Setmelanotide

Cat. No.: HY-19870

CAS No.: 920014-72-8

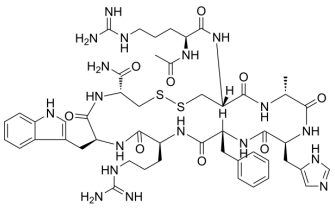
Molecular Formula: $C_{49}H_{68}N_{18}O_9S_2$

Molecular Weight: 1117.31

Target: Melanocortin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (89.50 mM; Need ultrasonic)

H_2O : 62.5 mg/mL (55.94 mM; Need ultrasonic)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	0.8950 mL	4.4750 mL	8.9501 mL
5 mM	0.1790 mL	0.8950 mL	1.7900 mL
10 mM	0.0895 mL	0.4475 mL	0.8950 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 (2.24 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.24 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Setmelanotide (RM-493) is a selective melanocortin 4 receptor (MC4R) agonist with EC_{50}s of 0.27 nM and 0.28 nM for human and rat MC4R, respectively[1].

IC_{50} & Target

Ki:3.9 nM (hMC1R), 10 nM (hMC3R), 2.1 nM (hMC4R), 430 nM (hMC5R), 2.7 nM (rMC4R)[1]
EC50: 5.8 nM (hMC1R), 5.3nM (hMC3R), 0.27 nM (hMC4R), 0.28 (rMC4R), 1600 nM (hMC5R)[1]

In Vitro

Melanocortin receptor agonists act in the brain to regulate food intake and body weight and, independently of these actions. Setmelanotide exhibits agonist activity to human and rat MC4R with K_is of 2.1 and 2.7 nM and EC_{50}s of 0.27 and 0.28

	nM, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Inhibition of refeeding after an overnight fast by Setmelanotide is dependent on functional MC4R, and does not require MC3R. BIM-22493 acutely improves glucose homeostasis. Lep^{ob}/Lep^{ob} mice treated with BIM-22493 exhibits significantly improved glucose clearance when compared to controls. Chronic BIM-22493 treatment was associated with significantly lower levels of serum glucose and HOMA-IR values[1]. Treatment with setmelanotide results in transient decreases in food intake (35%), with persistent weight loss over 8 weeks of treatment (13.5%) in a diet-induced obese nonhuman primate model[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice: Mice are weighed. Baseline blood glucose is measured and 2 g/kg body weight of D-glucose injected by i.p. BIM-22493 is administered chronically at a dose of 300 nmol/kg/day for 14 days by sc. osmotic pump. Controls are administered with 0.9% saline during the same period. Blood glucose is measured at 15, 30, 60, and 120 minutes post injection[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Exp Med. 2021 Jul 5;218(7):e20202484.
- Cell Rep. 2022 Nov 1;41(5):111579.
- J Med Chem. 2017 Nov 9;60(21):8716-8730.
- Front Immunol. 2019 Oct 4;10:2312.
- Department od Analytical Chemistry, Charles University. 2019 Jun.

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REFERENCES

[1]. Kumar KG, et al. Analysis of the therapeutic functions of novel melanocortin receptor agonists in MC3R- and MC4R-deficient C57BL/6J mice. Peptides. 2009 Oct;30(10):1892-900.

[2]. Kievit P, et al. Chronic treatment with a melanocortin-4 receptor agonist causes weight loss, reduces resistance, and improves cardiovascular function in diet-induced obese rhesus macaques. Diabetes. 2013 Feb;62(2):490-7.

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