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Inhibitors, Agonists, Screening Libraries

# Lipoxygenase

## LOX

Lipoxygenases (LOXs) are dioxygenases that catalyze the formation of corresponding hydroperoxides from polyunsaturated fatty acids such as linoleic acid and arachidonic acid. There are six LOX isoforms that have been found in humans and mice.

5-Lipoxygenase (5-LOX) is a distinct isoform playing an important role in asthma and inflammation. 5-LOX causes the constriction of bronchioles in response to cysteinyl leukotrienes such as LTC<sub>4</sub>, thus leading to asthma. 5-LOX also induces neutrophilic inflammation by its recruitment in response to LTB<sub>4</sub>. 12-Lipoxygenase (12-LOX) is an isoform expressed in epithelial cells and myeloid cells including platelets. 12-LOX can be found in the epithelial cells of the skin. 12-LOX is a potential target for novel anti-platelet therapeutics. 15-Lipoxygenase (15-LOX) is expressed in epithelial cells and leukocytes, has different substrate specificity in humans and mice. 15-LOX-1 is a target of nonsteroidal anti-inflammatory drug-induced apoptosis in colorectal cancer cells.

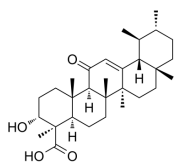
## Lipoxygenase Inhibitors & Antagonists

### 11-Keto-beta-boswellic acid

(11-Keto- $\beta$ -boswellic acid)

Cat. No.: HY-N2056

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

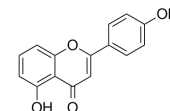


**Purity:** 99.96%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg

### 4',5-Dihydroxyflavone

Cat. No.: HY-N1881

4',5-Dihydroxyflavone is a **soybean LOX-1** and **yeast  $\alpha$ -Glucosidase** inhibitor, with an  $K_i$  of 102.6  $\mu$ M for soybean LOX-1 and an  $IC_{50}$  of 66  $\mu$ M for yeast  $\alpha$ -glucosidase. LOX-1 is short for Lectin-like oxidized low-density lipoprotein receptor-1.

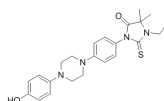


**Purity:** 99.75%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 100 mg

### 5-Lipoxygenase-In-1

Cat. No.: HY-U00308

5-Lipoxygenase-In-1 is a **5-Lipoxygenase** inhibitor extracted from patent EP 331232 A2, table 4, compound example 4.10.



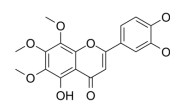
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 5 mg, 10 mg, 25 mg, 50 mg

### 5-O-Demethylnobiletin

(5-Demethylnobiletin)

Cat. No.: HY-N1942

5-O-Demethylnobiletin (5-Demethylnobiletin), a polymethoxyflavone isolated from *Sideritis tragoriganum*, is a direct inhibition of 5-LOX ( $IC_{50}$ =0.1  $\mu$ M), without affecting the expression of COX-2.

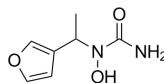


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg, 10 mg, 20 mg

### A-69412

Cat. No.: HY-101945

A-69412 is a reversible, specific inhibitor of the **hydrophilic 5-lipoxygenase (5-LO)**. A-69412 has the potential to treat asthma and ulcerative colitis, and possibly other inflammatory and allergic conditions.



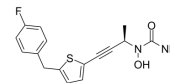
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Atreleuton

(ABT-761; VIA-2291)

Cat. No.: HY-117853

Atreleuton (ABT-761) is a selective, reversible, and orally bioavailable **5-Lipoxygenase (5-LO)** inhibitor. Atreleuton (ABT-761) exhibits potent and selective inhibition of leukotriene formation.



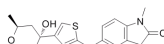
**Purity:** >98%  
**Clinical Data:**  
**Size:** 1 mg, 5 mg

### AZD 4407

(ZD 4407)

Cat. No.: HY-U00217

AZD 4407 is a potent **5-lipoxygenase** inhibitor.



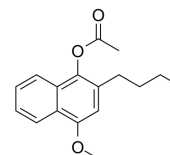
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Bunaprolast

(U66858)

Cat. No.: HY-U00170

Bunaprolast (U66858) is a potent inhibitor of  $LTB_4$  production in human whole blood. Bunaprolast (U66858) also exhibits significant inhibition of **lipoxygenase** and  $TXB_2$  release.

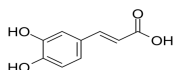


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Caffeic acid

Cat. No.: HY-N0172

Caffeic acid is an inhibitor of both **TRPV1** ion channel and **5-Lipoxygenase (5-LO)**.

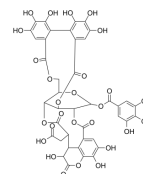


**Purity:** 98.80%  
**Clinical Data:** Launched  
**Size:** 10 mM  $\times$  1 mL, 100 mg, 5 g


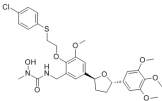
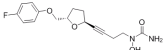
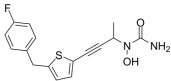

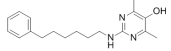
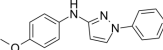
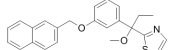
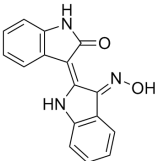
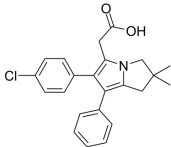
### Chebularic acid

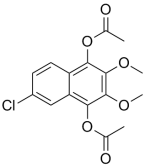
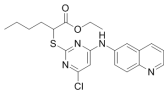
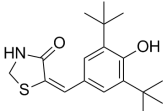
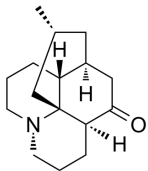
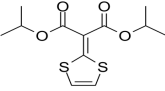
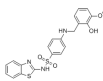
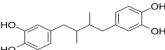
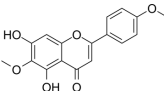
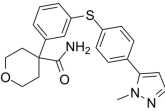
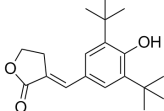
Cat. No.: HY-N1996

Chebularic acid is a COX-LOX dual inhibitor isolated from the fruits of *Terminalia chebula* Retz, on angiogenesis. Chebularic acid is a **M2 serine to asparagine 31 mutation (S31N)** inhibitor and influenza antiviral.



**Purity:** 99.29%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM  $\times$  1 mL, 2 mg, 5 mg, 10 mg, 25 mg

<p><b>Cirsiliol</b></p> <p style="text-align: right;">Cat. No.: HY-110399</p>	<p><b>CMI-392</b></p> <p style="text-align: right;">Cat. No.: HY-19205A</p>
<p>Cirsiliol is a potent and selective <b>5-lipoxygenase</b> inhibitor and a competitive low affinity benzodiazepine receptor ligand.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>	<p>CMI-392 is a dual <b>5-lipoxygenase</b> inhibitor and platelet-activating factor (PAF) receptor antagonist with <math>IC_{50}</math>s of 100 and 10 nM, respectively.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>
<p><b>CMI977</b> (LDP977)</p> <p style="text-align: right;">Cat. No.: HY-U00260</p>	<p><b>COX/5-LO-IN-1</b> (Atreleuton analog)</p> <p style="text-align: right;">Cat. No.: HY-U00347</p>
<p>CMI977 is a potent <b>5-Lipoxygenase (5-LO)</b> inhibitor.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>	<p>COX/5-LO-IN-1 (Atreleuton analog) is an inhibitor of <b>cylooxygenase</b> and <b>5-lipoxygenase (5-LO)</b>, used for the research of inflammatory and allergic disease states.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>
<p><b>Docebenone</b> (AA 861)</p> <p style="text-align: right;">Cat. No.: HY-12886</p>	<p><b>Enazadrem</b></p> <p style="text-align: right;">Cat. No.: HY-U00024</p>
<p>Docebenone (AA 861) is a potent, selective and orally active <b>5-LO (5-lipoxygenase)</b> inhibitor.</p>  <p><b>Purity:</b> 99.10%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Enazadrem is a <b>5-lipoxygenase</b> inhibitor with antiinflammatory activities.</p>  <p><b>Purity:</b> 97.26%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>
<p><b>FPL 62064</b></p> <p style="text-align: right;">Cat. No.: HY-105024</p>	<p><b>ICI 211965</b> (ZM-211965)</p> <p style="text-align: right;">Cat. No.: HY-100148</p>
<p>FPL 62064 is a potent <b>5-lipoxygenase (5-LOX)</b> and <b>COX</b> dual inhibitor, with <math>IC_{50}</math> values of 3.5 <math>\mu</math>M and 3.1 <math>\mu</math>M for RBL-1 cytosolic 5-lipoxygenase and prostaglandin synthetase (cyclooxygenase), respectively. FPL 62064 has potent anti-inflammatory activity.</p>  <p><b>Purity:</b> 98.46%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1ml, 5 mg, 10 mg, 50 mg</p>	<p>ICI 211965 (ZM-211965) is a selective and orally potent <b>5-Lipoxygenase (5-LPO)</b> inhibitor.</p>  <p><b>Purity:</b> &gt;98%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg</p>
<p><b>Indirubin-3'-monoxime</b> (Indirubin-3'-oxime)</p> <p style="text-align: right;">Cat. No.: HY-19807</p>	<p><b>Licofelone</b> (ML-3000)</p> <p style="text-align: right;">Cat. No.: HY-B1452</p>
<p>Indirubin-3'-monoxime is a potent <b>GSK-3<math>\beta</math></b> inhibitor, and weakly inhibits <b>5-Lipoxygenase</b>, with <math>IC_{50}</math>s of 22 nM and 7.8-10 <math>\mu</math>M, respectively; Indirubin-3'-monoxime also shows inhibitory activities against CDK5/p25 and CDK1/cyclin B, with <math>IC_{50}</math>s of 100 and 180 nM.</p>  <p><b>Purity:</b> 99.95%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg</p>	<p>Licofelone (ML-3000) is a dual <b>COX/5-lipoxygenase (5-LOX)</b> inhibitor (<math>IC_{50}</math>=0.21/0.18 <math>\mu</math>M, respectively) for the treatment of osteoarthritis. Licofelone exerts anti-inflammatory and anti-proliferative effects.</p>  <p><b>Purity:</b> &gt;99.0%  <b>Clinical Data:</b> No Development Reported  <b>Size:</b> 1 mg, 5 mg, 10 mg</p>

<p><b>Lonapalene</b> (RS4317)</p> <p>Cat. No.: HY-U00156</p> <p>Lonapalene (RS4317) is a topically effective 5-lipoxygenase (5-LO) inhibitor.</p>  <p><b>Purity:</b> 97.81% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 1 mg, 5 mg</p>	<p><b>LP117</b></p> <p>Cat. No.: HY-U00438</p> <p>LP117 is a novel and potent inhibitor of 5-Lipoxygenase (5-LO) product synthesis with an <math>IC_{50}</math> of 1.1 <math>\mu</math>M.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>
<p><b>LY 178002</b></p> <p>Cat. No.: HY-101579</p> <p>LY 178002 is a potent inhibitor of 5-lipoxygenase (5-LPO), phospholipase A2, with <math>IC_{50}</math> of 0.6 <math>\mu</math>M for 5-lipoxygenase, inhibits cellular production of LTB4 by human polymorphonuclear leukocytes, and shows relatively weak inhibition on cyclooxygenase.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>	<p><b>Lycopodine</b></p> <p>Cat. No.: HY-114372</p> <p>Lycopodine, a pharmacologically important bioactive component derived from Lycopodium clavatum spores, triggers apoptosis by modulating 5-lipoxygenase, and depolarizing mitochondrial membrane potential in refractory prostate cancer cells without modulating p53 activity.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg</p>
<p><b>Malotilate</b> (NKK 105)</p> <p>Cat. No.: HY-A0060</p> <p>Malotilate is a liver protein metabolism improved compound, which selectively inhibit the 5-lipoxygenase.</p>  <p><b>Purity:</b> 99.54% <b>Clinical Data:</b> Launched <b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>	<p><b>ML355</b></p> <p>Cat. No.: HY-12341</p> <p>ML355 is a potent and selective inhibitor of 12-Lipoxygenase (12-LOX) with an <math>IC_{50}</math> of 0.34 <math>\mu</math>M, shows excellent selectivity over related lipoxygenases and cyclooxygenases, and possesses favorable ADME properties.</p>  <p><b>Purity:</b> 98.28% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>
<p><b>Nordihydroguaiaretic acid</b> (NDGA)</p> <p>Cat. No.: HY-N0198</p> <p>Nordihydroguaiaretic acid is a 5-lipoxygenase (5LOX) (<math>IC_{50}</math>=8 <math>\mu</math>M) and tyrosine kinase inhibitor.</p>  <p><b>Purity:</b> 99.78% <b>Clinical Data:</b> Phase 2 <b>Size:</b> 10 mM × 1 mL, 100 mg, 500 mg</p>	<p><b>Pectolarigenin</b></p> <p>Cat. No.: HY-N0493</p> <p>Pectolarigenin, isolated from Cirsium chanroenicum, is a dual inhibitor of COX-2/5-LOX. Anti-inflammatory activity. Pectolarigenin has potent inhibitory activities on melanogenesis.</p>  <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg, 10 mg, 20 mg</p>
<p><b>PF-4191834</b> (PF-04191834)</p> <p>Cat. No.: HY-117048</p> <p>PF-4191834 (PF-04191834) is an orally active, noniron chelating, and non-redox inhibitor of the 5-Lipoxygenase (5-LOX) (<math>IC_{50}</math>=229 nM), displays ~300-fold selectivity for 5-LOX over 12-LOX and 15-LOX, shows no activity toward the cyclooxygenase enzymes, and is effective...</p>  <p><b>Purity:</b> &gt;99.0% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg</p>	<p><b>PGS-IN-1</b> (KME-4)</p> <p>Cat. No.: HY-101587</p> <p>PGS-IN-1 is a potent inhibitor of prostaglandin synthetase (PGS) with an <math>IC_{50}</math> of 0.28 <math>\mu</math>M; also inhibits 5-lipoxygenase with an <math>IC_{50}</math> of 1.05 <math>\mu</math>M.</p>  <p><b>Purity:</b> 99.51% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg, 10 mg, 20 mg</p>

<p><b>Psoralidin</b></p> <p style="text-align: right;">Cat. No.: HY-N0232</p>	<p><b>RWJ 63556</b></p> <p style="text-align: right;">Cat. No.: HY-U00022</p>
<p>Psoralidin, isolated from the seed of <i>Psoralea corylifolia</i>, is a dual inhibitor of COX-2 and 5-LOX, regulates ionizing radiation (IR)-induced pulmonary inflammation. Anti-cancer, anti-bacterial, and anti-inflammatory properties.</p> <p><b>Purity:</b> 99.90%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg</p>	<p>RWJ 63556 is an orally active COX-2 selective/5-lipoxygenase inhibitor, with anti-inflammatory activities.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>
<p><b>S-(+)-Marmesin</b> (+)-Marmesin; (S)-Marmesin</p> <p style="text-align: right;">Cat. No.: HY-N2176</p>	<p><b>S-2474</b></p> <p style="text-align: right;">Cat. No.: HY-19212</p>
<p>S-(+)-Marmesin is a natural coumarin, exhibiting COX-2/5-LOX dual inhibitory activity.</p> <p><b>Purity:</b> 99.04%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg, 100 mg</p>	<p>S-2474 is an inhibitor of COX-2 and 5-lipoxygenase (5-LO), with IC<sub>50</sub>s of 11 nM and 27 μM for COX-2 and COX-1 in human intact cells, and used as a nonsteroidal anti-inflammatory drug.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg</p>
<p><b>U-73122</b></p> <p style="text-align: right;">Cat. No.: HY-13419</p>	<p><b>Wedelolactone</b></p> <p style="text-align: right;">Cat. No.: HY-N0551</p>
<p>U-73122 is a phospholipase C (PLC) and 5-LO (5-lipoxygenase) inhibitor with an IC<sub>50</sub> of 1-2.1 μM for PLC.</p> <p><b>Purity:</b> 98.17%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Wedelolactone, a natural product from <i>Ecliptae herba</i>, suppresses LPS-induced caspase-11 expression by directly inhibiting the IKK Complex. Wedelolactone inhibits 5-lipoxygenase (5-Lox) (IC<sub>50</sub>~2.5 μM) activity by an oxygen radical scavenging mechanism.</p> <p><b>Purity:</b> 99.91%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 20 mg</p>
<p><b>Xanthatin</b></p> <p style="text-align: right;">Cat. No.: HY-N3032</p>	<p><b>Zileuton</b> (A 64077; Abbott 64077)</p> <p style="text-align: right;">Cat. No.: HY-14164</p>
<p>Xanthatin is isolated from <i>Xanthium strumarium</i> leaves.</p> <p><b>Purity:</b> 99.79%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg</p>	<p>Zileuton is a potent and selective inhibitor of 5-lipoxygenase with antiasthmatic properties.</p> <p><b>Purity:</b> 99.93%</p> <p><b>Clinical Data:</b> Launched</p> <p><b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>
<p><b>Zileuton sodium</b> (A 64077 sodium; Abbott 64077 sodium)</p> <p style="text-align: right;">Cat. No.: HY-14164A</p>	<p><b>β-Boswellic acid</b></p> <p style="text-align: right;">Cat. No.: HY-N2513</p>
<p>Zileuton sodium (A 64077 sodium) is a potent and selective inhibitor of 5-lipoxygenase, exhibiting inflammatory activities.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> Launched</p> <p><b>Size:</b> 1 mg, 5 mg</p>	<p>β-Boswellic acid is isolated from the gum resin of <i>Boswellia serrate</i>. β-Boswellic acid is a nonreducing-type inhibitor of the 5-lipoxygenase (5-LO) product formation either interacting directly with the 5-LO or blocking its translocation.</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 5 mg, 10 mg</p>