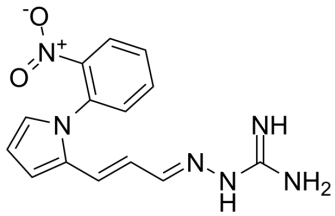
Resomelagon

Cat. No.:	HY-147301
CAS No.:	1809420-71-0
Molecular Formula:	$C_{14}H_{14}N_6O_2$
Molecular Weight:	298.3
Target:	Melanocortin 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 : 100 mg/mL (335.23 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3523 mL	16.7617 mL	33.5233 mL
	5 mM	0.6705 mL	3.3523 mL	6.7047 mL
	10 mM	0.3352 mL	1.6762 mL	3.3523 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: 5 mg/mL (16.76 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (16.76 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Resomelagon (AP1189) is a potent, orally active melanocortin receptor (MR) agonist about MC_1 and MC_3. Resomelagon induces ERK1/2 phosphorylation and Ca^{2+} mobilization. Resomelagon has anti-inflammatory activity. Resomelagon can be used for obesity and chronic inflammation research[1][2].

IC_{50} & Target		
	MC1R	MC3R

In Vitro

Resomelagon (0-1000 μM; 8 min; HEK293A cells) promotes melanocortin signal transduction through ERK1/2 phosphorylation and Ca^{2+} mobilization[1].

Resomelagon (1 nM; 30 min; peritoneal macrophages) has anti-inflammatory activity and inhibits TNF-α release and efferocytosis[1].

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

Western Blot Analysis[1]

Cell Line:	HEK293A cells
Concentration:	0-1000 μM
Incubation Time:	8 minutes
Result:	Increased the expression of ERK1/2 phosphorylation in a dose-dependent manner.

In Vivo

Resomelagon (0-10 mg/kg; i.p., i.v. and p.o.; for 24 h; male C57BL/6J wild-type (WT) and BALB/c mice) promotes resolution of acute inflammation in vivo[1].

Resomelagon (25-50 mg/kg; p.o.; daily, for 8 d; male C57BL/6J wild-type (WT) and BALB/c mice) reduces arthritis in mice[1].

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

Animal Model:	Male C57BL/6J wild-type (WT) and BALB/c mice[1]
Dosage:	0, 0.1, 1 and 10 mg/kg
Administration:	Oral administration, intraperitoneal injection and intravenous injection; for 24 hours
Result:	Inhibited neutrophil and monocyte infiltration in a dose-dependent manner.

Animal Model:	Male C57BL/6J wild-type (WT) and BALB/c mice[1]
Dosage:	25 and 50 mg/kg
Administration:	Oral administration; daily; for 8 days
Result:	Reduced all signs of arthritis measured, including clinical score (-42%), paw swelling (-87%), proportion of animals with all four paws affected (-50%), and the severity of the inflammation (-70%).

REFERENCES

[1]. Montero-Melendez T, et, al. Biased agonism as a novel strategy to harness the proresolving properties of melanocortin receptors without eliciting melanogenic effects. J Immunol. 2015 Apr 1;194(7):3381-8.

[2]. WHO Drug Information. International Nonproprietary Names for Pharmaceutical

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