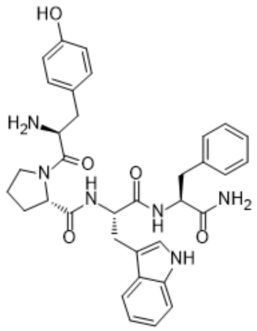
Endomorphin 1



Cat. No.:	HY-P0185
CAS No.:	189388-22-5
Molecular Formula:	$C_{34}H_{38}N_6O_5$
Molecular Weight:	610.7
Sequence:	Tyr-Pro-Trp-Phe-NH2
Sequence Shortening:	YPWF-NH2
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

H_2O : 25 mg/mL (40.94 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6375 mL	8.1873 mL	16.3747 mL
	5 mM	0.3275 mL	1.6375 mL	3.2749 mL
	10 mM	0.1637 mL	0.8187 mL	1.6375 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

Endomorphin 1, 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 has antinociceptive properties[1][2][4].

IC_{50} & Target

μ Opioid Receptor/MOR
1.11 nM (Ki)

In Vitro

Endomorphin 1 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) increases interleukin-8 secretion in Caco-2 cells[6].
Endomorphin 1 (1 μM) 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.) shows antinociceptive properties in mice, with an ED_{50} value of 6.16 nM[2].
Endomorphin 1 (50 μg/kg, i.v.) 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]. Tseng LF. The antinociceptive properties of endomorphin-1 and endomorphin-2 in the mouse. Jpn J Pharmacol. 2002 Jul;89(3):216-20.

[2]. 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.

[3]. 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.

[4]. 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.

[5]. 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.

[6]. 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.

[7]. 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA