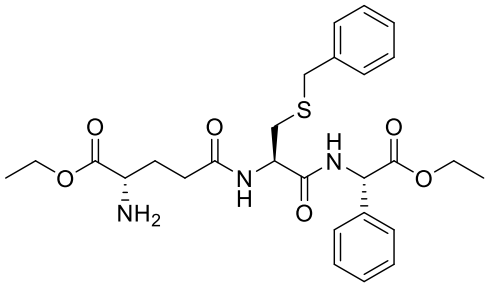
Product data sheet

MedKoo Cat#: 201360 Name: Ezatiostat CAS#: 168682-53-9 (free base) Chemical Formula: $C_{27}H_{35}N_3O_6S$ Exact Mass: 529.22466 Molecular Weight: 529.6483	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Ezatiostat is a liposomal small-molecule glutathione analog inhibitor of glutathione S-transferase (GST) P1-1 with hematopoiesis-stimulating activity. After intracellular de-esterification, the active form of ezatiostat binds to and inhibits GST P1-1, thereby restoring Jun kinase and MAPK pathway activities and promoting MAPK-mediated cellular proliferation and differentiation pathways. This agent promotes the proliferation and maturation of hematopoietic precursor cells, granulocytes, monocytes, erythrocytes and platelets.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100	155.36
Ethanol	15	23.30

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.89 mL	9.44 mL	18.88 mL
5 mM	0.38 mL	1.89 mL	3.78 mL
10 mM	0.19 mL	0.94 mL	1.89 mL
50 mM	0.04 mL	0.19 mL	0.38 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Zhang J, Ye ZW, Janssen-Heininger Y, Townsend DM, Tew KD. Development of Telintra as an Inhibitor of Glutathione S-Transferase P. Handb Exp Pharmacol. 2021;264:71-91. doi: 10.1007/164_2020_392. PMID: 32767141.

2. Ruscoe JE, Rosario LA, Wang T, Gaté L, Arifoglu P, Wolf CR, Henderson CJ, Ronai Z, Tew KD. 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. PMID: 11408560.

In vivo study

1. Zhang J, Ye ZW, Janssen-Heininger Y, Townsend DM, Tew KD. Development of Telintra as an Inhibitor of Glutathione S-Transferase P. Handb Exp Pharmacol. 2021;264:71-91. doi: 10.1007/164_2020_392. PMID: 32767141.

2. Ruscoe JE, Rosario LA, Wang T, Gaté L, Arifoglu P, Wolf CR, Henderson CJ, Ronai Z, Tew KD. 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. PMID: 11408560.

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7. Bioactivity

Biological target:

Ezatiostat (TER199, TLK199, Telintra), a tripeptide analog of glutathione, is a peptidomimetic inhibitor of Glutathione S-transferase P1-1 (GSTP1-1).

In vitro activity

The IC50 values were 22 μM for TLK199 in HT29 human colon adenocarcinoma cells line that express high levels of GSTP1-1. Similar IC50 values (26–28 μM) for TLK199 were obtained with other human colon adenocarcinoma cell lines, e.g., SW620, LoVo, and Caco2. TLK199 is easily taken up by the cells, rapidly converted to phenylglycine monoethyl ester TLK236, and then gradually converted to TLK117. TLK199 undergoes deesterification to glutamyl monoethyl ester TLK235 as well, but this metabolite is only produced in very limited quantities (Figs. 1 and 2).

Reference: Handb Exp Pharmacol. 2021;264:71-91. https://dx.doi.org/10.1007/164_2020_392

In vivo activity

The absorption, distribution, metabolism, and elimination properties of TLK199 were characterized in rat and dog. The primary metabolites are TLK236 and TLK117. Unchanged TLK199 was not detected in the blood, although the metabolites TLK117 and TLK236 were, indicating that the systemic clearance of the parent compound was both rapid and extensive. TLK199 has a half-life in rodents of <1 min and in monkeys of ~15 min.

Reference: Handb Exp Pharmacol. 2021;264:71-91. https://dx.doi.org/10.1007/164_2020_392

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.