

Product Data Sheet

Product Name: Risperidone mesylate

Cat. No.: GC37540

Chemical Properties

Cas. No. 666179-96-0

SMILES CS(=O)(O)=O.FC1=CC(ON=C2C3CCN(CCC(C(N(CCCC4)C4=N5)=O)=C5C)CC3)=C2C=C1

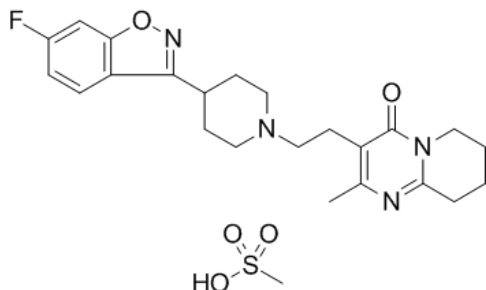
Formula $C_{24}H_{31}FN_4O_5S$ M.Wt 506.59

Solubility Soluble in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

Risperidone mesylate (R 64 766 mesylate) is a serotonin 5-HT₂ receptor blocker (K_i = 0.16 nM) and a potent dopamine D₂ receptor antagonist (K_i = 1.4 nM). IC₅₀ Value: 0.16 nM (K_i for 5-HT₂ receptor); 1.4 nM (K_i for dopamine D₂ receptor) [1]. Target: 5-HT₂ receptor; D₂ receptor. Risperidone is an atypical antipsychotic drug which is mainly used to treat schizophrenia (including adolescent schizophrenia) and schizoaffective disorder. Risperidone has excellent oral activity, a rapid onset, and a 24-h duration of action. In vitro: Risperidone is serotonin 5-HT₂ receptor blockade as shown by

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displacement of radioligand binding (K_i : 0.16 nM), activity on isolated tissues (EC_{50} : 0.5 nM). Risperidone is also a potent dopamine D2 receptor antagonist as indicated by displacement of radioligand binding (K_i : 1.4 nM), activity in isolated striatal slices (IC_{50} : 0.89 nM) [1]. Risperidone increased the production of IL-10 and MDC as well as the proinflammatory cytokines, such as IL-6, IL-8, and TNF- α , but decreased the production of IP-10 and IL-12. Furthermore, the exposure of DCs to risperidone led to lower IFN- γ production by T-cells [2]. *in vivo*: Risperidone has the antagonism of peripherally (ED₅₀: 0.0011 mg/kg) and centrally (ED₅₀: 0.014 mg/kg) acting 5-HT₂ receptor agonists in rats and antagonism of peripherally (ED₅₀: 0.0057 mg/kg in dogs) and centrally acting D2 receptor agonists (ED₅₀: 0.056-0.15 mg/kg in rats) [1]. Long-Evans rats received daily subcutaneous injections of vehicle or 1 of 2 doses of risperidone (1.0 and 3.0 mg/kg per day) from postnatal Days 14 to 42. Weight gain during development was slightly yet significantly reduced in risperidone-treated rats. In the first 2 experiments, early-life risperidone administration was associated with increased locomotor activity at 1 week postadministration through approximately 9 months of age, independent of changes in weight gain [3]. **Toxicity:** The changes in the reproductive system (uterus, ovary, vagina, cervix, and mammary gland) were considered secondary to the prolactin elevation, and the congestion of spleen was related to risperidone [4].

[1]. Zhu HJ, et al. Risperidone and paliperidone inhibit p-glycoprotein activity *in vitro*. *Neuropsychopharmacology*. 2007 Apr;32(4):757-64. [2]. 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. [3]. Bardgett ME, et al. Adult rats treated with risperidone during development are hyperactive. *Exp Clin Psychopharmacol*. 2013 Jun;21(3):259-67. [4]. Tian J, et al. A 12-week intramuscular toxicity study of risperidone-loaded microspheres in Beagle dogs. *Hum Exp Toxicol*. 2013 Aug 7.

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