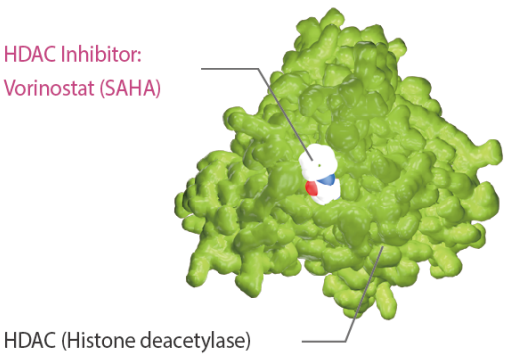
FXR

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.

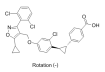
FXR Inhibitors & Modulators

(-)-PX20606 trans isomer

((-)-PX-102 trans isomer; (-)-PX-104) Cat. No.: HY-100443B

Bioactivity: (-)-PX20606 trans isomer is a **FXR** agonist with **EC_{50}**s of 18 and 29 nM for FXR in FRET and M1H assay, respectively.

Purity: 98.39%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 1 mg, 2 mg



Androsterone

(5α-Androstan-3α-ol-17-one) Cat. No.: HY-N0933

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

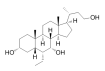
Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 100 mg, 250 mg

BAR502

Cat. No.: HY-101273

Bioactivity: 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: 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg



Chenodeoxycholic Acid

(CDCA) Cat. No.: HY-76847

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

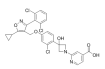
Purity: 98.0%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 100 mg, 500 mg

Cilofexor

Cat. No.: HY-109083

Bioactivity: Cilofexor is a farnesoid X receptor **(FXR)** agonist.

Purity: 99.46%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

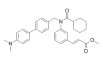


Fexaramine

Cat. No.: HY-10912

Bioactivity: Fexaramine is a small molecule farnesoid X receptor (FXR) agonist with 100-fold increased affinity relative to natural compounds. IC50 value: Target: in vitro: In vitro treatment of CDCA or fexaramine elevated the SHP transcript level and occupancy on secretin promoter [1]. Fexaramine significantly...

Purity: 98.78%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

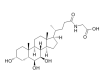


Gly-β-MCA

Cat. No.: HY-114392

Bioactivity: 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 [1].

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg

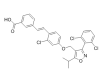


GW 4064

Cat. No.: HY-50108

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

Purity: 99.42%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

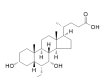


INT-747

(Obeticholic acid; 6-ECDCA; 6-Ethylchenodeoxycholic acid) Cat. No.: HY-12222

Bioactivity: INT-747 is a potent and selective **farnesoid X receptor (FXR)** agonist with an **EC_{50}** of 99 nM.

Purity: 98.0%
Clinical Data: Launched
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg, 500 mg

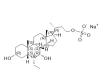


INT-767

Cat. No.: HY-12434

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

Purity: 98.0%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg

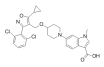


LY2562175

Cat. No.: HY-103704

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

Purity: >98%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
1 mg, 5 mg, 10 mg, 25 mg, 50 mg



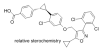
PX20606 trans racemate

(PX-102 trans racemate)

Cat. No.: HY-100443A

Bioactivity: PX20606 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: 10mM x 1mL in DMSO,
1 mg, 2 mg

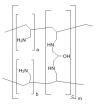


Sevelamer

Cat. No.: HY-13995

Bioactivity: 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: 100 mg, 500 mg



Sevelamer hydrochloride

Cat. No.: HY-13995A

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

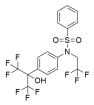
Purity: 98.0%
Clinical Data: Launched
Size: 100 mg, 500 mg

T0901317

Cat. No.: HY-10626

Bioactivity: T0901317 is a potent and selective agonist for **LXR** and **FXR**, with **EC_{50}**s of 50 nM and 5 μM, respectively.

Purity: 99.64%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
10 mg, 50 mg, 100 mg



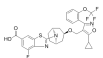
Tropifexor

(LJN452)

Cat. No.: HY-107418

Bioactivity: Tropifexor is a novel and highly potent agonist of **FXR** with an **EC_{50}** of 0.2 nM.

Purity: 99.33%
Clinical Data: Phase 2
Size: 10mM x 1mL in DMSO,
1 mg, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg



Turofexorate isopropyl

(WAY-362450; FXR-450; XL335)

Cat. No.: HY-50911

Bioactivity: Turofexorate isopropyl (WAY-362450) is a potent, selective, and orally bioavailable **FXR** agonist with **EC_{50}** of 4 nM.

Purity: 99.41%
Clinical Data: No Development Reported
Size: 10mM x 1mL in DMSO,
5 mg, 10 mg, 50 mg, 100 mg