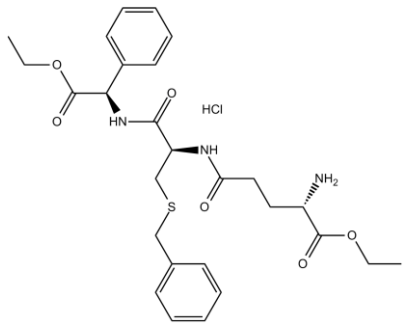
Product Data Sheet

Chemical Properties



Product Name: Ezatiostat hydrochloride

Cas No.: 286942-97-0

M.Wt: 566.11

Formula: C27H36ClN3O6S

Synonyms: N/A

Chemical Name: ethyl (2S)-2-amino-5-[[(2R)-3-benzylsulfanyl-1-[[(1R)-2-ethoxy-2-oxo-1-phenylethyl]amino]-1-oxopropan-2-yl]amino]-5-oxopentanoate;hydrochloride

Canonical SMILES: CCOC(=O)C(CCC(=O)NC(CSCC1=CC=CC=C1)C(=O)NC(C2=CC=CC=C2)C(=O)OCC)N.Cl

Solubility: ≥28.3mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice
All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets : Others

Pathways: Gutathione S-transferase

Description:

Ezatiostat hydrochloride(TLK199) is an effective inhibitor of glutathione S-transferase （GST）[1]. Ezatiostat hydrochloride (TLK199) is a novel glutathione analog and the potential treatment of cytopenias. In addition, Ezatiostat hydrochloride has been revealed to selectively bind to and thus

inhibit GST P1-1. Because GST P1-1 can bind to and inhibit JNK, Ezatiostat hydrochloride has also been exhibited to inhibit GST P1-1, activate JNK, and promote the growth and maturation of hematopoietic progenitors in preclinical models. Moreover, Ezatiostat hydrochloride has been reported to stimulate the proliferation of myeloid precursors. Ezatiostat hydrochloride has been elucidated to induce growth inhibition and cellular apoptosis in human leukemia cells (HL-60) with a CC50 value of 6-17μM. Apart from these, Ezatiostat hydrochloride has shown the stimulation of multilineage differentiation in mature monocytes, granulocytes and erythrocytes [1,2].

***Reference**:*
[1] Tew KD1, Dutta S, Schultz M.Inhibitors of glutathione S-transferases as therapeutic agents. Adv Drug Deliv Rev. 1997 Jul 7;26(2-3):91-104.
[2] Raza A1, Galili N, Callander N, Ochoa L, Piro L, Emanuel P, Williams S, Burris H 3rd, Faderl S, Estrov Z, Curtin P, Larson RA, Keck JG, Jones M, Meng L, Brown GL. Phase 1-2a multicenter dose-escalation study of ezatiostat hydrochloride liposomes for injection (Telintra, TLK199), a novel glutathione analog prodrug in patients with myelodysplastic syndrome. J Hematol Oncol. 2009 May 13;2:20.

Protocol

Cell experiment:

Cell lines	TF-1 erythroleukemia and HL-60 promyelocytic cells
Preparation method	The solubility of this compound in DMSO is >28.3mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 ℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.
Reacting conditions	
Applications	Treatment with TLK199 in leukemia cell lines resulted in apoptosis and increase in ROS levels. Treatment with TLK199 resulted in cleavage of PARP protein in a dose- and time-dependent manner. In HL-60 cells, TLK199 (40 μM for 5.5 hours) induced activation of caspase 3 and caspase 9. TLK199 led to loss of cell viability. In TF-1 and HL-60 cell lines, TLK199 treatment resulted in the upregulation of several genes involved in the cellular response to ER stress. TLK199 treatment upregulated genes for AP-1 transcription factors such as c-jun.

***Reference**:*
[1]. Stofega M, Hsu S C, Chew J, et al. Induction of apoptosis by TLK199 in human leukemia cells[J]. 2008.

Product Citations

1. Liberti, Eileen. "An In-vitro Investigation of Glutathione Transferases in Idiopathic Pulmonary Fibrosis." George Mason University.2018.
2. Faccidomo S, Swaim KS, et al. "Mining the nucleus accumbens proteome for novel targets of alcohol self-administration in male C57BL/6J ice."Psychopharmacology (Berl).2018 Mar 3. PMID:29502276
3. Liu X, et al. "Human glutathione S-transferase P1-1 functions as an estrogen receptor α signaling modulator." Biochem Biophys Res Commun. 2014 Sep 16. pii: S0006-291X(14)01625-8. PMID:25218501

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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