

Cholecystokinin Receptor

CCK Receptor

Cholecystokinin receptors are a group of G-protein coupled receptors which bind the peptide hormones cholecystokinin (CCK) and gastrin. Two types of functional membrane receptors, cholecystokinin A receptor (CCK-AR), located mainly on pancreatic acinar cells, and CCK-BR, mostly in the stomach and nervous system tissues, have been identified as the endogenous receptors of CCK. Both have high affinity for the sulfated CCK octapeptide (CCK-8), whereas only the CCK-BR has high affinity for gastrin.

CCK is a peptide hormone discovered in the small intestine. Together with secretin and gastrin, CCK constitutes the classical gut hormone triad. In addition to gallbladder contraction, CCK also regulates pancreatic enzyme secretion and growth, intestinal motility, satiety signalling and the inhibition of gastric acid secretion. CCK is also a transmitter in central and intestinal neurons.

Cholecystokinin Receptor Inhibitors, Agonists, Antagonists & Activators

(Rac)-Sograzepide

((Rac)-Netazepide; (Rac)-YF 476; (Rac)-YM-220) Cat. No.: HY-U00360

(Rac)-Sograzepide is an antagonist of cholecystokinin B (CCK-B) receptor, and has the potential of reducing the secretion of gastric acid.

N NH NH NH

Purity: 99.04%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

A71623

A71623, a CCK-4-based peptide, is a potent and highly selective **CCK-A** full agonist. The $\rm IC_{50}s$ for A-71623 are 3.7 nM in guinea pig pancreas (CCK-A) and 4500 nM in cerebral cortex (CCK-B) in radioligand binding assays, respectively.

NH OH

Cat. No.: HY-P1096

Purity: >98%

Clinical Data: No Development Reported

Size: 5 mg, 10 mg

CCK-A receptor inhibitor 1

Cat. No.: HY-U00387

CCK-A receptor inhibitor 1 is a **cholecystokinin** A (CCK-A) receptor inhibitor with a binging IC₅₀ of 340 pM

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

CCK-B Receptor Antagonist 2

Cat. No.: HY-129357

CCK-B Receptor Antagonist 2, compound 15b, is a potent and orally active <code>Gastrin/CCK-B</code> antagonist with an IC_{50} value of 0.43 nM. CCK-B Receptor Antagonist 2 also inhibits <code>gastrin/CCK-A</code> activity with an IC_{50} of 1.82 μ M.

Purity: 98.84%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Si

CHEMBL333994 (FK-480)

Cat. No.: HY-U00363

CHEMBL333994 is a potent and orally effective Cholecystokinin A (CCK-A) antagonist, with an IC_{sn} of 0.67 nM.



Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Ceruletide

(Caerulein; Cerulein; FI-6934)

Ceruletide is a decapeptide and a potent cholecystokinin receptor agonist. Ceruletide is a safe and effective cholecystokinetic agent with a direct spasmogenic effect on the gallbladder muscle and bile ducts.



Cat. No.: HY-A0190

Purity: 99.96% Clinical Data: Launched

Size: 100 μg, 500 μg x 2, 500 μg

Devazepide

(L-364,718; MK-329)

Devazepide (L-364,718) is a potent, competitive, selective and orally active nonpeptide antagonist of cholecystokinin (CCK) receptor, with IC $_{50}$ S of 81 pM, 45 pM and 245 nM for rat pancreatic, bovine gallbladder and guinea pig brain CCK receptors, respectively.



Cat. No.: HY-106301

Purity: 98.90%

Clinical Data: No Development Reported

Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

CI-988

(PD134308) Cat. No.: HY-105226

CI-988 (PD134308) is a potent, selective and orally active CCK2R (cholecystokinin 2 receptor) antagonist with an IC $_{\rm so}$ of 1.7 nM for mouse cortex CCK2. CI-988 shows >1600-fold selectivity for CCK2 over CCK1 receptor. CI-988 has anxiolytic and anti-tumor effects.

HO NH H

Purity: > 98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Dexloxiglumide

Cat. No.: HY-128878

Dexloxiglumide is a selective cholecystokinin type A (CCKA) receptor antagonist. Dexloxiglumide, the active enantiomer of Loxiglumide, inhibits smooth muscle cell contractions induced by cholecystokinin-octapeptide (CCK-8).



Purity: 98.25%

Clinical Data:

Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg

Gastrazole

(JB95008) Cat. No.: HY-19445

Gastrazole (JB95008) is potent and selective CCK2/gastrin receptor antagonist. Gastrazole can decrease the level of gastric acid. Gastrazole inhibits the Gastrin-stimulated growth of pancreatic cancer.



ourity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Gastrin I, human

Cat. No.: HY-P1097

Gastrin I, human is the endogenous peptide produced in the stomach, and increases gastric acid secretion via cholecystokinin 2 (CCK2) receptor.

pE-GPWLEEEEEAYGWMDF-NH2

Purity: 99 93%

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

Gastrin I, rat

(Rat Gastrin-17)

Gastrin I, rat (Rat Gastrin-17) is a peptide hormone, can stimulate gastric acid secretion

Pyr-RPPMEEEEEAYGWMDF-NH₂

Cat. No.: HY-P2416

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Gastrin/CCK antagonist 1

Cat. No.: HY-U00375

Gastrin/CCK antagonist 1 is an antagonist of gastrin/CCK, used for the research of gastrointestinal disorders.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

GI 181771

Cat. No.: HY-11076

GI 181771 is a cholecystokinin 1 receptor agonist investigated for the treatment of obesity.



Purity: >98%

Clinical Data: No Development Reported

1 mg, 5 mg

L-365260

Cat. No.: HY-106840

L-365260 is a potent and selective antagonist of non-peptide gastrin and brain cholecystokinin receptor (CCK-B), with K_is of 1.9 nM and 2.0 nM, respectively.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Lintitript

(SR 27897) Cat. No.: HY-101764

Lintitript (SR 27897) is a highly potent, selective, orally active, competitive and non-peptide cholecystokinin (CCK1) receptor antagonist with an EC_{50} of 6 nM and a K_i of 0.2



99.58% Purity:

Clinical Data: No Development Reported Size 10 mM × 1 mL, 5 mg, 10 mg

Lorglumide sodium salt

(CR-1409 sodium salt) Cat. No.: HY-B1439B

Lorglumide sodium salt (CR-1409 sodium salt) is a potent cholecystokinin (CCK) receptor antagonist.

99.71% Purity:

Clinical Data: No Development Reported 10 mM × 1 mL, 5 mg, 10 mg Size:

Loxiglumide

(CR-1505) Cat. No.: HY-B2154

Loxiglumide is a cholecystokinin (CCK-1) receptor antagonist.

≥98.0% Purity:

Clinical Data: No Development Reported

10 mM × 1 mL, 10 mg, 50 mg, 100 mg

LY288513

Cat. No.: HY-103357

LY288513 is a selective non-peptide CCK-B receptor antagonist with an IC_{50} value of 16 nM. LY288513 produces an anxiolytic-like action in mice.

Purity: >98%

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

Mini Gastrin I, human

Cat. No.: HY-P1593

Mini Gastrin I, human is a shorter version of human gastrin, consists of amino acids 5-17 of the parent peptide.

LEEEEAYGWMDF-NH₂

>98%

Clinical Data: No Development Reported 1 mg, 5 mg, 10 mg

Mini Gastrin I, human TFA

Cat. No.: HY-P1593A

Mini Gastrin I, human (TFA) is a shorter version of human gastrin, consists of amino acids 5-17 of the parent peptide.

LEEEEEAYGWMDF-NH2 (TFA salt)

Purity: 98.08%

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

Nastorazepide

(Z-360)

Nastorazepide (Z-360) is a selective, orally available, 1,5-benzodiazepine-derivative gastrin/cholecystokinin 2 (CCK-2) receptor antagonist with potential antineoplastic activity.

Cat. No.: HY-17617

Purity: 99 95% Clinical Data: Phase 2

10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Pentagastrin

(ICI-50123) Cat. No.: HY-A0261

Pentagastrin (ICI-50123) is a selective agonist of Cholecystokinin B (CCK_B) receptor with an IC₅₀ of 11 nM. Pentagastrin enhances gastric mucosal defence mechanisms against acid and protects the gastric mucosa from experimental injury.

Purity: 99 97% Clinical Data: Launched

10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Proglumide

Proglumide is a nonpeptide and orally active cholecystokinin (CCK)-A/B receptors antagonist. Proglumide selective blocks CCK's effects in the central nervous system (CNS). Proglumide has ability to inhibit gastric secretion and to protect the gastroduodenal mucosa.

Cat. No.: HY-B1330

Purity: 99 74% Clinical Data: Launched

10 mM × 1 mL, 50 mg, 100 mg

Proglumide hemicalcium

Cat. No.: HY-103354A

Proglumide hemicalcium is a nonpeptide and orally active cholecystokinin (CCK)-A/B receptors antagonist. Proglumide hemicalcium selective blocks CCK's effects in the central nervous system (CNS).

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

Proglumide sodium

Cat. No.: HY-103354

Proglumide sodium is a nonpeptide and orally active cholecystokinin (CCK)-A/B receptors antagonist. Proglumide sodium selective blocks CCK's effects in the central nervous system

Purity: 99.63% Clinical Data: Launched

Size: 10 mM × 1 mL, 50 mg, 100 mg

Sograzepide

(Netazepide; YF 476; YM-220) Cat. No.: HY-14850

Sograzepide (Netazepide; YF 476; YM-220) is an extremely potent, highly selective and orally active Gastrin/CCK-B antagonist with an IC_{so} value of 0.1 nM, has inhibitory effect on Gastrin/CCK-A

Purity: 98.51% Clinical Data: Phase 1

activity with an IC₅₀ of 502...

Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Sograzepide-d3

(Netazepide-d3; YF 476-d3; YM-220-d3)

Sograzepide-d3 (Netazepide-d3) is the deuterium labeled Sograzepide.

Cat. No.: HY-14850S

>98% Purity:

Clinical Data: No Development Reported

Size: 1 mg, 5 mg

SR 146131

Cat. No.: HY-11077

SR 146131 is a potent, orally available, and selective nonpeptide (cholecystokinin 1) receptor agonist.

Purity: 98.02%

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

Tarazepide

Cat. No.: HY-U00062

Tarazepide is a potent and specific CCK-A receptor antagonist.

>98%

Clinical Data: No Development Reported

1 mg, 5 mg

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

Tetragastrin

(Cholecystokinin tetrapeptide; CCK-4)

Tetragastrin (Cholecystokinin tetrapeptide; CCK-4) is the C-terminal tetrapeptide of gastrin.
Tetragastrin can stimulate gastric secretion.
Tetragastrin is a Cholecystokinin (CCK-4) receptor agonist. Gastric mucosal protection.

HN NH2 H P NH

Cat. No.: HY-125556

Purity: 99.60%

Clinical Data: No Development Reported

Size: 25 mg, 50 mg