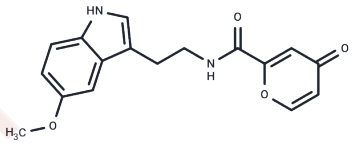
Data Sheet (Cat.No.T34081)

TargetMol

Piromelatine

Chemical Properties



CAS No. : 946846-83-9

Formula: C17H16N2O4

Molecular Weight: 312.32

Storage: 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	Piromelatine is an agonist of melatonin MT1/MT2 receptors, 5-HT1A and 5-HT1D, and an antagonist of 5-HT2B.Piromelatine has antinociceptive activity with inhibitory effects on P2X3, TRPV1, and Nav1.7 channels, and can be used in studies of sleep-promoting, pain-relieving, anti-neurodegenerative, and antidepressant diseases. diseases, and can be used to improve memory deficits associated with chronic mild stress-induced lack of pleasure in rats.
Targets(IC50)	Melatonin Receptor,5-HT Receptor,MT Receptor,P2X Receptor,Sodium Channel,TRP/TRPV Channel
In vivo	Piromelatine (Neu-P11) has been shown to inhibit weight gain and improve insulin sensitivity in high-fat/high-sucrose-fed (HFSD) rats. The objective of this study was to investigate the effects of piromelatine on insulin sensitivity in sleep-restricted rats. Sleep restriction was established by rotating cages intermittently for 20h thereby sleeping time of rats was limited to 4 hours per day. During 8 days of sleep restriction, rats were injected intraperitoneally with piromelatine (20mg/kg), melatonin (5mg/kg), or a vehicle. The results showed that sleep restriction increased plasma glucose, fasting insulin, total cholesterol (TC), triglycerides (TG), and oxidative stress markers while HDL-cholesterol (HDL-C) level and glucose tolerance were decreased. However, under piromelatine or melatonin treatment, the levels of plasma glucose, TG, and TC decreased and HDL-C, glucose tolerance, and antioxidative potency increased when compared with the vehicle-treated group. Piromelatine could regulate metabolic profiles and insulin sensitivity, and attenuate insulin resistance induced by sleep restriction.[3]

Solubility Information

Solubility	DMSO: 100 mg/mL (320.18 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: 4 mg/mL (12.81 mM),Sonication is recommended. *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	3.2018 mL	16.0092 mL	32.0184 mL
5 mM	0.6404 mL	3.2018 mL	6.4037 mL
10 mM	0.3202 mL	1.6009 mL	3.2018 mL
50 mM	0.064 mL	0.3202 mL	0.6404 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

Meihua She, et al. Piromelatine, a Novel Melatonin Receptor Agonist, Stabilizes Metabolic Profiles and Ameliorates Insulin Resistance in Chronic Sleep Restricted Rats. Eur J Pharmacol. 2014 Mar 15;727:60-5.
L Huang, et al. Blood Pressure Reducing Effects of Piromelatine and Melatonin in Spontaneously Hypertensive Rats. Eur Rev Med Pharmacol Sci. 2013 Sep;17(18):2449-56.
Yuan-Yuan Liu, et al. Piromelatine Exerts Antinociceptive Effect via Melatonin, Opioid, and 5HT1A Receptors and Hypnotic Effect via Melatonin Receptors in a Mouse Model of Neuropathic Pain. Psychopharmacology (Berl). 2014 Oct;231(20):3973-85.
Schneider LS, et al. A Polymorphism Cluster at the 2q12 locus May Predict Response to Piromelatine in Patients with Mild Alzheimer's Disease. J Prev Alzheimers Dis. 2022;9(2):247-254.