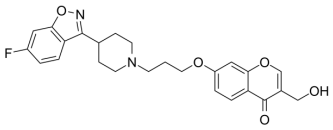
Abaperidone

Cat. No.:	HY-101619
CAS No.:	183849-43-6
Molecular Formula:	$C_{25}H_{25}FN_2O_5$
Molecular Weight:	452.47
Target:	Dopamine Receptor; 5-HT Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Abaperidone is a potent antagonist of 5-HT_{2A} receptor and dopamine D_2 receptor with IC_{50}s of 6.2 and 17 nM.	
IC_{50} & Target	D_2 Receptor 17 nM (IC_{50})	5-HT_{2A} Receptor 6.2 nM (IC_{50})
In Vitro	Abaperidone possesses good affinity for dopamine D_2 receptors, together with a greater affinity for 5-HT_2 receptors with IC_{50} of 17 and 6.2 nM, reaspectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	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 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

PROTOCOL

Kinase Assay [1]	Receptor binding assays are performed by inhibition of radioligand binding according to reported procedures for D_1, D_2, D_3, D_4, ^{15a}5-HT_{1A}, 5-HT_{2A}, α_1, α_2, β, muscarinic, and σ receptors. IC_{50} values are calculated from concentration−response curves at 11 different concentrations of the test compound, each done in duplicate[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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