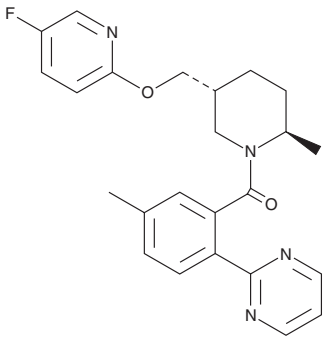
PRODUCT INFORMATION

Filorexant

Item No. 29490

CAS Registry No.:	1088991-73-4
Formal Name:	[(2R,5R)-5-[[(5-fluoro-2-pyridinyl)oxy]methyl]-2-methyl-1-piperidinyl][5-methyl-2-(2-pyrimidinyl)phenyl]-methanone
MF:	$C_{24}H_{25}FN_4O_2$
FW:	420.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 268 nm
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Filorexant is supplied as a solid. A stock solution may be made by dissolving the filorexant in the solvent of choice, which should be purged with an inert gas. Filorexant is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of filorexant in these solvents is approximately 5, 2, and 10 mg/ml, respectively.

Filorexant is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, filorexant should first be dissolved in DMF and then diluted with the aqueous buffer of choice. Filorexant has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Filorexant is a dual antagonist of orexin 1 receptor (OX1R) and OX2R (K_is = 2.5 and 0.31 nM, respectively, for the recombinant human receptors).[1] It selectively inhibits OX1R and OX2R over a panel of more than 170 receptors and enzymes. Filorexant inhibits orexin A-induced calcium mobilization in CHO cells expressing recombinant human OX1R or OX2R (K_b = 11 nM for both). It decreases locomotor activity in rats during the dark cycle when administered at a dose of 10 mg/kg. Filorexant (0.5 mg/kg) reduces the time spent awake and decreases the latency to slow-wave sleep stage 1 (SWS1) and SWS2, but not rapid eye movement (REM) sleep, in dogs. It increases the duration of SWS2, but not SWS1 or REM sleep, in the same model.

Reference

1. Winrow, C.J., Gotter, A.L., Cox, C.D., *et al.* Pharmacological characterization of MK-6096 - a dual orexin receptor antagonist for insomnia. *Neuropharmacology* **62(2)**, 978-987 (2012).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM