Thyroid Hormone Receptor

The thyroid hormone receptor (THR) is a type of nuclear receptor which belongs to a superfamily of eukaryotic transcription factors. It regulates gene expression in response to binding small, hydrophobic ligands. The receptors exhibit a modular structure, with functionally separable domains. The most highly conserved domains are the DNA-binding domain (DBD) and the ligand-binding domain (LBD). The DBD recognizes the specific DNA response element for the receptor. The LBD participates in several activities, including hormone binding, homo- and/or heterodimerization, formation of heat-shock-protein complex, and transcriptional activation and repression. Unliganded thyroid hormone receptors are bound to DNA thyroid hormone response elements predominantly as homodimers, or as heterodimers with retinoid X-receptors, and are associated with a complex of proteins containing corepressor proteins. Ligand binding promotes corepressor dissociation and binding of a coactivator. Hormone binding induces changes in receptor conformation that control these properties and influence gene expression.
## Thyroid Hormone Receptor Inhibitors & Modulators

### 3,3',5-Triiodo-L-thyronine (T3; L-3,3',5-Triiodothyronine; Liothyronine)  
**Cat. No.: HY-A0070A**

**Bioactivity:** 3,3',5-Triiodo-L-thyronine is a potent agonist of thyroid hormone receptors TRα and TRβ with $K_i$ of 2.3 nM.

**Purity:** >98%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 100 mg, 500 mg

### 3,3',5-Triiodo-L-thyronine sodium  
**Cat. No.: HY-A0070**

**Bioactivity:** 3,3',5-Triiodo-L-thyronine sodium is an active form of thyroid hormone, which binds to β1 thyroid hormone receptor (TRβ1), and activates its activity.

**Purity:** 98.16%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 100 mg, 500 mg

### Eprotirome  
**(KB2115)**  
**Cat. No.: HY-10473**

**Bioactivity:** Eprotirome is a liver-selective thyroid hormone receptor agonist.

**Purity:** 99.77%
**Clinical Data:** No Development Reported
**Size:** 10mM x 1mL in DMSO, 1 mg

### L-Thyroxine  
**(Levothyroxine; T4)**  
**Cat. No.: HY-18341**

**Bioactivity:** L-Thyroxine (Levothyroxine; T4) is a synthetic hormone in the treatment of hypothyroidism. DIO enzymes convert biologically active thyroid hormone (Triiodothyronine,T3) from L-Thyroxine (T4).

**Purity:** 98.0%
**Clinical Data:** Launched
**Size:** 10mM x 1mL in DMSO, 500 mg, 1 g

### L-Thyroxine sodium salt pentahydrate  
**(Sodium levothyroxine pentahydrate)**  
**Cat. No.: HY-18341A**

**Bioactivity:** L-Thyroxine sodium salt pentahydrate (Levothyroxine; T4) is a synthetic hormone in the treatment of hypothyroidism. DIO enzymes convert biologically active thyroid hormone (Triiodothyronine,T3) from L-Thyroxine (T4).

**Purity:** 99.85%
**Clinical Data:** Phase 4
**Size:** 10mM x 1mL in DMSO, 500 mg, 1 g

### MB-07344  
**Cat. No.: HY-19513**

**Bioactivity:** MB-07344 is a thyroid hormone receptor (TR)-β agonist with a binding affinity $K_i$ of 2.17 nM.[1]

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** No Development Reported

### MB-07811  
**(VK-2809)**  
**Cat. No.: HY-111288**

**Bioactivity:** MB-07811 (VK-2809) is an orally active HepDirect prodrug of MB07344, a liver-targeted thyroid hormone receptor-β agonist.[1] MB-07811 has cholesterol and triglycerides lowering activity.[2]

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 250 mg, 500 mg

### PCO371  
**Cat. No.: HY-100856**

**Bioactivity:** PCO371 is an orally active full agonist of parathyroid hormone receptor 1 (PTHrP1), with no effect on PTH type 2 receptor.

**Purity:** >98%
**Clinical Data:** No Development Reported
**Size:** 250 mg, 500 mg

### Protirelin  
**(Synthetic thyrotropin-releasing factor; Synthetic thyrotropin-releasing hormone; TRF, TRH, TSH-RF, ...)**  
**Cat. No.: HY-P0002**

**Bioactivity:** Protirelin is a highly conserved neuropeptide that exerts the hormonal control of thyroid-stimulating hormone (TSH) levels as well as neuromodulatory functions.

**Purity:** >98%
**Clinical Data:** Phase 3
**Size:** 10 mg

---

<table>
<thead>
<tr>
<th>Category</th>
<th>Name</th>
<th>Cat. No.</th>
<th>Purity</th>
<th>Clinical Data</th>
<th>Size</th>
</tr>
</thead>
<tbody>
<tr>
<td>Bioactivity</td>
<td>Protirelin Acetate (TRF Acetate; TRH Acetate; TSH-RF Acetate)</td>
<td>HY-P0002A</td>
<td>99.98%</td>
<td>Phase 3</td>
<td>10mM x 1mL in Water, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td></td>
<td>Resmetirom (MGL-3196; VIA-3196)</td>
<td>HY-12216</td>
<td>99.43%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 2 mg, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td></td>
<td>Sobetirome (GC-1; QRX-431)</td>
<td>HY-14823</td>
<td>98.0%</td>
<td>Phase 2</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg</td>
</tr>
<tr>
<td>Bioactivity</td>
<td>T3-ATA S-isomer</td>
<td>HY-114271A</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>Bioactivity</td>
<td>T4-ATA S-isomer</td>
<td>HY-114272A</td>
<td>&gt;98%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</td>
</tr>
<tr>
<td>Bioactivity</td>
<td>Taltirelin (TA-0910)</td>
<td>HY-B0596</td>
<td>98.96%</td>
<td>Launched</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
<tr>
<td>Bioactivity</td>
<td>Thyroxine sulfate (T4 Sulfate)</td>
<td>HY-101406</td>
<td>98.0%</td>
<td>No Development Reported</td>
<td>5 mg, 10 mg</td>
</tr>
<tr>
<td>Bioactivity</td>
<td>Tiratricol (3,3',5-Triiodothyroacetic acid)</td>
<td>HY-B1201</td>
<td>98.97%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 100 mg</td>
</tr>
<tr>
<td>Bioactivity</td>
<td>TR antagonist 1</td>
<td>HY-111443</td>
<td>99.64%</td>
<td>No Development Reported</td>
<td>10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</td>
</tr>
</tbody>
</table>

**Bioactivity**

Protirelin Acetate is a highly conserved neuropeptide that exerts the hormonal control of thyroid-stimulating hormone (TSH) levels as well as neuromodulatory functions.

Resmetirom (MGL-3196) is a highly selective thyroid hormone receptor β (THR-β) agonist with an EC<sub>50</sub> value of 0.21 μM.

Sobetirome is a thyroid hormone receptor β (TRβ)-specific agonist which bind selectively to TRβ-1 with an EC<sub>50</sub> of 0.16 μM.

T3-ATA S-isomer is the S-isomer of T3-ATA, which is the active form of the thyroid hormone.

T4-ATA S-isomer is the S-isomer of T4-ATA, which is the active form of the thyroid hormone.

Taltirelin (TA-0910) is a superagonist at thyrotropin-releasing hormone receptor (TRH-R) with an IC<sub>50</sub> of 910 nM and EC<sub>50</sub> of 36 nM for stimulating an increase in cytosolic Ca<sup>2+</sup> concentration (Ca<sup>2+</sup> release) [1].

Thyroxine sulfate is a thyroid hormone metabolite.

Tiratricol is a thyroid hormone analog with hepatic, has been used to suppress pituitary TSH secretion, with attenuation of extrapituitary thyromimetic effects.

TR antagonist 1 is a high-affinity thyroid hormone receptor (TR) antagonist with IC<sub>50</sub> of 36 and 22 nM for TRα and TRβ, respectively.