Ramelteon

Cat. No.: HY-A0014

CAS No.: 196597-26-9

Molecular Formula: $C_{16}H_{21}NO_2$

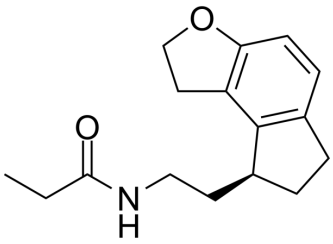
Molecular Weight: 259.34

Target: Melatonin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (192.80 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.8559 mL	19.2797 mL	38.5594 mL
	5 mM	0.7712 mL	3.8559 mL	7.7119 mL
	10 mM	0.3856 mL	1.9280 mL	3.8559 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 (9.64 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.64 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.64 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ramelteon is a potent, highly selective, and orally active agonist of MT1/MT2 with Ki values of 14 and 112 pM, respectively. Ramelteon has the potential for the research of insomnia. Ramelteon consistently reduces sleep onset after long-term treatment, with no next-morning residual effects or rebound insomnia or withdrawal symptoms upon discontinuation[1][2].

IC_{50} & Target	MT2	MT1

In Vivo

Ramelteon (p.o.; 0.1 and 1 mg/kg) accelerates reentrainment of running wheel activity rhythm to the new lightdark cycle[3].
Ramelteon (p.o.; 3, 10, and 30 mg/kg) does not affect learning or memory in rats tested by the water maze task and the delayed match to position task, implying that MT1/MT2 receptor agonists have no abuse potential[3].
Ramelteon (0.0001, 0.001, 0.01, and 0.1 mg/kg; p.o.; 8 hours) significantly decreases wakefulness at doses of 0.001, 0.01, and 0.1 mg/kg, increases slow-wave sleep at doses of 0.001, 0.01, and 0.1 mg/kg, and increases rapid eye movement sleep at a dose of 0.1 mg/kg[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar or Fischer 344 (F344) rats[3]
Dosage:	0.1 and 1 mg/kg; 3, 10, and 30 mg/kg
Administration:	p.o.
Result:	Accelerated reentrainment of running wheel activity rhythm to the new lightdark cycle. Ramelteon did not affect learning or memory in rats tested by the water maze task and the delayed match to position task, implying that MT1/MT2 receptor agonists have no abuse potential.

Animal Model:	Adult cats (2.5-6.1 kg)[4]
Dosage:	0.0001, 0.001, 0.01, and 0.1 mg/kg
Administration:	p.o.; 8 hours
Result:	Significantly decreased wakefulness at doses of 0.001, 0.01, and 0.1 mg/kg, increased slow-wave sleep at doses of 0.001, 0.01, and 0.1 mg/kg, and increased rapid eye movement sleep at a dose of 0.1 mg/kg.

CUSTOMER VALIDATION

- Nat Commun. 2022 Jan 24;13(1):454.
- Acta Pharmacol Sin. 2020 Aug;41(8):1016-1024.
- Int Immunopharmacol. 2022 May 7;109:108778.
- Chem Biol Interact. 5 August 2022, 110085.
- Ecotox Environ Safe. 2021 Jan 1;207:111561.

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REFERENCES

[1]. Kato K, et al. Neurochemical properties of ramelteon (TAK-375), a selective MT1/MT2 receptor agonist. Neuropharmacology. 2005;48(2):301-310.

[2]. Mayer G, et al. Efficacy and safety of 6-month nightly ramelteon administration in adults with chronic primary insomnia. Sleep. 2009;32(3):351-360.

[3]. Hirai K, et al. Ramelteon (TAK-375) accelerates reentrainment of circadian rhythm after a phase advance of the light-dark cycle in rats. J Biol Rhythms. 2005;20(1):27-37.

[4]. Miyamoto M, et al. The sleep-promoting action of ramelteon (TAK-375) in freely moving cats. Sleep. 2004;27(7):1319-1325.

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

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