Endomorphin 1 acetate

Cat. No.: HY-P0185A

CAS No.: 1276123-71-7

Molecular Formula: $C_{36}H_{42}N_6O_7$

Molecular Weight: 670.75

Target: Opioid Receptor

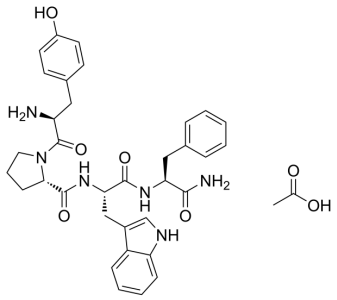
Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Sealed storage, away from moisture and light, under nitrogen

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (186.36 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4909 mL	7.4543 mL	14.9087 mL
	5 mM	0.2982 mL	1.4909 mL	2.9817 mL
	10 mM	0.1491 mL	0.7454 mL	1.4909 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 (3.10 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.10 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Endomorphin 1 acetate, a high affinity, highly selective agonist of the μ-opioid receptor (K_i: 1.11 nM), displays reasonable affinities for kappa$_3$ binding sites, with K_i value between 20 and 30 nM. Endomorphin 1 acetate has antinociceptive properties[1][2][4].

IC_{50} & Target

μ Opioid Receptor/MOR
1.11 nM (Ki)

In Vitro

Endomorphin 1 acetate inhibits Forskolin (HY-15371) (1 μM) stimulated cyclic AMP formation with a pIC_{50} value of 8.03 in In CHOμ cells[5].
Endomorphin 1 (1-10 μM) acetate increases interleukin-8 secretion in Caco-2 cells[6].
Endomorphin 1 (1 μM) acetate inhibits excitatory transmission in adult rat substantia gelatinosa neurons[7].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Endomorphin 1 (i.c.v.) acetate shows antinociceptive properties in mice, with an ED_{50} value of 6.16 nM[2].
Endomorphin 1 (50 μg/kg, i.v., rats) acetate alleviates myocardial ischemia/reperfusion injury (MIRI) by inhibiting the inflammatory response[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	ICR mice[2].
Dosage:	6.16 nM (ED_{50})
Administration:	Intracerebroventricularly (i.c.v.) injection
Result:	Inhibited dose-dependently the tail-flick response.

Animal Model:	Rats[3].
Dosage:	50 μg/kg
Administration:	Intravenously following LAD ligation for 25 min, subsequently the LAD was reperfused for 120 min.
Result:	Alleviated MIRI by reducing the production of free radicals. Dncreased LDH and CK-MB activities. Increased SOD activity and decreased MDA content. Decreased IL-6 and TNF-α plasma content.

REFERENCES

[1]. Goldberg IE, et al. Pharmacological characterization of endomorphin-1 and endomorphin-2 in mouse brain. J Pharmacol Exp Ther. 1998 Aug;286(2):1007-13.

[2]. Tseng LF. The antinociceptive properties of endomorphin-1 and endomorphin-2 in the mouse. Jpn J Pharmacol. 2002 Jul;89(3):216-20.

[3]. Zhang WP, et al. Effects of endomorphin-1 postconditioning on myocardial ischemia/reperfusion injury and myocardial cell apoptosis in a rat model. Mol Med Rep. 2016 Oct;14(4):3992-8.

[4]. Koda Y, et al. Synthesis and in vitro evaluation of a library of modified endomorphin 1 peptides. Bioorg Med Chem. 2008 Jun 1;16(11):6286-96.

[5]. Harrison C, et al. The effects of endomorphin-1 and endomorphin-2 in CHO cells expressing recombinant mu-opioid receptors and SH-SY5Y cells. Br J Pharmacol. 1999 Sep;128(2):472-8.

[6]. Neudeck BL, et al. Endomorphin-1 alters interleukin-8 secretion in Caco-2 cells via a receptor mediated process. Immunol Lett. 2002 Dec 3;84(3):217-21.

[7]. Fujita T, et al. Inhibition by endomorphin-1 and endomorphin-2 of excitatory transmission in adult rat substantia gelatinosa neurons. Neuroscience. 2006;139(3):1095-105.

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

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