Nuclear Receptor Products by Group:
- Steroid Hormone Receptor Group
- Thyroid Hormone Receptor-like Group
- Retinoid X Receptor-like Group
- Steroidogenic Factor-like Group
Contents

This listing contains over 150 products from Tocris, including a wide range of nuclear receptor agonists and antagonists available for each nuclear receptor group. Related products are also listed, alongside a selection of relevant scientific literature available from www.tocris.com.

| Introduction | 3 |
| Steroid Hormone Receptor Group | 5 |
| Androgen Receptor (AR) | 5 |
| Estrogen Receptors (ER) | 5 |
| Estrogen-related Receptors (ERR) | 7 |
| Glucocorticoid Receptor (GR) | 7 |
| Mineralocorticoid Receptor (MR) | 7 |
| Progesterone Receptor (PR) | 7 |
| Thyroid Hormone Receptor-like Group | 8 |
| Constitutive Androstane Receptor (CAR) | 8 |
| Farnesoid X Receptors (FXR) | 8 |
| Liver X Receptors (LXR) | 8 |
| Peroxisome Proliferator-activated Receptors (PPAR) | 8 |
| Thyroid Hormone Receptor-like Group (continued) | |
| Pregnane X Receptor (PXR) | 9 |
| Retinoic Acid Receptors (RAR) | 10 |
| Rev-Erb Receptors | 11 |
| Thyroid Hormone Receptors (TR) | 11 |
| Vitamin D Receptor (VDR) | 11 |
| Retinoid X Receptor-like Group | 12 |
| Hepatocyte Nuclear Factor-4 (HNF-4) Receptors | 12 |
| Retinoid X Receptors (RXR) | 12 |
| Steroidogenic Factor-like Group | 13 |
| Liver Receptor Homolog-1 (LRH-1) | 13 |
| Steroidogenic Factor-1 (SF-1) | 13 |
| Related Products | 14 |
| Aromatase (CYP19) | 14 |
| Aryl Hydrocarbon Receptor (AhR) | 14 |
Introduction

Nuclear receptors, also referred to as nuclear hormone receptors, are a subset of ligand-activated transcription factors that can bind to specific sites on DNA and recruit transcription machinery, influencing gene expression. The 48 known nuclear receptors have been broadly classified into six main groups according to their sequence, with an additional, non-DNA binding group of receptors – DAX-like receptors (group 0) – also described (Figure 1).

Figure 1 | Classification of Nuclear Receptors
All nuclear receptors comprise five major domains: an N-terminal regulatory domain, a DNA-binding domain, a hinge region, a ligand-binding domain and a C-terminal domain (Figure 2). Some of these domains, such as the DNA-binding domain, are highly conserved between nuclear receptors whereas others, for example the N-terminal regulatory domain, are more variable.

In addition to the phylogenetic classification of nuclear receptors (as described by the Nuclear Receptor Nomenclature Committee), nuclear receptors can also be separated into distinct types according to their functional characteristics. The principal difference between the types is in the location of the unbound nuclear receptor; prior to ligand binding, some nuclear receptors are located in the cytosol in a complex with heat shock proteins, whereas others exist in the nucleus where they bind to DNA in a complex with transcriptional corepressors.

The involvement of nuclear receptors in almost every cellular process, coupled with their innate ability to bind ligands and influence transcription, has led to significant drug discovery programs targeted at these receptors. Indeed, synthetic ligands for nuclear receptors such as estrogen receptors, glucocorticoid receptors and peroxisome proliferator-activated receptors, are currently used in the treatment of cancer, inflammatory disorders and metabolic disorders respectively. Further research into the physiological functions of these receptors may identify additional therapeutic targets within the nuclear receptor family.

Figure 2 | Canonical Nuclear Receptor Structure

For further information on nuclear receptor structure and function, please refer to Gronemeyer et al (2004) Nat Rev Drug Discov 3 950

Tocris has a unique collection of products for nuclear receptors, from established biochemical standards to novel and exclusive licensed compounds. The information listed within is correct at the time of printing. For the latest information, and to request free scientific literature, please visit www.tocris.com.
Steroid Hormone Receptor Group

Steroid hormones and their receptors are involved in a vast range of biological processes, from development to cholesterol regulation. Certain members of this family, such as estrogen receptors and androgen receptors, are also important targets for cancer research due to their involvement in tumor cell proliferation.

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<td>4094</td>
<td>Flutamide</td>
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<td>3923</td>
<td>PF 998425</td>
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<td>Modulators</td>
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<td>Other</td>
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<td>Andrographolide</td>
<td>Inhibits NF-κB; blocks AR expression</td>
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<td>3293</td>
<td>Finasteride</td>
<td>Type II 5α-reductase inhibitor; antiandrogen</td>
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<td></td>
<td>4396</td>
<td>Piperlongumine</td>
<td>Induces apoptosis; depletes androgen receptors in prostate cancer cells</td>
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| **Estrogen Receptors (ER)** |          |                |                                                       |           |
| Agonists          | 1417     | Daidzein       | ER agonist. Also induces cell cycle arrest            | 50mg      |
|                   | 1494     | DPN            | Highly potent ERβ agonist                            | 10mg      |
|                   | 4276     | ERB 041        | Potent ERβ agonist                                   | 10mg      |
|                   | 2823     | α-Estradiol    | Endogenous ER agonist                                | 50mg      |
|                   | 2824     | β-Estradiol    | Endogenous ER agonist                                | 100mg     |
|                   | 3523     | FERb 033       | Potent and selective ERβ agonist                     | 10mg      |
|                   | 3819     | Liquiritigenin | Selective ERβ agonist                                | 10mg      |
|                   | 1426     | PPT            | Subtype-selective ERα agonist                        | 10mg      |
|                   | 1990     | (R,R)-THC      | Potent subtype-selective ligand; ERα agonist/ERβ antagonist | 10mg |
|                   | 3366     | WAY 200070     | Selective ERβ agonist                                | 10mg      |

Key products for Estrogen Receptors

ERB 041 (4276)
Potent ERβ agonist

ICI 182,780 (1047)
ER antagonist

Liquiritigenin (3819)
Selective ERβ agonist
### Tocris Product Listing Series

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<td>Antiestrogen; displays antiproliferative activity <em>in vitro</em></td>
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<td>1991</td>
<td>MPP</td>
<td>Highly selective ERα antagonist</td>
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<td>Selective ERβ antagonist</td>
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<td>Tamoxifen</td>
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<td>1110</td>
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<td></td>
<td>2676</td>
<td>Y 134</td>
<td>Selective ERα modulator</td>
<td>10mg 50mg</td>
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**Selective ERRβ and ERRγ Agonist**

**GSK 4716**

Cat. No. 3075

GSK 4716 is a selective agonist at estrogen-related receptors ERRβ and ERRγ. The compound displays selectivity for ERRβ and ERRγ over ERα and the classical estrogen receptors.

**Glucocorticoid Receptor Agonist**

**GSK 9027**

Cat. No. 4116

GSK 9027 is a glucocorticoid receptor agonist (pIC$_{50}$ = 8). The compound inhibits production of the proinflammatory mediator IL-6 *in vivo*.

(Sold for research purposes under agreement from GlaxoSmithKline)
Steroid Hormone Receptor Group – continued

<table>
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<tr>
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<td>2266</td>
<td>DY131</td>
<td>Selective ERRβ and ERRγ agonist</td>
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<td></td>
<td>3075</td>
<td>GSK 4716</td>
<td>Selective ERRβ and ERRγ agonist</td>
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<td>Antagonists</td>
<td>3928</td>
<td>XCT 790</td>
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<td>Corticosterone</td>
<td>Endogenous glucocorticoid</td>
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<td></td>
<td>1126</td>
<td>Dexamethasone</td>
<td>Anti-inflammatory glucocorticoid</td>
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<td></td>
<td>2007</td>
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<td>Synthetic corticosteroid; anti-inflammatory agent</td>
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<td>Mifepristone</td>
<td>Glucocorticoid and progesterone receptor antagonist</td>
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<td><strong>Mineralocorticoid Receptor (MR)</strong></td>
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<td>Corticosterone</td>
<td>Endogenous glucocorticoid and mineralocorticoid receptor agonist</td>
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<td>Antagonists</td>
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<td>3281</td>
<td>Canrenone</td>
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<td>2397</td>
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<td>RU 28313</td>
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<td>2968</td>
<td>Spironolactone</td>
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<td><strong>Progesterone Receptor (PR)</strong></td>
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<td>4833</td>
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<td></td>
<td>4115</td>
<td>Mometasone</td>
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<td>2835</td>
<td>Progesterone</td>
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<tr>
<td>Antagonists</td>
<td>1479</td>
<td>Mifepristone</td>
<td>Progesterone and glucocorticoid receptor antagonist</td>
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Thyroid Hormone Receptor-like Group

Members of the thyroid hormone receptor-like group are involved in a wide range of cellular processes, including embryogenesis and cellular differentiation (retinoic acid receptors), bone homeostasis (vitamin D receptors) and the detection and clearance of foreign toxic substances (pregnane X receptors).

<table>
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<tr>
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<td>Farnesoid X Receptors (FXR)</td>
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<td>CP 775146</td>
<td>Selective, high affinity PPAR(\alpha) agonist</td>
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<td>Highly selective, potent PPAR(\delta) agonist</td>
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<td>GW 1929</td>
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<td>LG 100754</td>
<td>RXR:PPAR agonant; sensitizes PPAR(\gamma)</td>
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<td><strong>Agonists</strong></td>
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<td>Rifampicin</td>
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<td>2969</td>
<td>SR 12813</td>
<td>Pregnane X receptor agonist</td>
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| **Ligands**    | 1110     | Genistein    | PPAR<sub>γ</sub> ligand. Also estrogen receptor ligand and EGFR inhibitor    | 10 mg     |
|                | 4409     | SR 1664      | High affinity PPAR<sub>γ</sub> ligand; blocks Cdk5-dependent PPAR<sub>γ</sub> phosphorylation | 10 mg     |

| **Antagonists**| 1326     | BADGE        | PPAR<sub>γ</sub> antagonist                                                | 10 mg     |
|                | 4344     | FH 535       | PPAR<sub>γδ</sub> antagonist. Also inhibits Wnt/β-catenin signaling          | 10 mg     |
|                | 3433     | GSK 0660     | Selective PPAR<sub>δ</sub> antagonist                                        | 10 mg     |
|                | 3961     | GSK 3787     | Potent and selective PPAR<sub>δ</sub> antagonist                            | 10 mg     |
|                | 4618     | GW 6471      | PPAR<sub>α</sub> antagonist                                                 | 10 mg     |
|                | 1508     | GW 9662      | Selective PPAR<sub>γ</sub> antagonist                                        | 10 mg     |
|                | 1311     | MK 886       | PPAR<sub>α</sub> antagonist. Also inhibits FLAP                              | 10 mg     |
|                | 2022     | SR 202       | Selective PPAR<sub>γ</sub> antagonist; antidiabetic and antiobesity agent    | 10 mg     |
|                | 2301     | T 0070907    | Highly potent and selective PPAR<sub>γ</sub> antagonist                     | 10 mg     |

**Thyroid Hormone Receptor-like Group – continued**

**Key Products for PPAR**

- **Pioglitazone (4124)**: Selective PPAR<sub>γ</sub> agonist; antidiabetic agent
- **Tesaglitazar (3965)**: PPAR<sub>α/γ</sub> agonist
- **GSK 3787 (3961)**: Potent and selective PPAR<sub>δ</sub> antagonist
- **GW 6471 (4618)**: PPAR<sub>α</sub> antagonist
- **SR 1664 (4409)**: High affinity PPAR<sub>γ</sub> ligand
<table>
<thead>
<tr>
<th>Category</th>
<th>Cat. No.</th>
<th>Product Name</th>
<th>Description</th>
<th>Unit Size</th>
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<tr>
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<td>AC 261066</td>
<td>RARβ2 agonist</td>
<td>10 mg, 50 mg</td>
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<td>2436</td>
<td>AC 55649</td>
<td>Selective RARβ2 agonist</td>
<td>10 mg, 50 mg</td>
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<tr>
<td></td>
<td>2852</td>
<td>Adapalene</td>
<td>RARβ and RARγ agonist</td>
<td>10 mg, 50 mg</td>
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<td></td>
<td>0760</td>
<td>AM 580</td>
<td>Retinoic acid analog; RARα agonist</td>
<td>10 mg, 50 mg</td>
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<td>3507</td>
<td>AM 80</td>
<td>RARα agonist; anticaner</td>
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<td>3409</td>
<td>BMS 453</td>
<td>Synthetic retinoid. RARβ agonist; also RARα and RARγ antagonist</td>
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<td>3505</td>
<td>BMS 753</td>
<td>RARα-selective agonist</td>
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<td>3410</td>
<td>BMS 961</td>
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<td>2554</td>
<td>CD 1530</td>
<td>Potent and selective RARγ agonist</td>
<td>10 mg, 50 mg</td>
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<td></td>
<td>1549</td>
<td>CD 437</td>
<td>RARγ-selective agonist</td>
<td>10 mg, 50 mg</td>
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<td></td>
<td>2020</td>
<td>Ch 55</td>
<td>Potent RAR agonist</td>
<td>10 mg, 50 mg</td>
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<td>0695</td>
<td>Retinoic acid</td>
<td>Endogenous retinoic acid receptor agonist</td>
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<tr>
<td></td>
<td>0761</td>
<td>TTNPB</td>
<td>Retinoic acid analog; RAR agonist</td>
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<td>Antagonists</td>
<td>3660</td>
<td>BMS 195614</td>
<td>Selective RARα antagonist</td>
<td>10 mg, 50 mg</td>
</tr>
<tr>
<td></td>
<td>3409</td>
<td>BMS 453</td>
<td>Synthetic retinoid. RARβ agonist; also RARα and RARγ antagonist</td>
<td>10 mg</td>
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<tr>
<td></td>
<td>3509</td>
<td>BMS 493</td>
<td>Pan-RAR inverse agonist</td>
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<td>3800</td>
<td>CD 2665</td>
<td>Selective RARβγ antagonist</td>
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<td></td>
<td>3823</td>
<td>ER 50891</td>
<td>Selective RARα antagonist</td>
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<td>2021</td>
<td>LE 135</td>
<td>Selective RARγ antagonist</td>
<td>10 mg, 50 mg</td>
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<td>3822</td>
<td>MM 11253</td>
<td>RARγ-selective antagonist</td>
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<tr>
<td>Other</td>
<td>4011</td>
<td>EC 23</td>
<td>Synthetic retinoid; induces differentiation of stem cells</td>
<td>10 mg, 50 mg</td>
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<td></td>
<td>1396</td>
<td>Fenretinide</td>
<td>Synthetic retinoid; potent anticancer agent</td>
<td>10 mg, 50 mg</td>
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<td></td>
<td>3997</td>
<td>Tazarotene</td>
<td>Receptor-selective retinoid; binds RARβ and RARγ</td>
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<td>Category</td>
<td>Cat. No.</td>
<td>Product Name</td>
<td>Description</td>
<td>Unit Size</td>
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<td><strong>Rev-Erb Receptors</strong></td>
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<td>Agonists</td>
<td>3663</td>
<td>GSK 4112</td>
<td>Selective Rev-Erbα agonist</td>
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<td></td>
<td></td>
<td></td>
<td></td>
<td>50mg</td>
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<tr>
<td>Antagonists</td>
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<td>SR 8278</td>
<td>Rev-Erbα antagonist</td>
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<td>50mg</td>
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<td><strong>Thyroid Hormone Receptors (TR)</strong></td>
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<tr>
<td>Agonists</td>
<td>4554</td>
<td>GC 1</td>
<td>High affinity TRα and TRβ agonist; thyromimetic</td>
<td>10mg</td>
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<td></td>
<td></td>
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<td></td>
<td>50mg</td>
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<tr>
<td><strong>Vitamin D Receptor (VDR)</strong></td>
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<tr>
<td>Agonists</td>
<td>2551</td>
<td>Calcitriol</td>
<td>Active metabolite of vitamin D₃; VDR agonist</td>
<td>50µg</td>
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<td></td>
<td>3206</td>
<td>Doxercalciferol</td>
<td>Vitamin D₂ analog; VDR agonist</td>
<td>1 mg</td>
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<td>3993</td>
<td>EB 1089</td>
<td>VDR agonist</td>
<td>1 mg</td>
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<td>2970</td>
<td>Ercalcitriol</td>
<td>Active metabolite of vitamin D₂; VDR agonist</td>
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<tr>
<td>Other</td>
<td>4159</td>
<td>Alfacalciol</td>
<td>Prodrug of vitamin D₃ (Cat. No. 4156)</td>
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<td>4036</td>
<td>Calcifediol</td>
<td>Prohormone of calcitriol (Cat. No. 2551). Major circulating form of vitamin D</td>
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<td></td>
<td>2700</td>
<td>Calcipotriol</td>
<td>Vitamin D₃ analog</td>
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<td>4160</td>
<td>22-Oxacalcitriol</td>
<td>Non-calcemic vitamin D₃ (Cat. No. 4156) analog</td>
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<td>4157</td>
<td>Tacalcitriol</td>
<td>Synthetic vitamin D₃ (Cat. No. 4156) analog</td>
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<td>4156</td>
<td>Vitamin D₃</td>
<td>Precursor of calcifediol (Cat. No. 4036). Naturally occurring form of vitamin D</td>
<td>50mg</td>
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</tbody>
</table>

**Key Products for Thyroid Hormone Receptor-like Group**

- **MM 11253 (3822)** RARγ-selective antagonist
- **CITCO (3683)** Selective CAR agonist
- **BMS 453 (3409)** RARγ agonist; RARα and RARγ antagonist
- **SR 8278 (4463)** Rev-Erbα antagonist
- **Calcipotriol (2700)** Vitamin D₃ analog
- **GC 1 (4554)** High affinity TRα and TRβ agonist; thyromimetic
Retinoid X Receptor-like Group

This group of nuclear receptors is involved in diverse biological processes, including development, metabolism and stem cell differentiation. Members of this family have also been linked to diseases: retinoid X receptor agonists exhibit anticancer activity, whilst mutations in hepatocyte nuclear factor-4 have been associated with type II diabetes mellitus.

<table>
<thead>
<tr>
<th>Category</th>
<th>Cat. No.</th>
<th>Product Name</th>
<th>Description</th>
<th>Unit</th>
<th>Size</th>
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<tbody>
<tr>
<td>Hepatocyte Nuclear Factor-4α (HNF-4α) Receptors</td>
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<td><strong>Antagonists</strong></td>
<td>4641</td>
<td>BI 6015</td>
<td>Hepatocyte nuclear factor-4α receptor antagonist</td>
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<td></td>
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<td></td>
<td>50mg</td>
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<tr>
<td>Retinoid X Receptors (RXR)</td>
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<td><strong>Agonists</strong></td>
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<td>CD 3254</td>
<td>Potent and selective RXRα agonist</td>
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<td>50mg</td>
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<td></td>
<td>3687</td>
<td>Docosahexaenoic acid</td>
<td>RXR agonist</td>
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<td>4064</td>
<td>Fluorobexarotene</td>
<td>RXR agonist</td>
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<td>3831</td>
<td>LG 100754</td>
<td>RXR:PPAR agonist</td>
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<td>50mg</td>
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<td>3411</td>
<td>SR 11237</td>
<td>Pan RXR agonist</td>
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<td>50mg</td>
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<td><strong>Antagonists</strong></td>
<td>3912</td>
<td>HX 531</td>
<td>RXR antagonist</td>
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<td>50mg</td>
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<td></td>
<td>3303</td>
<td>UVI 3003</td>
<td>RXR antagonist</td>
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<td>50mg</td>
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<td><strong>Other</strong></td>
<td>3913</td>
<td>HX 630</td>
<td>RXR synergist</td>
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<td>50mg</td>
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</table>

**Hepatocyte Nuclear Factor-4α Receptor Antagonist**

**BI 6015**  
Cat. No. 4641

BI 6015 is a hepatocyte nuclear factor-4α (HNF-4α) antagonist that represses expression of known HNF-4 target genes. The compound decreases HNF-4α-DNA binding and exhibits cytotoxicity in a range of human tumor cell lines, including human hepatocellular carcinoma.

**Retinoid X Receptor Antagonist**

**HX 531**  
Cat. No. 3912

HX 531 is a potent RXR antagonist (IC50 = 18 nM). The compound suppresses docosahexaenoic acid-induced adipose differentiation-related protein (ADRP) expression in BeWo cells. (Sold under license)
Steroidogenic Factor-like Group

Steroidogenic factor-like receptors regulate the differentiation and function of endocrine glands. They are classed as ‘orphan receptors’ because no endogenous ligands for these receptors have been identified. Details of their transcriptional activity and how these receptors are regulated also remain unclear.

### Category

<table>
<thead>
<tr>
<th>Category</th>
<th>Cat. No.</th>
<th>Product Name</th>
<th>Description</th>
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<tbody>
<tr>
<td>Liver Receptor Homolog-1 (LRH-1)</td>
<td>4378</td>
<td>DLPC</td>
<td>Selective LRH-1 agonist</td>
<td>50mg</td>
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<tr>
<td>Steroidogenic Factor-1 (SF-1)</td>
<td>3043</td>
<td>AC 45594</td>
<td>SF-1 inverse agonist</td>
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<td>3440</td>
<td>SID 7969543</td>
<td>Selective steroidogenic factor-1 (SF-1, NR5A1) antagonist</td>
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</table>

<table>
<thead>
<tr>
<th>Steroidogenic Factor-1 Inverse Agonist</th>
<th>AC 45594</th>
<th>Cat. No. 3043</th>
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</table>

AC 45594 is a selective inverse agonist at the orphan nuclear receptor steroidogenic factor-1 (SF-1) (IC<sub>50</sub> = 50 - 100 nM). The compound displays no activity at estrogen, LRH-1, ROR, ERR or Nurr receptors. AC 45594 inhibits SFRE-mediated transcription.

<table>
<thead>
<tr>
<th>Selective Steroidogenic Factor-1 Antagonist</th>
<th>SID 7969543</th>
<th>Cat. No. 3440</th>
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</table>

SID 7969543 is a selective steroidogenic factor-1 (SF-1, NR5A1) antagonist (IC<sub>50</sub> values are 0.76, >33 and >33 µM at SF-1, RORα and VP16 receptors respectively). The compound inhibits SF-1-dependent luciferase expression in HEK293T cells in vitro (IC<sub>50</sub> = 30 nM).
Related Products

Nuclear receptor function is also modulated by other receptors and enzymes that affect steroid hormone metabolism, such as aromatase and retinoic acid 4-hydrolase. The aryl hydrocarbon receptor also influences the function of steroid hormone receptors (e.g. estrogen receptors) through a number of different mechanisms.

<table>
<thead>
<tr>
<th>Category</th>
<th>Cat. No.</th>
<th>Product Name</th>
<th>Description</th>
<th>Unit Size</th>
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</thead>
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<td>Aromatase (CYP19)</td>
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<tr>
<td>Inhibitors</td>
<td>3388</td>
<td>Anastrozole</td>
<td>Potent aromatase inhibitor</td>
<td>10mg</td>
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<tr>
<td></td>
<td>4382</td>
<td>Letrozole</td>
<td>Potent, reversible non-steroidal aromatase inhibitor</td>
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<td></td>
<td>2705</td>
<td>Liarazole</td>
<td>Aromatase inhibitor. Also blocks retinoic acid metabolism</td>
<td>10mg</td>
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<td></td>
<td>3278</td>
<td>YM 511</td>
<td>Potent aromatase inhibitor</td>
<td>10mg</td>
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<tr>
<td>Aryl Hydrocarbon Receptor (AhR)</td>
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<tr>
<td>Agonists</td>
<td>1803</td>
<td>ITE</td>
<td>AhR endogenous agonist</td>
<td>10mg</td>
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<td>Antagonists</td>
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<td>CH 223191</td>
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<td>3859</td>
<td>6,2’4’-Trimethoxyflavone</td>
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<td>Ligands</td>
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<td>L-Kynurenine</td>
<td>Tryptophan catabolite; endogenous AhR ligand</td>
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<td>Modulators</td>
<td>4628</td>
<td>DiMNF</td>
<td>Selective AhR modulator</td>
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</tbody>
</table>

Key Nuclear Receptor Related Products

- **Liarazol (2705)**
  Aromatase inhibitor. Also blocks retinoic acid metabolism

- **ITE (1803)**
  Aryl hydrocarbon receptor endogenous agonist

- **CH 223191 (3858)**
  Potent aryl hydrocarbon receptor antagonist
Related literature from Tocris that you may be interested in:

**Pharmacology of Retinoid Receptors**
Alexander R. Moise, University of Kansas

Retinoid signaling can induce cellular differentiation or apoptosis, which is particularly relevant in the treatment of cancer. Due to this, there has been a tremendous effort to develop safe and receptor-selective compounds. This review summarizes the nature of retinoid receptors, their isotype and isoform variants and modulation of retinoid signaling.

**Cancer Research Product Guide**
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- Cell Cycle and DNA Damage Repair
- Cell Death and Drug Resistance
- Angiogenesis
- Invasion and Metastasis

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Over 250 Products for Cardiovascular Research

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- Thrombosis and Hemostasis
- Atherosclerosis
- Myocardial Infarction and Ischemia/Reperfusion Injury
- Arrhythmias
- Heart Failure

**Peptide Hormone Receptors Product Listing**
Over 200 Products for Peptide Hormone Receptors

Our new product listing contains products for key peptide hormone receptors including:

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- Ghrelin Receptors
- Oxytocin Receptors
- Somatostatin Receptors
- Vasopressin Receptors

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