Risperidone

Cat. No.: HY-11018

CAS No.: 106266-06-2

Molecular Formula: $C_{23}H_{27}FN_4O_2$

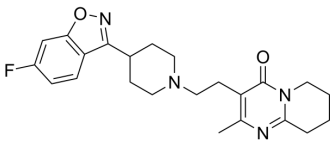
Molecular Weight: 410.48

Target: 5-HT Receptor; Dopamine Receptor; P-glycoprotein

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (24.36 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4362 mL	12.1809 mL	24.3617 mL
	5 mM	0.4872 mL	2.4362 mL	4.8723 mL
	10 mM	0.2436 mL	1.2181 mL	2.4362 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: ≥ 1 mg/mL (2.44 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (2.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (2.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Risperidone is a serotonin 5-HT_2 receptor blocker, P-Glycoprotein inhibitor and potent dopamine D_2 receptor antagonist, with K_is of 4.8, 5.9 nM for 5-HT_{2A} and dopamine D_2 receptor, respectively.

IC_{50} & Target

D_2 Receptor	5-HT_{2A} Receptor	P-Glycoprotein
5.9 nM (Ki)	4.8 nM (Ki)	

In Vitro

Risperidone is a serotonin 5-HT_2 receptor blocker, P-Glycoprotein inhibitor and potent dopamine D_2 receptor antagonist,

	with K_is of 4.8, 5.9 nM for 5-HT_{2A} and dopamine D_2 receptor, respectively. Risperidone dose-dependently inhibited the release of IL-12 in mature DCs, while the production of IL-10 is dose-dependently increased by Risperidone. A high dose of risperidone can induce TNF-α release from mature DCs[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In the first experiment, body weight is found to be slightly but significantly lower in the Risperidone-treated rats as a function of age. Similar to the first experiment, age-dependent differences in body weight are also observed between the three treatment groups in the second locomotor experiment. Rats treated with the 3.0 mg/kg dose of Risperidone weigh less than vehicle-treated rats on postnatal days 35, 38, and 41. The third locomotor experiment involves larger, mixed-sex litters in contrast to the smaller, single-sex litters used in the first two experiments. As noted for the first two experiments, rats treated with Risperidone in the third experiment gain less weight in an age-dependent manner[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [4]	Rats[4] A total of 211 Long-Evans rats (56 females and 155 males) are used. Within each study, three groups of roughly equal numbers of rats receive injections of 1.0 mg/kg of Risperidone, 3.0 mg/kg of Risperidone, or the vehicle used for the Risperidone solution as a control. In the first experiment, twenty-six male rats (n=9 in the vehicle and 3.0 mg/kg Risperidone groups; n=8 in the 1.0 mg/kg Risperidone group) are tested for locomotor activity for 20 minutes a day beginning at postnatal day 49 and continuing daily until postnatal day 53. A second experiment determined if the locomotor effects of early-life Risperidone treatment persisted well into adulthood. A third experiment ascertains the effects of sex on the locomotor effects of early-life Risperidone seen in young adult rats. In this experiment, sixty male (n=20 per treatment group) and 56 female (n=19 rats in the vehicle and 3.0 mg/kg dose group, n=18 in the 1.0 mg/kg dose group) rats are treated. A fourth experiment assessed reversal learning during adulthood in rats administered earlylife risperidone. Forty-two male rats (n=14 per treatment group) are treated[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int J Nanomedicine. 2023 Feb 10.
- J Med Chem. 2021 Mar 11;64(5):2725-2738.
- Front Pharmacol. 2023 Apr 21;14:1161964.
- Eur J Pharm Sci. 2023 May 22;106475.

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REFERENCES

[1]. Nyberg S, et al. 5-HT2 and D2 dopamine receptor occupancy in the living human brain. A PET study with risperidone. Psychopharmacology (Berl). 1993;110(3):265-72.

[2]. Zhu HJ, et al. Risperidone and paliperidone inhibit p-glycoprotein activity in vitro. Neuropsychopharmacology. 2007 Apr;32(4):757-64.

[3]. Chen ML, et al. Risperidone modulates the cytokine and chemokine release of dendritic cells and induces TNF-α-directed cell apoptosis in neutrophils. Int Immunopharmacol. 2012 Jan;12(1):197-204.

[4]. Bardgett ME, et al. Adult rats treated with risperidone during development are hyperactive. Exp Clin Psychopharmacol. 2013 Jun;21(3):259-67.

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

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