Piromelatine

Cat. No.: HY-105285

CAS No.: 946846-83-9

Molecular Formula: $C_{17}H_{16}N_2O_4$

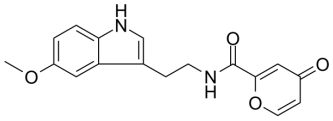
Molecular Weight: 312.32

Target: Melatonin Receptor; 5-HT Receptor; P2X Receptor; TRP Channel; Sodium Channel

Pathway: GPCR/G Protein; Neuronal Signaling; Membrane Transporter/Ion Channel

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (800.46 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

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: ≥ 2.08 mg/mL (6.66 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.66 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Piromelatine (Neu-P11) is a melatonin MT_1/MT_2 receptor agonist, serotonin 5-HT_{1A}/5-HT_{1D} agonist, and serotonin 5-HT_{2B} antagonist. Piromelatine (Neu-P11) possesses sleep promoting, analgesic, anti-neurodegenerative, anxiolytic and antidepressant potentials. Piromelatine (Neu-P11) also possesses pain-related P2X3, TRPV1, and Nav1.7 channel-inhibition capacities[1][2][3].

IC_{50} & Target

MT_1	MT_2	5-HT_{1A} Receptor (Agonist)	5-HT_{1D} Receptor (Agonist)
5-HT_{2B} Receptor (Antagonist)			

In Vivo

Piromelatine (20 mg/kg, ip, daily) treatment prevents insulin resistance induced by sleep restriction[1].
Piromelatine (5-50 mg/kg, ip, daily) decreases plasma glucose significantly[2].
Piromelatine (100 mg/kg) decreases thermal hyperalgesia and mechanical allodynia in PSL (partial sciatic nerve ligation) mice[3].

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

Animal Model:	Twenty four male Sprague-Dawley rats (3 months old, weighing 250-300 g)[1].
Dosage:	20 mg/kg.
Administration:	IP, daily at 8:00 p.m.
Result:	Resulted in significantly decreased plasma glucose levels (6.670.35 mmol/L, 6.770.34 mmol/L vs. 8.27 0.38 mmol/L), and the plasma glucose levels of the two groups were even neared to that of the normal control group (6.07±0.35 mmol/L). Resulted in a decrease in triglycerides and total cholesterol levels (51.8% and43.0%, respectively) and an elevation in HDL-C level (increase of 32.4%).

Animal Model:	Five groups of 12-wk-old rats (10/group)[2].
Dosage:	5-50 mg/kg.
Administration:	Intraperitoneal injection in 18:00 every day.
Result:	Plasma glucose was decreased significantly by 27.3%, 34.5% and 61.5%, respectively.

Animal Model:	Male C57BL/6 J mice, weighing 22-26 g (10 weeks old; PSL mice)[3].
Dosage:	25, 50, or 100 mg/kg.
Administration:	IP 1 h before assessment of thermal hyperalgesia and mechanical allodynia.
Result:	Remarkably prolonged thermal latency (surgery×treatment interaction, $F_{1,24}$=15.7, p<0.001; surgery×treatment×hours interaction, $F_{5,120}$=3.0, p<0.05) and increased mechanical threshold (surgery×treatment interaction, $F_{1,24}$=18.4, p<0.001; surgery×treatment×hours interaction, $F_{5,120}$=2.6, p<0.05) for 4 h after administration of piromelatine to PSL mice.

REFERENCES

[1]. 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.

[2]. 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.

[3]. 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.

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

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