

Data Sheet

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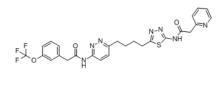
 Product Name
 : CB-839

 Cat. No.
 : PC-42933

 CAS No.
 : 1439399-58-2

 Molecular Formula
 : C26H24F3N7O3S

Molecular Weight: 571.57Target: GlutaminaseSolubility: 10 mM in DMSO



Biological Activity

CB-839 (Telaglenastat) is a potent, selective, and orally bioavailable inhibitor of **glutaminase** with IC50 of 28 and 23 nM for glutaminase-1 (GLS1) in kidney and brain (GAC and KGA), but not the liver form of glutaminase (GLS2, IC50>1 uM). CB-839 (Telaglenastat) demonstrates antiproliferative activity in triple-negative breast cancer (TNBC) cell line HCC-1806 and MDA-MB-231 with IC50 of 20-55 nM.

CB-839 (Telaglenastat) decreases glutamine consumption, glutamate production, oxygen consumption, and the steady-state levels of glutathione and several tricarboxylic acid cycle intermediates.

CB-839 (Telaglenastat) exhibits vivo efficacy in breast cancer xenograft models, both as a single agent and in combination with paclitaxel.

References

Gross MI, et al. Mol Cancer Ther. 2014 Apr;13(4):890-901.

Chakrabarti G, et al. Cancer Metab. 2015 Oct 12;3:12.

Jacque N, et al. Blood. 2015 Sep 10;126(11):1346-56.

Zimmermann SC, et al. ACS Med Chem Lett. 2016 Mar 13;7(5):520-4.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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