Spiperone hydrochloride

Cat. No.: HY-B1371A

CAS No.: 2022-29-9

Molecular Formula: $C_{23}H_{27}ClFN_3O_2$

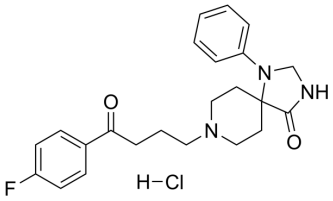
Molecular Weight: 431.93

Target: Dopamine Receptor; 5-HT Receptor; Adrenergic Receptor; Chloride Channel

Pathway: GPCR/G Protein; Neuronal Signaling; Membrane Transporter/Ion Channel

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

DMSO : 125 mg/mL (289.40 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3152 mL	11.5759 mL	23.1519 mL
	5 mM	0.4630 mL	2.3152 mL	4.6304 mL
	10 mM	0.2315 mL	1.1576 mL	2.3152 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: ≥ 2.08 mg/mL (4.82 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.82 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Spiperone hydrochloride (Spiroperidol hydrochloride) is a selective dopamine D_2 receptor (K_i values of 0.06 nM, 0.6 nM, 0.08 nM, ~350 nM, ~3500 nM for D_2, D_3, D_4, D_1 and D_5 receptors, respectively) and 5-HT_{2A}/5-HT_{1A} receptor (K_is of 1 nM/49 nM) antagonist. Spiperone hydrochloride is also a selective α1B-adrenoceptor antagonist. Spiperone hydrochloride activates calcium-activated chloride channel (CaCC). Antipsychotic and anti-inflammatory activities[1][2][3][4][5].

IC_{50} & Target				
	D_2 Receptor 0.06 nM (Ki)	D_3 Receptor	D_4 Receptor	5-HT_{2A} Receptor 1 nM (Ki)
	5-HT_{1A} Receptor	α1B-adrenoceptor	Calcium-activated chloride	D_1 Receptor

	49 nM (Ki)		channel	~350 nM (Ki)
	D_3 Receptor 0.6 nM (Ki)	D_4 Receptor 0.08 nM (Ki)	D_5 Receptor ~3500 nM (Ki)	

In Vitro

Spiperone is a potent intracellular Ca^{2+} enhancer (EC_{50}=9.3 μM) and stimulates intracellular Ca^{2+} through a protein tyrosine kinase-coupled phospholipase C-dependent pathway, which results in increased secretion of Cl^- in Calu-3 and CFBE41o$^-$ cell monolayers[2].

Spiperone significantly decreases the production of nitric oxide in lipopolysaccharide-stimulated BV-2 microglia cells, primary microglia and primary astrocyte cultures. Spiperone also significantly inhibits nitric oxide production in ATP-stimulated primary microglia cultures. Spiperone markedly decreases the production of TNF-α in BV-2 microglia cells. Spiperone attenuates the expression of inducible nitric oxide synthase and proinflammatory cytokines such as IL-1β and TNF-α at mRNA levels in BV-2 microglia cells[3].

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

In Vivo

Spiperone (1.5 mg/kg; intraperitoneal injection; on days 1, 3, 6, 7, and 13-21; C57Bl/6 mice) treatment reduces infiltration of the alveolar interstitium and alveolar ducts with inflammatory cells and prevents the growth of the connective tissue in the parenchyma of Bleomycin lungs[6].

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

Animal Model:	C57Bl/6 mice (7-8-week-old) induced pulmonary fibrosis by Bleomycin[6]
Dosage:	1.5 mg/kg
Administration:	Intraperitoneal injection; on days 1, 3, 6, 7, and 13-21
Result:	Reduced infiltration of the alveolar interstitium and alveolar ducts with inflammatory cells and prevented the growth of the connective tissue in the parenchyma of bleomycin lungs.

REFERENCES

[1]. P Seeman, et al. Dopamine receptor pharmacology. Trends Pharmacol Sci. 1994 Jul;15(7):264-70.

[2]. Lihua Liang, et al. Spiperone, identified through compound screening, activates calcium-dependent chloride secretion in the airway. Am J Physiol Cell Physiol. 2009 Jan;296(1):C131-41.

[3]. Long Tai Zheng, et al. The antipsychotic spiperone attenuates inflammatory response in cultured microglia via the reduction of proinflammatory cytokine expression and nitric oxide production. J Neurochem. 2008 Dec;107(5):1225-35.

[4]. Richard A Glennon, et al. Ketanserin and spiperone as templates for novel serotonin 5-HT(2A) antagonists. Curr Top Med Chem. 2002 Jun;2(6):539-58.

[5]. Andrea E Errasti, et al. Human umbilical vein vasoconstriction induced by epinephrine acting on alpha1B-adrenoceptor subtype. Am J Obstet Gynecol. 2003 Nov;189(5):1472-80.

[6]. E G Skurikhin, et al. Effect of spiperone on mesenchymal multipotent stromal and hemopoietic stem cells under conditions of pulmonary fibrosis. Bull Exp Biol Med. 2014 May;157(1):132-7.

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