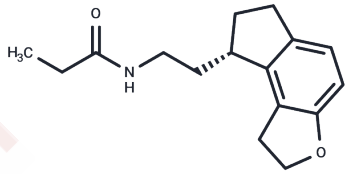
Data Sheet (Cat.No.T1463)

TargetMol

Ramelteon

Chemical Properties



CAS No. : 196597-26-9

Formula: C16H21NO2

Molecular Weight: 259.34

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Ramelteon (TAK-375) is a Melatonin Receptor Agonist. The mechanism of action of ramelteon is as a Melatonin Receptor Agonist.
Targets(IC50)	Melatonin Receptor,MT Receptor
In vitro	Ramelteon inhibited melanocyte pigment granule aggregation in the African clawed toad (Xenopus laevis) with a pEC50 of 11.48.1 nM Ramelteon increased ERK1/2 phosphorylation not only in MT1/MT2 cerebellar granule cells, but also in cerebellar granule cells containing only one melatonin receptor.Ramelteon inhibits forskolin-stimulated cAMP production with an IC50 of 21.2 pM in CHO cells. 4P-PDOT blocked the stimulatory effect of Ramelteon (1 nM) in MT1 KO cerebellar granule cells, whereas luzindole attenuated the effect of Ramelteon (1 nM) in MT2 KO cerebellar granule cells. Ramelteon showed high affinity for recombinant human MT1 and MT2 receptors with pKi of 10.05 and 9.70, respectively.
In vivo	Ramelteon inhibited melanocyte pigment granule aggregation in the African clawed toad (Xenopus laevis) with a pEC50 of 11.48.1 nM Ramelteon increased ERK1/2 phosphorylation not only in MT1/MT2 cerebellar granule cells, but also in cerebellar granule cells containing only one melatonin receptor.Ramelteon inhibits forskolin-stimulated cAMP production with an IC50 of 21.2 pM in CHO cells. 4P-PDOT blocked the stimulatory effect of Ramelteon (1 nM) in MT1 KO cerebellar granule cells, whereas luzindole attenuated the effect of Ramelteon (1 nM) in MT2 KO cerebellar granule cells. Ramelteon showed high affinity for recombinant human MT1 and MT2 receptors with pKi of 10.05 and 9.70, respectively.
Kinase Assay	cDNA encoding the human MT1 gene is introduced into CHO cells. Cells are harvested at confluence in Ca2+ and Mg2+ free Hanks' balanced salt solution containing 5 mM EDTA and collected by centrifugation. Cells are homogenized in ice-cold 50 mM Tris-HCl buffer, washed twice, pelleted, and stored at -30°C until the binding assays are conducted. Test compound and 40 pM 2-[125I]melatonin are mixed with the thawed homogenate in a total volume of 1 mL and incubated at 25°C for 150 min. The reaction is terminated by addition of 3 mL of icecold buffer followed by vacuum filtration on a Whatman GF/B. The filter is washed twice and radioactivity is counted by a g-counter[1].

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 49 mg/mL (188.94 mM),Sonication is recommended. DMSO: 166.7 mg/mL (642.79 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (7.71 mM),Sonication is recommended. 10% DMSO+90% Saline: 10 mg/mL (38.56 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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	1.928 mL	3.8559 mL
50 mM	0.0771 mL	0.3856 mL	0.7712 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kato K, et al. Neuropharmacology, 2005, 48(2), 301-310.
Fisher SP, et al. J Pineal Res, 2008, 45(2), 125-132.
Imbesi M, et al. Neuroscience, 2008, 155(4), 1160-1164.
Hirai K, et al. J Biol Rhythms, 2005, 20(1), 27-37.
Yukuhiro N, et al. Brain Res, 2004, 1027(1-2), 59-66.