Product Data Sheet

Product Name: [D-Lys3]-GHRP-6
Cat. No.: GC10161

Chemical Properties

Cas. No.	136054-22-3		
SMILES	NCCCC[C@@](/N=C(O)/[C@@](/N=C(O)/[C@](/N=C(O)/[C@@](/N=C(O)/[C@@](/N=C(O)/[C@](N)([H])CC1=CN=CN1)([H])CC2=CNC3=CC=CC=C23)([H])CCCCN)([H])CC4=CNC5=CC=CC=C45)([H])CC6=CC=CC=C6)([H])C(O)=N		
Formula	$C_{49}H_{63}N_{13}O_6$	M.Wt	930.12
Solubility	Soluble to 0.50 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	[D-Lys3]-GHRP-6		

Protocol

Cell experiment [1]:

Cell lines	HECa10 cells
Preparation Method	HECa10 cells were cultured in RPMI-1640 medium supplemented with 10% fetal calf serum (FCS) and antibiotics (penicillin 100U/ml, streptomycin 0.1mg/ml) under normal conditions (5% CO_2 with 95% humidified air). HECa10 cells (5×10^3 cells) were plated using 96-well plates and subjected to incubation for 24h in a 5% CO_2 incubator. Incubation was followed by treatment with different doses of [D-Lys3]-GHRP-6 (0.1, 1, 10, and 100μM) for 48h and cell viability was measured.

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Reaction Conditions	0.1, 1, 10, and 100μM; 48h
Applications	[D-Lys3]-GHRP-6 treatment decreased the cell viability of HECa10 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models	NOD.CB17-Prkdc^{scid}/Arc (NOD/SCID) mice
Preparation Method	1×10^6 PC3 cells were subcutaneously injected into the left abdomen of NOD.CB17-Prkdc^{scid}/Arc (NOD/SCID) mice. The mice were raised under specific pathogen-free (SPF) conditions, placed in separate ventilated cages, with a room temperature of 20-23℃ and a light cycle of 12 hours of light/12 hours of darkness. When the tumor reached a volume of approximately 100mm^3, the mice were divided into two groups. Subsequently, the mice were intraperitoneally injected with 20nmol per mouse of [D-Lys3]-GHRP-6 (n=4) or PBS (control) (n=5) every day for 14 days. The length and width of the subcutaneous tumors were measured twice a week, and the tumor volume was calculated as the formula: tumor volume = (length×width^2)/2.
Dosage form	20nmol/day for 14 days; i.p.
Applications	[D-Lys3]-GHRP-6 treatment inhibited the growth of tumor volume in the PC3 cell-xenograft mouse model.

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References:
[1] Polowinczak-Przybylek J, Siejka A, Melen-Mucha G. D-Lys3-GHRP-6 antagonizes the effect of unacylated but not of acylated ghrelin on the growth of HECa10 murine endothelial cells[J]. Peptides, 2012, 38(2): 248-254.
[2] Maugham M L, Seim I, Thomas P B, et al. Limited short-term effects on human prostate cancer xenograft growth and epidermal growth factor receptor gene expression by the ghrelin receptor antagonist [D-Lys3]-GHRP-6[J]. Endocrine, 2019, 64(2): 393-405.

Background

[D-Lys3]-GHRP-6 is a highly selective growth-hormone secretagogue receptor (GHSR) antagonist, with an IC_{50} value of 0.9μM [1]. [D-Lys3]-GHRP-6 induces pronounced smooth muscle contractions in the rat fundus by interacting with 5-HT2B receptors [2]. [D-Lys3]-GHRP-6 has been widely used to alter the metabolic functions and food intake of diabetic mouse models[3].

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In vitro, [D-Lys3]-GHRP-6 treatment at 100μM for 48h significantly decreased the cell viability of HECa10 cells [4]. Treatment with 100μM of [D-Lys3]-GHRP-6 for 30 minutes can block the entry and proliferation of HIV-1 virus mediated by CXCR4 in activated peripheral blood mononuclear cells (PBMC)[5]. Treatment with 1μM of [D-Lys3]-GHRP-6 for 24 hours significantly induced apoptosis of dorsal root ganglion (DRG) cells and regulated calcium ion levels[6].

In vivo, [D-Lys3]-GHRP-6 treatment via intraperitoneal injection at a dose of 20nmol/day for 14 days inhibited the growth of tumor volume in the PC3 cell-xenograft mouse model [7]. For 7 consecutive days, intravenous injection twice daily of 6mg/kg dose of [D-Lys3]-GHRP-6 significantly reduced the weight gain of growing pigs, increased the serum non-esterified fatty acids (NEFA) and insulin levels, liver glucose levels, and homeostasis model assessment of insulin resistance index (HOMA-IR) after fasting, and decreased the serum total bile acid (TBA) level[8].

References:

[1] Traebert M, Riediger T, Whitebread S, et al. Ghrelin acts on leptin-responsive neurones in the rat arcuate nucleus[J]. Journal of neuroendocrinology, 2002, 14(7): 580-586.
[2] Depoortere I, Thijs T, Peeters T. The contractile effect of the ghrelin receptor antagonist, D-Lys3-GHRP-6, in rat fundic strips is mediated through 5-HT receptors[J]. European journal of pharmacology, 2006, 537(1-3): 160-165.
[3] Mosa R, Huang L, Li H, et al. Long-term treatment with the ghrelin receptor antagonist [d-Lys3]-GHRP-6 does not improve glucose homeostasis in nonobese diabetic MKR mice[J]. American Journal of Physiology-Regulatory, Integrative and Comparative Physiology, 2018, 314(1): R71-R83.
[4] Polowinczak-Przybylek J, Siejka A, Melen-Mucha G. D-Lys3-GHRP-6 antagonizes the effect of unacylated but not of acylated ghrelin on the growth of HECa10 murine endothelial cells[J]. Peptides, 2012, 38(2): 248-254.
[5] Patel K, Dixit V D, Lee J H, et al. Identification of ghrelin receptor blocker, D-[Lys3] GHRP-6 as a CXCR4 receptor antagonist[J]. International journal of biological sciences, 2011, 8(1): 108.
[6] Erriquez J, Bernascone S, Ciarletta M, et al. Calcium signals activated by ghrelin and D-Lys3-GHRP-6 ghrelin antagonist in developing dorsal root ganglion glial cells[J]. Cell calcium, 2009, 46(3): 197-208.

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[7] Maugham M L, Seim I, Thomas P B, et al. Limited short-term effects on human prostate cancer xenograft growth and epidermal growth factor receptor gene expression by the ghrelin receptor antagonist [D-Lys3]-GHRP-6[J]. Endocrine, 2019, 64(2): 393-405.
[8] Zhang H, Yan X, Lin A, et al. Inhibition of ghrelin activity by the receptor antagonist [D-Lys3]-GHRP-6 enhances hepatic fatty acid oxidation and gluconeogenesis in a growing pig model[J]. Peptides, 2023, 166: 171041.

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