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Inhibitors, Screening Libraries, Proteins

# LXR

## Liver X receptor

LXRs (Liver X Receptor  $\alpha$  and  $\beta$ ) are members of the nuclear hormone receptor superfamily of ligand-activated transcription factors. LXRs are oxysterol-activated transcription factors that upregulate a suite of genes that together promote coordinated mobilization of excess cholesterol from cells and from the body. The LXRs, like other nuclear receptors, are anti-inflammatory, inhibiting signal-dependent induction of pro-inflammatory genes by nuclear factor- $\kappa$ B, activating protein-1, and other transcription factors.

LXR $\alpha$  is expressed predominately in some tissues, including the liver, kidney, macrophages, and adipose tissue. However, LXR $\beta$  is ubiquitously expressed. Activating LXR $\alpha$  (mainly expressed in liver) results in high triglyceride production, and growing evidence suggests that selective LXR $\beta$  agonists can reduce this side effect.

## LXR Inhibitors, Agonists, Antagonists, Activators & Modulators

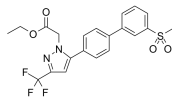
<p><b>(20S)-Protopanaxatriol</b> (20S)-APPT; g-PPT</p> <p style="text-align: right;">Cat. No.: HY-N0835</p>	<p><b>24(S)-Hydroxycholesterol</b> (24S-OHC; 24S-HC; Cerebrosterol)</p> <p style="text-align: right;">Cat. No.: HY-16940</p>
<p>(20S)-Protopanaxatriol is a metabolite of ginsenoside. (20S)-Protopanaxatriol works through the <b>glucocorticoid receptor (GR)</b> and <b>oestrogen receptor (ER)</b>, and is also a <b>LXR<math>\alpha</math></b> inhibitor. (20S)-Protopanaxatriol shows a broad spectrum of antitumor effects.</p> <p><b>Purity:</b> 98.35% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>24(S)-Hydroxycholesterol (24S-OHC), the major brain cholesterol metabolite, plays an important role to maintain homeostasis of cholesterol in the brain.</p> <p><b>Purity:</b> <math>\geq</math>95.0% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mg</p>
<p><b>24-Hydroxycholesterol</b></p> <p style="text-align: right;">Cat. No.: HY-N2370</p>	<p><b>27-Hydroxycholesterol</b></p> <p style="text-align: right;">Cat. No.: HY-N2371</p>
<p>24-Hydroxycholesterol is a natural sterol, which serves as a positive allosteric modulator of <b>N-Methyl-d-Aspartate (NMDA) receptors</b>, and a potent activator of the transcription factors <b>LXR</b>.</p> <p><b>Purity:</b> <math>\geq</math>98.0% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 2 mg, 5 mg</p>	<p>27-Hydroxycholesterol is a selective <b>estrogen receptor</b> modulator and an agonist of the <b>liver X receptor</b>.</p> <p><b>Purity:</b> 99.38% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>
<p><b>Acetyl podocarpic acid anhydride</b> (Acetylpodocarpic dimer; APD)</p> <p style="text-align: right;">Cat. No.: HY-125772</p>	<p><b>AZ876</b></p> <p style="text-align: right;">Cat. No.: HY-18282</p>
<p>Acetyl podocarpic acid anhydride is a potent, semisynthetic <b>liver X receptor(LXR)</b> agonist derived from extracts of the mayapple.</p> <p><b>Purity:</b> <math>&gt;</math>98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p>AZ876 is a potent and high-affinity <b>LXR</b> agonist. AZ876 displays 25-fold and 2.5-fold more potent than GW3965 (HY-10627) on human (h)LXR<math>\alpha</math> and hLXR<math>\beta</math> respectively.</p> <p><b>Purity:</b> 99.26% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>BMS-779788</b> (EXEL04286652; XL-652; BMS-788)</p> <p style="text-align: right;">Cat. No.: HY-19919</p>	<p><b>DMHCA</b></p> <p style="text-align: right;">Cat. No.: HY-129098</p>
<p>BMS-779788 is a <b>LXR</b> partial agonist with <b>IC<sub>50</sub></b> values of 68 nM for LXR<math>\alpha</math> and 14 nM for LXR<math>\beta</math>.</p> <p><b>Purity:</b> 98.23% <b>Clinical Data:</b> Phase 1 <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>DMHCA, a potent and selective <b>LXR</b> agonist, specifically activates the cholesterol efflux arm of the LXR pathway without stimulating triglyceride synthesis. DMHCA has anti-inflammatory effects and can be used for the research of cholesterol homeostasis diabetes.</p> <p><b>Purity:</b> 99.19% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg</p>
<p><b>GSK2033</b></p> <p style="text-align: right;">Cat. No.: HY-108688</p>	<p><b>GSK3987</b></p> <p style="text-align: right;">Cat. No.: HY-123402</p>
<p>GSK2033 is a <b>LXR</b> antagonist with <b>pIC<sub>50</sub>s</b> of 7 and 7.4 for LXR<math>\alpha</math> or LXR<math>\beta</math>, respectively.</p> <p><b>Purity:</b> 99.37% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>GSK3987 is a pan <b>LXR<math>\alpha</math>/<math>\beta</math></b> agonist with <b>EC<sub>50</sub>s</b> of 50 nM, 40 nM for LXR<math>\alpha</math>-SRC1 and LXR<math>\beta</math>-SRC1, respectively. GSK3987 increases the expression of ABCA1 and SREBP-1c. GSK3987 induces cellular cholesterol efflux and triglyceride accumulation.</p> <p><b>Purity:</b> <math>&gt;</math>98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>

<p><b>GW3965</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-10627</p>	<p><b>GW3965 hydrochloride</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-10627A</p>
<p>GW3965 is a potent, selective <b>liver X receptor (LXR)</b> agonist with <math>EC_{50}</math>s of 190 nM and 30 nM for hLXR<math>\alpha</math> and hLXR<math>\beta</math>, respectively.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>GW3965 hydrochloride is a potent and selective <b>liver X receptor (LXR)</b> agonist with <math>EC_{50}</math>s of 190 nM and 30 nM for hLXR<math>\alpha</math> and hLXR<math>\beta</math>, respectively.</p> <p><b>Purity:</b> 99.73%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>Gymnestrogenin</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-N2273</p>	<p><b>Iristectorigenin B (Iristectrigenin B)</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-N2509</p>
<p>Gymnestrogenin is a pentahydroxytriterpene from the leaves of <i>Gymnema sylvestre</i> R.Br. Gymnestrogenin is a <b>LXR</b> antagonist with <math>IC_{50}</math>s of 2.5 and 1.4 <math>\mu</math>M for LXR<math>\alpha</math> and LXR<math>\beta</math> transactivation, respectively.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg</p>	<p>Iristectorigenin B (Iristectrigenin B) is a liver X receptor (<b>LXR</b>) modulator. Iristectrigenin B stimulates the transcriptional activity of both LXR-<math>\alpha</math> and LXR-<math>\beta</math>.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>
<p><b>LXR agonist 1</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-144549</p>	<p><b>LXR-623 (WAY 252623)</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-10629</p>
<p>LXR (Liver X receptor) agonist 1 is potent <b>LXR</b> agonist with <math>AC_{50}</math>s of 1.5 nM and 12 nM for <b>LXR-<math>\alpha</math></b> and <b>LXR-<math>\beta</math></b>, respectively. LXR agonist 1 has the potential for the research of atherosclerosis.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>LXR-623 is a brain-penetrant partial <b>LXR<math>\alpha</math></b> and full <b>LXR<math>\beta</math></b> agonist, with <math>IC_{50}</math>s of 24 nM and 179 nM, respectively.</p> <p><b>Purity:</b> 99.48%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 10 mM <math>\times</math> 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p>
<p><b>LXR<math>\beta</math> agonist-2</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-100469</p>	<p><b>Nagilactone B</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-N3216</p>
<p>LXR<math>\beta</math> agonist-2 is a highly potent and <math>\beta</math>-selective liver X receptor (<b>LXR<math>\beta</math></b>) agonist with <math>EC_{50}</math> of 7 nM, displays 28.5-fold selectivity over LXR<math>\alpha</math> (<math>EC_{50}</math>=200 nM) and used in the treatment of atherosclerosis.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>Nagilactone B is a liver X receptor (<b>LXR</b>) agonist.</p> <p><b>Purity:</b> <math>\geq</math>98.0%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg</p>
<p><b>RGX-104</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-111498A</p>	<p><b>RGX-104 hydrochloride</b></p> <p style="text-align: right;"><b>Cat. No.:</b> HY-111498</p>
<p>RGX-104 is an orally bioavailable and potent liver-X nuclear hormone receptor (<b>LXR</b>) agonist that modulates innate immunity via transcriptional activation of the ApoE gene.</p> <p><b>Purity:</b> 99.97%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 10 mM <math>\times</math> 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>RGX-104 hydrochloride is a small-molecule <b>LXR</b> agonist that modulates innate immunity via transcriptional activation of the ApoE gene.</p> <p><b>Purity:</b> 99.96%</p> <p><b>Clinical Data:</b> Phase 1</p> <p><b>Size:</b> 10 mM <math>\times</math> 1 mL, 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>

## Rovazolac

Cat. No.: HY-109073

Rovazolac is a liver X receptor (LXR) modulator extracted from patent WO2013130892A1.

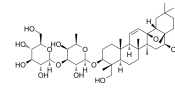


**Purity:** 99.79%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

## Saikosaponin A

Cat. No.: HY-N0246

Saikosaponin A is an active component of *Bupleurum falcatum*, up-regulates LXR $\alpha$  expression, with potent anti-inflammatory activity.

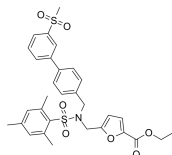


**Purity:** 99.43%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

## SR9238

Cat. No.: HY-101442

SR9238 is a synthetic liver X receptor (LXR) inverse agonist with IC<sub>50</sub>s of 214 nM and 43 nM for LXR $\alpha$  and LXR $\beta$ , respectively.

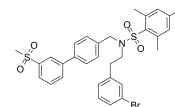


**Purity:** 99.66%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

## SR9243

Cat. No.: HY-16972

SR9243 is a liver-X-receptor (LXR) inverse agonist that induces LXR-corepressor interaction.

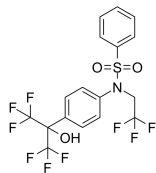


**Purity:** 99.65%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

## T0901317

Cat. No.: HY-10626

T0901317 is an orally active and highly selective LXR agonist with an EC<sub>50</sub> of 20 nM for LXR $\alpha$ . T0901317 activates FXR with an EC<sub>50</sub> of 5  $\mu$ M. T0901317 is ROR $\alpha$  and ROR $\gamma$  dual inverse agonist with K<sub>i</sub> values of 132 nM and 51 nM, respectively.



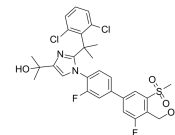
**Purity:** 99.91%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

## XL041

(BMS-852927)

Cat. No.: HY-101973

XL041 (BMS-852927) is an LXR $\beta$ -selective agonist.



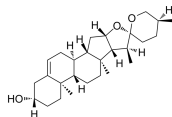
**Purity:** 99.44%  
**Clinical Data:** Phase 1  
**Size:** 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

## Yamogenin

(Neodiosgenin)

Cat. No.: HY-N2078

Yamogenin (Neodiosgenin) is a diastereomer of diosgenin. Yamogenin (Neodiosgenin) antagonizes the activation of the liver X receptor (LXR) in luciferase ligand assay.



**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10 mg, 25 mg