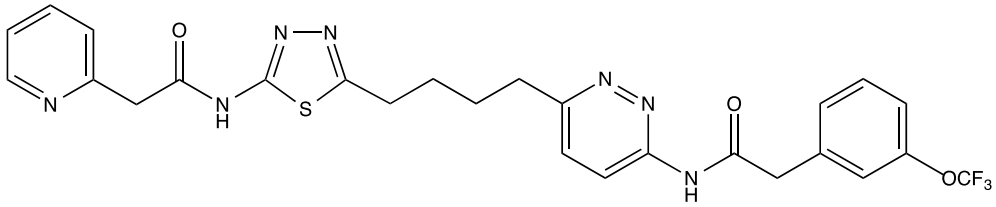
Catalog # 10-4556

CB-839

CAS# 1439399-58-2

2-(Pyridin-2-yl)-N-(5-(4-(6-(2-(3-(trifluoromethoxy)phenyl)acetamido)pyridazin-3-yl)butyl)-1,3,4-thiadiazol-2-yl)acetamide

Lot # FBA3037



CB-839 is a potent (IC_{50} = 24 nM), selective and orally bioavailable inhibitor of glutaminase (KGA and GAC).[1] CB-839 displayed an antiproliferative effect in the triple-negative breast cancer cell line, HCC-1806, but no activity in the estrogen receptor-positive cell line T47D. CB-839 was able to cause proliferation arrest and apoptosis in acute myeloid leukemia cells without causing cytotoxicity against normal human CD34(+) progenitors.[2] Aspartate-glutamate carrier 1 (AGC1) inhibition can synergize with CB-839 to limit tumor growth.[3]

1) Gross *et al*. (2014), *Antitumor activity of the glutaminase inhibitor CB-839 in triple-negative breast cancer*; Mol. Cancer Ther.,**13** 890
2) Jacque *et al.* (2015), *Targeting glutaminolysis has antileukemic activity in acute myeloid leukemia and synergizes with BCL-2 inhibition*; Blood **126** 1346
3) Alkan *et al*. (2018) *Cytosolic Aspartate Availability Determines Cell Survival When Glutamine is Limiting*; Cell Metabolism **28** 1

PHYSICAL DATA

Molecular Weight:	571.57
Molecular Formula:	$C_{26}H_{24}F_3N_7O_3S$
Purity:	>97% by HPLC: Agilent Poroshell 120 C18, 80/20 MeOH/water, 0.8 mL/min, 245 and 270 nm. NMR: Conforms
Solubility:	DMSO
Physical Description:	Pale yellow solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 2 months.

Focus Biomolecules LLC 400 Davis Drive, Suite 600 Plymouth Meeting PA 19462

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