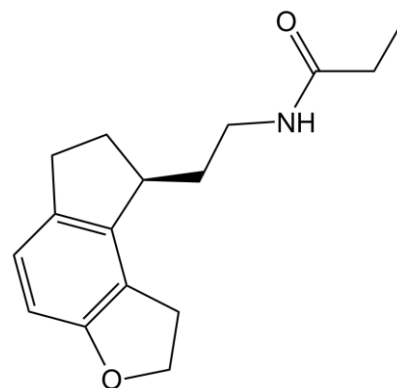


Product Data Sheet

Chemical Properties

Product Name:	Ramelteon
Cas No.:	196597-26-9
M.Wt:	259.34
Formula:	C ₁₆ H ₂₁ NO ₂



Chemical Name:	N-[2-[(8S)-2,6,7,8-tetrahydro-1H-cyclopenta[e][1]benzofuran-8-yl]ethyl]propanamide
Canonical SMILES:	<chem>CCC(=O)NCCC1CCC2=C1C3=C(C=C2)OCC3</chem>
Solubility:	≥12.95mg/mL in DMSO
Storage:	Store at -20°C
General tips:	For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20° C for several months.
Shopping Condition:	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets :	GPCR/G protein
Pathways:	MT Receptor

Description:

Ramelteon, a chronohypnotic, is an orally active and highly selective melatonin receptor (MT₁, MT₂ and MT₃) agonist that preferentially binds to MT₁ and MT₂ receptors leading to potent inhibition of cAMP production in human cells expressing MT₁ or MT₂ receptors with the half maximal inhibition concentration IC₅₀ values of 21.2 pM/L and 53.4 pM/L respectively [1]. The s-configuration and ether group in the chemical structure of ramelteon confer its high affinity towards MT₁ and MT₂ receptors with the dissociation constant K_i values of 14 pM and 112 pM

respectively in comparison with that of 2650 nM for MT3 receptors [1].

Ramelteon has been found to potently promote sleep without causing any significant adverse effects leading to its application for the treatment of insomnia [1].

Reference:

[1] Miyamoto M. *Pharmacology of ramelteon, a selective MT1/MT2 receptor agonist: a novel therapeutic drug for sleep disorders. CNS Neurosci Ther. 2009 Winter;15(1):32-51. doi: 10.1111/j.1755-5949.2008.00066.x.*

Protocol

Cell experiment:

Cell lines	Pancreatic INS-1 β -cells
Preparation method	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions	2-14 h
Applications	Ramelteon is a potent and selective agonist of MT1/MT2 melatonin receptors. Ramelteon inhibits forskolin-stimulated cAMP production in the CHO cells that express the human MT1 or MT2 receptors [2]. Ramelteon is capable of increasing brain-derived neurotrophic factor (BDNF) protein in neurons expressing either MT1 or MT2 receptor type in mouse cerebellar granule cells [3].

Animal experiment [3]:

Animal models	Freely moving cats
Dosage form	0.001, 0.01, and 0.1 mg/kg; administered orally.
Applications	In cats, ramelteon has a sleep-promoting action and does not appear to cause learning, memory, or motor function impairment, or to have rewarding properties [4]. In a clinical study, ramelteon decreases latency to persistent sleep and increases total sleep time and sleep efficiency in subjects with primary chronic insomnia [1].
Preparation method	Suspended in a 0.5% (weight per volume) methylcellulose solution.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:

- [1]. Kato K, Hirai K, Nishiyama K, et al. Neurochemical properties of ramelteon (TAK-375), a selective MT1/MT2 receptor agonist. *Neuropharmacology*, 2005, 48(2): 301-310.
- [2]. Nishiyama K, Hirai K. The melatonin agonist ramelteon induces duration-dependent clock gene expression through cAMP signaling in pancreatic INS-1 β -cells. *PLoS One*, 2014, 9(7): e102073.
- [3]. Imbesi M, Uz T, Dzitoyeva S, et al. Stimulatory effects of a melatonin receptor agonist, ramelteon, on BDNF in mouse cerebellar granule cells. *Neurosci Lett*, 2008, 439(1): 34-36.
- [4]. Miyamoto M, Nishikawa H, Doken Y, et al. The sleep-promoting action of ramelteon (TAK-375) in freely moving cats. *Sleep*, 2004, 27(7): 1319-1325.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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