Gutathione S-transferase

Glutathione transferases; GSTs

Gutathione S-transferases (GSTs) are a diverse group of phase II drug metabolizing enzymes whose shared function is the conjugation of glutathione (GSH) to various electrophilic endo- and xenobiotics. GSTs have been implicated in the conjugation of endogenously produced oxidized metabolites including propenal, 4-hydroxynonenals, organic hydroperoxides, phospholipids, and fatty acid peroxides.

On the basis of subcellular localization, the GST enzymes are grouped into three different classes namely, membrane-bound microsomal, mitochondrial and cytoplasmic. The leading and most diverse group of GSTs are the cytosolic enzyme spresent in humans. These are known as phase II detoxification enzymes that comprise of at least 8 classes of isoenzymes: alpha (A), kappa (K), mu (M), omega (O), pi (P), sigma (S), theta (T), and zeta (Z). In addition, four different classes of this superfamily, called beta (β), delta(δ), phi (Φ) and tau (τ) are also present in bacteria, insects and plants.

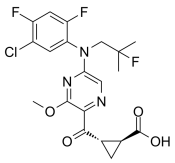
GSTs have emerged as a promising therapeutic target because specific isozymes are overexpressed in a wide variety of tumors and may play a role in the etiology of other diseases, including neurodegenerative diseases, multiple sclerosis, and asthma.

Gutathione S-transferase Inhibitors & Activators

AZD9898

Cat. No.: HY-126329

AZD9898 is an orally active **leukotriene-C4 synthetase (LTC4S, glutathione S-transferase II)** inhibitor, with an IC_{50} of 0.28 nM. AZD9898 mitigates the GABA binding and hepatic toxicity signal. AZD9898 has the potential to treat asthma.

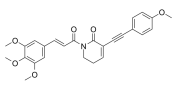


Purity: >98%
Clinical Data: Phase 1
Size: 1 mg, 5 mg

BRD2889

Cat. No.: HY-145413

BRD2889 is an analog of the alkaloid piperlongumine. BRD2889 is a robust modulator of the **GSTP1-ISCU axis** in pulmonary hypertension (PH).

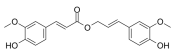


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Coniferyl ferulate

Cat. No.: HY-N1916

Coniferyl ferulate, a strong inhibitor of **glutathione S-transferase** (**GST**), reverses multidrug resistance and downregulates P-glycoprotein. Coniferyl ferulate shows strong inhibition of human placental GST with an IC_{50} of 0.3μM.

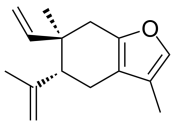


Purity: 98.56%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Curzerene

Cat. No.: HY-N1963

Curzerene is a sesquiterpene is isolated from the rhizome of Curculigo orchioides Gaertn with anti-cancer activity. Curzerene inhibits glutathione S-transferase A1 (**GSTA1**) mRNA and protein expression. Curzerene induces cell **apoptosis**.



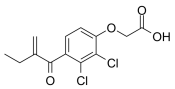
Purity: ≥97.0%
Clinical Data: No Development Reported
Size: 5 mg (1 mg x 5), 10 mg (1 mg x 10), 1 mg

Ethacrynic acid

(Etacrynic acid)

Cat. No.: HY-B1640

Ethacrynic acid (Etacrynic acid) is a diuretic. Ethacrynic acid is an inhibitor of **glutathione S-transferases (GSTs)**. Ethacrynic acid is a potent inhibitor of **NF-kB-signaling** pathway, and also modulates leukotriene formation.

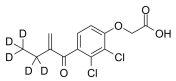


Purity: 99.98%
Clinical Data: Launched
Size: 10 mM × 1 mL, 50 mg, 100 mg

Ethacrynic acid D5

Cat. No.: HY-108538

Ethacrynic acid D5 is a deuterium labeled Ethacrynic acid. Ethacrynic acid is a diuretic. Ethacrynic acid is an inhibitor of **glutathione S-transferases (GSTs)**. Ethacrynic acid is a potent inhibitor of **NF-kB-signaling** pathway, and also modulates leukotriene formation.



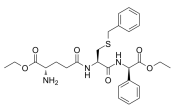
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Ezatiostat

(TER199(free base); TLK199)

Cat. No.: HY-13634A

Ezatiostat (TER199 free base; TLK199) is a tripeptide analog of glutathione and is a selective and orally active **glutathione S-transferase P1-1 (GSTP1)** inhibitor. Ezatiostat leads to JNK activation by inhibiting **GSTP1**.



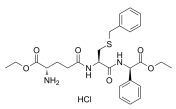
Purity: ≥96.0%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Ezatiostat hydrochloride

(TER199; TLK199 hydrochloride)

Cat. No.: HY-13634

Ezatiostat hydrochloride (TER199; TLK199 hydrochloride) is a tripeptide analog of glutathione and is a selective and orally active **glutathione S-transferase P1-1 (GSTP1)** inhibitor. Ezatiostat hydrochloride leads to JNK activation by inhibiting **GSTP1**.

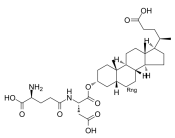


Purity: >98%
Clinical Data: Phase 2
Size: 1 mg, 5 mg

GSTO-IN-2

Cat. No.: HY-112534

GSTO-IN-2 is a **glutathione S-transferase** inhibitor with IC_{50}s of 3.6, 16.3, and 1.4 μM for GSTA2, GSTM1, and GSTP1-1.

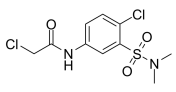


Purity: ≥98.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

GSTO1-IN-1

Cat. No.: HY-111530

GSTO1-IN-1 is a potent **glutathione S-transferase omega 1** (**GSTO1**) inhibitor with an IC_{50} of 31 nM.

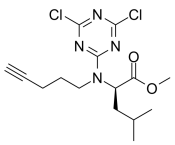


Purity: 99.12%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

LAS17

Cat. No.: HY-115673

LAS17 is a potent and selective tyrosine-directed irreversible inhibitor for glutathione S-Transferase Pi (**GSTP1**) . LAS17 inhibits GSTP1 activity with an **IC_{50}** of 0.5 μM.

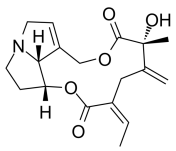


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

Seneciphylline

Cat. No.: HY-N1282

Seneciphylline is a toxic pyrrolizidine alkaloid in Senecio plants. Seneciphylline significantly increases the activities of **epoxide hydrase** and **glutathione-S-transferase** but causes reduction of **cytochrome P-450** and related monooxygenase activities.

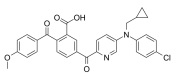


Purity: 99.88%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

TK05

Cat. No.: HY-117143

TK05 is a potent and selective inhibitor of **leukotriene C_4 synthase (LTC4S)** with an **IC_{50}** of 95 nM.



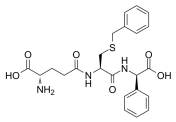
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

TLK117

(TER117)

Cat. No.: HY-13634B

TLK117, the active metabolite of TLK199, selective inhibits **Glutathione S-transferase P1–1 (GSTP1-1)** with a **K_i** of 0.4 μM for GSTP. TLK117 also competitively inhibits **glyoxalase I** with a **K_i** of 0.56 μM.

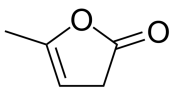


Purity: 98.18%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

α-Angelica lactone

Cat. No.: HY-N0548

α-Angelica lactone is a naturally occurring anticarcinogen and an vinylogous nucleophile. α-Angelica lactone can give the chiral δ-amino γ,γ-disubstituted butenolide carbonyl derivatives and exhibitselectrophilic trapping at the γ-carbon.



Purity: 98.08%
Clinical Data: No Development Reported
Size: 100 mg, 500 mg