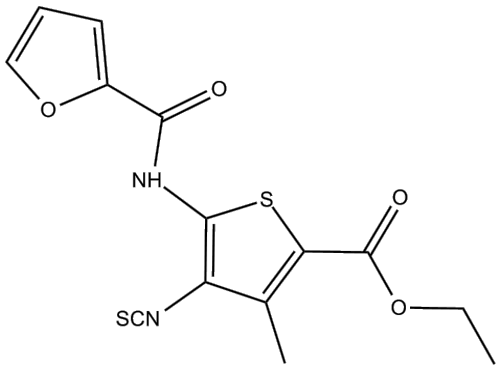
Product Data Sheet

Product Name: CBR-5884
Cat. No.: GC13336

Chemical Properties

Cas. No.	681159-27-3		
Chemical Name	ethyl 5-(furan-2-carboxamido)-3-methyl-4-thiocyanatothiophene-2-carboxylate		
SMILES	O=C(NC1=C(SC#N)C(C)=C(C(OCC)=O)S1)C2=CC=CO2		
Formula	$C_{14}H_{12}N_2O_4S_2$	M.Wt	336.39
Solubility	≥ 16.8mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Protocol

Cell experiment [1]:	
Cell lines	MHCC97L cells (human hepatocellular carcinoma cell line)

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Preparation Method	MHCC97L cells were treated with 40μM CBR-5884 alone or combined with 4μM Sorafenib for 48 hours, followed by Annexin V and PI staining for apoptosis detection.
Reaction Conditions	40μM; 48h
Applications	CBR-5884 alone slightly induced apoptosis in MHCC97L cells. CBR-5884 significantly elevated the apoptotic cell population induced by Sorafenib in MHCC97L cells.
Animal experiment [2]:	
Animal models	BALB/c nude mice
Preparation Method	BALB/c nude mice aged 4-6 weeks received subcutaneous injection of 1×10^{6} ID8 cells in the right armpit. When tumors reached ~65mm^3, mice were intragastrically administered CBR-5884 at 70mg/kg daily for 12 consecutive days; tumors were tracked by caliper measurement, then resected for H&E staining of liver/spleen/kidney and IHC staining of tumor Ki-67/PHGDH/ITGB4.
Dosage form	70mg/kg; p.o.; 12 days
Applications	CBR-5884 delayed ID8 tumor growth, reduced tumor weight, lowered Ki-67-positive cell proportion, decreased PHGDH and ITGB4 expression in tumor tissue, and caused no evident pathological changes in liver, spleen, or kidney or abnormal body weight change.

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References:

[1] Wei L, Lee D, Law C-T, et al. Genome-wide CRISPR/Cas9 library screening identified PHGDH as a critical driver for Sorafenib resistance in HCC. Nat Commun. 2019 Oct 15;10:4681.

[2] Gong K, Huang Y, Zheng Y, et al. Preclinical efficacy of CBR-5884 against epithelial ovarian cancer cells by targeting the serine synthesis pathway. Discover Oncol. 2024 May 11;15:154.

Background

CBR-5884 is an orally active inhibitor of phosphoglycerate dehydrogenase (PHGDH) with an IC_{50} of 33μM. CBR-5884 binds to PHGDH in a non-competitive, time-dependent manner and disrupts the oligomeric state of the enzyme. CBR-5884 inhibits de novo serine synthesis in cancer cells, downregulates the ITGB4/ERK/EMT signaling axis, and activates the ROS/Wnt/β-catenin pathway to exert anti-tumor effects. CBR-5884 can be used in research related to epithelial ovarian cancer, melanoma, and breast cancer[1-4].

In vitro, treatment with 1-60μM CBR-5884 for 1-96 hours reduced intracellular serine and glycine levels, inhibited cell proliferation, increased reactive oxygen species, decreased the NADPH/$NADP^+$ ratio, and enhanced hypoxia-induced cell death in glioblastoma cells[5]. Treatment with 30μM CBR-5884 for 3-5 days inhibited cell proliferation in MDA-MB-468, MDA-MB-436, HCC70, and Hs578T cells[6]. Treatment with 40μM CBR-5884 for 48 hours significantly increased apoptosis in sorafenib-treated MHCC97L cells[7].

In vivo, intragastric administration of 70mg/kg CBR-5884 for 12 consecutive days delayed tumor growth, reduced tumor weight, and decreased the proportion of Ki-67-positive cells in BALB/c nude mice bearing ID8 epithelial ovarian cancer xenografts[8]. Intraperitoneal injection of 20mg/kg CBR-5884 every two days for a total of 10 days slowed tumor growth, reduced tumor weight, and downregulated the expression of PHGDH, β-catenin, c-myc, Cyclin D1, PCNA, Bcl2, N-cadherin, Vimentin, Snail, and Ki67 while upregulating BAX and E-cadherin in tumor tissues of BALB/Ca-nu nude mice bearing OVCAR3 cell xenografts[9]. A single intraperitoneal injection of 50mg/kg CBR-5884 elevated serum IFN-β concentration, reduced VSV-specific mRNA and VSV titers in the liver,

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and alleviated lung tissue injury in C57BL/6 mice infected with *vesicular stomatitis virus* (VSV)[10].

References:

[1] Zhang T, Gillies MC, Madigan MC, et al. Disruption of De Novo Serine Synthesis in Müller Cells Induced Mitochondrial Dysfunction and Aggravated Oxidative Damage. Mol Neurobiol. 2018 Aug;55(8):7025-7037.

[2] Zhao X, Fu J, Tang W, et al. Inhibition of Serine Metabolism Promotes Resistance to Cisplatin in Gastric Cancer. Onco Targets Ther. 2020 May 29;13:4833-4842. doi: 10.2147/OTT.S246430.

[3] Islam MM, Kasana S, Priya S, et al. Harnessing PHGDH Inhibition for Cancer Therapy: Mechanisms, SAR, Computational Aspects, and Clinical Potential. Arch Pharm (Weinheim). 2025 Aug;358(8):e70083.

[4] Zhou Y, Phelps GA, Mangrum MM, et al. The small molecule CBR-5884 inhibits the *Candida albicans* phosphatidylserine synthase. mBio. 2024 May 8;15(5):e0063324.

[5] Engel AL, Lorenz NI, Klann K, et al. Serine-dependent redox homeostasis regulates glioblastoma cell survival. Br J Cancer. 2020;122(9):1391-1398.

[6] Mullarky E, Lucki NC, Beheshti Zavareh R, et al. Identification of a small molecule inhibitor of 3-phosphoglycerate dehydrogenase to target serine biosynthesis in cancers. Proc Natl Acad Sci USA. 2016 Feb 16;113(7):1778-1783.

[7] Wei L, Lee D, Law CT, et al. Genome-wide CRISPR/Cas9 library screening identified PHGDH as a critical driver for Sorafenib resistance in HCC. Nat Commun. 2019 Oct 15;10:4681.

[8] Gong K, Huang Y, Zheng Y, et al. Preclinical efficacy of CBR-5884 against epithelial ovarian cancer cells by targeting the serine synthesis pathway. Discover Oncol. 2024 May 11;15:154.

[9] Zhang X, Sun M, Jiao Y, et al. PHGDH Inhibitor CBR-5884 Inhibits Epithelial Ovarian Cancer Progression via ROS/Wnt/β-Catenin Pathway and Plays a Synergistic Role with PARP Inhibitor Olaparib. Oxidative Medicine and Cellular Longevity. 2022;2022:9029544.

[10] Shen L, Hu P, Zhang Y, et al. Serine metabolism antagonizes antiviral innate immunity by preventing ATP6V0d2-mediated YAP lysosomal degradation. Cell Metabolism. 2021 May

4;33(5):971-987.

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