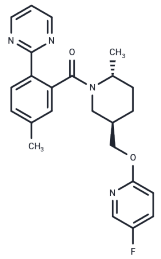
Data Sheet (Cat.No.T16096)

TargetMol

Filorexant

Chemical Properties



CAS No. : 1088991-73-4

Formula: C24H25FN4O2

Molecular Weight: 420.48

Storage: 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	Filorexant (MK-6096) is an orally bioavailable, effective, and selective reversible antagonist of OX1 and OX2 receptors, with (Ki <3 nM).
Targets(IC50)	OX Receptor
In vitro	Filorexant occupies 90% of human OX(2)Rs expressed in transgenic rats at a plasma concentration of 142 nM. Filorexant demonstrated effective binding and antagonism of both human OX(1)R and OX(2)R (3 nM in binding, 11 nM in FLIPR), in radioligand binding and functional cell-based assays. It has no significant off-target activities against a panel of >170 receptors and enzymes [1].
In vivo	Filorexant dose-dependently decreased locomotor activity and obviously enhanced sleep in rats (3-30 mg/kg) and dogs (0.25 and 0.5 mg/kg)[1].

Solubility Information

Solubility	DMSO: 100 mg/mL (237.82 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (9.51 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0476 mL	0.2378 mL	0.4756 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

Winrow CJ, et al. Pharmacological characterization of MK-6096 - a dual orexin receptor antagonist for insomnia. Neuropharmacology. 2012 Feb;62(2):978-87.
Coleman PJ, et al. Discovery of [(2R,5R)-5-{[(5-fluoropyridin-2-yl)oxy]methyl}-2-methylpiperidin-1-yl][5-methyl-2-(pyrimidin-2-yl)phenyl]methanone (MK-6096): a dual orexin receptor antagonist with potent sleep-promoting properties. ChemMedChem. 2012 Mar 5;7(3):415-24, 337.