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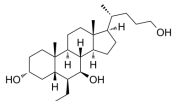
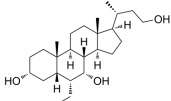
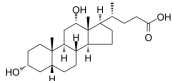
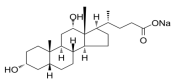
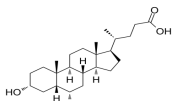
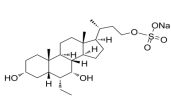
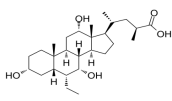
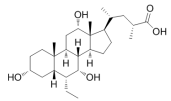
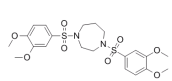
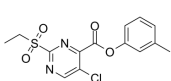
Inhibitors, Agonists, Screening Libraries

GPCR19

G-protein coupled receptor 19

GPCR19 (G-protein coupled receptor 19, GPBAR1) is a protein that in humans is encoded by the GPBAR1 gene. This gene encodes a member of the G protein-coupled receptor (GPCR) superfamily. GPCR19 functions as a cell surface receptor for bile acids. Treatment of cells expressing this GPCR with bile acids induces the production of intracellular cAMP, activation of a MAP kinase signaling pathway, and internalization of the receptor. The receptor is implicated in the suppression of macrophage functions and regulation of energy homeostasis by bile acids. One effect of this receptor is to activate deiodinases which convert the prohormone thyroxine (T4) to the active hormone triiodothyronine (T3). T3 in turn activates the thyroid hormone receptor which increases metabolic rate.

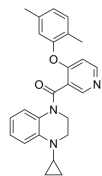
GPCR19 Inhibitors, Agonists, Antagonists & Activators

<p>BAR501</p> <p>Cat. No.: HY-101274</p> <p>BAR501 is a potent and selective agonist of GPBAR1 with an EC₅₀ of 1 μM.</p>  <p>Purity: >98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>BAR502</p> <p>Cat. No.: HY-101273</p> <p>BAR502 is a dual FXR and GPBAR1 agonist with IC₅₀ values of 2 μM and 0.4 μM, respectively.</p>  <p>Purity: >98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p>Deoxycholic acid (Cholanoic Acid; Desoxycholic acid)</p> <p>Cat. No.: HY-N0593</p> <p>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: 99.89% Clinical Data: Launched Size: 10 mM × 1 mL, 100 mg, 500 mg</p>	<p>Deoxycholic acid sodium salt (Sodium deoxycholate)</p> <p>Cat. No.: HY-N0593A</p> <p>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.</p>  <p>Purity: >98.0% Clinical Data: Launched Size: 10 mM × 1 mL, 100 mg, 500 mg</p>
<p>Hyodeoxycholic acid (HDCA)</p> <p>Cat. No.: HY-N0169</p> <p>Hyodeoxycholic acid is a secondary bile acid formed in the small intestine by the gut flora, and acts as a TGR5 (GPCR19) agonist, with an EC₅₀ of 31.6 μM in CHO cells.</p>  <p>Purity: >99.0% Clinical Data: Launched Size: 10 mM × 1 mL, 100 mg</p>	<p>INT-767</p> <p>Cat. No.: HY-12434</p> <p>INT-767 is a dual farnesoid X receptor (FXR)/TGR5 agonist with mean EC₅₀s of 30 and 630 nM, respectively.</p>  <p>Purity: >98.0% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>
<p>INT-777 (S-EMCA)</p> <p>Cat. No.: HY-15677</p> <p>INT-777 is a potent TGR5 agonist with an EC₅₀ of 0.82 μM.</p>  <p>Purity: 100.00% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>INT-777 R-enantiomer (S-EMCA R enantiomer)</p> <p>Cat. No.: HY-15677A</p> <p>INT-777 (R-enantiomer) is the R-enantiomer of INT-777, with EC₅₀ of 4.79 μM for TGR5, and less potent than INT-777.</p>  <p>Purity: >95.0% Clinical Data: No Development Reported Size: 2 mg, 5 mg</p>
<p>SB756050</p> <p>Cat. No.: HY-102016</p> <p>SB756050 is a selective TGR5 agonist. SB756050 has the potential for type 2 diabetes treatment.</p>  <p>Purity: 99.32% Clinical Data: Phase 1 Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>SBI-115</p> <p>Cat. No.: HY-111534</p> <p>SBI-115 is a TGR5 (GPCR19) antagonist. SBI-115 decreases hepatic cystogenesis with polycystic liver diseases via inhibiting TGR5.</p>  <p>Purity: 99.51% Clinical Data: No Development Reported Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

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 EC_{50} s of 0.72 and 6.2 nM for hTGR5 and mTGR5, respectively. TC-G 1005 can reduce glucose levels in vivo.

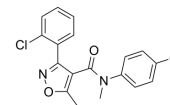


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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 (pEC_{50} =6.8) and in melanophore cells (pEC_{50} =7.5).

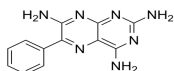


Purity: 99.85%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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