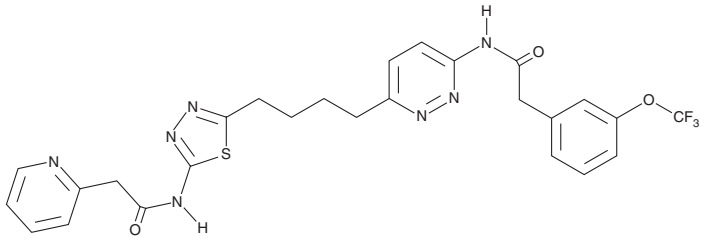
PRODUCT INFORMATION

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:	$C_{26}H_{24}F_3N_7O_3S$
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 IC_{50} values of less than 50 nM, varying based on the length of preincubation. It inhibits GLS1 activity in tissue homogenates (IC_{50}s = 28 and 23 nM, respectively for brain and kidney), but it does not inhibit GLS2 (IC_{50} > 1,000 nM for liver). It possesses antiproliferative activity against the triple-negative breast cancer (TNBC) cell lines HCC1806 and MDA-MB-231 (IC_{50}s = 49 and 26 nM, respectively) but not against estrogen receptor-positive T47D cells (IC_{50} > 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).

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