

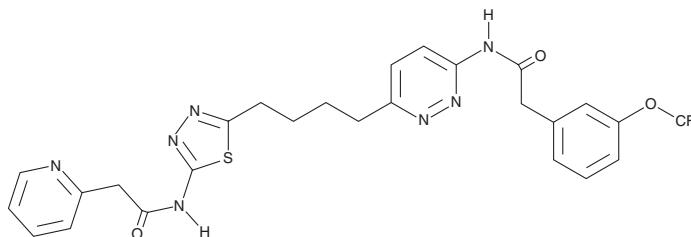
PRODUCT INFORMATION



CB-839

Item No. 22038

CAS Registry No.: 1439399-58-2
Formal Name: N-[5-[4-[6-[[2-[3-(trifluoromethoxy)phenyl]acetyl]amino]-3-pyridazinyl]butyl]-1,3,4-thiadiazol-2-yl]-2-pyridineacetamide
Synonyms: GLS1 Inhibitor III, Telaglenastat
MF: C₂₆H₂₄F₃N₇O₃S
FW: 571.6
Purity: ≥98%
UV/Vis.: λ_{max}: 243 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

CB-839 is supplied as a crystalline solid. A stock solution may be made by dissolving the CB-839 in the solvent of choice. CB-839 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of CB-839 in these solvents is approximately 20 and 10 mg/ml, respectively.

CB-839 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CB-839 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. CB-839 has a solubility of approximately 0.33 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CB-839 is an orally bioavailable noncompetitive inhibitor of the glutaminase 1 (GLS1) splice variants, kidney-type (KGA) and glutaminase C (GAC), which convert glutamine into glutamate.¹ CB-839 inhibits human recombinant GAC with IC₅₀ values of less than 50 nM, varying based on the length of preincubation. It inhibits GLS1 activity in tissue homogenates (IC₅₀s = 28 and 23 nM, respectively for brain and kidney), but it does not inhibit GLS2 (IC₅₀ > 1,000 nM for liver). It possesses antiproliferative activity against the triple-negative breast cancer (TNBC) cell lines HCC1806 and MDA-MB-231 (IC₅₀s = 49 and 26 nM, respectively) but not against estrogen receptor-positive T47D cells (IC₅₀ > 1,000 nM). In a patient-derived TNBC mouse xenograft model, CB-839 (200 mg/kg, p.o.) inhibits tumor growth by 61% relative to vehicle control. It also possesses antiproliferative properties *in vitro* against acute myeloid leukemia (AML) and in synergy with erlotinib (Item No. 10483) in EGFR-driven non-small cell lung cancer (NSCLC) *in vitro* and in xenografts.²⁻⁴

References

1. Gross, M. I., Demo, S.D., Dennison, J.B., *et al.* *Mol. Cancer Ther.* **13(4)**, 890-901 (2014).
2. Jacque, N., Ronchetti, A.M., Larrue, C., *et al.* *Blood* **126(11)**, 1346-1356 (2015).
3. Matre, P., Velez, J., Jacamo, R., *et al.* *Oncotarget* **7(48)**, 79722-79735 (2016).
4. Momcilovic, M., Bailey, S.T., Lee, J.T., *et al.* *Cancer* **18(3)**, 601-610 (2017).

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.

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