

Product Data Sheet

Product Name: HS-014 (trifluoroacetate salt)

Cat. No.: GC92103

Chemical Properties

Cas. No.

Chemical Name cyclic (1→8)-disulfide N-acetyl-L-cysteinyl-L-α-glutamyl-L-histidyl-3-(2-naphthalenyl)-D-alanyl-L-arginyl-L-tryptophylglycyl-L-cysteinyl-L-prolyl-L-prolyl-L-lysyl-L-α-asparagine, tri fluoroacetate salt

SMILES O=C([C@@H](NC([C@H](NC([C@@H](NC([C@@H](NC([C@H](CSSC[C@H](NC(CN C1=O)=O)C(N2[C@@H](CCC2)C(N3[C@@H](CCC3)C(N[C@@H](CCCCN)C(N[C@H])(C(N)=O)CC(O)=O)=O)=O)=O)NC(C)=O)=O)CCC(O)=O)CC4=CN=CN4)=O)C C5=CC6=C(C=CC=C6)C=C5)=O)CCCNC(N)=N)N[C@H]1CC7=CNC8=CC=CC=C78.O =C(O)C(F)(F)F

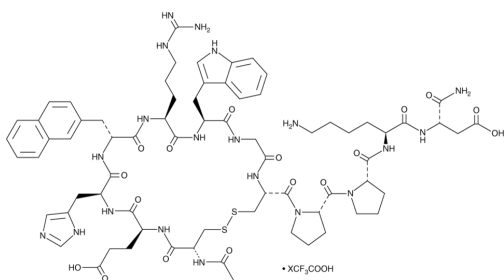
Formula C₇₁H₉₄N₂₀O₁₇S₂ ? XCF₃COOH **M.Wt** 1563.8

Solubility DMSO: Soluble: ≥10 mg/ml, PBS (pH 7.2): Soluble: ≥10 mg/ml **Storage** -20°C

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

HS-014 is an antagonist of melanocortin receptor 4 (MC4R; K_i = 3.16 nM).¹ It is selective for MC4R over MC1R, MC3R, and MC5R (K_is = 108, 54.4, and 694 nM, respectively). HS-014 inhibits cAMP

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

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accumulation induced by α -melanocyte-stimulating hormone (α -MSH) in COS-1 cells expressing MC4R in a concentration-dependent manner. It increases food intake in free-feeding rats when administered intracerebroventricularly at doses of 1 or 3 nmol/animal.² Intracerebroventricular administration of HS-014 (0.008 ng/animal) increases the latency to tail withdrawal in the tail-flick test, as well as inhibits morphine withdrawal-induced decreases in the latency to tail withdrawal in the tail-flick test, in rats.³ It reduces immobility time in the forced swim test, as well as increases time in the open area and reduces the number of droppings in an open field test, in rats when administered at a dose of 100 μ g/animal.⁴

References:

- [1]. Schieth, H.B., Mutulis, F., Muceniece, R., et al. Discovery of novel melanocortin4 receptor selective MSH analogues Br. J. Pharmacol. 124(1)75-82(1998).
- [2]. Kask, A., Rago, L., Mutulis, F., et al. Selective antagonist for the melanocortin 4 receptor (HS014) increases food intake in free-feeding rats Biochem. Biophys. Res. Commun. 245(1)90-93(1998).
- [3]. Kalange, A.S., Kokare, D.M., Singru, P.S., et al. Central administration of selective melanocortin 4 receptor antagonist HS014 prevents morphine tolerance and withdrawal hyperalgesia Brain Res. 1181 10-20(2007).
- [4]. Serova, L.I., Laukova, M., Alaluf, L.G., et al. Intranasal infusion of melanocortin receptor four (MC4R) antagonist to rats ameliorates development of depression and anxiety related symptoms induced by single prolonged stress Behav. Brain Res. 250 139-147(2013).

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