Product Data Sheet

Product Name: Endomorphin-1
Cat. No.: GP10065

Chemical Properties

Cas. No.	189388-22-5		
Chemical Name	(2S)-1-[(2S)-2-amino-3-(4-hydroxyphenyl)propanoyl]-N-[(2S)-1-[[(2S)-1-amino-1-oxo-3-phenylpropan-2-yl]amino]-3-(1H-indol-3-yl)-1-oxopropan-2-yl]pyrrolidine-2-carboxamide		
SMILES	C1CC(N(C1)C(=O)C(CC2=CC=C(C=C2)O)N)C(=O)NC(CC3=CNC4=CC=CC=C43)C(=O)NC(CC5=CC=CC=C5)C(=O)N		
Formula	$C_{34}H_{38}N_6O_5$	M.Wt	610.67
Solubility	≥ 30.55mg/mL in DMSO	Storage	Desiccate at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Endomorphin-1		

Protocol

Cell experiment [1]:

Cell lines	Primary human fetal mixed glial/neuronal brain cell, human microglial cell
Preparation method	The solubility of this compound in DMSO is >30.6 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 ℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.
Reacting condition	0.1 nM
Applications	In mixed glial/neuronal cell cultures infected with HIV-1, endomorphin-1 potentiated the expression of HIV-1 in a bell-shaped dose-response manner. Endomorphin-1 (0.1 nM) consistently amplified the replication of HIV-1. In microglial cells, endomorphin-1 potentiated the expression of HIV-1, with maximal enhancement of HIV-1 expression at 10-10M.

Animal experiment [2, 3]:

Animal models	Male ICR mice, adult female Sprague–Dawley rats
Dosage form	i.c.v. injection, 5 min, 3.28 nM-16.38 nM, intrathecal injection

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Application	Endomorphin-1 inhibited the tail-flick (AD50 = 6.16 nM) and hot-plate responses (AD50 = 1.94 nM) in a dose-dependent manner at 5 min after i.c.v. injection. In rats, intrathecal injection of 1:10 and 1:100 times diluted EM1 antiserum significantly decreased the effect of 2 Hz electroacupuncture analgesia.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

References:

[1]. Peterson P K, Gekker G, Hu S, et al. Endomorphin-1 potentiates HIV-1 expression in human brain cell cultures: implication of an atypical μ-opoid receptor[J]. Neuropharmacology, 1999, 38(2): 273-278.

[2]. Tseng L F, Narita M, Suganuma C, et al. Differential antinociceptive effects of endomorphin-1 and endomorphin-2 in the mouse[J]. Journal of Pharmacology and Experimental Therapeutics, 2000, 292(2): 576-583.

[3]. Han Z, Jiang Y H, Wan Y, et al. Endomorphin-1 mediates 2 Hz but not 100 Hz electroacupuncture analgesia in the rat[J]. Neuroscience letters, 1999, 274(2): 75-78.

Background

Endomorphins are two endogenous opioid peptides. Endomorphin-1 (Tyr-Pro-Trp-Phe-NH_2) and endomorphin-2 (Tyr-Pro-Phe-Phe-NH_2) are tetrapeptides with the highest known affinity and specificity for the μ opioid receptor. Endomorphin-1 is located in the nucleus of the solitary tract, the periventricular hypothalamus, and the dorsomedial hypothalamus, where it is found within histaminergic neurons and may regulate sedative and arousal behaviors[1]. It is assumed that endomorphins are the cleavage products of a larger precursor, but this polypeptide or protein has not yet been identified. Perikarya expressing EM2-like immunoreactivity were present in the posterior hypothalamus, whereas those expressing EM1-like immunoreactivity were present in both the posterior hypothalamus and the nucleus of the solitary tract (NTS). EM1-like immunoreactivity was more widely and densely distributed throughout the brain than was EM2-like immunoreactivity, whereas EM2-like immunoreactivity was more prevalent in the spinal cord than was EM1-like immunoreactivity. endomorphins participate in modulating nociceptive and autonomic nervous system processes and responsiveness to stress.

References:

1. Greco, MA; Fuller, PM; Jhou, TC; Martin-Schild, S; Zadina, JE; Hu, Z; Shiromani, P; Lu, J (2008). "Opioidergic projections to sleep-active neurons in the ventrolateral preoptic nucleus". Brain Research 1245: 96–107.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA