Spiperone hydrochloride

Cat. No.: HY-B1371A

CAS No.: 2022-29-9

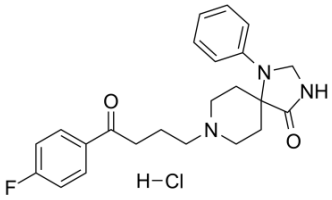
Molecular Formula: $C_{23}H_{27}ClFN_3O_2$

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: Please store the product under the recommended conditions in the Certificate of Analysis.



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)	5-HT_{2A} Receptor 1 nM (Ki)	5-HT_{1A} Receptor 49 nM (Ki)	α1B-adrenoceptor
Calcium-activated chloride channel	D_1 Receptor ~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.

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[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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA