GHSR

Growth hormone secretagogue receptor

GHSR (Growth hormone secretagogue receptor) is a member of the G-protein coupled receptor family. GHSR may play a role in energy homeostasis and regulation of body weight. The pathway activated by binding of ghrelin to the growth hormone secretagogue receptor, GHSR1a, regulates the activation of the downstream mitogen-activated protein kinase, Akt, nitric oxide synthase, and AMPK cascades in different cellular systems. One of the important features of GHSR1a displays constitutive activity possessing basal activity in the absence of an agonist, resulting in a high degree of receptor internalization as well as of signaling activity. Inverse agonists for the ghrelin receptor could be particularly interesting for the treatment of obesity. A range of selective ligands for the GHSR receptor are now available and are being developed for several clinical applications. GHSR agonists have appetite-stimulating and growth hormone-releasing effects, and are likely to be useful for the treatment of muscle wasting and frailty associated with old-age and degenerative diseases. On the other hand, GHSR antagonists have anorectic effects and are likely to be useful for the treatment of obesity.

GHSR Inhibitors, Agonists & Antagonists

Alexamorelin Met 1

((D-Mrp)-Ala-Trp-(D-Phe)) Cat. No.: HY-P0166A

Alexamorelin Met 1 is one of the metabolites of alexamorelin. The heptapeptide Ala-His-$_D$-2-methyl-Trp-Ala-Trp-$_D$-Phe-Lys-NH_2 (Alexamorelin) is a synthetic molecule which inhibits growth hormone secretagogue binding in vitro.

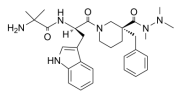
(D-Mrp)-Ala-Trp-(D-Phe)

Purity: 99.82%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Anamorelin

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

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

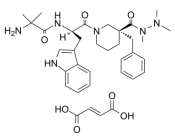


Purity: 99.91%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

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.

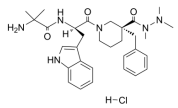


Purity: >98%
Clinical Data: Phase 3
Size: 1 mg, 5 mg

Anamorelin hydrochloride

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

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



Purity: 99.92%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

AZP-531

Cat. No.: HY-P0231

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

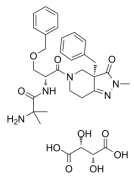
Cyclo (RVQSPEHQ)

Purity: 96.61%
Clinical Data: Phase 1
Size: 1 mg, 5 mg, 10 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.

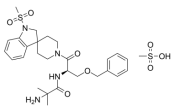


Purity: 98.19%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg

Ibutamoren Mesylate

(MK-677; MK-0677) Cat. No.: HY-50844

Ibutamoren (Mesylate) is a potent, non-peptide **Growth hormone secretagogue receptor (GHSR)** agonist.

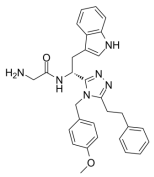


Purity: 98.42%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 500 mg

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.

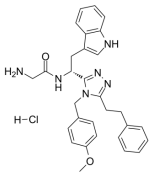


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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: 98.02%
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 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

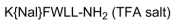
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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

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.



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.

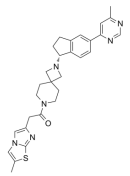
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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.



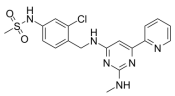
Purity: 98.05%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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.

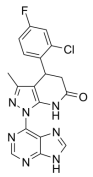


Purity: 99.03%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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**.



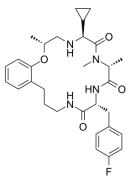
Purity: 98.91%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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