24 h) inhibits autophagic flux in L02 cells[3]. Perphenazine (1 μM, 24 h) decreases glioblastoma U-87 MG cell migration and invasion[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Perphenazine (oral gavage, 180 mg/kg, every other day for 21 days) induces liver injury and lysosomal membrane damage in ICR mice[3]. Perphenazine (oral administration, 10 mg/kg, every other day for 6 days) attenuates morphological phenotype in mouse models of Th2-type allergic dermatitis[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

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[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-

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

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