Paliperidone

Cat. No.: HY-A0019

CAS No.: 144598-75-4

Molecular Formula: $C_{23}H_{27}FN_4O_3$

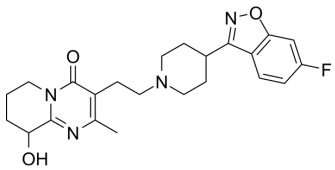
Molecular Weight: 426.48

Target: Dopamine Receptor; 5-HT Receptor; Adrenergic 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 : 5 mg/mL (11.72 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3448 mL	11.7239 mL	23.4478 mL
	5 mM	0.4690 mL	2.3448 mL	4.6896 mL
	10 mM	0.2345 mL	1.1724 mL	2.3448 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: ≥ 0.5 mg/mL (1.17 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.5 mg/mL (1.17 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.5 mg/mL (1.17 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Paliperidone (9-Hydroxyrisperidone), the major active metabolite of Risperidone, is a dopamine D2 antagonist and 5-HT2A antagonist. Paliperidone is also active as an antagonist at α1 and α2 adrenergic receptors and H1-histaminergic receptors. Paliperidone, a antipsychotic agent, shows efficacy against schizophrenia[1].

IC_{50} & Target

D_2 Receptor	α adrenergic receptor	$5\text{-}HT_{2A}$ Receptor	α1 adrenergic receptor
α2 adrenergic receptor			

In Vitro	Paliperidone (10-100 μM, 12-24 h) increase caspase-3 activity in neuroblastoma cells[5]. Paliperidone (50-200 μM, 3 h) may modulate Akt1/GSK3β pathway to effectively protect SK-N-SH cells from the damages induced by glutamatein[6]. Paliperidone (100 μM, 24 h) can protect SK-N-SH cells from apoptosis induced by glutamate[6]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Cell Viability Assay[6]

Cell Line:	SK-N-SH cells
Concentration:	50-200 μM
Incubation Time:	3 h
Result:	Increased cell viability at dose of 100 and 200 μM.

In Vivo	Paliperidone (0.1-6 mg/kg, i.p., once time) significantly increases fasting glucose levels in female Sprague-Dawley rats[7]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2021 Mar 11;64(5):2725-2738.

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REFERENCES

[1]. Peng L, et al. Paliperidone protects SK-N-SH cells against glutamate toxicity via Akt1/GSK3β signaling pathway. Schizophr Res. 2014 Aug;157(1-3):120-7.

[2]. Gassó P, et al. Neurotoxic/neuroprotective activity of haloperidol, risperidone and paliperidone in neuroblastoma cells. Prog Neuropsychopharmacol Biol Psychiatry. 2012 Jan 10;36(1):71-7.

[3]. Boyda HN, et al. A comparison of the metabolic side-effects of the second-generation antipsychotic drugs risperidone and paliperidone in animal models. PLoS One. 2021 Jan 28;16(1):e0246211.

[4]. Peng L, et al. Paliperidone protects prefrontal cortical neurons from damages caused by MK-801 via Akt1/GSK3β signaling pathway. Schizophr Res. 2013 Jun;147(1):14-23.

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[6]. Kalman S, et al. 9-hydroxy-risperidone (9OHRIS) prevents stress-induced β-actin overexpression in rat hippocampus. Neuropsychopharmacol Hung. 2010 Sep;12(3):425-31.

[7]. Mauri MC, Paletta S, Maffini M, et al. Clinical pharmacology of atypical antipsychotics: an update. EXCLI J. 2014;13:1163-1191. Published 2014 Oct 13.

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