

Product Data Sheet

Product Name: SB 204990

Cat. No.: GC16952

Chemical Properties

Cas. No. 154566-12-8

Chemical Name 2-((3S,5R)-5-(6-(2,4-dichlorophenyl)hexyl)-3-hydroxy-2-oxotetrahydrofuran-3-yl)acetic acid

SMILES ClC1=CC(Cl)=C(C=C1)CCCCCC[C@](O2)([H])C[C@](C2=O)(O)CC(O)=O

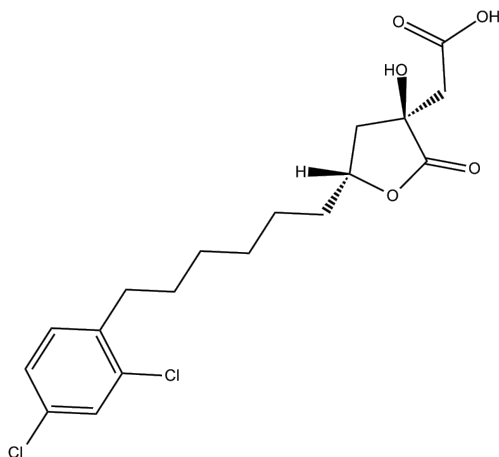
Formula $C_{18}H_{22}Cl_2O_5$ M.Wt 389.27

Solubility DMF: 30 mg/ml, DMF:PBS(pH7.2) (1:2): 0.33 mg/ml, DMSO: 20 mg/ml, Ethanol: 1 mg/ml Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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]:

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Cell lines MDA-MB-231 cells

Preparation Method MDA-MB-231 cells were cultured in glutamine-free DMEM supplemented with 10% fetal bovine serum (FBS). A total of 5×10^4 cells/500 μ l/well were seeded in 24-well plates. After 24 hours, cells were added to treatment medium containing 40 μ M SB 204990 or vehicle only and incubated at 37°C in 5% CO₂, for 12 hours, 24 hours, 48 hours, and 96 hours, respectively. Cells were treated with 125 μ l XTT for 2h. Then, the samples were analyzed at a wavelength of 450nm.

Reaction Conditions 40 μ M; 12, 24, 48, and 96h

Applications SB 204990 significantly inhibited the viability of MDA-MB-231 cells in a time-dependent manner.

Animal experiment [2]:

Animal models Athymic nude female mice

Preparation Method Mouse pancreatic duct cells bearing oncogenic K-ras^{G12D} alleles were resuspended in PBS at a concentration of 5×10^7 cells/ml. Tumors were established in athymic nude female mice (8-10 weeks of age) by subcutaneous injection of a 200 μ l volume of 1×10^7 cells. Mice with similar tumor sizes were randomly divided into two groups 3-5 weeks after tumor cell injection: an untreated group (injected with vehicle only) and a treated group (injected with SB 204990). Mice were monitored daily until treatment was completed. Body weight and tumor size were measured every 2-3 days. Tumor growth was recorded by measuring (with calipers) the two vertical diameters of the tumor. Tumor mass was calculated by equation $1/2(a \times b^2)$, where a is the long diameter and b is the short diameter. Treated mice were intraperitoneally injected with SB 204990 at a dose of 135mg/kg/day for 14 days.

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Dosage form 135mg/kg/day for 14 days; i.p.

Applications SB 204990 treatment remarkably reduced tumor growth in nude mice carrying xenografts of mouse pancreatic ductal cells.

References:

- [1] Hatipoglu A, Menon D, Levy T, et al. Inhibiting glutamine utilization creates a synthetic lethality for suppression of ATP citrate lyase in KRas-driven cancer cells[J]. PLoS One, 2022, 17(10): e0276579.
- [2] Hatzivassiliou G, Zhao F, Bauer D E, et al. ATP citrate lyase inhibition can suppress tumor cell growth[J]. Cancer cell, 2005, 8(4): 311-321.

Background

SB 204990, a lactone prodrug, potently inhibits ATP citrate-lyase [1]. SB 204990 reduces plasma cholesterol levels and triglyceride levels in animals by inhibiting ATP citrate lyase[2]. SB 204990 has been widely used in animal models to regulate the renal dysfunction induced by obesity and type 2 diabetes[3].

In vitro, SB 204990 treatment for 24 hours effectively inhibited the replication of SARS-CoV-2 WT, Delta, and Omicron variants in Caco2 cells, with IC₅₀ values of 15.7μM, 13.1μM and 11.7μM, respectively[4]. SB 204990 treatment (40μM) for 24h significantly inhibited MDA-MB-231 cell viability in a glutamine-deficient medium[5]. Treatment with 100μM SB 204990 for 48 hours reversed galactose-induced β-Catenin activity and inhibited MC3T3 E1 cell differentiation into osteoblasts[6]. Treatment with 30μM SB 204990 for 48 hours inhibited TGF-β-stimulated proliferation and fibrin expression in cultured human cardiac fibroblasts (HCFs), reduced H3K9 and H3K27 acetylation [7].

In vivo, SB 204990 treatment via intraperitoneal injection at a dose of 135mg/kg/day for 14 days markedly reduced tumor growth in nude mice carrying xenografts of mouse pancreatic ductal cell lines bearing oncogenic K-ras^{G12D} alleles[8]. Oral administration of SB 204990 at a dose of 250mg/kg/day for 15 weeks significantly improved metabolic status and physical health in high-fat

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diet (HFD)-fed C57BL/6 mice^[9].

References:

- [1] Pearce N J, Yates J W, Berkhout T A, et al. The role of ATP citrate-lyase in the metabolic regulation of plasma lipids[J]. Biochemical Journal, 1998, 334(1): 113-119.
- [2] van Vlijmen^o B J M, Pearce N J, Bergö M, et al. Apolipoprotein E* 3-Leiden transgenic mice as a test model for hypolipidaemic drugs[J]. 1998.
- [3] Li Y C, Chen Y, Deb D K. ATP-Citrate Lyase is an Epigenetic Regulator to Promote Nephropathy in Obesity and Type 2 Diabetes[J]. The FASEB Journal, 2018, 32: 720.2-720.2.
- [4] Yuen T T T, Chan J F W, Yan B, et al. Targeting ACLY efficiently inhibits SARS-CoV-2 replication[J]. International Journal of Biological Sciences, 2022, 18(12): 4714.
- [5] Hatipoglu A, Menon D, Levy T, et al. Inhibiting glutamine utilization creates a synthetic lethality for suppression of ATP citrate lyase in KRas-driven cancer cells[J]. PLoS One, 2022, 17(10): e0276579.
- [6] Busch M, White N, Shum L, et al. Active mitochondria support osteogenic differentiation by stimulating β -catenin acetylation[J]. Journal of Biological Chemistry, 2018, 293(41): 16019-16027.
- [7] Kuwahara N, Nagao M, Shinohara M, et al. ACLY Promotes Cardiac Fibrosis via the Regulation of DNL and Histone Acetylation[J]. Hypertension, 2025, 82(6): 1116-1128.
- [8] Hatzivassiliou G, Zhao F, Bauer D E, et al. ATP citrate lyase inhibition can suppress tumor cell growth[J]. Cancer cell, 2005, 8(4): 311-321.
- [9] Sola-García A, Cáliz-Molina M Á, Espadas I, et al. Metabolic reprogramming by Acly inhibition using SB-204990 alters glucoregulation and modulates molecular mechanisms associated with aging[J]. Communications biology, 2023, 6(1): 250.

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