GHSR

Growth hormone secretagogue receptor

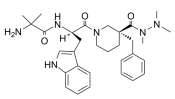
GHSR (Growth hormone secretagogue receptor) is a seven transmembrane G protein-coupled receptor with high expression in the anterior pituitary, pancreatic islets, thyroid gland, heart and various regions of the brain. Two types of GHS-R are accepted to be present, GHS-R1a and GHS-R1b.

Ghrelin is a gastric polypeptide displaying strong GH-releasing activity by activation of the GHS-R1a located in the hypothalamus-pituitary axis. GHS-R1a is a G-protein-coupled receptor that, upon the binding of ghrelin or synthetic peptidyl and non-peptidyl ghrelin-mimetic agents known as GHS, preferentially couples to G_q, ultimately leading to increased intracellular calcium content. Beside the potent GH-releasing action, ghrelin and GHS influence food intake, gut motility, sleep, memory and behavior, glucose and lipid metabolism, cardiovascular performances, cell proliferation, immunological responses and reproduction.

GHSR Inhibitors, Agonists & Antagonists

Anamorelin
(RC-1291; ONO-7643) Cat. No.: HY-14734

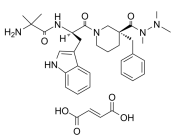
Anamorelin (RC-1291) is a potent **ghrelin receptor** agonist with **EC_{50}** value of 0.74 nM in the FLIPR assay.



Purity: 99.86%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Anamorelin Fumarate
(ONO-7643 Fumarate; RC1291 Fumarate) Cat. No.: HY-14734B

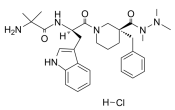
Anamorelin Fumarate is a novel **ghrelin receptor** agonist with **EC_{50}** value of 0.74 nM in the FLIPR assay.



Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

Anamorelin hydrochloride
(RC-1291 hydrochloride; ONO-7643 hydrochloride) Cat. No.: HY-14734A

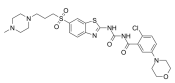
Anamorelin (RC-1291) hydrochloride is a potent **ghrelin receptor** agonist with **EC_{50}** value of 0.74 nM in the FLIPR assay.



Purity: 99.97%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AZ-GHS-22
Cat. No.: HY-137061

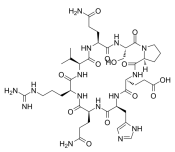
AZ-GHS-22 is a potent, non-CNS penetrant **GHS-R1a** inverse agonist (**IC_{50}**=0.77 nM).



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

AZP-531
Cat. No.: HY-P0231

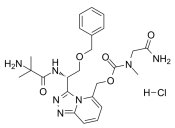
AZP-531 is an analogue of unacylated ghrelin designed to improve glycaemic control and reduce weight.



Purity: 98.76%
Clinical Data: Phase 1
Size: 1 mg, 5 mg, 10 mg

BMS-604992
(EX-1314) Cat. No.: HY-14495

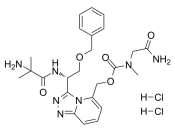
BMS-604992 (EX-1314) is a selective, orally active small-molecule **growth hormone secretagogue receptor (GHSR)** agonist. BMS-604992 demonstrates high-affinity binding (**K_i**=2.3 nM) and potent functional activity (**EC_{50}**=0.4 nM). BMS-604992 can stimulate food intake in rodents.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

BMS-604992 dihydrochloride
(EX-1314 dihydrochloride) Cat. No.: HY-14495B

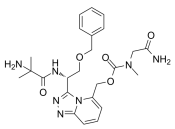
BMS-604992 (EX-1314) dihydrochloride is a selective, orally active small-molecule **growth hormone secretagogue receptor (GHSR)** agonist. BMS-604992 dihydrochloride demonstrates high-affinity binding (**k_i**=2.3 nM) and potent functional activity (**EC_{50}**=0.4 nM).



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

BMS-604992 free base
(EX-1314 free base) Cat. No.: HY-14495A

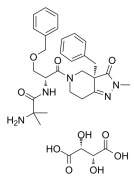
BMS-604992 (EX-1314) free base is a selective, orally active small-molecule **growth hormone secretagogue receptor (GHSR)** agonist. BMS-604992 free base demonstrates high-affinity binding (**k_i**=2.3 nM) and potent functional activity (**EC_{50}**=0.4 nM).



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Capromorelin Tartrate
(CP 424391-18) Cat. No.: HY-15243

Capromorelin Tartrate is an orally active, potent **growth hormone secretagogue receptor (GHSR)** agonist, with **K_i** of 7 nM for hGHS-R1a.



Purity: 98.71%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

des-Gln14-Ghrelin
Cat. No.: HY-P1366

des-Gln14-Ghrelin is a second endogenous ligand for the growth hormone secretagogue receptor. a). des-Gln14-ghrelin potently induces increases in [Ca^{2+}]i in CHO-GHSR62 cells, with an EC_{50} of 2.4 nM.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

des-Gln14-Ghrelin TFA

Cat. No.: HY-P1366A

des-Gln14-Ghrelin TFA is a second endogenous ligand for the growth hormone secretagogue receptor. a). des-Gln14-ghrelin potently induces increases in [Ca^{2+}]i in CHO-GHSR62 cells, with an EC_{50} of 2.4 nM.

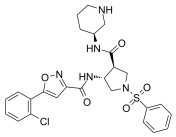
GSS(OCT)FLSPEHQKAQRKESKKPPAKLQPR (TFA salt)

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Ghrelin receptor full agonist-2

Cat. No.: HY-145364

Ghrelin receptor full agonist-2 is a highly potent **Ghrelin receptor** full agonist.

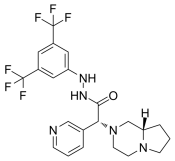


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

GSK1614343

Cat. No.: HY-113906

GSK1614343 is the potent antagonist of growth hormone secretagogues type 1a (**GHS1a**) receptors. GSK1614343 inhibits the calcium response induced by ghrelin with a p**IC_{50}** value of 7.90.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Human growth hormone-releasing factor

(Growth Hormone Releasing Factor human)

Cat. No.: HY-P0089

Human growth hormone-releasing factor (Growth Hormone Releasing Factor human) is a hypothalamic polypeptide and stimulates GH production and release by binding to the GHRH Receptor (GHRHR) on cells in the anterior pituitary.

Human growth hormone-releasing factor

Purity: >98%
Clinical Data: No Development Reported
Size: 500 μg, 1 mg, 5 mg, 10 mg

Human growth hormone-releasing factor TFA

(Growth Hormone Releasing Factor human TFA)

Cat. No.: HY-P0089A

Human growth hormone-releasing factor TFA (Growth Hormone Releasing Factor human TFA) is a hypothalamic polypeptide and stimulates GH production and release by binding to the GHRH Receptor (GHRHR) on cells in the anterior pituitary.

Human growth hormone-releasing factor (TFA salt)

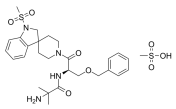
Purity: 98.22%
Clinical Data: No Development Reported
Size: 5 mg

Ibutamoren Mesylate

(MK-677; MK-0677)

Cat. No.: HY-50844

Ibutamoren Mesylate (MK-677) is a potent, non-peptide **Growth hormone secretagogue receptor (GHSR)** agonist. Ibutamoren Mesylate is an orally active growth hormone (GH) secretagogue.

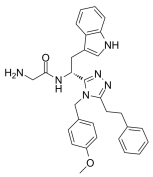


Purity: 98.42%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 500 mg

JMV 2959

Cat. No.: HY-U00433

JMV 2959 is a growth hormone secretagogue receptor type 1a (**GHS-R_{1a}**) antagonist with an **IC_{50}** of 32 nM.

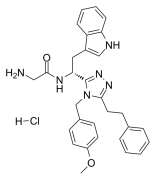


Purity: 99.91%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg

JMV 2959 hydrochloride

Cat. No.: HY-U00433A

JMV 2959 hydrochloride is a growth hormone secretagogue receptor type 1a (**GHS-R_{1a}**) antagonist with an **IC_{50}** of 32±3 nM in LLC-PK_1 cells.



Purity: 98.09%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

K-(D-1-Nal)-FwLL-NH2

Cat. No.: HY-P1432

K-(D-1-Nal)-FwLL-NH2 is a high affinity, potent and inverse **ghrelin receptor** agonist (**EC_{50}**=3.4 nM, **K_i**=4.9 nM). K-(D-1-Nal)-FwLL-NH2 can be used for the research of obesity.

K{Nal}FWLL-NH_2

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

K-(D-1-Nal)-FwLL-NH2 TFA

Cat. No.: HY-P1432A

K-(D-1-Nal)-FwLL-NH2 TFA is a high affinity and potent ghrelin receptor inverse agonist (K_i values are 4.9 and 31 nM in COS7 and HEK293T cells, respectively). K-(D-1-Nal)-FwLL-NH2 blocks ghrelin receptor-mediated Gq- and G13-dependent signaling pathways.

K{Nal}FWLL-NH_2 (TFA salt)

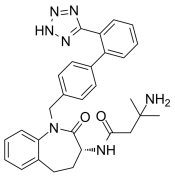
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

L-692429

(MK-0751)

Cat. No.: HY-10957

L-692429 (MK-0751) is a benzolactam derivative and a nonpeptidyl **growth hormone secretagogue (GHS)** agonist. L-692429 binds to **G protein-coupled receptor** with a K_i of 63 nM.

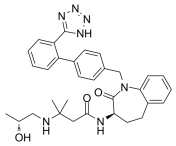


Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

L-692585

Cat. No.: HY-50760

L-692585 is a potent and nonpeptidyl **growth hormone secretagogue receptor (GHS-R1a)** agonist, with a K_i of 0.8 nM. L-692585 acts directly on somatotropes causing GH release.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Obestatin(rat)

Cat. No.: HY-P1306

Obestatin(rat), encoded by the Ghrelin gene, is a cpeptide, comprised of 23 amino acids. Obestatin(rat) suppresses food intake, inhibits jejunal contraction, and decreases body-weight gain.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Obestatin(rat) TFA

Cat. No.: HY-P1306A

Obestatin(rat) TFA, encoded by the Ghrelin gene, is a cpeptide, comprised of 23 amino acids. Obestatin(rat) TFA suppresses food intake, inhibits jejunal contraction, and decreases body-weight gain.

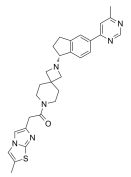
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PF-5190457

(PF-05190457)

Cat. No.: HY-12584

PF-5190457 (PF-05190457) is a potent and selective **ghrelin receptor** inverse agonist with a **pK_i** of 8.36.



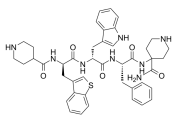
Purity: 98.78%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Relamorelin

(RM-131; BIM-28131)

Cat. No.: HY-19884

Relamorelin (RM-131), a pentapeptide ghrelin analog, is a selective **ghrelin/growth hormone secretagogue receptor (GHSR)** agonist with a K_i of 0.42 nM for **GHS-1a** receptor. Relamorelin is centrally penetrant.



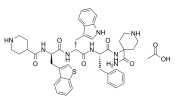
Purity: >98%
Clinical Data: Phase 3
Size: 1 mg, 5 mg

Relamorelin acetate

(RM-131 acetate; BIM-28131 acetate)

Cat. No.: HY-19884A

Relamorelin (RM-131) acetate, a pentapeptide ghrelin analog, is a selective **ghrelin/growth hormone secretagogue receptor (GHSR)** agonist with a K_i of 0.42 nM for **GHS-1a** receptor. Relamorelin acetate is centrally penetrant.



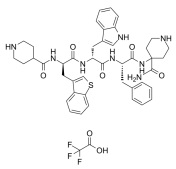
Purity: >98%
Clinical Data: Phase 3
Size: 1 mg, 5 mg

Relamorelin TFA

(RM-131 TFA; BIM-28131 TFA)

Cat. No.: HY-19884B

Relamorelin (RM-131) TFA, a pentapeptide ghrelin analog, is a selective **ghrelin/growth hormone secretagogue receptor (GHSR)** agonist with a K_i of 0.42 nM for **GHS-1a** receptor. Relamorelin TFA is centrally penetrant.



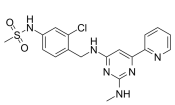
Purity: 99.81%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TC-G-1008

(GPR39-C3)

Cat. No.: HY-103007

TC-G-1008 (GPR39-C3) is a potent and orally available **GPR39** agonist with EC_{50} values of 0.4 and 0.8 nM for rat and human receptors respectively.

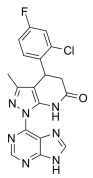


Purity: 99.03%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TM-N1324

Cat. No.: HY-108699

TM-N1324 is an agonist of G-Protein-Coupled Receptor 39 (**GPR39**) with EC_{50}s of 9 nM/5 nM in the presence of Zn^{2+}, and 280 nM/180 nM in the absence of Zn^{2+} for **human/murine GPR39**.



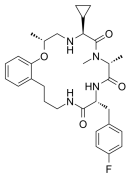
Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Ulimorelin

(TZP-101)

Cat. No.: HY-14903

Ulimorelin (TZP-101) is a **ghrelin receptor (GRLN)** agonist with an EC_{50} of 29 nM and a K_i of 16 nM. Ulimorelin is a prokinetic agent and causes vasorelaxation through competitive antagonist action at **α1-adrenoceptors**. Ulimorelin stimulates intestinal motility and is used for malnutrition.

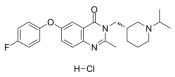


Purity: >98%
Clinical Data: Phase 3
Size: 1 mg, 5 mg

YIL781 hydrochloride

Cat. No.: HY-13964A

YIL781 hydrochloride is a potent and orally active **ghrelin receptor (GHSR)** antagonist. YIL781 hydrochloride produces a greater improvement in glucose homeostasis in rats. YIL-781 hydrochloride inhibits the calcium response induced by ghrelin with pIC_{50} values of 7.90 and 8.27, respectively.



Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg