Product Data Sheet

Product Name: CYN 154806
Cat. No.: GC14747

Chemical Properties

Cas. No.	183658-72-2
Chemical Name	(4R,4Z,5Z,7S,8Z,10S,11Z,13R,14Z,16S,17Z,19S)-13-((1H-indol-3-yl)methyl)-10-(4-aminobutyl)-6,9,12,15,18-pentahydroxy-19-((Z)-((S)-1-hydroxy-2-((Z)-(1-hydroxyethylidene)amino)-3-(4-nitrophenyl)propylidene)amino)-N-((R)-1-hydroxy-3-(4-hydroxyphenyl)-1-iminop
SMILES	C[C@](O)([H])[C@@]1([H])/C(O)=N/[C@@](/C(O)=N/[C@](C(O)=N)([H])CC2=CC=C(O)C=C2)([H])CSSC[C@](/N=C(O)/[C@](/N=C(O)/C)([H])CC3=CC=C(N(=O)=O)C=C3)([H])/C(O)=N/[C@@](/C(O)=N/[C@](/C(O)=N/[C@@](/C(O)=N/1)([H])CCCCN)([H])CC4=CNC5=CC=CC=C45)([H])CC6=CC=C(O)C=C6
Formula	$C_{56}H_{68}N_{12}O_{14}S_2$
M.Wt	1197.35
Solubility	Soluble to 1 mg/ml in Water
Storage	Desiccate at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	CYN 154806

Protocol

Cell experiment [1]:

Cell lines	Rat pituitary tumor GC cells

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Preparation Method	GC cells were maintained in Dulbecco's modified Eagle's medium nutrient mixture F-12 Ham (DME-F12) supplemented with 15% heat-inactivated horse serum and 2.5% bovine calf serum at 37°C, 5% CO_2. Cells were pretreated with the sst2 receptor antagonist CYN 154806 (1nM-1μM).
Reaction Conditions	1nM-1μM; 30 minutes.
Applications	CYN 154806 (100nM) pretreatment for 30 minutes abolished the inhibition of intracellular free calcium concentration ($[Ca^{2+}]_i$) and Ca^{2+} transients induced by somatostatin (SRIH; 10nM) or the sst2 receptor agonist octreotide (10nM), demonstrating its antagonistic property at sst2 receptors concerning Ca^{2+} signaling. Furthermore, CYN 154806 alone potently and concentration-dependently inhibited basal growth hormone (GH) secretion.

Animal experiment [2]:

Animal models	Male Sprague-Dawley rats
Preparation Method	Rats were bilaterally microinjected with the selective sst2 receptor antagonist CYN 154806 (60-240ng/0.5μl/side), alone or in combination with somatostatin (SRIF) or the sst2 agonist MK678, into the ventral pallidum/substantia innominata (VP/SI) brain region. Locomotor activity was measured for 60 minutes post-injection.

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Dosage form	60-240ng/0.5μl/side; intracerebral microinjection; single injections.
Applications	CYN 154806 (120ng/0.5μl/side) partially reversed the somatostatin (60ng/0.5μl/side)-induced decrease in locomotor activity. Furthermore, CYN 154806 (240ng/0.5μl/side) completely blocked the locomotor activity attenuation caused by the sst2 agonist MK678 (240ng/0.5μl/side). When administered alone at doses of 60, 120, and 240ng/0.5μl/side, CYN 154806 had no significant effect on spontaneous locomotor activity.

References:
[1] Cervia D, Petrucci C, Bluet-Pajot MT, et al. Inhibitory control of growth hormone secretion by somatostatin in rat pituitary GC cells: sst(2) but not sst(1) receptors are coupled to inhibition of single-cell intracellular free calcium concentrations. Neuroendocrinology. 2002 Aug;76(2):99-110.
[2] Flauaus C, Engel P, Zhou F, et al. Slick Potassium Channels Control Pain and Itch in Distinct Populations of Sensory and Spinal Neurons in Mice. Anesthesiology. 2022 May 1;136(5):802-822.

Background

CYN 154806 is a cyclic octapeptide and a potent, selective somatostatin sst2 receptor

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antagonist (pIC_{50}=8.58). CYN 154806 also inhibits sst1, sst3, sst4, and sst5 receptors[1-2]. CYN 154806 is applicable in research areas such as neuroscience, endocrinology, and pharmacology[3-4].

In vitro, pretreatment of rat pituitary GC cells with CYN 154806 (1nM to 1μM) for 30 minutes, followed by stimulation with somatostatin (10nM) or octreotide (10nM). CYN 154806 completely abolished the sst2 receptor-mediated decrease in intracellular free calcium concentration ($[Ca^{2+}]_i$) and the inhibition of Ca^{2+} transients[5]. Pretreatment of rat pituitary tumor GC cells with CYN 154806 (0.1μM) for 30 minutes, prior to stimulation with somatostatin or the sst2 receptor-selective agonist octreotide (1nM to 1μM). CYN 154806 significantly antagonized the following sst2 receptor-mediated inhibitory effects[6].

In vivo, bilateral microinjection of CYN 154806 (60-240ng/0.5μl/side) into the rat ventral pallidum/substantia innominata (VP/SI) reversed the somatostatin- and sst2 receptor agonist MK678-induced decrease in locomotor activity[7]. Intrathecal pretreatment of Slick-/- knockout mice, Lbx1-Slick-/- conditional knockout mice, and their respective wild-type controls with CYN 154806 (500ng in 5μl of 0.9% NaCl) 30 minutes before injecting capsaicin (5μg) into the hind paw. CYN 154806 prevented the capsaicin-induced prolonged licking behavior in Slick-/- and Lbx1-Slick-/- mice[8].

References:
[1] Nunn C, Schoeffter P, Langenegger D, et al. Functional characterisation of the putative somatostatin sst2 receptor antagonist CYN 154806. Naunyn Schmiedebergs Arch Pharmacol. 2003 Jan;367(1):1-9.
[2] Feniuk W, Jarvie E, Luo J, et al. Selective somatostatin sst(2) receptor blockade with the novel cyclic octapeptide, CYN-154806. Neuropharmacology. 2000 Jun 8;39(8):1443-50.
[3] Hannon JP, Nunn C, Stolz B, et al. Drug design at peptide receptors: somatostatin receptor ligands. J Mol Neurosci. 2002 Feb-Apr;18(1-2):15-27.
[4] Foong JP, Parry LJ, Gwynne RM, et al. 5-HT(1A), SST(1), and SST(2) receptors mediate inhibitory postsynaptic potentials in the submucous plexus of the guinea pig ileum. Am J Physiol Gastrointest Liver Physiol. 2010 Mar;298(3):G384-94.
[5] Cervia D, Petrucci C, Bluet-Pajot MT, et al. Inhibitory control of growth hormone

secretion by somatostatin in rat pituitary GC cells: sst(2) but not sst(1) receptors are coupled to inhibition of single-cell intracellular free calcium concentrations. Neuroendocrinology. 2002 Aug;76(2):99-110.
[6] Cervia D, Fiorini S, Pavan B, et al. Somatostatin (SRIF) modulates distinct signaling pathways in rat pituitary tumor cells; negative coupling of SRIF receptor subtypes 1 and 2 to arachidonic acid release. Naunyn Schmiedebergs Arch Pharmacol. 2002 Mar;365(3):200-9.
[7] Flauaus C, Engel P, Zhou F, et al. Slick Potassium Channels Control Pain and Itch in Distinct Populations of Sensory and Spinal Neurons in Mice. Anesthesiology. 2022 May 1;136(5):802-822.
[8] Marazioti A, Kastellakis A, Antoniou K, et al. Somatostatin receptors in the ventral pallidum/substantia innominata modulate rat locomotor activity. Psychopharmacology (Berl). 2005 Sep;181(2):319-26.

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