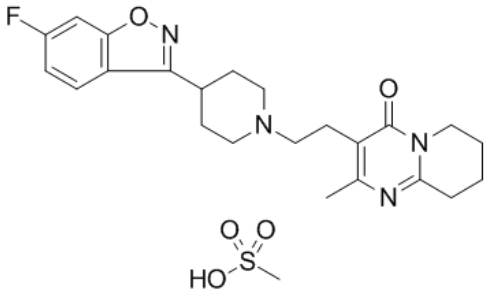
Product Data Sheet

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(Ki= 0.16 nM) and a potent dopamine D2 receptor antagonist(Ki= 1.4 nM). IC50 Value: 0.16 nM (Ki for 5-HT2 receptor); 1.4 nM (Ki for dopamine D2 receptor) [1]Target: 5-HT2 receptor; D2 receptorRisperidone 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

displacement of radioligand binding (Ki: 0.16 nM), activity on isolated tissues (EC50: 0.5 nM). Risperidone is also a potent dopamine D2 receptor antagonist as indicated by displacement of radioligand binding (Ki: 1.4 nM), activity in isolated striatal slices (IC50: 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-treatedrats. 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 cellapoptosis 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.