

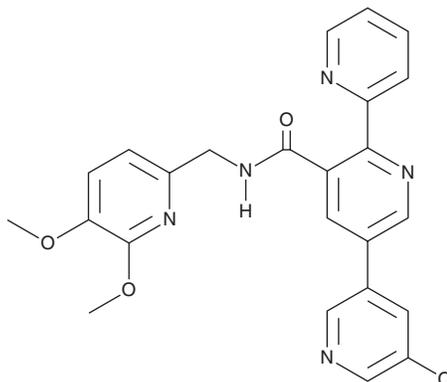
PRODUCT INFORMATION



MK-1064

Item No. 20993

CAS Registry No.: 1207253-08-4
Formal Name: 5''-chloro-N-[(5,6-dimethoxy-2-pyridinyl)methyl]-[2,2':5',3''-terpyridine]-3'-carboxamide
MF: C₂₄H₂₀ClN₅O₃
FW: 461.9
Purity: ≥98%
UV/Vis.: λ_{max}: 290 nm
Supplied as: A crystalline 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

MK-1064 is supplied as a crystalline solid. A stock solution may be made by dissolving the MK-1064 in the solvent of choice, which should be purged with an inert gas. MK-1064 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of MK-1064 in ethanol is approximately 2.5 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Description

MK-1064 is an orexin 2 receptor (OX2R) antagonist that is selective for OX2R over OX1R (IC₅₀s = 18 and 1,789 nM, respectively).¹ It decreases the amount of time spent in active wake and increases the time spent in rapid eye movement (REM) sleep and slow-wave sleep (SMS) in mice, rats, dogs, and rhesus monkeys when administered at doses of 100, 20, 1, and 10 mg/kg, respectively. MK-1064 (30 mg/kg) inhibits restraint stress-induced increases in plasma adrenocorticotrophic hormone (ACTH) levels and struggle behavior, as well as decreases in body weight, in rats expressing the hM3Dq designer receptor exclusively activated by designer drugs (DREADD) activated by clozapine N-oxide (CNO; Item No. 16882) in orexin neurons.²

References

1. Roecker, A.J., Mercer, S.P., Schreier, J.D., *et al.* Discovery of 5''-chloro-N-[(5,6-dimethoxypyridin-2-yl)methyl]-2,2':5',3''-terpyridine-3'-carboxamide (MK-1064): A selective orexin 2 receptor antagonist (2-SORA) for the treatment of insomnia. *Chem. Med. Chem.* **9**(2), 311-322 (2014).
2. Grafe, L.A., Eacret, D., Luz, S., *et al.* Orexin 2 receptor regulation of the hypothalamic-pituitary-adrenal (HPA) response to acute and repeated stress. *Neuroscience* **348**, 313-323 (2017).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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