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Inhibitors, Agonists, Screening Libraries

Glutathione S-transferase

Glutathione transferases; GSTs

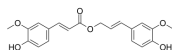
Glutathione-S-transferases (GSTs) are a family of Phase II detoxification enzymes that catalyse the conjugation of glutathione (GSH) to a wide variety of endogenous and exogenous electrophilic compounds. GSTs are divided into two distinct super-family members: the membrane-bound microsomal and cytosolic family members. Microsomal GSTs are structurally distinct from the cytosolic in that they homo- and heterotrimerize rather than dimerize to form a single active site. Microsomal GSTs play a key role in the endogenous metabolism of leukotrienes and prostaglandins. Glutathione S-transferases (GSTs) function to protect cellular macromolecules from attack by reactive electrophiles. GSTs may be viable drug targets in disease states unrelated to cancer.

Gutathione S-transferase Inhibitors & Activators

Coniferyl ferulate

Cat. No.: HY-N1916

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

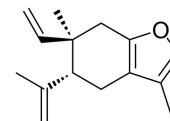


Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg, 10 mg

Curzerene

Cat. No.: HY-N1963

Curzerene is a sesquiterpene 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**.

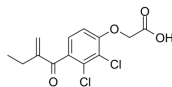


Purity: >97.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 20 mg

Ethacrynic acid

Cat. No.: HY-B1640

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

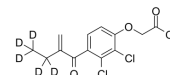


Purity: 99.98%
Clinical Data: Launched
Size: 10 mM \times 1 mL, 50 mg, 100 mg

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- κ B-signaling** pathway, and also modulates leukotriene formation.



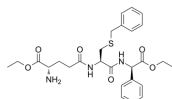
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

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**.

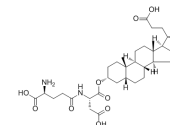


Purity: >96.0%
Clinical Data: Phase 2
Size: 10 mM \times 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

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 μ M for GSTA2, GSTM1, and GSTP1-1.

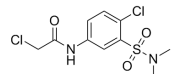


Purity: >98.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

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.

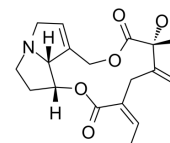


Purity: 99.12%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 10 mg, 50 mg, 100 mg

Seneciophylline

Cat. No.: HY-N1282

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



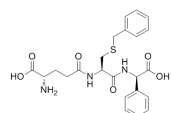
Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg

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 μ M for GSTP. TLK117 also competitively inhibits **glyoxalase I** with a K_i of 0.56 μ M.

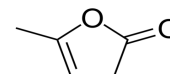


Purity: 98.32%
Clinical Data: No Development Reported
Size: 10 mM \times 1 mL, 5 mg, 10 mg

α -Angelica lactone

Cat. No.: HY-N0548

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



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