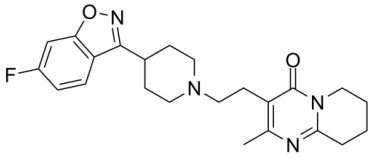
Data Sheet

Product Name:	Risperidone
Cat. No.:	CS-1619
CAS No.:	106266-06-2
Molecular Formula:	C23H27FN4O2
Molecular Weight:	410.48
Target:	5-HT Receptor; Dopamine Receptor; P-glycoprotein
Pathway:	GPCR/G Protein; Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	DMSO : 10 mg/mL (24.36 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Risperidone is a serotonin **5-HT$_2$ receptor** blocker, **P-Glycoprotein** inhibitor and potent **dopamine D$_2$ receptor** antagonist, with **K$_i$s** of 4.8, 5.9 nM for 5-HT$_{2A}$ and dopamine D$_2$ receptor, respectively. IC50 & Target: Ki: 4.8 nM (5-HT$_{2A}$ receptor); 5.9 nM (dopamine D$_2$ receptor), P-Glycoprotein[1][2]. **In Vitro:** Risperidone is a serotonin 5-HT$_2$ receptor blocker, P-Glycoprotein inhibitor and potent dopamine D$_2$ receptor antagonist, with K$_i$s 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]. **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].

PROTOCOL (Extracted from published papers and Only for reference)

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].

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.

CAIndexNames:

4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-

SMILES:

O=C1C(CCN2CCC(C3=NOC4=C3C=CC(F)=C4)CC2)=C(C)N=C5N1CCCC5

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