FXR

FXR; NR1H4

Farnesoid X receptor (FXR) is a nuclear hormone receptor critically involved in the regulation of bile acid homeostasis. It is now recognized that bile acids serve as the natural ligands for FXR. Once activated, FXR in turn induces the expression of another nuclear hormone receptor, small heterodimer partner (SHP).

FXR, which is highly expressed in the liver, intestine, kidney, adrenal glands, and adipose tissue, is a master regulator of the synthesis and pleiotropic actions of endogenous bile acids (BAs).

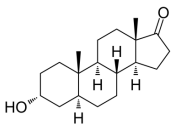
FXR activation inhibits BA synthesis and has anti-inflammatory effects in atherosclerosis, inflammatory bowel disease, and experimental cholestasis, whereas TGR5 activation, via cAMP-mediated pathways, reduces proinflammatory cytokine production in macrophages and Kupffer cells.

FXR Inhibitors, Agonists, Antagonists & Activators

Androsterone
(5α-Androstan-3α-ol-17-one)

Cat. No.: HY-N0933

Androsterone is a metabolic product of testosterone and can activate **Farnesoid X Receptor** (**FXR**).

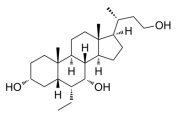


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 100 mg, 250 mg

BAR502

Cat. No.: HY-101273

BAR502 is a dual **FXR** and **GPBAR1** agonist with **IC_{50}** values of 2 μM and 0.4 μM, respectively.

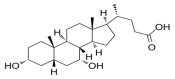


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

Chenodeoxycholic Acid
(CDCA)

Cat. No.: HY-76847

Chenodeoxycholic Acid is a hydrophobic primary bile acid that activates nuclear receptors (**FXR**) involved in cholesterol metabolism.

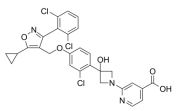


Purity: >98.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 100 mg, 500 mg

Cilofexor
(GS-9674)

Cat. No.: HY-109083

Cilofexor (GS-9674) is a potent, selective and orally active nonsteroidal **FXR** agonist with an **EC_{50}** of 43 nM. Cilofexor has anti-inflammatory and antifibrotic effects. Cilofexor has the potential for primary sclerosing cholangitis (PSC) and nonalcoholic steatohepatitis (NASH) research.

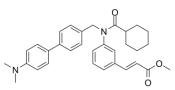


Purity: 99.82%
Clinical Data: Phase 3
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Fexaramine

Cat. No.: HY-10912

Fexaramine is a potent and selective **FXR** agonist with an **EC_{50}** of 25 nM. Fexaramine has no activity against hRXRα, hPPARαγδ, mPXR, hPXR, hLXRα, hTRβ, hRARβ, mCAR, mERRγ, and hVDR receptors.

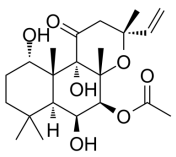


Purity: 99.24%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

Forskolin
(Coleonol; Colforsin)

Cat. No.: HY-15371

Forskolin (Coleonol) is a potent **adenylate cyclase** activator with an **IC_{50}** of 41 nM and an **EC_{50}** of 0.5 μM for **type I adenylyl cyclase**. Forskolin is also an inducer of intracellular **cAMP** formation.

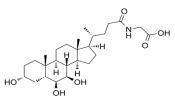


Purity: 99.82%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg, 100 mg, 200 mg

Gly-β-MCA

Cat. No.: HY-114392

Gly-β-MCA, a bile acid, is a potent, sable, intestine-selective and oral bioactive farnesoid X receptor **(FXR)** inhibitor that may be a candidate for the treatment of metabolic disorders.

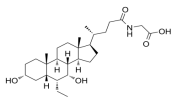


Purity: 98.11%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Glyco-Obeticholic acid

Cat. No.: HY-135400

Glyco-obeticholic acid is an active metabolite of Obeticholic acid. Obeticholic acid is a farnesoid X receptor (FXR) agonist.

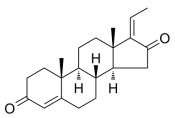


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Guggulsterone
(Z/E-Guggulsterone)

Cat. No.: HY-107738

Guggulsterone is a plant sterol derived from the gum resin of the tree Commiphora wightii.

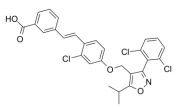


Purity: 99.50%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

GW 4064

Cat. No.: HY-50108

GW 4064 is a potent **FXR** agonist with an **EC_{50}** of 65 nM.

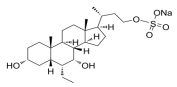


Purity: 99.76%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

INT-767

Cat. No.: HY-12434

INT-767 is a dual **farnesoid X receptor (FXR)/TGR5** agonist with mean EC_{50}s of 30 and 630 nM, respectively.

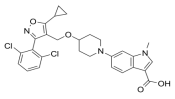


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

LY2562175

Cat. No.: HY-103704

LY2562175 is a potent and selective **FXR** agonist, with an EC_{50} of 193 nM.



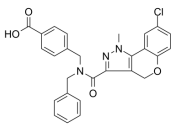
Purity: 99.87%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg, 25 mg, 50 mg

Nidufexor

(LMB763)

Cat. No.: HY-109096

Nidufexor (LMB763) is an orally-available **farnesoid X receptor (FXR)** agonist for the research of nonalcoholic steatohepatitis (NASH).



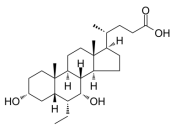
Purity: 99.83%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Obeticholic acid

(INT-747; 6-ECDCA; 6-Ethylchenodeoxycholic acid)

Cat. No.: HY-12222

Obeticholic acid (INT-747) is a potent, selective and orally active **FXR** agonist with an EC_{50} of 99 nM. Obeticholic acid has anticholeretic and anti-inflammation effect. Obeticholic acid also induces **autophagy**.



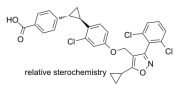
Purity: >98.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

PX20606 trans racemate

(PX-102 trans racemate)

Cat. No.: HY-100443A

PX20606 trans racemate (PX-102 trans racemate) is a **FXR** agonist with EC_{50}s of 32 and 34 nM for FXR in FRET and M1H assay, respectively.

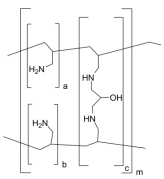


Purity: 99.01%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 2 mg

Sevelamer

Cat. No.: HY-13995

Sevelamer is a phosphate binding drug used to treat hyperphosphatemia in patients with chronic kidney disease; consists of polyallylamine that is crosslinked with epichlorohydrin.

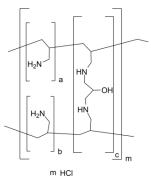


Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

Sevelamer hydrochloride

Cat. No.: HY-13995A

Sevelamer hydrochloride is a phosphate binding drug used to treat hyperphosphatemia in patients with chronic kidney disease; consists of polyallylamine that is crosslinked with epichlorohydrin.

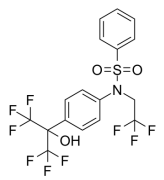


Purity: >98.0%
Clinical Data: Launched
Size: 100 mg, 500 mg

T0901317

Cat. No.: HY-10626

T0901317 is an orally active and highly selective **LXR** agonist with an EC_{50} of 20 nM for LXRα. T0901317 activates **FXR** with an EC_{50} of 5 μM. T0901317 is **RORα** and **RORγ** dual inverse agonist with K_i values of 132 nM and 51 nM, respectively.

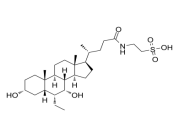


Purity: 99.91%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

Tauro-Obeticholic acid

Cat. No.: HY-135399

Tauro-Obeticholic acid is an active metabolite of Obeticholic acid. Obeticholic acid is an orally bioavailable farnesoid-X receptor (FXR) agonist.



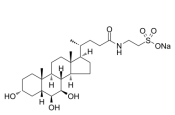
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Tauro-β-muricholic acid sodium

(T-βMCA sodium)

Cat. No.: HY-135103

Tauro-β-muricholic Acid sodium (T-βMCA sodium), a endogenous metabolite, is a competitive and reversible **farnesoid X receptor (FXR)** antagonist, with an IC_{50} of 40 μM.

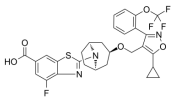


Purity: >95.0%
Clinical Data: No Development Reported
Size: 1 mg

Tropifexor

(LJN452) **Cat. No.:** HY-107418

Tropifexor (LJN452) is a highly potent agonist of **FXR** with an EC_{50} of 0.2 nM.

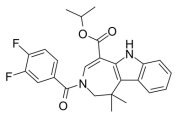


Purity: 99.35%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Turofexorate isopropyl

(FXR-450; XL335; WAY-362450) **Cat. No.:** HY-50911

Turofexorate isopropyl (FXR-450) is a potent, selective, and orally bioavailable **FXR** agonist with EC_{50} of 4 nM.

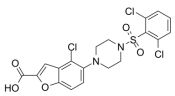


Purity: 99.63%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Vonafexor

(EYP001) **Cat. No.:** HY-109197

Vonafexor (EYP001) is a selective **FXR** agonist with anti-HBV effects.



Purity: 99.87%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg