# Vornorexant

**Cat. No.:** HY-139559

**CAS No.:** 1517965-94-4

**Molecular Formula:** $C_{23}H_{22}FN_7O_2$

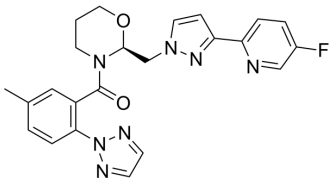
**Molecular Weight:** 447.46

**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 | 6 months |
| | -20°C | 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 100 mg/mL (223.48 mM)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.2348 mL | 11.1742 mL | 22.3484 mL |
| 5 mM | 0.4470 mL | 2.2348 mL | 4.4697 mL |
| 10 mM | 0.2235 mL | 1.1174 mL | 2.2348 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: ≥ 1.25 mg/mL (2.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.25 mg/mL (2.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (2.79 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Vornorexant (ORN-0829; TS-142) is a potent dual OX1R and OX2R antagonist with IC50 values of 1.05 nM and 1.27 nM, respectively. Vornorexant exhibits potent sleep-promoting effects in vivo and can be used for insomnia research research.

**$IC_{50}$ & Target**

$OX_2$ Receptor

**In Vivo**

Vornorexant (oral administration; 1-10 mg/kg) exhibits potent sleep-promoting effects at a po. dose of 1 mg/kg in a rat

polysomnogram study. It results in a dose-dependent increase in the percentage of total sleep[1].
Vornorexant exhibits optimal PK properties with a rapid $T_{max}$ and short half-lives in rats and dogs. The $T_{1/2}$ is 0.238 hours and 1.16 hours, respectively in rat and dog[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | Rat[1] |
| Dosage: | 1, 3, 10 mg/kg |
| Administration: | Oral administration to rats prior to turning the light off (start of the active phase); 1-10 mg/kg |
| Result: | Possessed promising sleep-inducing and sleep-promoting effects. |

## REFERENCES

[1]. Aya Futamura, et al. Discovery of ORN0829, a potent dual orexin 1/2 receptor antagonist for the treatment of insomnia. Bioorg Med Chem. 2020 Jul 1;28(13):115489.



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