

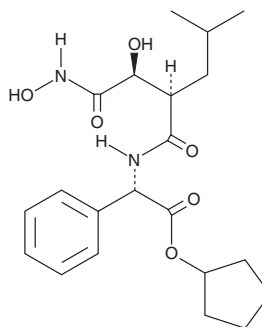
PRODUCT INFORMATION



Tosedostat

Item No. 23395

CAS Registry No.: 238750-77-1
Formal Name: αS-[[[(2R)-2-[(1S)-1-hydroxy-2-(hydroxyamino)-2-oxoethyl]-4-methyl-1-oxopentyl]amino]-benzeneacetic acid, cyclopentyl ester]
Synonym: CHR-2797
MF: C₂₁H₃₀N₂O₆
FW: 406.5
Purity: ≥98%
UV/Vis.: λ_{max}: 205 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Tosedostat is supplied as a crystalline solid. A stock solution may be made by dissolving the tosedostat in the solvent of choice, which should be purged with an inert gas. Tosedostat is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of tosedostat in these solvents is approximately 5, 20, and 10 mg/ml, respectively.

Description

Tosedostat is an inhibitor of aminopeptidases (IC₅₀s = 100, 150, and 220 nM for LAP, PUSA, and aminopeptidase N, respectively).¹ It inhibits proliferation of human leukemia cell lines *in vitro* irrespective of p53, PTEN, or K-RAS mutational status (IC₅₀s = 10-770 nM). Tosedostat induces up-regulation of genes in amino acid transport and metabolic pathways and inhibits phosphorylation of mammalian target of rapamycin (mTOR) substrates in HL-60 cells, markers of amino acid depletion. *In vivo*, tosedostat (100 mg/kg) reduces total tumor burden and the number of spontaneous metastases in a mouse MDA-MB-435 xenograft model. Formulations containing tosedostat are under clinical investigation for the treatment of myeloid leukemia.

Reference

1. Krige, D., Needham, L.A., Bawden, L.J., *et al.* CHR-2797: An antiproliferative aminopeptidase inhibitor that leads to amino acid deprivation in human leukemic cells. *Cancer Res.* **68(16)**, 6669-6679 (2008).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM