

Product Data Sheet

Product Name: Ocaperidone (R79598)

Cat. No.: GC31173

Chemical Properties

Cas. No. 129029-23-8

SMILES O=C1C(CCN2CCC(C3=NOC4=C3C=CC(F)=C4)CC2)=C(C)N=C5N1C=CC=C5C

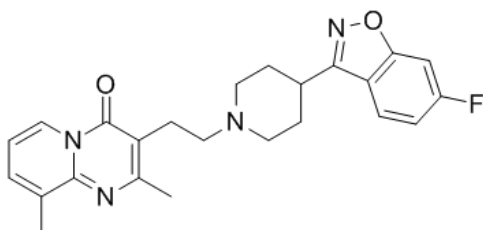
Formula $C_{24}H_{25}FN_4O_2$ M.Wt 420.48

Solubility DMSO : 16.67 mg/mL (39.65 mM; Storage Store at -20°C
Need ultrasonic)

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



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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**Kinase
experiment:**

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].

**Animal
experiment:**

Rats[1] 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].

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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.
- [3]. Rijnders HJ, et al. The discriminative stimulus properties of buspirone involve dopamine-2 receptor antagonist activity. Psychopharmacology (Berl). 1993;111(1):55-61.

Background

Ocaperidone is an effective antipsychotic agent, acting as a potent 5-HT₂ and dopamine D₂ antagonist, and a 5-HT_{1A} agonist, with K_is of 0.14 nM, 0.46 nM, 0.75 nM, 1.6 nM and 5.4 nM for 5-HT₂, α₁-adrenergic receptor, dopamine D₂, histamine H₁ and α₂-adrenergic receptor, respectively, and a pEC₅₀ and pK_i of 7.60 and 8.08 for h5-HT_{1A}.

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].

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 0.1 4-0.1 6 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].

- [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. [3]. Rijnders HJ, et al. The discriminative stimulus properties of buspirone involve dopamine-2 receptor antagonist activity. Psychopharmacology (Berl). 1993;111(1):55-61.

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