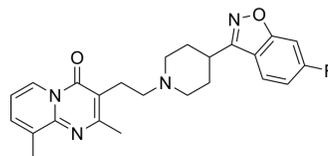


Ocaperidone

Cat. No.:	HY-101094		
CAS No.:	129029-23-8		
Molecular Formula:	C ₂₄ H ₂₅ N ₄ O ₂		
Molecular Weight:	420.48		
Target:	5-HT Receptor; Dopamine Receptor		
Pathway:	GPCR/G Protein; Neuronal Signaling		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 16.67 mg/mL (39.65 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.3782 mL	11.8912 mL	23.7823 mL
		5 mM	0.4756 mL	2.3782 mL	4.7565 mL
10 mM		0.2378 mL	1.1891 mL	2.3782 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 1.67 mg/mL (3.97 mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 1.67 mg/mL (3.97 mM); Suspended solution; Need ultrasonic				

BIOLOGICAL ACTIVITY

Description	Ocaperidone is an effective antipsychotic agent, acting as a potent 5-HT ₂ and dopamine D ₂ antagonist, and a 5-HT _{1A} agonist, with K _i s of 0.14 nM, 0.46 nM, 0.75 nM, 1.6 nM and 5.4 nM for 5-HT ₂ , α ₁ -adrenergic receptor, dopamine D ₂ , histamine H ₁ and α ₂ -adrenergic receptor, respectively, and a pEC ₅₀ and pK _i of 7.60 and 8.08 for h5-HT _{1A} .			
IC₅₀ & Target	5-HT ₂ Receptor 0.14 nM (K _i)	α ₁ -adrenergic receptor 0.46 nM (K _i)	D ₂ Receptor 0.75 nM (K _i)	Histamine H ₁ 1.6 nM (K _i)
	α ₂ -adrenergic receptor 5.4 nM (K _i)	5-HT _{1A} Receptor 7.6 (pEC ₅₀ , h5-HT _{1A})	5-HT _{1A} Receptor 8.08 (pK _i , h5-HT _{1A})	

In Vitro	<p>Ocaperidone has high affinity at 5-HT₂ and dopamine D₂, with K_is of 0.14 nM, 0.46 nM, 0.75 nM, 1.6 nM and 5.4 nM for 5HT₂, α₁-adrenergic, dopamine D₂, histamine H₁ and α₂-adrenergic, respectively^[1]. Ocaperidone shows 5-HT_{1A} receptor agonist activity, with a pEC₅₀ and pK_i of 7.60 and 8.08^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>Ocaperidone shows a potent occupation of 5HT₂ receptor via in vivo binding in the frontal cortex of rats with an ED₅₀ of 0.04 mg/kg, and 0.14-0.16 mg/kg for D₂ receptor in the striatum and the nucleus accumbens^[1]. Ocaperidone (R 79598) antagonizes dopamine D₂ and 5-HT₂, and shows a partial generalization to buspirone with an ED₅₀ of 0.163 mg/kg^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

PROTOCOL

Kinase Assay ^[3]	<p>The membranes are prepared from frozen HA7 cells. Cells are harvested in ice-cold Tris-HCl pH 7.4, homogenized and centrifuged at 40 000×g, 4°C for 10 min. The pellet is suspended in the same buffer and centrifuged again. After the second centrifugation, the pellet is suspended in an assay buffer consisting of pargyline (10 μM) and CaCl₂ (4 mM) in Tris-HCl (50 mM, pH 7.4). Membrane protein, 0.031-0.084 mg/tube, is incubated with [³H] 8-OH-DPAT (1 nM final concentration) and Ocaperidone at seven concentrations, for 30 min, room temperature. The reaction is terminated by filtration through Whatman filters, and radioactivity is counted by liquid scintillation spectrometry. The experiments are performed in triplicate. Data are analyzed using the non-linear curve fitting program EBDA/LIGAND. Results expressed as pK_i values are means of three determinations^[3].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
Animal Administration ^[1]	<p>Rats^[1]</p> <p>Male Wistar rats (200 g) are treated subcutaneously with various dosages (0.01-10 or 2.5-40 mg/kg) of Ocaperidone dissolved in saline (injection of 1 mL of drug solution/100 g of body weight) or with saline (control); 1 hr thereafter the rats receive 1 μg/kg (5-10 μCi) [³H]spiperone by intravenous injection in the tail vein. The rats are sacrificed by decapitation 1 hr after the [³H]spiperone injection; the striatum, the nucleus accumbens, the tuberculum olfactorium, the frontal cortex, and the cerebellum are immediately dissected. The tissues are cooled on ice, weighed, and dissolved in 10 mL of Instagel II, in plastic counting vials. After 48 hr the radioactivity is counted; data are expressed in dpm, using external standard counting and referring to a quenched standard curve. The counted radioactivity is converted to pg of [³H]spiperone/mg of tissue. Four to six animals are treated at each drug dosage. For each drug and brain area, the values are averaged and graphically plotted versus the logarithm of the drug dosages. On each graph, values measured in the cerebellum are plotted; labeling in the cerebellum is taken as an indication of nonspecific tissue labeling^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

CUSTOMER VALIDATION

- Acta Pharm Sin B. 2019 May;9(3):526-536.

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REFERENCES

- [1]. Leysen JE, et al. In vitro and in vivo receptor binding and effects on monoamine turnover in rat brain regions of the novel antipsychotics risperidone and ocaperidone. *Mol Pharmacol.* 1992 Mar;41(3):494-508.
- [2]. Cosi C, et al. Agonist, antagonist, and inverse agonist properties of antipsychotics at human recombinant 5-HT(1A) receptors expressed in HeLa cells. *Eur J Pharmacol.* 2001 Dec 14;433(1):55-62.

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Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA