

Paliperidone Palmitate

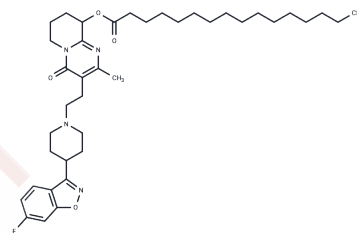
Chemical Properties

CAS No. : 199739-10-1

Formula: C₃₉H₅₇FN₄O₄

Molecular Weight: 664.89

Storage: Keep away from moisture Keep away from direct sunlight
 Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.



Biological Description

Description	Paliperidone Palmitate is the palmitate ester prodrug of paliperidone, acting as a competitive antagonist of dopamine D2 and 5-HT _{2A} receptors that can cross the blood-brain barrier. It regulates neurotransmitter balance to exert antipsychotic activity. Primarily used in schizophrenia research, it is typically formulated as a long-acting injectable (LAI) that forms a sustained-release depot in the muscle tissue.
Targets(IC50)	5-HT Receptor, Dopamine Receptor
In vivo	Intramuscular Paliperidone Palmitate forms a long-acting depot lasting ~20 days. Hyaluronidase increases early exposure without compromising the extended-release profile. Injection-site reactions are species-specific (macrophage infiltration in mice vs. granulomas in rats), influencing biphasic absorption kinetics [1][2].

Solubility Information

Solubility	DMSO: Soluble, Ethanol: 1.6 mg/mL (2.41 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.504 mL	7.520 mL	15.0401 mL
5 mM	0.3008 mL	1.504 mL	3.008 mL
10 mM	0.1504 mL	0.752 mL	1.504 mL
50 mM	0.0301 mL	0.1504 mL	0.3008 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Darville N, et al. The effect of macrophage and angiogenesis inhibition on the drug release and absorption from an intramuscular sustained-release paliperidone palmitate suspension. *J Control Release*. 2016 May 28;230:95-108.

Pertinez H, et al. Hyaluronidase impacts exposures of long-acting injectable paliperidone palmitate in rodent models. *bioRxiv [Preprint]*. 2024 Mar 6:2024.03.03.583160.

Kwon JS, et al. Satisfaction of immediate or delayed switch to paliperidone palmitate in patients unsatisfied with current oral atypical antipsychotics. *Int Clin Psychopharmacol*. 2015;30(6):320-328.

Jukic V, Jakovljevic M, Filipcic I, Herceg M, Silic A, Tomljanovic T, Zilbershtein R, Jensen RCD, Hemels MEH, Einarson TR. Cost-Utility Analysis of Depot Atypical Antipsychotics for Chronic Schizophrenia in Croatia. *Value Health Reg Issues*. 2013 Sep - Oct;2(2):181-188. doi: 10.1016/j.vhri.2013.06.008. Epub 2013 Sep 13. PubMed PMID: 29702863.

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