# Tasimelteon

**Cat. No.:** HY-14803

**CAS No.:** 609799-22-6

**Molecular Formula:** $C_{15}H_{19}NO_2$

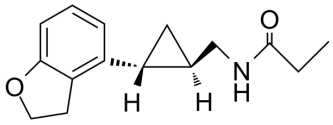
**Molecular Weight:** 245.32

**Target:** Melatonin 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 : ≥ 33 mg/mL (134.52 mM)

* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 4.0763 mL | 20.3815 mL | 40.7631 mL |
| | 5 mM | 0.8153 mL | 4.0763 mL | 8.1526 mL |
| | 10 mM | 0.4076 mL | 2.0382 mL | 4.0763 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 (10.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.19 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.19 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Tasimelteon (BMS-214778) is an orally active and selective dual melatonin receptor agonist (DMRA). Tasimelteon has 2.1-4.4 times greater affinity for the MT2 receptor than for the MT1 receptor. Tasimelteon is a circadian regulator and has the potential for Non-24-Hour Sleep-Wake Disorder (Non-24)[1][2].

**In Vitro**

Tasimelteon (BMS-214778) has 2.1-4.4 times greater affinity for the MT2 receptor believed to mediate circadian rhythm phase-shifting ($K_i$=0.0692 nM and $K_i$=0.17 nM in NIH-3T3 and CHO-K1 cells, respectively), than for the MT1 receptor (Ki=0.304

| | |
|---|---|
| | nM and Ki=0.35 nM, respectively). Tasimelteon has no appreciable affinity for more than 160 other pharmacologically relevant receptors and several enzymes[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## REFERENCES


[1]. Christian Lavedan, et al. Tasimelteon: a selective and unique receptor binding profile. Neuropharmacology. 2015 Apr;91:142-7.

[2]. Keating GM, et al. Tasimelteon: A Review in Non-24-Hour Sleep-Wake Disorder in Totally Blind Individuals. CNS Drugs. 2016 Mar 22.





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