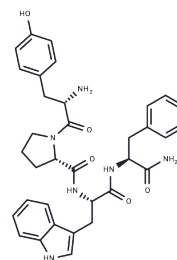


Endomorphin 1

Chemical Properties

CAS No. :	189388-22-5
Formula:	C ₃₄ H ₃₈ N ₆ O ₅
Molecular Weight:	610.7
Storage:	Keep away from moisture Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	Endomorphin 1 is a high affinity and selective agonist of the μ -opioid receptor and displays reasonable affinities for kappa3 binding sites (K_i : 20~30 nM).
Targets(IC50)	Opioid Receptor
In vitro	Endomorphin is an endogenous opioid peptide and one of the two Endomorphins. It is a high affinity, a highly selective agonist of the μ -opioid receptor, and along with Endomorphin 2. Endomorphin 1 and Endomorphin 2 compete for both μ_1 and μ_2 receptor sites quite potently. Endomorphins have a little appreciable affinity for either delta or kappa1 binding sites, with K_i values greater than 500 nM.
In vivo	Both Endomorphin 1 and Endomorphin 2 are potent analgesics with peak effects seen at 10 and 15 min, respectively. All subsequent studies are performed at peak effect. Both compounds are fully active supraspinally and spinally, with no indication of ceiling effects. Both Endomorphin 1 and Endomorphin 2 display a profile similar to morphine. Neither compound has analgesic activity in CXBK mice at a dose that produced over 70% analgesia in control CD-1 mice.
Kinase Assay	¹²⁵ I-Endomorphin 1 or ¹²⁵ I-Endomorphin 2 binding (0.2 nM) is performed in potassium phosphate buffer (50 mM, pH 7.4; 0.5 mL) with MgCl ₂ (5 mM) at a tissue concentration of 10 mg wet weight/mL for brains or 0.06 mg protein/mL for MOR-1/CHO cells. Specific binding is determined in the presence and absence of either 1 μ M of the corresponding unlabeled peptide. The entire mixture is then incubated at 25°C for 1 hr and filtered over no. 32 glass fiber filters which have been presoaked for 1 hr in 0.5% polyethyleneimine and washed twice with ice-cold Tris buffer using a Brandel cell harvester. The filters are then counted on a Packard Cobra gamma counter. The other opioid receptor binding assays are performed.
Animal Research	Groups of mice are treated i.c.v. with Endomorphin 1 (12 μ g) or Endomorphin 2 (3 μ g) 15 min before a 0.5-cc charcoal meal (2.5% gum tragacanth, 10% activated charcoal in water). The mice are killed 30 min later and the distance the charcoal traveled is measured.

Solubility Information

A DRUG SCREENING EXPERT

Solubility	H2O: 24 mg/mL (39.3 mM),Sonication is recommended. DMSO: 242.5 mg/mL (397.09 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0327 mL	0.1637 mL	0.3275 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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