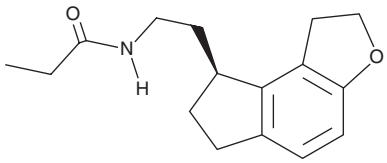
PRODUCT INFORMATION

Ramelteon

Item No. 20389

CAS Registry No.:	196597-26-9
Formal Name:	N-[2-[(8S)-1,6,7,8-tetrahydro-2H-indeno[5,4-b]furan-8-yl]ethyl]-propanamide
Synonym:	TAK-375
MF:	$C_{16}H_{21}NO_2$
FW:	259.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 286 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Ramelteon is supplied as a crystalline solid. A stock solution may be made by dissolving the ramelteon in the solvent of choice. Ramelteon is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of ramelteon in ethanol is approximately 25 mg/ml and approximately 30 mg/ml in DMSO and DMF.

Ramelteon is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ramelteon should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Ramelteon has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ramelteon is a melatonin (MT) receptor agonist (K_is = 14, 112, and 23.1 pM for human MT_1, human MT_2, and chick forebrain receptors, respectively).[1] It is selective for MT_1 and MT_2 over MT_3 receptors (K_i = 2.65 µM for hamster brain MT_3 receptors) as well as a panel of benzodiazepine, dopamine, and opiate receptors, ion channels, transporters, and enzymes when used at a concentration of 10 µM. Ramelteon stimulates cAMP production in CHO cells expressing human MT_1 and MT_2 receptors (IC_{50}s = 21.2 and 53.4 pM, respectively). *In vivo*, ramelteon (0.03 and 0.3 mg/kg, p.o.) shortens latency to sleep onset and increases duration of sleep in free-moving crab-eating macaques.[2] It also accelerates reentrainment of circadian rhythm in rats, shifting running wheel activity back to the dark period 2.4 and 3 days more quickly than vehicle-treated animals following an eight-hour phase shift in the light-dark cycle when administered at 0.1 and 1 mg/kg, respectively, with no effect on learinng and memory in the Morris water maze and delayed match-to-position tasks.[3]

References

1. Kato, K., Hirai, K., Nishiyama, K., *et al.* Neurochemical properties of ramelteon (TAK-375), a selective MT_1/MT_2 receptor agonist. *Neuropharmacology* **48(2)**, 301-310 (2005).
2. Yukuhiro, N., Kimura, H., Nishikawa, H., *et al.* Effects of ramelteon (TAK-375) on nocturnal sleep in freely moving monkeys. *Brain Res.* **1027(1-2)**, 59-66 (2004).
3. Hirai, K., Kita, M., Ohta, H., *et al.* Ramelteon (TAK-375) accelerates reentrainment of circadian rhythm after a phase advance of the light-dark cycle in rats. *J. Biol. Rhythms* **20(1)**, 27-37 (2005).

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