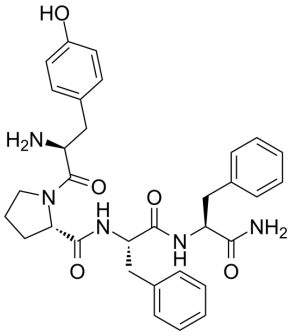
Endomorphin 2

Cat. No.:	HY-P0186
CAS No.:	141801-26-5
Molecular Formula:	$C_{32}H_{37}N_5O_5$
Molecular Weight:	571.67
Sequence:	Tyr-Pro-Phe-Phe-NH2
Sequence Shortening:	YPFF-NH2
Target:	Opioid Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Endomorphin 2, a high affinity, highly selective agonist of the μ-opioid receptor, displays reasonable affinities for kappa$_3$ binding sites, with K_i value between 20 and 30 nM.
IC_{50} & Target	μ Opioid Receptor/MOR
In Vitro	Endomorphin 2 is an endogenous opioid peptide and one of the two Endomorphins. It is a high affinity, highly selective agonist of the μ-opioid receptor, and along with Endomorphin 1 (EM-2). The two Endomorphins display reasonable affinities for kappa$_3$ binding sites, with K_i values between 20 and 30 nM. Endomorphin 1 and Endomorphin 2 compete both μ_1 and μ_2 receptor sites quite potently. Endomorphins have little appreciable affinity for either delta or kappa$_1$ binding sites, with K_i values greater than 500 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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. Endomorphin 1 is significantly more potent spinally than supraspinally and, at the spinal level, it is significantly more potent than Endomorphin 2. The response of both agents are readily reversed by naloxone. β-FNA, a highly selective μ antagonist, effectively reverses the actions of both Endomorphins. Neither compound have analgesic activity in CXBK mice at a dose which produced over 70% analgesia in control CD-1 mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	^{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 μM 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% polyethylenimine 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[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration [1]	Mice[1] Groups of mice are treated i.c.v. with Endomorphin 1 (12 μg) or Endomorphin 2 (3 μg) 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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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