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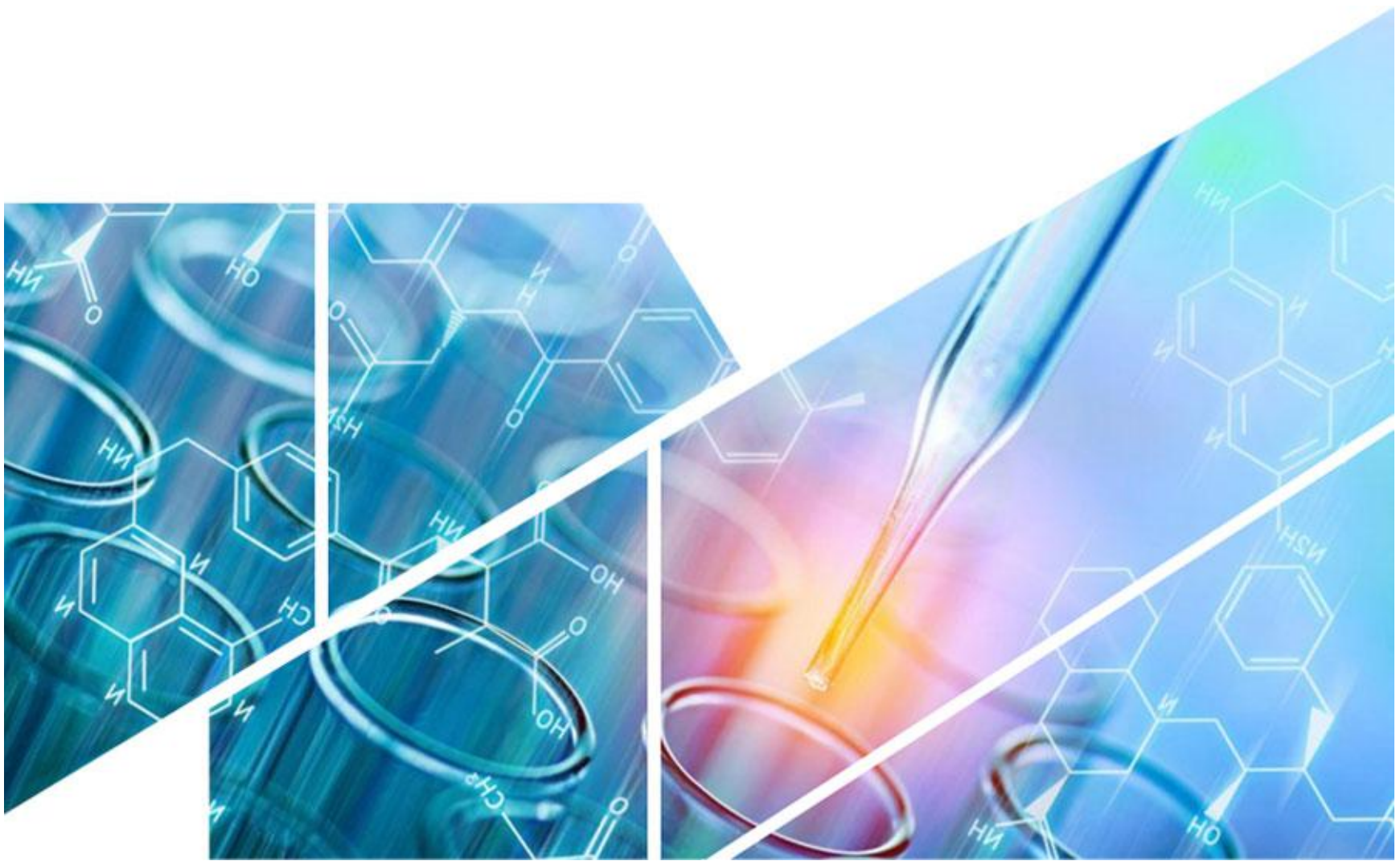
FXR Inhibitors

(inhibitors, agonists and modulators)



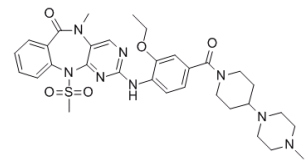
Farnesoid X receptors (FXRs) are nuclear hormone receptors expressed in high amounts in body tissues that participate in bilirubin metabolism including the liver, intestines, and kidneys. Bile acids (BAs) are the natural ligands of the FXRs. FXRs regulate the expression of the gene encoding for cholesterol 7 alpha-hydroxylase, which is the rate-limiting enzyme in BA synthesis. In addition, FXRs play a critical role in carbohydrate and lipid metabolism and regulation of insulin sensitivity. FXRs also modulate live growth and regeneration during liver injury.

BAR502 - CAS 1612191-86-2

Catalog Number: B0084-007371 **Price:** $298/5 mg

Molecular Weight: 392.62 **Molecular Formula:** C25H44O3

Description: BAR502 is a dual FXR and GPBAR1 agonist (IC50= 2 μM and 0.4 μM for FXR and GPBAR1, respectively).

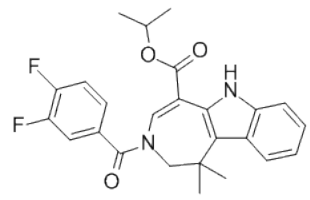


Turofexorate Isopropyl - CAS 629664-81-9

Catalog Number: B0084-221750 **Price:** $298/25 mg

Molecular Weight: 438.47 **Molecular Formula:** C25H24F2N2O3

Description: Turofexorate Isopropyl is a highly potent, selective, and orally active farnesoid X receptor (FXR) agonist.

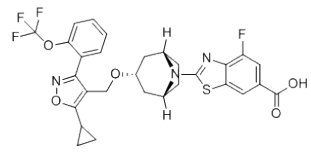


Tropifexor - CAS 1383816-29-2

Catalog Number: B0084-008115 **Price:** $198/10 mg

Molecular Weight: 603.589 **Molecular Formula:** C29H25F4N3O5S

Description: Tropifexor is a novel highly potent agonist of farnesoid X receptor (FXR), which regulates bile acid metabolism and signaling. FXR activated by Tropifexor can inhibit bile acid synthesis as well as increase bile acid conjugation, transport and excretion. Tropifexor entered phase II clinical trials for the treatment of NASH and PBC.

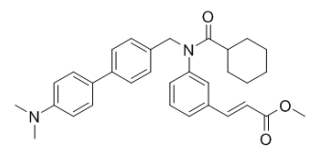


Fexaramine - CAS 574013-66-4

Catalog Number: B0084-272107 **Price:** $298/20 mg

Molecular Weight: 496.64 **Molecular Formula:** C32H36N2O3

Description: Fexaramine is a small molecule farnesoid X receptor agonist with 100-fold increased affinity relative to natural compounds.



EP-024297

Catalog Number:

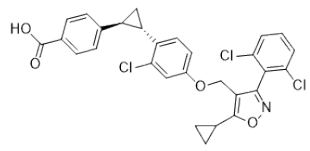
Molecular Weight: **Molecular Formula:**

Description: EP-024297 is a novel agonist of farnesoid X receptor (FXR). Study in Chinese hamster ovary cells showed that it is 20000-fold more potent than obeticholic acid (OCA). EP-024297 is selective for FXR over TGR5. It promisingly becomes a new treatment of NASH.

PX-102 - CAS 1268244-85-4

Catalog Number:

Molecular Weight: 554.848 **Molecular Formula:** C29H22Cl3NO4

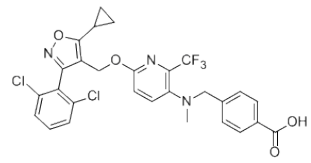


Description: PX-102, also known as PX-20606, is a farnesoid X-activated receptor (FXR) agonist. PX-102 is indicated to be active in lowering plasma cholesterol, and ameliorating portal hypertension by reducing liver fibrosis, vascular remodelling and sinusoidal dysfunction.

PX 20350 - CAS 1198085-23-2

Catalog Number:

Molecular Weight: 592.39 **Molecular Formula:** C28H22Cl2F3N3O4

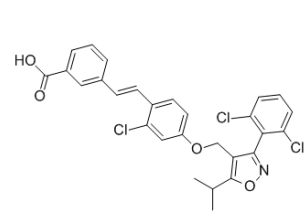


Description: PX 20350 is a farnesoid X receptor (FXR) agonist with enhanced affinity and efficacy (12 nM and 109% (compared to GW 4064)) in FXR FRET assay and full length FXR direct reporter (DR) assay (6 nM vs 30 nM for GW 4064).

GW4064 - CAS 278779-30-9

Catalog Number: 278779-30-9

Molecular Weight: 542.84 **Molecular Formula:** C28H22Cl3NO4

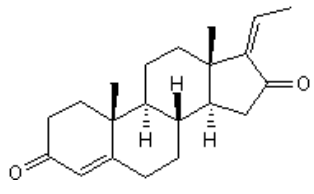


Description: GW4064 is a selective non-steroidal agonist of farnesoid X receptor (FXR) with EC50 value of 15 nM.

Z-Guggulsterone - CAS 39025-23-5

Catalog Number:

Molecular Weight: 312.45 **Molecular Formula:** C21H28O2



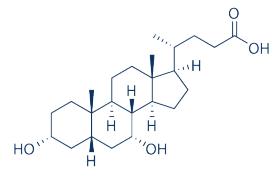
Description: Z-Guggulsterone is a broad spectrum steroid receptor ligand that acts as a mineralocorticoid, progesterone and glucocorticoid receptor antagonist (Ki = 37, 224 and 252 nM, respectively) and weak androgen receptor agonist (Ki = 315 nM).

Chenodeoxycholic Acid - CAS 474-25-9

Catalog Number: 474-25-9

Molecular Weight: 392.57 **Molecular Formula:** C24H40O4

Description: Chenodeoxycholic Acid is a naturally occurring human bile acid.Chenodeoxycholic acid has been used as medical therapy to dissolve gallstones.

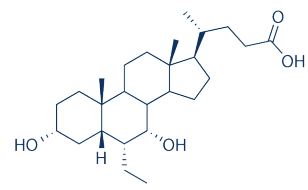


Obeticholic Acid - CAS 459789-99-2

Catalog Number: 459789-99-2

Molecular Weight: 420.63 **Molecular Formula:** C26H44O4

Description: Obeticholic Acid is a potent and selective farnesoid X receptor (FXR) agonist with EC50 of 99 nM. Phase 3.

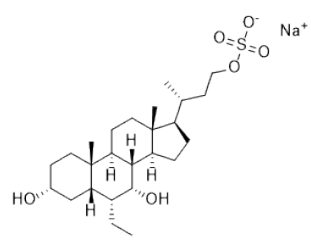


INT-767 - CAS 1000403-03-1

Catalog Number: 1000403-03-1

Molecular Weight: 494.66 **Molecular Formula:** C25H43NaO6S

Description: INT-767, a steride compound, has been found to be a inhibitor of FXR and TGF5 and could have probable effect against some liver and metabolic diseases. It was just planed a Phase II trial for Hepatic fibrosis. IC50: 30 nM(EC50, FXR), 630 nM(EC50, TGR5).

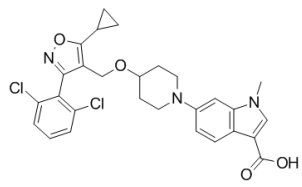


LY-2562175 - CAS 1103500-20-4

Catalog Number: 1103500-20-4

Molecular Weight: 540.44 **Molecular Formula:** C28H27Cl2N3O4

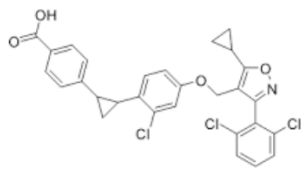
Description: LY2562175 is a potent and selective FXR agonist in vitro. It has robust lipid modulating properties, lowering LDL and triglycerides while raising HDL in preclinical species. It was developed by Eli Lilly and Company and in clinic phase 1 trials with no progress.



PX 102 - CAS 1268245-19-7

Catalog Number: 1268245-19-7

Molecular Weight: 554.85 **Molecular Formula:** C29H22Cl3NO4

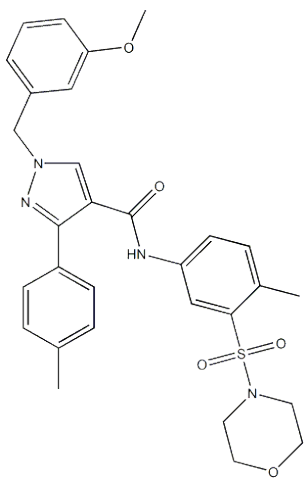


Description: PX102 is a Farnesoid X-activated Receptor (FXR) agonist originated by Phenex Pharmaceuticals. PX102 demonstrated potent plasma cholesterol-lowering activity that affected all lipoprotein species. But treatment for Metabolic syndrome and Non-alcoholic steatohepatitis were discontinued.

DY-268 - CAS 1609564-75-1

Catalog Number: 1609564-75-1

Molecular Weight: 560.67 **Molecular Formula:** C30H32N4O5S



Description: DY-268, a pyrazol carboamide derivative, has been found to be a FXR antagonist that could be significant in studies of the biological activities of FXR. IC50: 7.5 nM.