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

**Cat. No.:** HY-100443B  
**Bioactivity:** (-)-PX20606 trans isomer is a **FXR** agonist with **EC$_{50}$** 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

**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

**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:** No Development Reported  
**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. **IC$_{50}$** 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

**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$_{50}$** 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  
**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

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PX20606 trans racemate  
(PX-102 trans racemate)  
**Bioactivity:** PX20606 trans racemate is a FXR agonist with \( EC_{50} \) 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

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Sevelamer  
**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

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Sevelamer hydrochloride  
**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

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T0901317  
**Bioactivity:** T0901317 is a potent and selective agonist for LXR and FXR, with \( EC_{50} \) of 50 nM and 5 \( \mu \)M, respectively.

**Purity:** 99.64%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO, 10 mg, 50 mg, 100 mg

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Tropifexor  
(LJN452)  
**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

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Turofexorate isopropyl  
(WAY-362450; FXR-450; XL335)  
**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

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