Product Data Sheet

Product Name: Kisspeptin 234
Cat. No.: GC12509

Chemical Properties

Cas. No.	1145998-81-7
Chemical Name	(S,Z)-N'1-((6S,7Z,9R,10Z,13Z,15S,16Z,19Z,21S,22Z,24S)-9-((1H-indol-3-yl)methyl)-1-amino-15-benzyl-8,11,14,17,20,23-hexahydroxy-6-((Z)-hydroxy(((S)-1-hydroxy-1-imino-3-phenylpropan-2-yl)imino)methyl)-21-(2-hydroxy-2-iminoethyl)-1-imino-25-(1H-indol-3-yl)-2
SMILES	C[C@](/N=C(O)/C)([H])/C(O)=N/[C@@](/C(O)=N/[C@@](/C(O)=N/[C@@](/C(O)=N/C/C(O)=N/[C@@](/C(O)=N/C/C(O)=N/[C@](/C(O)=N/[C@@](/C(O)=N/[C@@](C(O)=N)([H])CC1=CC=CC=C1)([H])CCCNC(N)=N)([H])CC2=CNC3=CC=CC=C23)([H])CC4=CC=CC=C4)([H])CC(O)=N)([H])CC5=CNC6=CC=CC=C56
Formula	$C_{63}H_{78}N_{18}O_{13}$
M.Wt	1295.42
Solubility	Soluble to 1 mg/ml in Water
Storage	Store 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	Kisspeptin 234

Protocol

Cell experiment [1]:

Cell lines	RL95-2, Ishikawa, HEC-1-A and HEC-1-B cells (human endometrial cancer cell lines)

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Preparation Method	Endometrial cancer cells were seeded on an uncoated porous filter in a transwell chamber containing 100nM of Kisspeptin 234. After 24h of incubation, cells on the upper side of the filter were removed and the migrated cells on the lower surface were fixed, stained with crystal violet, and counted.
Reaction Conditions	100nM; 24h
Applications	Treatment with Kisspeptin 234 (100nM) significantly promoted the cell migration in the 4 cell lines.

Animal experiment [2]:

Animal models	Adult male CD1 mice
Preparation Method	After pretreating mice with an intrathecal injection of Kisspeptin 234 (1nM; 3μL), a 10μL 5% formalin solution was subcutaneously injected into the plantar surface of the right hind paw of CD1 mice 10min later. Immediately after the injection, the mice were placed in a Plexiglas box surrounded by mirrors to allow observation of nociceptive responses, including licking, lifting, and shaking of the injected paw. Formalin scores were separated into two phases, phase I (0-10min) and phase II (15-45min). The mean behavioural score was calculated in blocks of 5min for each of the two phases.
Dosage form	1nM; 3μL; i.t.

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Applications	Intrathecal injection of Kisspeptin 234 at a dose of 1nM/3μL, administered 10min prior to formalin injection, significantly reduced nociceptive behaviors in both the first and second phases of the formalin test.

References:
[1] WU H M, CHEN L H, CHIU W J, et al. Kisspeptin Regulates Cell Invasion and Migration in Endometrial Cancer[J]. Journal of the Endocrine Society, 2024, 8(3): bvae001.
[2] SPAMPINATO S, TRABUCCO A, BIASIOTTA A, et al. Hyperalgesic activity of kisspeptin in mice[J]. Molecular Pain, 2011, 7: 1744-8069-7-90.

Background

Kisspeptin 234 is a potent kisspeptin receptor (KISS1/GPR54) antagonist composed of ten amino acids[1]. By binding to GPR54, Kisspeptin 234 inhibits the stimulatory effect of kisspeptin on the hypothalamic-pituitary-gonadal (HPG) axis, reduces the release of gonadotropin-releasing hormone (GnRH) from the hypothalamus, and subsequently

suppresses pituitary luteinizing hormone (LH) release[2]. Kisspeptin 234 is commonly used in research areas such as the onset of puberty, reproductive disorders (e.g., polycystic ovary syndrome), and cardiovascular diseases[3,4].

In vitro, treatment of four human endometrial cancer cell lines (RL95-2, Ishikawa, HEC-1-A, and HEC-1-B) with Kisspeptin 234 (100nM) for 24h significantly promoted cell migration ability. Treatment of RL95-2 cells with Kisspeptin 234 (100nM) for 2h significantly increased the protein expression levels of MMP-2 and MMP-9. Pretreatment of RL95-2 cells with an ERK1/2 inhibitor (U0126) for 1h, followed by co-culture with Kisspeptin 234 (100nM) for 2h, attenuated the Kisspeptin 234-stimulated upregulation of MMP-2 and MMP-9 protein expression[5]. When mouse sperm were treated with Kisspeptin 234 (50μM) during the capacitation stage for 2h, followed by in vitro fertilization, a significant reduction in the fertilization rate was observed[6].

In vivo, co-injection of Kisspeptin 234 (1nM; 1.5μL) and Kisspeptin (1nM; 1.5μL) into the third cerebral ventricle of cannula-implanted Wistar rats significantly blocked the decrease in serum ghrelin levels induced by Kisspeptin alone[7]. Intrathecal administration of Kisspeptin 234 (1nM; 3μL) to CD1 mice 10min before formalin injection significantly reduced nociceptive behaviors (such as licking, lifting, and shaking of the injected paw) in both the first phase (0-10min) and the second phase (15-45min) of the formalin test[8].

References:
[1] LEI Z, BAI X, MA J, et al. Kisspeptin-13 inhibits bleomycin-induced pulmonary fibrosis through GPR54 in mice[J]. Molecular Medicine Reports, 2019, 20(2): 1049-1056.
[2] CHEN X, YANG S, SHAW N D, et al. Kisspeptin Receptor Agonists and Antagonists: Strategies for Discovery and Implications for Human Health and Disease[J]. International Journal of Molecular Sciences, 2025, 26(10): 4890.
[3] TENA-SEMPERE M. GPR54 and kisspeptin in reproduction[J]. Human Reproduction Update, 2006, 12(5): 631-639.
[4] DINH H, KOVÁCS Z Z A, KIS M, et al. Role of the kisspeptin-KISS1R axis in the pathogenesis of chronic kidney disease and uremic cardiomyopathy[J]. GeroScience, 2024, 46(2): 2463-2488.
[5] WU H M, CHEN L H, CHIU W J, et al. Kisspeptin Regulates Cell Invasion and Migration in Endometrial Cancer[J]. Journal of the Endocrine Society, 2024, 8(3): bvae001.

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[6] HSU M C, WANG J Y, LEE Y J, et al. Kisspeptin modulates fertilization capacity of mouse spermatozoa[J]. Reproduction, 2014, 147(6): 835-845.
[7] SADEGHZADEH A, BAYRAMI A, MAHMOUDI F, et al. The effects of interaction of dopaminergic and kisspeptin neural pathways on ghrelin secretion in rats[J]. Journal of Paramedical Sciences, 2018, 9(1): 29-35.
[8] SPAMPINATO S, TRABUCCO A, BIASIOTTA A, et al. Hyperalgesic activity of kisspeptin in mice[J]. Molecular Pain, 2011, 7: 1744-8069-7-90.