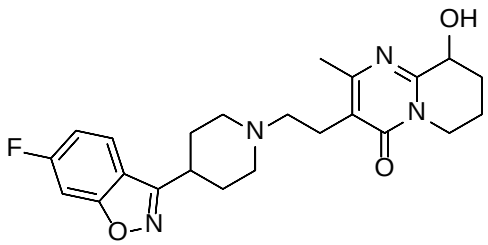
Product data sheet

MedKoo Cat#: 318451 Name: Paliperidone CAS: 144598-75-4 (free base) Chemical Formula: $C_{23}H_{27}FN_4O_3$ Exact Mass: 426.2067 Molecular Weight: 426.4924	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Paliperidone is a dopamine antagonist and 5-HT2A antagonist of the atypical antipsychotic class of medications. It is developed by Janssen Pharmaceutica. Invega is an extended release formulation of paliperidone that uses the OROS extended release system to allow for once-daily dosing. Paliperidone has antagonist effect at α1 and α2 adrenergic receptors and at H1 histamine receptors. It does not bind to muscarinic acetylcholine receptors. In addition, it binds with dopamine and serotonin receptors.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	4.75	11.15

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.34 mL	11.72 mL	23.45 mL
5 mM	0.47 mL	2.34 mL	4.69 mL
10 mM	0.23 mL	1.17 mL	2.34 mL
50 mM	0.05 mL	0.23 mL	0.47 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Peng L, Zhu D, Feng X, Dong H, Yue Q, Zhang J, Gao Q, Hao J, Zhang X, Liu Z, Sun J. Paliperidone protects prefrontal cortical neurons from damages caused by MK-801 via Akt1/GSK3β signaling pathway. Schizophr Res. 2013 Jun;147(1):14-23. doi: 10.1016/j.schres.2013.03.006. Epub 2013 Apr 9. PMID: 23583326.
2. Yang MC, Lung FW. Neuroprotection of paliperidone on SH-SY5Y cells against β-amyloid peptide(25-35), N-methyl-4-phenylpyridinium ion, and hydrogen peroxide-induced cell death. Psychopharmacology (Berl). 2011 Oct;217(3):397-410. doi: 10.1007/s00213-011-2291-7. Epub 2011 Apr 27. PMID: 21523348.

In vivo study

1. Wang J, Li M, Zhang J, Gao Q, Ding Z, Sun J. Paliperidone alleviates MK-801-induced damage to prefrontal cortical neurons via the PP2A/PTEN pathway. J Affect Disord. 2022 Nov 15;317:265-277. doi: 10.1016/j.jad.2022.08.071. Epub 2022 Aug 27. PMID: 36031001.
2. Schwartzer JJ, Morrison RL, Ricci LA, Melloni RH Jr. Paliperidone suppresses the development of the aggressive phenotype in a developmentally sensitive animal model of escalated aggression. Psychopharmacology (Berl). 2009 May;203(4):653-63. doi: 10.1007/s00213-008-1412-4. Epub 2008 Dec 6. PMID: 19066856.

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7. Bioactivity

Biological target:

Paliperidone (9-Hydroxyrisperidone) is a dopamine D2 antagonist and 5-HT2A antagonist.

In vitro activity

In the present study, this study used mouse embryonic prefrontal cortical neurons to examine the neuroprotection of paliperidone against the neuronal damage induced by exposure to the NMDA receptor antagonist, MK-801. Paliperidone inhibited MK-801 induced neurotoxicity both in MTT metabolism assay ($p<0.01$) and in lactate dehydrogenase (LDH) activity assay ($p<0.01$). Time course studies revealed that paliperidone effectively attenuated the elevation of intracellular free calcium concentration ([Ca(2+)]i) induced by exposure to MK-801 ($p<0.01$).

Reference: Schizophr Res. 2013 Jun;147(1):14-23. https://pubmed.ncbi.nlm.nih.gov/23583326/

In vivo activity

The data showed that MK-801 caused stereotyped behavior in mice and induced synaptic damage and dendritic spine impairment compared with the control, whereas paliperidone ameliorated these changes. Moreover, paliperidone reversed MK-801-induced decreases in PP2A and PTEN levels in prefrontal cortical neurons. Furthermore, in primary cultured cortical neurons and HT-22 cells, paliperidone inhibited cell apoptosis caused by MK-801.

Reference: J Affect Disord. 2022 Nov 15;317:265-277. https://pubmed.ncbi.nlm.nih.gov/36031001/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.