Product Data Sheet

Product Name: Abaperidone
Cat. No.: GC31055

Chemical Properties

Cas. No.	183849-43-6		
SMILES	O=C1C(CO)=COC2=CC(OCCCN3CCC(C4=NOC5=C4C=CC(F)=C5)CC3)=CC=C12		
Formula	$C_{25}H_{25}FN_2O_5$	M.Wt	452.47
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Abaperidone		

Protocol

Kinase experiment:	Receptor binding assays are performed by inhibition of radioligand binding according to reported procedures for D1, D2, D3, D4, 15a5-HT1A, 5-HT2A, α1, α2, β, muscarinic, and σ receptors. IC50 values are calculated from concentration−response curves at 11 different concentrations of the test compound, each done in duplicate[1].

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Animal experiment:

Rats[1] Sprague-Dawley rats (220-240 g) are assigned to 8 groups of 5 animals each, and are orally dosed with either Abaperidone (5 mg/kg/day), Haloperidol (5 mg/kg/day), Risperidone (5 mg/kg/day), or the vehicle for 1 or 3 consecutive days. The animals are killed by decapitation 3 h after last dosing, blood samples of 2 mL are collected, and prolactin levels are determined by means of an EIA kit from Amersham.

References:

[1]. Bolós J, et al. 7-[3-(1-piperidinyl)propoxy]chromenones as potential atypical antipsychotics. 2. Pharmacological profile of 7-[3-[4-(6-fluoro-1, 2-benzisoxazol-3-yl)-piperidin-1-yl]propoxy]-3-(hydroxymeth yl)chromen -4-one (abaperidone, FI-8602). J Med Chem. 1998 Dec 31;41(27):5402-9.

Background

Abaperidone is a potent antagonist of 5-HT2A receptor and dopamine D2 receptor with IC50s of 6.2 and 17 nM.

Abaperidone possesses good affinity for dopamine D2 receptors, together with a greater affinity for 5-HT2 receptors with IC50 of 17 and 6.2 nM, reaspectively[1].

The time course of the inhibition of climbing behavior for a period of several hours after oral administration of either 0.5 mg/kg of Abaperidone or risperidone is tested in mice. Also is included a comparative test of catalepsy induced by Abaperidone and risperidone along several hours following oral administration at several doses in rats. A somewhat lesser induction of catalepsy is observed for Abaperidone. A study of serum prolactin levels after

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oral administration of Abaperidone, haloperidol, and risperidone at 5 mg/kg for either 1 or 3 days in rats. Increases in prolactin levels after oral administration of Abaperidone are smaller than those for reference drugs[1].

[1]. Bolós J, et al. 7-[3-(1-piperidinyl)propoxy]chromenones as potential atypical antipsychotics. 2. Pharmacological profile of 7-[3-[4-(6-fluoro-1, 2-benzisoxazol-3-yl)-piperidin-1-yl]propoxy]-3-(hydroxymeth yl)chromen -4-one (abaperidone, FI-8602). J Med Chem. 1998 Dec 31;41(27):5402-9.