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Inhibitors, Agonists, Screening Libraries

# PGE synthase

## Prostaglandin E synthase

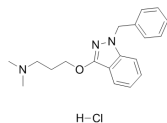
PGE synthase (Prostaglandin E synthase), which converts cyclooxygenase (COX)-derived prostaglandin (PG) H<sub>2</sub> to PGE<sub>2</sub>, occurs in multiple forms with distinct enzymatic properties, modes of expression, cellular and subcellular localizations and intracellular functions. Cytosolic PGES (cPGES) is a cytosolic protein that is constitutively expressed in a wide variety of cells and tissues and is associated with heat shock protein 90 (Hsp90). Membrane-associated PGES (mPGES), the expression of which is stimulus-inducible and is downregulated by anti-inflammatory glucocorticoids, is a perinuclear protein belonging to the microsomal glutathione S-transferase (GST) family. These two PGESs display distinct functional coupling with upstream COXs in cells; cPGES is predominantly coupled with the constitutive COX-1, whereas mPGES is preferentially linked with the inducible COX-2. Several cytosolic GSTs also have the capacity to convert PGH<sub>2</sub> to PGE<sub>2</sub> in vitro. Accumulating evidence has suggested that mPGES participates in various pathophysiological states in which COX-2 is involved, implying that mPGES represents a potential novel target for drug development.

## PGE synthase Inhibitors & Agonists

### Benzydamine hydrochloride

Cat. No.: HY-30235A

Benzydamine hydrochloride is a locally-acting nonsteroidal anti-inflammatory drug with local anaesthetic and analgesic properties; selectively binds to prostaglandin synthetase and has notable in vitro antibacterial activity.



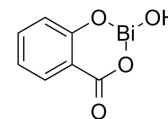
**Purity:** 98.79%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 100 mg

### Bismuth Subsalicylate

(Bismuth oxysalicylate; Bismuth(III) salicylate basic)

Cat. No.: HY-B0550

Bismuth Subsalicylate is the active ingredient in Pepto-Bismol and inhibits prostaglandin G/H Synthase 1/2. Target: Others Bismuth Subsalicylate reduces inflammation/irritation of stomach and intestinal lining through inhibition of prostaglandin G/H Synthase 1/2.

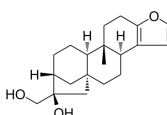


**Purity:** >98%  
**Clinical Data:** Launched  
**Size:** 5 g, 10 g

### Cafestol

Cat. No.: HY-N6257

Cafestol, one of the major components of coffee, is a coffee-specific diterpene from. Cafestol is a ERK inhibitor for AP-1-targeted activity against PGE<sub>2</sub> production and the mRNA expression of cyclooxygenase (COX)-2 in LPS-activated RAW264.7 cells.

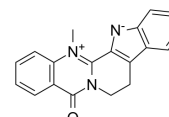


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Dehydroevodiamine

Cat. No.: HY-N2106

Dehydroevodiamine is a major bioactive quinazoline alkaloid isolated from Evodiae Fructus, has an antiarrhythmic effect in guinea-pig ventricular myocytes.



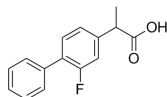
**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 1 mg, 5 mg

### Flurbiprofen

(dl-Flurbiprofen)

Cat. No.: HY-10582

Flurbiprofen is a nonsteroidal anti-inflammatory agent (NSAIA) with antipyretic and analgesic activity. Target: PGE synthase Flurbiprofen, a propionic acid derivative, is a nonsteroidal anti-inflammatory agent (NSAIA) with antipyretic and analgesic activity.

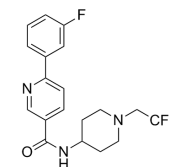


**Purity:** 99.85%  
**Clinical Data:** Launched  
**Size:** 10 mM × 1 mL, 100 mg, 500 mg

### HPGDS inhibitor 1

Cat. No.: HY-10439

HPGDS inhibitor 1 is a novel and selective Hematopoietic Prostaglandin D Synthase (HPGDS) inhibitor with an IC<sub>50</sub> Value of 0.7 nM.

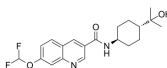


**Purity:** 99.32%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### HPGDS inhibitor 2

Cat. No.: HY-126134

HPGDS inhibitor 2 is a highly potent and selective hematopoietic prostaglandin D synthase (H-PGDS) inhibitor with an IC<sub>50</sub> of 9.9 nM.

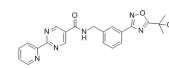


**Purity:** >98%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

### hPGDS-IN-1

Cat. No.: HY-12791

hPGDS-IN-1 is a hPGDS inhibitor, with IC<sub>50</sub> of 12 nM in the Fluorescence Polarization Assay or the EIA assay. IC<sub>50</sub> value: 12 nM Target: hPGDS The detailed information please refer to WO2011044307A1 and WO2010080563A2.

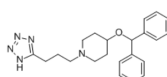


**Purity:** 99.82%  
**Clinical Data:** No Development Reported  
**Size:** 10 mM × 1 mL, 5 mg, 10 mg, 50 mg

### HQL-79

Cat. No.: HY-108259

HQL-79 is a potent, selective and orally active human hematopoietic prostaglandin D synthase (H-PGDS) inhibitor, highly selectively inhibits the synthesis of PGD<sub>2</sub>, and acts as an anti-allergic agent, with a K<sub>d</sub> of 0.8 μM and an IC<sub>50</sub> of 6 μM.



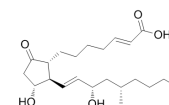
**Purity:** >99.0%  
**Clinical Data:** No Development Reported  
**Size:** 5 mg

### Limaprost

(17α,20-dimethyl-62-PGE1; ONO1206; OP1206)

Cat. No.: HY-B0683

Limaprost(OP1206) is a PGE1 analog and potent platelet adhesion inhibitor.



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

<p><b>MF63</b></p> <p>Cat. No.: HY-13283</p>	<p><b>mPGES1-IN-3</b></p> <p>Cat. No.: HY-100864</p>
<p>MF63 is a selective mPGES-1 inhibitor with an IC<sub>50</sub> of 0.9 nM and 1.3 nM for pig mPGES-1 and human mPGES-1 enzyme, respectively.</p> <p><b>Purity:</b> 98.94%</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>mPGES1-IN-3 (Compound 17d) is a potent and selective microsomal prostaglandin E2 synthase-1 (mPGES-1) inhibitor, which exhibits excellent mPGES-1 enzyme (IC<sub>50</sub>: 8 nM), cell (A549 IC<sub>50</sub>: 16.24 nM) and human whole blood potency (IC<sub>50</sub>: 249.9 nM).</p> <p><b>Purity:</b> &gt;98%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 100 mg, 250 mg, 500 mg</p>
<p><b>PGS-IN-1</b> (KME-4)</p> <p>Cat. No.: HY-101587</p>	<p><b>Pranoprofen</b></p> <p>Cat. No.: HY-B0336</p>
<p>PGS-IN-1 is a potent inhibitor of <b>prostaglandin synthetase</b> (PGS) with an IC<sub>50</sub> of 0.28 μM; also inhibits <b>5-lipoxygenase</b> with an IC<sub>50</sub> of 1.05 μM.</p> <p><b>Purity:</b> 99.51%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 1 mg, 5 mg, 10 mg, 20 mg</p>	<p>Pranoprofen is a non-steroidal anti-inflammatory drug used in ophthalmology.</p> <p><b>Purity:</b> 98.48%</p> <p><b>Clinical Data:</b> Launched</p> <p><b>Size:</b> 10 mM × 1 mL, 100 mg, 500 mg</p>
<p><b>Sinensetin</b> (Pedalitin permethyl ether)</p> <p>Cat. No.: HY-N0297</p>	<p><b>Suprofen</b> (TN-762)</p> <p>Cat. No.: HY-B0270</p>
<p>Sinensetin is a methylated flavone found in certain citrus fruits. possess potent antiangiogenesis and anti-inflammatory, sinensetin enhances adipogenesis and lipolysis.</p> <p><b>Purity:</b> 99.34%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 5 mg, 10 mg, 25 mg</p>	<p>Suprofen (TN-762) is a non-steroidal anti-inflammatory drug (NSAID).</p> <p><b>Purity:</b> 99.44%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 10 mg, 50 mg, 100 mg</p>
<p><b>Zomepirac sodium salt</b> (McN-2783-21-98)</p> <p>Cat. No.: HY-B0890</p>	
<p>Zomepirac sodium salt is a pyrrole-acetic acid structurally related to tolmetin sodium; a prostaglandin synthetase inhibitor.</p> <p><b>Purity:</b> 99.45%</p> <p><b>Clinical Data:</b> No Development Reported</p> <p><b>Size:</b> 10 mM × 1 mL, 100 mg, 500 mg</p>	