ROR

RAR-related orphan receptor

The retinoic acid-related orphan receptor (ROR) subgroup of nuclear receptors consists of three members, RORα, -β and -γ (NR1F1-3 or RORA-C). RORs regulate several important physiological processes and have been implicated in a number of pathologies. RORα is critical for cerebellar development and bone formation, while RORβ regulates functions in the brain and retina. RORγ plays a key role in lymph node development and thymopoiesis. Furthermore, both RORα and RORγ are involved in regulating various metabolic pathways, inflammatory responses and immune functions, including Th17 cell differentiation.

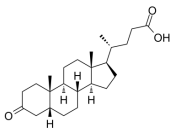
The retinoic acid receptor-related orphan receptors α and γ (RORα and RORγ), are key regulators of helper T (Th)17 cell differentiation, which is involved in the innate immune system and autoimmune disorders. RORα/γ are members of the nuclear hormone receptor superfamily, which contains a signature type II zinc finger DNA binding motif and a hydrophobic ligand binding pocket.

ROR Inhibitors, Agonists, Antagonists & Modulators

3-Oxo-5β-cholanoic acid

(Dehydrolithocholic acid; 3-oxoLCA) Cat. No.: HY-125801

3-Oxo-5β-cholanoic acid (Dehydrolithocholic acid), a bile acid metabolite, inhibits the diferentiation of **TH17** cells by directly binding to the key transcription factor **RORγt** (K_d=1.13 μM).

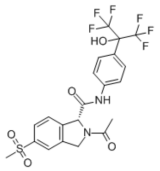


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

AZD-0284

Cat. No.: HY-120384

AZD-0284 is a selective inverse agonist of the nuclear receptor **RORγ**. AZD-0284 has the potential for plaque psoriasis vulgaris and respiratory tract disorders treatment.

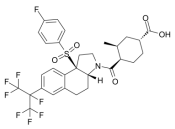


Purity: 99.92%
Clinical Data: Phase 1
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

BMS-986251

Cat. No.: HY-136527

BMS-986251 is an orally active and selective **RORγt** inverse agonist with an EC_{50} of 12 nM for RORγt GAL4. BMS-986251 inhibits **IL-17** with an EC_{50} of 24 nM in human whole blood assay.

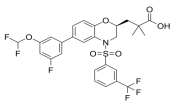


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Cintirorgon

(LYC-55716) Cat. No.: HY-104037

Cintirorgon (LYC-55716) is a first-in-class, selective and orally bioavailable **RORγ** agonist.

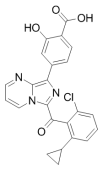


Purity: 99.95%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

GNE-6468

Cat. No.: HY-19775

GNE-6468 is a potent and selective **RORγ(RORc)** agonists with an EC_{50} value of 13 nM for HEK-293 cell.

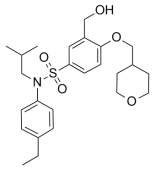


Purity: 99.50%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 250 mg

GSK2981278

Cat. No.: HY-19770

GSK2981278 is a potent and selective **RORγ** inverse agonist. GSK2981278 inhibits activation of the il17 promoter and interferes RORγ-DNA binding.

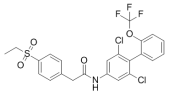


Purity: 99.70%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 1 mg, 5 mg, 10 mg, 50 mg, 100 mg

GSK805

Cat. No.: HY-12776

GSK805 is a potent, orally bioavailable, and CNS penetrant **RORγt** inhibitor with pIC_{50} of 8.4 and >8.2 for RORγ FRET assay and Th17 assay.

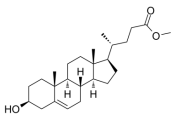


Purity: 97.14%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Methyl-3β-hydroxycholenate

Cat. No.: HY-100084

Methyl-3β-hydroxycholenate is a **ROR gamma** modulator extracted from patent US20110263046 A1, in figure 2.

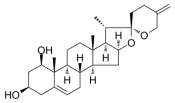


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Neoruscogenin

Cat. No.: HY-N2253

Neoruscogenin, a member of the steroidal sapogenin family, is a bioavailable, potent, and high-affinity agonist of the nuclear receptor **RORα (NR1F1)**.

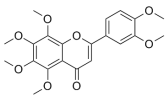


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 20 mg

Nobiletin

Cat. No.: HY-N0155

Nobiletin is a poly-methoxylated flavone from the citrus peel that improves memory loss. Nobiletin is a **retinoid acid receptor-related orphan receptors (RORs)** agonist.

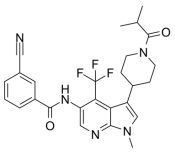


Purity: 99.04%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PF-06747711

Cat. No.: HY-112706

PF-06747711 is a potent, selective, and orally active retinoic acid receptor-related orphan C2 (**RORC2**, also known as **RORγt**) inverse agonist, with an IC_{50} of 4.1 nM. Anti-skin inflammatory activity.

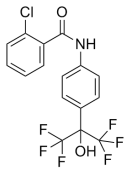


Purity: 99.48%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg

SR0987

Cat. No.: HY-101454

SR0987, a SR1078 analog, is a **RORγt** agonist, with an EC_{50} of 800 nM. SR0987 increases IL17 expression while repressing the expression of PD-1.

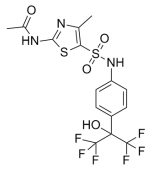


Purity: 99.56%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

SR1001

Cat. No.: HY-13421

SR1001 is a selective ROR_{α} and $ROR_{\gamma t}$ inverse agonist with K_is 172 and 111 nM, respectively.

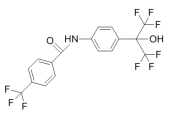


Purity: 99.84%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

SR1078

Cat. No.: HY-14422

SR1078 is a selective agonist of retinoic acid receptor-related orphan receptor α/γ (**RORα/RORγ**). SR1078 directly binds to the ligand binding domain of RORα and RORγ and increases the transcriptional activity of these receptors, leading to stimulation of RORα/γ target gene transcription.

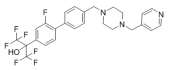


Purity: 99.67%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

SR2211

Cat. No.: HY-16998

SR2211 is a potent, selective synthetic **RORγ** modulator and functions as an inverse agonist, with a K_i of 105 nM and an IC_{50} of ~320 nM.

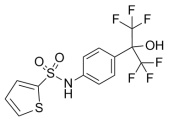


Purity: 98.59%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

SR3335
(ML 176)

Cat. No.: HY-14413

SR3335 (ML 176) is a selective **RORα** inverse agonist that directly binds to RORα with a K_i of 220 nM.

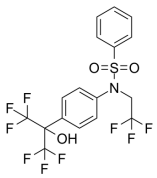


Purity: 99.43%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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.

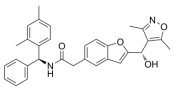


Purity: 99.91%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

TMP778

Cat. No.: HY-102075A

TMP778 is a potent and selevtive **RORγt** inverse agonist, with an IC_{50} of 7 nM in FRET assay.

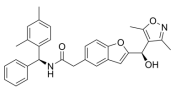


Purity: >98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TMP780

Cat. No.: HY-102075B

TMP780 is an inverse agonist of **RORγt** with an IC_{50} of 13 nM. RORγt is a tractable drug target for the treatment of cutaneous inflammatory disorders.

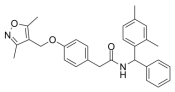


Purity: >98%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TMP920

Cat. No.: HY-117819

TMP920 is a highly potent and selective **RORγt** antagonist. TMP920 inhibits RORγt binding to the SRC1 peptide with an IC_{50} of 0.03 μM.



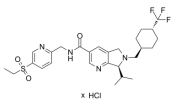
Purity: 99.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Vimirogant hydrochloride

(VTP-43742 hydrochloride)

Cat. No.: HY-103637A

Vimirogant (VTP-43742) hydrochloride is a potent, selective, and orally active **RORγt** inhibitor (K_i=3.5 nM; IC_{50}=17 nM). Vimirogant hydrochloride exhibits >1000-fold selectivity versus the RORα and RORβ isotypes.

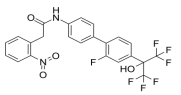


Purity: 98.33%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

XY018

Cat. No.: HY-120210

XY018 is a potent **ROR-γ**-selective antagonist. XY018 inhibits ROR-γ constitutive activity in 293T cells with high potency (EC_{50}, 190 nM). XY018 binds to the ROR-γ hydrophobic ligand binding domain (LBD).

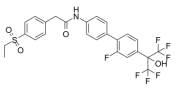


Purity: 99.76%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

XY101

Cat. No.: HY-128604

XY101 is a potent, selective, metabolically stable and orally available **RORγ** inverse agonist with an IC_{50} of 30 nM and a K_d of 380 nM.



Purity: 98.88%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg