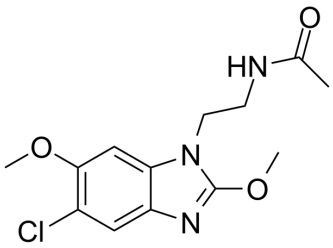
ACH-000143

Cat. No.:	HY-138626
CAS No.:	2225836-30-4
Molecular Formula:	$C_{13}H_{16}ClN_3O_3$
Molecular Weight:	297.74
Target:	Melatonin Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (167.93 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3586 mL	16.7932 mL	33.5864 mL
	5 mM	0.6717 mL	3.3586 mL	6.7173 mL
	10 mM	0.3359 mL	1.6793 mL	3.3586 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: ≥ 2.5 mg/mL (8.40 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.40 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.40 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

ACH-000143 is a potent and orally active melatonin receptor agonist, with EC_{50} values of 0.06 nM and 0.32 nM for MT1 and MT2, respectively[1].

IC_{50} & Target

MT1	MT2
0.06 nM (EC50)	0.32 nM (EC50)

In Vivo

ACH-000143 reduces liver triglycerides and steatosis in diet-Induced obese rats[1].
ACH-000143 is devoid of hERG binding, genotoxicity, and behavioral alterations at doses up to 100 mg/kg p.o., supporting further investigation of this compound as a drug candidate[1].

ACH-000143 significantly reduces plasma glucose at 10 mg/kg (−16.4%, $p < 0.05$) and 30 mg/kg (−16.9%, $p < 0.01$)[1].

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

Animal Model:	High-fat diet rats[1].
Dosage:	10 and 30 mg/kg.
Administration:	Orally, once daily for two months.
Result:	Significantly reduced the weekly BW gain on weeks.

REFERENCES

[1]. Marcos Antonio Ferreira Jr, et al. Discovery of ACH-000143: A Novel Potent and Peripherally Preferred Melatonin Receptor Agonist that Reduces Liver Triglycerides and Steatosis in Diet-Induced Obese Rats. J Med Chem. 2021 Feb 25;64(4):1904-1929.

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