Filorexant

Cat. No.: HY-15653

CAS No.: 1088991-73-4

Molecular Formula: $C_{24}H_{25}FN_4O_2$

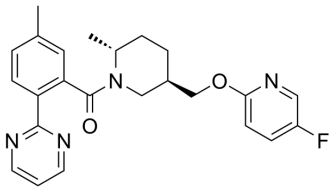
Molecular Weight: 420.48

Target: Orexin Receptor (OX 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 : 100 mg/mL (237.82 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

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: ≥ 2.5 mg/mL (5.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.95 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.95 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Filorexant (MK-6096) is an orally bioavailable potent and selective reversible antagonist of OX1 and OX2 receptor(<3 nM in binding).

IC_{50} & Target

Ki: < 3 nM(Orexin receptor)[1].

In Vitro

In radioligand binding and functional cell based assays Filorexant (MK-6096) demonstrated potent binding and antagonism of both human OX(1)R and OX(2)R (<3 nM in binding, 11 nM in FLIPR), with no significant off-target activities against a panel

	of >170 receptors and enzymes. Filorexant (MK-6096) occupies 90% of human OX(2)Rs expressed in transgenic rats at a plasma concentration of 142 nM. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Filorexant (MK-6096) dose-dependently reduced locomotor activity and significantly increased sleep in rats (3-30 mg/kg) and dogs (0.25 and 0.5 mg/kg). MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Animal administration[1] The male Sprague Dawley rats (n = 8/study; age: 3-6 months; weight: 450-600 g) were singly housed with water and food ad libitum and a 12 h light: 12 h dark cycle with lights on at 04:00 and off at 16:00. Sleep studies were conducted to evaluate Filorexant (3 and 10 mg/kg, p.o.), DORA-22 (10 mg/kg, p.o.) and almorexant (3 and 30 mg/kg, p.o.), employing a counterbalanced crossover design in which all animals were alternatively treated with drug and vehicle daily for either 3 or 7 consecutive days (for DORA-22 and Filorexant, respectively): 2 baseline days (no dosing), a 2 day vehicle-only run-in, a 3 or 7-day arm of drug or vehicle followed by 3 or 7 days of conditional crossover. Effects of compound treatments relative to vehicle (20% Vitamin E TPGS, p.o.) were evaluated following administration in the active phase). MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Behav Brain Res. 2023 May 16;450:114497.
- bioRxiv. 2023 Feb 5;2023.02.05.527043

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REFERENCES

[1]. Winrow CJ, et al. Pharmacological characterization of MK-6096 - a dual orexin receptor antagonist for insomnia. Neuropharmacology. 2012 Feb;62(2):978-87.

[2]. 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

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