Leukotriene Receptor

Leukotriene Receptor (cys-LTs) are a family of potent bioactive lipids that act through two structurally divergent G protein-coupled receptors, termed the CysLT1 and CysLT2 receptors. The cysteinyl leukotrienes LTC4, LTD4, and LTE4 are important mediators of human bronchial asthma. Leukotriene Receptor is a member of the superfamily of G protein-coupled receptors and uses a phosphatidylinositol-calcium second messenger system. Activation of CysLT1 by LTD4 results in contraction and proliferation of smooth muscle, oedema, eosinophil migration and damage to the mucus layer in the lung. Leukotriene receptor antagonists, called LTRAs for short, are a class of oral medication that is non-steroidal. They may also be referred to as anti-inflammatory bronchoconstriction preventors. LTRAs work by blocking a chemical reaction that can lead to inflammation in the airways.
Leukotriene Receptor Inhibitors & Antagonists

**(S)-Verapamil hydrochloride**

Cat. No.: HY-135336A

(S)-Verapamil hydrochloride (S)-Verapamil hydrochloride) inhibits leukotriene C4 (LTC4) and calcine transport by MRPs. (S)-Verapamil hydrochloride leads to the death of potentially resistant tumor cells.

Purity: >98%
Clinical Data: Launched
Size: 1 mg, 5 mg

**(5-O-Demethyl)nobiletin**

Cat. No.: HY-19193

5-O-Demethylnobiletin (5-Demethylnobiletin), a polymethoxyflavone isolated from Sideritis tragoriganum, is a direct inhibition of 5-LOX (IC50=0.1 μM), without affecting the expression of COX-2.

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

**AS-35**

Cat. No.: HY-35363

AS-35 is an orally effective, potent and selective antagonist of leukotrienes, antagonizes LTC4-, LTD4 and LTE4-induced contractions of the ileum with IC50 values of 8 nM, 4 nM and 3 nM, respectively, and has antiallergic activities.

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

**Bunaprolast**

Cat. No.: HY-114461A

Bunaprolast (U66858) is a potent inhibitor of LTB4 production in human whole blood. Bunaprolast (U66858) also exhibits significant inhibition of lipooxygenase and TXB2 release.

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

**CI-949**

Cat. No.: HY-100364

CI-949 is an allergic mediator release inhibitor, which inhibits histamine, leukotriene C4/D4 (LTC4/LTD4), and thromboxane B2 (TXB2) release with IC50 of 11.4 μM, 0.5 μM and 0.1 μM, respectively.

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

**CP-105696**

Cat. No.: HY-19193

CP-105696 is a potent and selective Leukotriene B4 Receptor antagonist, with an IC50 of 8.42 nM.

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

**CP-96021 hydrochloride**

Cat. No.: HY-114641A

CP-96021 hydrochloride is a balanced, combined, potent and orally active leukotriene D4 (LTD4)/platelet activating factor (PAF) receptor antagonist with Ks values of 34 nM and 37 nM, respectively.

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

**HY-135336A**

Cat. No.: HY-135336A

11-Keto-beta-boswellic acid (11-Keto-β-boswellic acid) is a pentacyclic triterpenic acid of the oleogum resin from the bark of the Boswellia serrata tree, popularly known as Indian Frankincense.

Purity: 99.96%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

**HY-19193**

Cat. No.: HY-19193

Amelubant (BIIL 284) is a potent, oral and long-acting LTB4 receptor antagonist, negligibly binds to LTB4 receptor, with Ks of 221 nM and 230 nM in vital cells and membranes. Amelubant (BIIL 284) is a prodrug of active metabolites BIIL 260 and BIIL 315. Anti-inflammatory activity.

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

**HY-U00364**

Cat. No.: HY-100364

Serrationolide is a pentacyclic triterpenic acid of the oleogum resin from the bark of the Boswellia serrata tree, popularly known as Indian Frankincense.

Purity: 99.96%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

**HY-U00170**

Cat. No.: HY-101946

Bunaprolast (U66858) is a potent inhibitor of LTB4 production in human whole blood. Bunaprolast (U66858) also exhibits significant inhibition of lipooxygenase and TXB2 release.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg
<table>
<thead>
<tr>
<th><strong>CP-96486</strong></th>
<th><strong>Cat. No.: HY-100316</strong></th>
</tr>
</thead>
</table>
| **Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg |

**Descripion:** CP-96486 is a potent and orally active leukotriene D₄ (LTD₄)/platelet activating factor (PAF) receptor antagonist with Kᵢ₄ of 20 and 24 nM, respectively.

| **Darbufelone**  
**(CI-1004)** | **Cat. No.: HY-101438** |
| --- | --- |
| **Purity:** >98.0%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg |

**Descripion:** Darbufelone is a dual inhibitor of cellular PGF₂α and LTB₄ production. Darbufelone potently inhibits PGHS-2 (IC₅₀ = 0.19 μM) but is much less potent with PGHS-1 (IC₅₀ = 20 μM).

| **Darbufelone mesylate**  
**(CI-1004 mesylate)** | **Cat. No.: HY-101438A** |
| --- | --- |
| **Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg |

**Descripion:** Darbufelone mesylate (CI-1004 mesylate) is a dual inhibitor of cellular PGF₂α and LTB₄ production. Darbufelone potently inhibits PGHS-2 (IC₅₀ = 0.19 μM) but is much less potent with PGHS-1 (IC₅₀ = 20 μM).

| **Etalocib**  
**(LY293111; VML 295)** | **Cat. No.: HY-13628** |
| --- | --- |
| **Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg |

**Descripion:** Etalocib (LY293111), an orally active leukotriene B₄ receptor antagonist, inhibits the binding of [³H]LTB₄ with a Kᵢ₄ of 25 nM. Etalocib (LY293111) prevents LTB₄-induced calcium mobilization with an IC₅₀ of 20 nM. Etalocib (LY293111) induces apoptosis.

| **Fiboflapon**  
**(GSK2190915; AM-803)** | **Cat. No.: HY-15874** |
| --- | --- |
| **Purity:** 98.18%  
**Clinical Data:** Phase 2  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg |

**Descripion:** Fiboflapon (GSK2190915; AM-803) is a potent and orally bioavailable 5-lipoxygenase-activating protein (FLAP) inhibitor with a potency of 2.9 nM in FLAP binding, an IC₅₀ of 76 nM for inhibition of LTB₄ in human blood.

| **Gemilukast**  
**(ONO-6950)** | **Cat. No.: HY-16780** |
| --- | --- |
| **Purity:** 99.58%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg |

**Descripion:** Gemilukast is an orally active and potent dual cysteinyl leukotriene 1 and 2 receptors (CysLT₁ and CysLT₂) antagonist, with IC₅₀ of 1.7, 25 nM for human CysLT₁ and CysLT₂, respectively.

<table>
<thead>
<tr>
<th><strong>HAMI 3379</strong></th>
<th><strong>Cat. No.: HY-112248</strong></th>
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</thead>
</table>
| **Purity:** >95.0%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 25 mg |

**Descripion:** HAMI 3379 is a potent and selective Cysteinyl leukotriene (CysLT) receptor antagonist. HAMI 3379 has a protective effect on acute and subacute ischemic brain injury, and attenuates microglia-related inflammation.

<table>
<thead>
<tr>
<th><strong>KP496</strong></th>
<th><strong>Cat. No.: HY-U00253</strong></th>
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</thead>
</table>
| **Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg |

**Descripion:** KP496 is a selective, dual antagonist for Leukotriene D₄ receptor and Thromboxane A₂ receptor.
LM-1484
LM-1484 is an antagonist of CysLT1 receptor and displays a higher affinity for \(^3\text{H}\)-LTC\(_4\) sites.

**Cat. No.: HY-101686**

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

LTB4-IN-1
LTB4-IN-1 (Compound 6) is a leukotriene synthesis (LTB4) inhibitor with an IC\(_{50}\) of 70 nM.

**Cat. No.: HY-U00299**

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

LTD4 antagonist 1
LTD\(_4\) antagonist 1 is a potent, orally active antagonist of leukotriene D\(_4\) (LTD\(_4\)) with a \(K_i\) of 0.57 nM.

**Cat. No.: HY-U00359**

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

LY210073
LY210073 is a Leukotriene B\(_4\) (LTB\(_4\)) receptor antagonist with an IC\(_{50}\) of 6.2 nM.

**Cat. No.: HY-U00263**

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

LY223982
LY223982 is a potent and specific inhibitor of leukotriene B\(_4\) receptor with an IC\(_{50}\) of 13.2 nM against \(^3\text{H}\)-LTB4 binding to LTB4 receptor.

**Cat. No.: HY-112737**

Purity: 100.00%
Clinical Data: No Development Reported
Size: 5 mg

MK-571 sodium salt
MK-571 sodium salt is a selective, orally active leukotriene D\(_4\) receptor antagonist with \(K_S\) of 0.22 and 2.1 nM in guinea pig and human lung membranes.

**Cat. No.: HY-19989A**

Purity: 99.24%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

MK-886
MK-886 (L 663536) is a potent, cell-permeable and orally active FLAP (IC\(_{50}\) of 30 nM) and leukotriene biosynthesis (IC\(_{50}\) of 3 nM and 1.1 \(\mu\)M in intact leukocytes and human whole blood, respectively) inhibitor. MK-886 is also a non-competitive PPAR\(\alpha\) antagonist and can induce apoptosis.

**Cat. No.: HY-14166**

Purity: 99.77%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Montelukast sodium
Montelukast (sodium) (MK0476) is a potent, selective CysLT\(_1\) receptor antagonist.

**Cat. No.: HY-13315**

Purity: 99.52%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg

Nedocromil
Nedocromil suppresses the action or formation of multiple mediators, including histamine, leukotriene C\(_4\) (LTC\(_4\)) and prostaglandin D\(_2\) (PGD\(_2\)).

**Cat. No.: HY-13448**

Purity: 98.86%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg

Nedocromil sodium
Nedocromil sodium suppresses the action or formation of multiple mediators, including histamine, leukotriene C\(_4\) (LTC\(_4\)) and prostaglandin D\(_2\) (PGD\(_2\)).

**Cat. No.: HY-16344**

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg
| **ONO4057**  
<table>
<thead>
<tr>
<th>(ONO-LB457)</th>
<th>Cat. No.: HY-00252</th>
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<tbody>
<tr>
<td>Ono4057 is a Leukotriene B receptor antagonist, with an IC₅₀ of 0.7±0.3 μM.</td>
<td></td>
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<tr>
<td>Purity: &gt;98%</td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<td>Size: 1 mg, 5 mg</td>
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| **Pranlukast**  
<table>
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<tr>
<th>(ONY-1078)</th>
<th>Cat. No.: HY-8290</th>
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<tbody>
<tr>
<td>Pranlukast is a highly potent, selective and competitive antagonist of peptide leukotrienes. Pranlukast inhibits [³H]LTE₄, [³H]LTD₄ and [³H]LTC₄ bindings to lung membranes with Kᵦ₅ of 0.63±0.11, 0.99±0.19, and 5640±680 nM, respectively.</td>
<td></td>
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<tr>
<td>Purity: 99.98%</td>
<td></td>
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<tr>
<td>Clinical Data: Launched</td>
<td></td>
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<tr>
<td>Size: 10 mM × 1 mL, 100 mg, 500 mg</td>
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| **Pranlukast hemihydrate**  
<table>
<thead>
<tr>
<th>(ONY-1078 hemihydrate)</th>
<th>Cat. No.: HY-8290A</th>
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</thead>
<tbody>
<tr>
<td>Pranlukast hemihydrate is a highly potent, selective and competitive antagonist of peptide leukotrienes. Pranlukast inhibits [³H]LTE₄, [³H]LTD₄, and [³H]LTC₄ bindings to lung membranes with Kᵦ₅ of 0.63±0.11, 0.99±0.19, and 5640±680 nM, respectively.</td>
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<tr>
<td>Purity: 99.93%</td>
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<td>Clinical Data: Launched</td>
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<td>Size: 10 mM × 1 mL, 50 mg, 100 mg</td>
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| **Quinotolast sodium**  
<table>
<thead>
<tr>
<th>(FR71021)</th>
<th>Cat. No.: HY-80027</th>
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<tbody>
<tr>
<td>Quinotolast sodium in the concentration range of 1-100 μg/mL inhibits histamine, LTC₄ and LTD₄ release in a concentration-dependent manner.</td>
<td></td>
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<tr>
<td>Purity: &gt;98%</td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<td>Size: 1 mg, 5 mg</td>
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| **RG-12525**  
<table>
<thead>
<tr>
<th>(NID 525)</th>
<th>Cat. No.: HY-101676</th>
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</thead>
<tbody>
<tr>
<td>RG-12525 is a a specific, competitive and orally effective antagonist of the peptidoleukotrienes, LTC₄, LTD₄ and LTE₄, inhibiting LTC₄-, LTD₄- and LTE₄-inducd guinea pig parenchymal strips contractions, with IC₅₀ of 2.6 nM, 2.5 nM and 7 nM, respectively; RG-12525 is also a...</td>
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<td>Purity: &gt;98%</td>
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<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 1 mg, 5 mg</td>
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| **Tipelukast**  
<table>
<thead>
<tr>
<th>(KCA 757, MN 001)</th>
<th>Cat. No.: HY-14938</th>
</tr>
</thead>
<tbody>
<tr>
<td>Tipelukast (KCA 757) is a sulfidopeptide leukotriene receptor antagonist, an orally bioavailable anti-inflammatory agent and used for the treatment of asthma.</td>
<td></td>
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<td>Purity: &gt;98%</td>
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<tr>
<td>Clinical Data: Phase 2</td>
<td></td>
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<td>Size: 1 mg, 5 mg</td>
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| **YM158 free base**  
<table>
<thead>
<tr>
<th>(YM-57158)</th>
<th>Cat. No.: HY-00355</th>
</tr>
</thead>
<tbody>
<tr>
<td>YM158 free base is a potent and selective LTD₄ and TxA₂ receptor antagonist with pA₂ values of about 8.87 and 8.81, respectively.</td>
<td></td>
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<tr>
<td>Purity: &gt;98%</td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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<tr>
<td>Size: 1 mg, 5 mg</td>
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| **Veliflapon**  
<table>
<thead>
<tr>
<th>(BAY X 1005, DG-031)</th>
<th>Cat. No.: HY-14165</th>
</tr>
</thead>
<tbody>
<tr>
<td>Veliflapon (BAY X 1005, DG-031) is an orally active and selective 5-lipoxygenase activating protein (FLAP) inhibitor. Veliflapon inhibits the synthesis of the leukotrienes B₄ and C₄.</td>
<td></td>
</tr>
<tr>
<td>Purity: 99.0%</td>
<td></td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
</tr>
<tr>
<td>Size: 10 mM × 1 mL, 5 mg, 10 mg</td>
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</tbody>
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| **YM158 free base**  
<table>
<thead>
<tr>
<th>(YM-57158)</th>
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<td>YM158 free base is a potent and selective LTD₄ and TxA₂ receptor antagonist with pA₂ values of about 8.87 and 8.81, respectively.</td>
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<td></td>
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<tr>
<td>Clinical Data: No Development Reported</td>
<td></td>
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