

Product Data Sheet

Product Name: Spinorphin
Cat. No.: GC16894

Chemical Properties

Cas. No. 137201-62-8

Chemical Name 2-(2-(1-(2-(2-(2-amino-4-methylpentanamido)-3-methylbutanamido)-3-methylbutanamido)-3-(4-hydroxyphenyl)propanoyl)pyrrolic carboxamido)-3-(1H-indol-3-yl)propanamido)-3-hydroxybutanoic acid

SMILES CC(C)CC(C(=O)NC(C(C)C)C(=O)NC(C(C)C)C(=O)NC(CC1=CC=C(C=C1)O)C(=O)N2CCCC2C(=O)NC(CC3=CNC4=CC=CC=C43)C(=O)N

Formula C₄₅H₆₄N₈O₁₀

M.Wt 877.05

Solubility DMF: 30 mg/ml, DMSO: 30 mg/ml

Storage Desiccate at -20°C

General For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be tips 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

Background

Spinorphin is an endogenous inhibitor of enkephalin-degrading enzymes (aminopeptidase, dipeptidyl aminopeptidase III, angiotensin-converting enzyme and enkephalinase) [1]. Also, it is a potent antagonist of human P2X3 receptor and the N-formylpeptide receptor subtype FPR with IC50 value of 8.3 pM for P2X3 receptor [2][3].

Enkephalin degrading enzymes are a series of enzymes that hydrolyze enkephalins, which play an important role in management of blood pressure, pain, hypertension and cardiovascular diseases.

In human embryonic kidney (HEK) 293 cells transfected with mouse FPR, spinorphin induced a typical rise in the intracellular Ca²⁺ concentration with EC50 of 128 μM. Also, in normal mouse neutrophils, Spinorphin induced calcium flux in a dose-dependent way. While the neutrophils from mice deficient in the fMLF receptor subtype FPR didn't response [3].

In mice, intrathecal administration of spinorphin inhibited the allodynia induced by intrathecal nociceptin in a dose-dependent way. Furthermore, spinorphin enhanced the inhibitory effect of enkephalin on allodynia induced by nociceptin [4].

References:

- [1]. Nishimura K, Hazato T. Isolation and identification of an endogenous inhibitor of enkephalin-degrading enzymes from bovine spinal cord. *Biochem Biophys Res Commun*, 1993, 194(2): 713-719.
- [2]. Jung KY, Moon HD, Lee GE, et al. Structure-activity relationship studies of spinorphin as a potent and selective human P2X(3) receptor antagonist. *J Med Chem*, 2007, 50(18): 4543-4547.
- [3]. Liang TS, Gao JL, Fatemi O, et al. The endogenous opioid spinorphin blocks fMet-Leu-Phe-induced neutrophil chemotaxis by acting as a specific antagonist at the N-formylpeptide receptor subtype FPR. *J Immunol*, 2001, 167(11): 6609-6614.
- [4]. Honda M, Okutsu H, Matsuura T, et al. Spinorphin, an endogenous inhibitor of enkephalin-degrading enzymes, potentiates leu-enkephalin-induced anti-allodynic and antinociceptive effects in mice. *Jpn J Pharmacol*, 2001, 87(4): 261-267.

Caution: Product has not been fully validated for medical applications. For research use only.

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