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Inhibitors, Screening Libraries, Proteins

GPCR19

G-protein coupled receptor 19

GPCR19 (TGR5, GPBAR1) is a plasma membrane-bound, G protein-coupled receptor that has bile acids as its ligand. GPCR19 is a regulator of energy homeostasis, bile acid homeostasis as well as glucose metabolism. GPCR19 transduces extracellular signals through heterotrimeric G proteins.

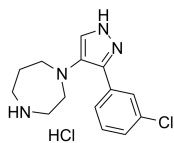
GPCR19 can be activated by bile acids and then it induces cAMP production. As a membrane receptor, GPCR19 can be internalized into the cytoplasm in response to its ligands. GPCR19 plays important roles in cell signaling pathways such as nuclear factor κ B (NF- κ B), AKT, and extracellular signal-regulated kinases (ERK). Its agonists may be potential drugs for the treatment of metabolic, inflammation, and digestive disorders. In addition, GPCR19 stimulates glucagon-like peptide 1 (GLP-1) secretion. It also has become an attractive therapeutic target for the prevention and/or the treatment of obesity and its highly associated Type II diabetes and metabolic syndrome.

GPCR19 Inhibitors, Agonists, Antagonists & Activators

5-HT7R antagonist 1

Cat. No.: HY-139677

5-HT7R antagonist 1 is a G protein-biased antagonist against 5-HT₇R (K_i = 6.5 nM).

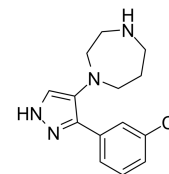


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

5-HT7R antagonist 1 free base

Cat. No.: HY-139677A

5-HT7R antagonist 1 (free base) is a G protein-biased antagonist against 5-HT₇R (K_i = 6.5 nM).

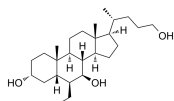


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

BAR501

Cat. No.: HY-101274

BAR501 is a potent and selective agonist of GPBAR1 with an EC₅₀ of 1 μM.

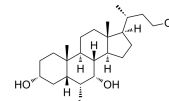


Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

BAR502

Cat. No.: HY-101273

BAR502 is a dual FXR and GPBAR1 agonist with IC₅₀ values of 2 μM and 0.4 μM, respectively.



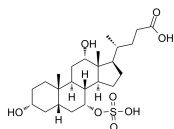
Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Cholic acid 7-sulfate

(7-Sulfocholic acid)

Cat. No.: HY-126855

Cholic acid 7-sulfate (7-Sulfocholic acid), a metabolite of Cholic acid, is a Takeda G-protein receptor 5 (TGR5) agonist. Cholic acid 7-sulfate can increase Tgr5 expression and induce GLP-1 secretion.



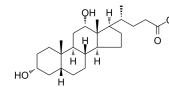
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Deoxycholic acid

(Cholanoic Acid; Desoxycholic acid)

Cat. No.: HY-N0593

Deoxycholic acid is specifically responsible for activating the G protein-coupled bile acid receptor TGR5 that stimulates brown adipose tissue (BAT) thermogenic activity.



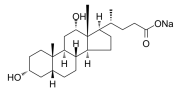
Purity: 99.89%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

Deoxycholic acid sodium salt

(Sodium deoxycholate)

Cat. No.: HY-N0593A

Deoxycholic acid sodium salt is specifically responsible for activating the G protein-coupled bile acid receptor TGR5 that stimulates brown adipose tissue (BAT) thermogenic activity.



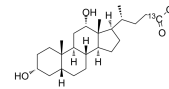
Purity: ≥98.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

Deoxycholic acid-13C

(Cholanoic Acid-13C; Desoxycholic acid-13C)

Cat. No.: HY-N0593S3

Deoxycholic acid-13C (Cholanoic acid-13C) is the 13C-labeled Deoxycholic acid. Deoxycholic acid is specifically responsible for activating the G protein-coupled bile acid receptor TGR5 that stimulates brown adipose tissue (BAT) thermogenic activity.

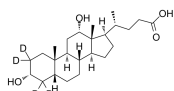


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Deoxycholic acid-d4

Cat. No.: HY-N0593S

Deoxycholic acid-d4 is the deuterium labeled Deoxycholic acid. Deoxycholic acid is specifically responsible for activating the G protein-coupled bile acid receptor TGR5 that stimulates brown adipose tissue (BAT) thermogenic activity.

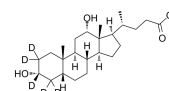


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

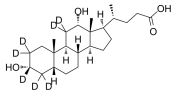
Deoxycholic acid-d5

Cat. No.: HY-N0593S1

Deoxycholic acid-d5 is the deuterium labeled Deoxycholic acid. Deoxycholic acid is specifically responsible for activating the G protein-coupled bile acid receptor TGR5 that stimulates brown adipose tissue (BAT) thermogenic activity.



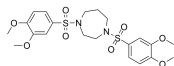
Purity: >98%
Clinical Data: No Development Reported
Size: 2.5 mg, 25 mg

<p>Deoxycholic acid-d6 (Cholanoic Acid-d6; Desoxycholic acid-d6)</p> <p>Deoxycholic acid-d6 (Cholanoic Acid-d6) is the deuterium labeled Deoxycholic acid. Deoxycholic acid is specifically responsible for activating the G protein-coupled bile acid receptor TGR5 that stimulates brown adipose tissue (BAT) thermogenic activity.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Cat. No.: HY-N059352</p> 	<p>GPBAR1-IN-3</p> <p>GPBAR1-IN-3 (Compound 14) is a selective GPBAR1 agonist (EC_{50}=0.17 μM) and a CysLT₁R antagonist.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>FXR/TGR5 agonist 1</p> <p>FXR/TGR5 agonist 1 has agonist action on FXR and TGR5, and can be used for the treatment of fatty liver disease.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>Hydoxycholic acid (HDCA)</p> <p>Hydoxycholic acid is a secondary bile acid formed in the small intestine by the gut flora, and acts as a TGR5 (GPCR19) agonist, with an EC_{50} of 31.6 μM in CHO cells.</p> <p>Purity: \geq99.0% Clinical Data: Launched Size: 10 mM \times 1 mL, 100 mg</p>	<p>Hydoxycholic acid-d5 (HDCA-d5)</p> <p>Hydoxycholic acid-d5 (HDCA-d5) is the deuterium labeled Hydoxycholic acid. Hydoxycholic acid is a secondary bile acid formed in the small intestine by the gut flora, and acts as a TGR5 (GPCR19) agonist, with an EC_{50} of 31.6 μM in CHO cells.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>INT-767</p> <p>INT-767 is a dual farnesoid X receptor (FXR)/TGR5 agonist with mean EC_{50}s of 30 and 630 nM, respectively.</p> <p>Purity: \geq98.0% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>INT-777 (S-EMCA)</p> <p>INT-777 is a potent TGR5 agonist with an EC_{50} of 0.82 μM.</p> <p>Purity: 100.0% Clinical Data: No Development Reported Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>INT-777 R-enantiomer (S-EMCA R enantiomer)</p> <p>INT-777 (R-enantiomer) is the R-enantiomer of INT-777, with EC_{50} of 4.79 μM for TGR5, and less potent than INT-777.</p> <p>Purity: \geq95.0% Clinical Data: No Development Reported Size: 2 mg, 5 mg</p>
<p>PEN (human)</p> <p>PEN (human), one of the most abundant hypothalamic neuropeptide and derived from the proprotein ProSAAS, is an endogenous ligand of GPR83.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>	<p>PEN (rat)</p> <p>PEN (rat), one of the most abundant hypothalamic neuropeptide and derived from the proprotein ProSAAS, is an endogenous ligand of GPR83.</p> <p>Purity: >98% Clinical Data: No Development Reported Size: 1 mg, 5 mg</p>							

SB756050

Cat. No.: HY-102016

SB756050 is a selective TGR5 agonist. SB756050 has the potential for type 2 diabetes treatment.

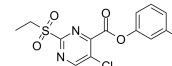


Purity: 99.32%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg

SBI-115

Cat. No.: HY-111534

SBI-115 is a TGR5 (GPCR19) antagonist. SBI-115 decreases hepatic cystogenesis with polycystic liver diseases via inhibiting TGR5.

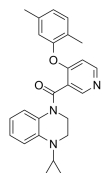


Purity: 99.57%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TC-G 1005

Cat. No.: HY-110173

TC-G 1005 is a potent, selective and orally active agonist of the BA receptor Takeda G protein-coupled receptor 5 (TGR5), with EC₅₀s of 0.72 and 6.2 nM for hTGR5 and mTGR5, respectively. TC-G 1005 can reduce glucose levels in vivo.

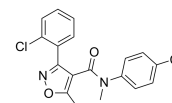


Purity: 99.91%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TGR5 Receptor Agonist (CCDC)

Cat. No.: HY-14229

TGR5 Receptor Agonist (CCDC), a potent TGR5(GPCR19) agonist, shows improved potency in the U2-OS cell assay (pEC₅₀=6.8) and in melanophore cells (pEC₅₀=7.5).

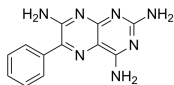


Purity: 99.86%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Triamterene

Cat. No.: HY-B0575

Triamterene blocks epithelial Na⁺ channel (ENaC) in a voltage-dependent manner, which used as a mild diuretic. Triamterene as an inhibitor of the TGR5 receptor.



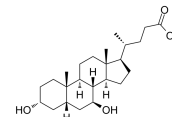
Purity: 99.98%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

Ursodeoxycholic acid

(Ursodeoxycholate; Ursodiol; UDCA)

Cat. No.: HY-13771

Ursodeoxycholic acid (Ursodeoxycholate) is a secondary bile acid issued from the transformation of (cheno)deoxycholic acid by intestinal bacteria, acting as a key regulator of the intestinal barrier integrity and essential for lipid metabolism.

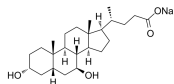


Purity: ≥98.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 500 mg, 1 g, 5 g

Ursodeoxycholic acid sodium

(Ursodeoxycholate sodium; Ursodiol sodium; UCDA sodium) Cat. No.: HY-13771A

Ursodeoxycholic acid (Ursodeoxycholate) sodium is a secondary bile acid issued from the transformation of (cheno)deoxycholic acid by intestinal bacteria, acting as a key regulator of the intestinal barrier integrity and essential for lipid metabolism.



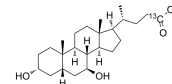
Purity: >98%
Clinical Data: Launched
Size: 500 mg, 1 g, 5 g

Ursodeoxycholic acid-13C

(Ursodeoxycholate-13C; Ursodiol-13C; UDCA-13C)

Cat. No.: HY-13771S1

Ursodeoxycholic acid-13C is the 13C labeled Ursodeoxycholic acid.



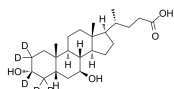
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Ursodeoxycholic acid-d5

(Ursodiol-d5; UDCA-d5)

Cat. No.: HY-13771S

Ursodeoxycholic acid-d5 (Ursodiol-d5) is the deuterium labeled Ursodeoxycholic acid.



Purity: >98%
Clinical Data: No Development Reported
Size: 2.5 mg, 1 mg, 5 mg, 10 mg