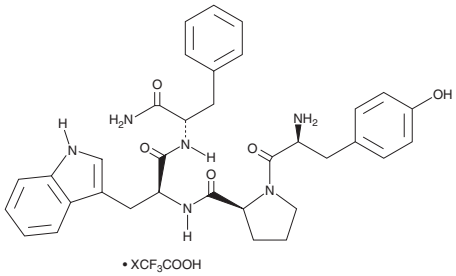
PRODUCT INFORMATION

Endomorphin 1 (trifluoroacetate salt)

Item No. 23280

Formal Name:	L-tyrosyl-L-prolyl-L-tryptophyl-L-phenylalaninamide, trifluoroacetate salt
Synonym:	Tyr-Pro-Trp-Phe-NH_2
MF:	$C_{34}H_{38}N_6O_5 \bullet XCF_3COOH$
FW:	610.7
Purity:	≥95%
Supplied as:	A lyophilized powder
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Endomorphin 1 (trifluoroacetate salt) is supplied as a lyophilized powder. A stock solution may be made by dissolving the endomorphin 1 (trifluoroacetate salt) in the solvent of choice. Endomorphin 1 (trifluoroacetate salt) is soluble in the organic solvent formic acid, which should be purged with an inert gas, at a concentration of approximately 1 mg/ml.

Description

Endomorphin 1 is an endogenous neuropeptide and μ-opioid receptor agonist (K_i = 0.36 nM) that has analgesic, positive reinforcing, and gastrointestinal properties.[1,2] It is found in the brain and, to a lesser extent, in the spinal cord.[3] It is selective for μ- over δ- and κ-opioid receptors (K_is = 1,506 and 5,428 nM, respectively).[1] Endomorphin 1 also binds to mouse brain membranes and rat recombinant μ-opioid receptors expressed in CHO cells (K_is = 0.94 and 0.66 nM, respectively).[2] It inhibits cAMP accumulation induced by forskolin in CHO cells expressing rat recombinant μ-opioid receptors and in SH-SY5Y human neuroblastoma cells (IC_{50}s = 9.33 and 19.1 nM, respectively).[4] In mice, endomorphin 1 increases analgesia in a radiant heat tail-flick assay following intracerebroventricular or intrathecal administration (ED_{50}s = 4.75 and 0.726 μg, respectively) and induces conditioned place preference when administered intracerebroventricularly at a dose of 10 μg.[2,5] Endomorphin 1 (12 μg, i.c.v.) also decreases gastrointestinal transit time in mice.[2]

References

1. Zadina, J.E., Hackler, L., Ge, L.J., *et al.* A potent and selective endogenous agonist for the μ-opiate receptor. *Nature* **386(6624)**, 499-502 (1997).
2. Goldberg, I.E., Rossi, G.C., Letchworth, S.R., *et al.* Pharmacological characterization of endomorphin-1 and endomorphin-2 in mouse brain. *J. Pharmacol. Exp. Ther.* **286(2)**, 1007-1013 (1998).
3. Martin-Schild, S., Gerall, A.A., Kastin, A.J., *et al.* Differential distribution of endomorphin 1- and endomorphin 2-like immunoreactivities in the CNS of the rodent. *J. Comp. Neurol.* **405(4)**, 450-471 (1999).
4. Harrison, C., McNulty, S., Smart, D., *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.* **128(2)**, 472-478 (1999).
5. Wu, H.-e., MacDougall, R.S., Clithero, A.D., *et al.* Opposite conditioned place preference responses to endomorphin-1 and endomorphin-2 in the mouse. *Neurosci. Lett.* **365(3)**, 157-161 (2004).

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