

Product Data Sheet

Product Name: MK 6096

Cat. No.: GC12242

Chemical Properties

Cas. No. 1088991-73-4

Chemical Name ((2S,5S)-5-(((5-fluoropyridin-2-yl)oxy)methyl)-2-methylpiperidin-1-yl)(5-methyl-2-(pyrimidin-2-yl)phenyl)methanone

SMILES CC1=CC=C(C2=NC=CC=N2)C(C(N3C[C@@H](COC4=NC=C(F)C=C4)CC[C@H]3C)=O=C1

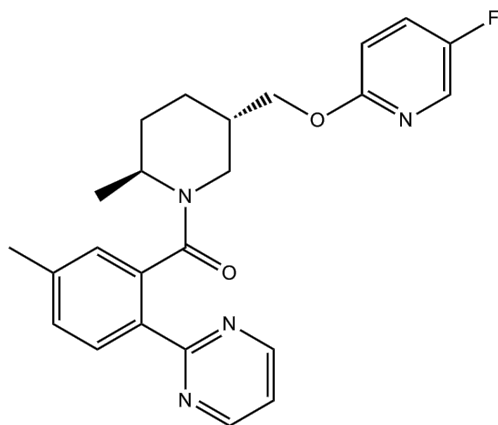
Formula $C_{24}H_{25}FN_4O_2$ M.Wt 420.48

Solubility DMF: 10mg/mL, DMF:PBS (pH 7.2) (1:4): 0.2mg/mL, DMSO: 2mg/mL, Ethanol: 5mg/mL Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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Animal experiment:

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).

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;7(3):415-24, 337.

Background

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

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 (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.

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).

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