Ezatiostat hydrochloride

Cat. No.: HY-13634

CAS No.: 286942-97-0

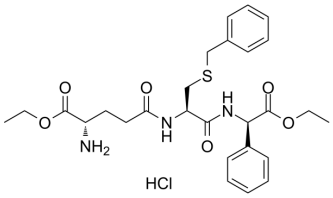
Molecular Formula: $C_{27}H_{36}ClN_3O_6S$

Molecular Weight: 566.11

Target: Gutathione S-transferase; Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	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 . Ezatiostat hydrochloride stimulates both lymphocyte production and bone marrow progenitor proliferation. Ezatiostat hydrochloride has the potential for myelodysplastic syndrome (MDS) treatment[1][2].
IC_{50} & Target	Glutathione S-transferase P1-1 (GSTP1)[1]
In Vitro	Ezatiostat causes dissociation of the enzyme from the jun-N-terminal kinase/c-Jun (JNK/JUN) complex, leading to JNK activation by phosphorylation. The therapeutic action of ezatiostat appears to include both proliferation of normal myeloid progenitors as well as apoptosis of the malignant clone[1]. Selection of a resistant clone of an HL60 tumor cell line through chronic exposure to Ezatiostat (TLK199) results in cells with elevated activities of c-Jun NH2 terminal kinase (JNK1) and ERK1/ERK2, and allowes the cells to proliferate under stress conditions that induced high levels of apoptosis in the wild type cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Administration of Ezatiostat (TLK199), stimulates both lymphocyte production and bone marrow progenitor (colony-forming unit-granulocyte macrophage) proliferation, but only in glutathione S-transferase P1-1 ($GSTP1^{+/+}$) and not in $GSTP1^{-/-}$ animals[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Res. 2018 Dec;28(12):1171-1185.
- Adv Sci (Weinh). 2023 Jan 29;e2205262.
- Redox Biol. 2023 May.

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REFERENCES

[1]. Galili N, et al. Prediction of response to therapy with ezatiostat in lower risk myelodysplastic syndrome. J Hematol Oncol. 2012 May 6;5:20

[2]. Ruscoe JE, et al. Pharmacologic or genetic manipulation of glutathione S-transferase P1-1 (GSTpi) influences cell proliferation pathways. J Pharmacol Exp Ther. 2001 Jul;298(1):339-45.

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