Fenspiride hydrochloride

Cat. No.: HY-A0027

CAS No.: 5053-08-7

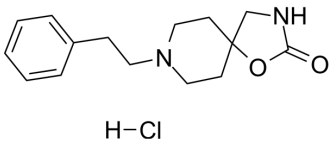
Molecular Formula: $C_{15}H_{21}ClN_2O_2$

Molecular Weight: 296.79

Target: Histamine Receptor; Phosphodiesterase (PDE)

Pathway: GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Metabolic Enzyme/Protease

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

H_2O : 50 mg/mL (168.47 mM; Need ultrasonic)
DMSO : 33.33 mg/mL (112.30 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3694 mL	16.8469 mL	33.6939 mL
	5 mM	0.6739 mL	3.3694 mL	6.7388 mL
	10 mM	0.3369 mL	1.6847 mL	3.3694 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 120 mg/mL (404.33 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (9.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (9.27 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (9.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fenspiride, an orally active non-steroidal antiinflammatory agent, is an antagonist of H1-histamine receptor. Fenspiride inhibites phosphodiesterase 3 (PDE3), phosphodiesterase 4 (PDE4) and phosphodiesterase 5 (PDE5) activities with -log IC_{50} values of 3.44, 4.16 and approximately 3.8, respectively. Fenspiride can be used for the research of respiratory diseases[1][2][3].

IC_{50} & Target	H_1 Receptor	PDE3	PDE4	PDE5

In Vitro

Fenspiride (around 100 μM) inhibits histamine-induced contraction of isolated guinea pig trachea[2].
Fenspiride (≤1000 μM) produces less than 25% inhibition of phosphodiesterase 1 and phosphodiesterase 2 activities[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Fenspiride (60 mg/kg; p.o. for 3 days) reduces the lipopolysaccharide-induced early rise of tumor necrosis factor concentrations in serum and in the bronchoalveolar lavage fluid (BALF) of the model of endotoxemia[3].
Fenspiride (60 mg/kg; p.o. for 3 days) reduces the lipopolysaccharide-induced primed stimulation of alveolar macrophages [3].
Fenspiride (60 mg/kg; p.o. for 3 days) reduces the increased serum concentrations of extracellular type II phospholipase A 2, the intensity of the neutrophilic alveolar invasion and the lethality due to the lipopolysaccharide[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Lipopolysaccharide-treated Male Dunkin-Hartley guinea-pigs weighing 400-600 g[3]
Dosage:	60 mg/kg
Administration:	Orally for 3 days; pretreated
Result:	Reduced the lipopolysaccharide-induced early rise of tumor necrosis factor concentrations in serum (4.2 vs. 2.3 ng/ml) and in the BALF (55.7 vs. 19.7 ng/ml). Reduced the lipopolysaccharide-induced primed stimulation of alveolar macrophages, (1551.5 vs 771.5 pg/μg protein, $P<0.05$ for thromboxane B_2 and 12.6 vs. 3.6 pg/μg protein, $P<0.05$ for leukotriene C4). Reduced the increased serum concentrations of extracellular type II phospholipase A 2 (3.9 vs. 1.2 nmol/ml per min), the intensity of the neutrophilic alveolar invasion and the lethality due to the lipopolysaccharide.

REFERENCES

[1]. Matuszewska A, et al. Long-term administration of fenspiride has no negative impact on bone mineral density and bone turnover in young growing rats. Adv Clin Exp Med. 2019 Jun;28(6):771-776.

[2]. Cortijo J, et al. Effects of fenspiride on human bronchial cyclic nucleotide phosphodiesterase isoenzymes: functional and biochemical study. Eur J Pharmacol. 1998 Jan 2;341(1):79-86.

[3]. De Castro CM, et al. Fenspiride: an anti-inflammatory drug with potential benefits in the treatment of endotoxemia. Eur J Pharmacol. 1995 Dec 29;294(2-3):669-76.

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