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产品名称：Endomorphin 1
产品别名：内吗啡肽 1

生物活性：

Description	Endomorphin 1, 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	Ki: 20-30 nM (kappa$_3$ opioid receptor)[1]
In Vitro	Endomorphin 1 (EM-1) 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 2 (EM-2). The two Endomorphins display reasonable affinities for kappa3 binding sites, with Ki values between 20 and 30 nM. Endomorphin 1 and Endomorphin 2 compete both μ1 and μ2 receptor sites quite potently. Endomorphins have little appreciable affinity for either delta or kappa1 binding sites, with Ki values greater than 500 nM[1].
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. Both Endomorphin 1 and Endomorphin 2 display a profile similar to morphine. Neither compound have analgesic activity in CXBK mice at a dose which produced over 70% analgesia in control CD-1 mice[1].
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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：--80° C, 6 months; -20° C, 1 month (protect from light, stored under nitrogen)。 -80° C 储存时，请在 6 个月内使用，-20° C 储存时，请在 1 个月内使用。

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.

实验参考：

Animal Administration	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.
	^{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

Kinase Assay	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] .
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.