



# SZABO SCANDIC

Part of Europa Biosite

## Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

Weitere Information auf den folgenden Seiten!  
See the following pages for more information!



### Lieferung & Zahlungsart

siehe unsere [Liefer- und Versandbedingungen](#)

### Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

### SZABO-SCANDIC HandelsgmbH

Quellenstraße 110, A-1100 Wien

T. +43(0)1 489 3961-0

F. +43(0)1 489 3961-7

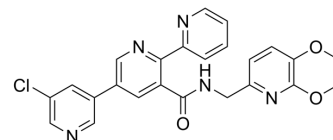
[mail@szabo-scandic.com](mailto:mail@szabo-scandic.com)

[www.szabo-scandic.com](http://www.szabo-scandic.com)

[linkedin.com/company/szaboscandic](https://www.linkedin.com/company/szaboscandic) 

## MK-1064

|                    |                                                                                                  |
|--------------------|--------------------------------------------------------------------------------------------------|
| Cat. No.:          | HY-19914                                                                                         |
| CAS No.:           | 1207253-08-4                                                                                     |
| Molecular Formula: | C <sub>24</sub> H <sub>20</sub> ClN <sub>5</sub> O <sub>3</sub>                                  |
| Molecular Weight:  | 461.9                                                                                            |
| Target:            | Orexin Receptor (OX Receptor)                                                                    |
| Pathway:           | GPCR/G Protein; Neuronal Signaling                                                               |
| Storage:           | Powder    -20°C    3 years<br>4°C    2 years<br>In solvent   -80°C    2 years<br>-20°C    1 year |



### SOLVENT & SOLUBILITY

|                                                                               |                                                                                     |                                                       |           |            |            |       |
|-------------------------------------------------------------------------------|-------------------------------------------------------------------------------------|-------------------------------------------------------|-----------|------------|------------|-------|
| In Vitro                                                                      | DMSO : 50 mg/mL (108.25 mM; Need ultrasonic)                                        |                                                       |           |            |            |       |
|                                                                               | Preparing Stock Solutions                                                           | <div><div>Solvent</div><div>Concentration</div></div> | Mass      | 1 mg       | 5 mg       | 10 mg |
|                                                                               |                                                                                     |                                                       |           |            |            |       |
|                                                                               |                                                                                     | 1 mM                                                  | 2.1650 mL | 10.8249 mL | 21.6497 mL |       |
|                                                                               |                                                                                     | 5 mM                                                  | 0.4330 mL | 2.1650 mL  | 4.3299 mL  |       |
|                                                                               |                                                                                     | 10 mM                                                 | 0.2165 mL | 1.0825 mL  | 2.1650 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 (5.41 mM); Clear solution                                   |                                                       |           |            |            |       |

### BIOLOGICAL ACTIVITY

|                           |                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                           |                                                         |                                                      |                                                       |
|---------------------------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------------------------------|------------------------------------------------------|-------------------------------------------------------|
| Description               | MK-1064 is a selective and orally active OX <sub>2</sub> R antagonist (K <sub>i</sub> : 0.5 nM, IC <sub>50</sub> : 18 nM). MK-1064 promotes sleep in vivo. MK-1064 can be used in the research of insomnia <sup>[1][3]</sup> .                                                                                                                                                                                                                                                                                                                            |                                                         |                                                      |                                                       |
| IC <sub>50</sub> & Target | OX <sub>2</sub> Receptor<br>18 nM (IC <sub>50</sub> )                                                                                                                                                                                                                                                                                                                                                                                                                                                                                                     | OX <sub>1</sub> Receptor<br>1789 nM (IC <sub>50</sub> ) | OX <sub>2</sub> Receptor<br>0.5 nM (K <sub>i</sub> ) | OX <sub>1</sub> Receptor<br>1584 nM (K <sub>i</sub> ) |
| In Vivo                   | MK-1064 (30 mg/kg, oral administration) promotes sleep in rodents selectively through OX <sub>2</sub> R in Wild-type mice <sup>[2]</sup> .<br>MK-1064 (30 mg/kg, oral administration, 5 days) reverses the struggle behavior induced by CNO pre-treatment in rats <sup>[3]</sup> .<br>MK-1064 (1-5 mg/kg, intravenous injection/oral administration) shows moderate oral bioavailability and clearance in rat, dog, and rhesus monkey <sup>[1]</sup> .<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |                                                         |                                                      |                                                       |

|                 |                                                                                                                                                                                |
|-----------------|--------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| Animal Model:   | Wild-type and OX <sub>2</sub> R knockout mice <sup>[2]</sup>                                                                                                                   |
| Dosage:         | 30 mg/kg                                                                                                                                                                       |
| Administration: | Oral administration                                                                                                                                                            |
| Result:         | Displayed active wake reduction accompanied by significant increases in SWS (slow-wave sleep) and REM (rapid eye movement) at time points up to 3.5 hours following treatment. |

|                 |                                                                     |
|-----------------|---------------------------------------------------------------------|
| Animal Model:   | Rat, dog, and rhesus monkey (Pharmacokinetics assay) <sup>[1]</sup> |
| Dosage:         | 1, 2, 3, 5 mg/kg                                                    |
| Administration: | Oral administration (P.O.), intravenous injection (I.V.)            |
| Result:         | Pharmacokinetics profile of MK-1064.                                |

| Species | Dose (mg/kg) | CL (mL/min/kg) | t <sub>1/2</sub> (h) | Dose (mg/kg) | C <sub>max</sub> (μM) | F (%) |
|---------|--------------|----------------|----------------------|--------------|-----------------------|-------|
| Rat     | 2 (I.V.)     | 39             | 0.3                  | 5 (P.O.)     | 1.5                   | 54    |
| Dog     | 1 (I.V.)     | 16             | 1.0                  | 3 (P.O.)     | 1.0                   | 48    |
| Rhesus  | 2 (I.V.)     | 12             | 0.8                  | 5 (P.O.)     | 0.9                   | 16    |

## CUSTOMER VALIDATION

- Neuropharmacology. 17 June 2022, 109168.
- Neuropharmacology. 2018 Dec;143:79-94.

See more customer validations on [www.MedChemExpress.com](http://www.MedChemExpress.com)

## REFERENCES

- [1]. Roecker AJ 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. ChemMedChem. 2014 Feb;9(2):311-22.
- [2]. Gotter AL et al. Orexin 2 Receptor Antagonism is Sufficient to Promote NREM and REM Sleep from Mouse to Man. Sci Rep. 2016 Jun 3;6:27147.
- [3]. Laura A Grafe, et al. Orexin 2 receptor regulation of the hypothalamic-pituitary-adrenal (HPA) response to acute and repeated stress. Neuroscience. 2017 Apr 21;348:313-323.

---

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA