

Product Data Sheet

Product Name: Sialorphin TFA

Cat. No.: GC81682

Chemical Properties

Cas. No.

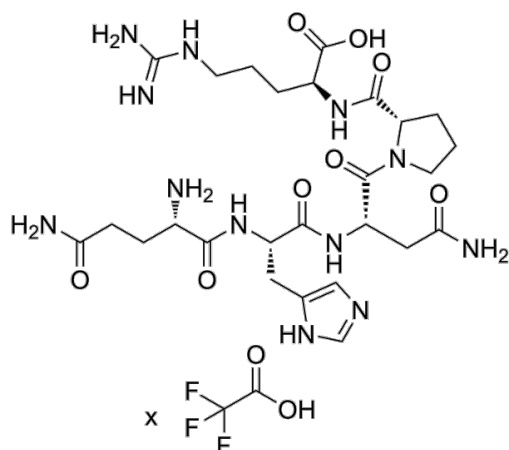
Formula $C_{26}H_{42}N_{12}O_8 \cdot xC_2HF_3O_2$ M.Wt 650.69

Solubility H_2O : 100 mg/mL (Need ultrasonic) Storage Sealed storage, away from moisture

General tips 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 stored below -20°C 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

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

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Sialorphin TFA is a neutral endopeptidase (NEP) and aminopeptidase N (APN) inhibitor that responds to androgen signals. Sialorphin TFA blocks the degradation of endogenous opioid peptides and interacts with μ -, δ -, κ - opioid receptors. Sialorphin TFA regulates the ERK/mTOR signaling pathway by inducing cell cycle arrest, enhancing ERK1/2 activity, and reducing the phosphorylation levels of mTOR, 4E-BP1, p70S6K; accordingly, Sialorphin TFA exhibits antiproliferative activity against colorectal cancer, glioma and prostate cancer cells without cytotoxicity. In addition, Sialorphin TFA also produces antinociceptive responses, regulates sexual behavior, relaxes corpus cavernosum smooth muscle, and alleviates experimental colitis. Sialorphin TFA is also a copper (II) ion-binding ligand. Sialorphin TFA has been used in mechanistic studies related to cancer, pain management and inflammatory bowel disease [1] [2] [3] [4] [5].

In Vivo, Sialorphin TFA (0.3-10 mg/kg; i.p.; twice daily; 3 days) at doses of 0.3, 1, and 3 mg/kg significantly attenuates acute TNBS-induced colitis in *Mus musculus*, as measured by reduced macroscopic damage, ulceration, colonic wall thickening, and MPO activity^[2]. Sialorphin TFA (1 mg/kg; i.p.; twice daily; 7 days) significantly attenuates chronic, relapsing TNBS-induced colitis in mice, as measured by reduced clinical, biochemical, histological, and cytokine markers of inflammation^[2]. Sialorphin TFA (1 mg/kg; i.p.; twice daily; 7 days) does not exhibit anti-inflammatory activity in DSS-induced colitis in mice^[2].

In Vitro, Sialorphin (10 μ M; 20 min) inhibits the degradation of Met-enkephalin (ME) in rat spinal cord slices with an inhibition rate of 70%-96%, and simultaneously inhibits the hydrolysis of 3H-SP in spinal cord tissues (membranes or slices) with an inhibition rate of 55%. Its IC₅₀ for inhibiting 3H-SP degradation is 3.9×10^{-7} M^[1]. Sialorphin (400 nM-4000 nM; 10-20 min) exerts a concentration-dependent inhibitory effect on endopeptidase-mediated hydrolysis of 3H-SP in rat renal cell membranes, with an IC₅₀ of 1 μ M. This inhibition is competitive and comparable in efficacy to that of phosphoramidon^[1].

References:

- [1]. Rougeot C, et al. Sialorphin, a natural inhibitor of rat membrane-bound neutral endopeptidase that displays analgesic activity. *Proc Natl Acad Sci U S A*. 2003;100(14):8549-8554.
- [2]. Salaga M, et al. Systemic Administration of Sialorphin Attenuates Experimental Colitis in Mice via Interaction With Mu and Kappa Opioid Receptors. *J Crohns Colitis*. 2017;11(8):988-998.

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[3]. Mizerska-Kowalska M, et al. Neutral endopeptidase (NEP) inhibitors–thiorphan, sialorphan, and its derivatives exert anti-proliferative activity towards colorectal cancer cells in vitro[J]. Chemico-Biological Interactions, 2019, 307: 105-115.

[4]. Kamysz E, et al. Antitumor activity of opiorphan, sialorphan and their conjugates with a peptide klaklakklaklak. J Pept Sci. 2016;22(11-12):723-730.

[5]. Kamysz E, et al. Sialorphan and its analog as ligands for copper (II) ions[J]. Polyhedron, 2013, 55: 216-224.

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