

Product Data Sheet

Product Name: Thapsigargin

Cat. No.: GC11482

Chemical Properties

Cas. No. 67526-95-8

Chemical Name (3S,3aR,4S,6S,6aR,7S,8S,9bS)-6-acetoxy-4-(butyryloxy)-3,3a-dihydroxy-3,6,9-trimethyl-8-(((Z)-2-methylbut-2-enoyl)oxy)-2-oxo-2,3,3a,4,5,6,6a,7,8,9b-decahydroazuleno[4,5-b]furan-7-yl octanoate

SMILES CC(=O)O[C@H]1OC(CCC=O)([C@]2(C)O)[C@H](C([C@H]([C@@H]3OC(CCCCCC)=O)[C@@](C1)(C)OC(C)=O)=C(C)[C@@H]3OC(/C(C)=C\C)=O)OC2=O

Formula C₃₄H₅₀O₁₂

M.Wt 650.76

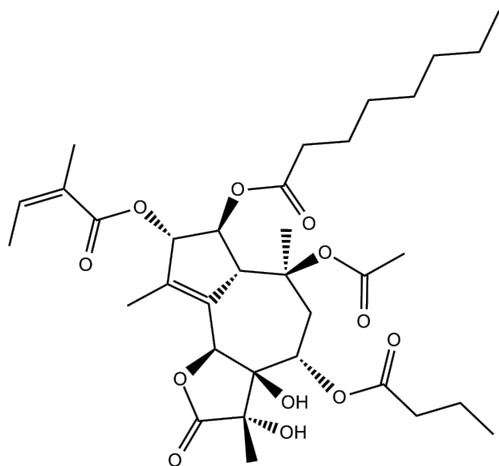
Solubility 30mg/ml in ethanol, DMSO, DMF

Storage Store at -20°C, sealed storage, away from moisture and light

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

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Cell experiment [1]:

Cell lines β -TC-6 cells (mouse pancreatic beta cell line)

β -TC-6 cells were cultured in Dulbecco's Modified Eagles' Medium (DMEM) Preparation Method supplemented with 15% fetal bovine serum. Cells were serum-deprived for 48 hours and then treated with Thapsigargin at 0.1 μ M for 24 hours.

Reaction
Conditions 0.1 μ M; 24h

Applications Thapsigargin induced apoptosis in β -TC-6 cells, increased the content of cleaved caspase-3.

Animal experiment [2]:

Animal models Male BALB/c nude mice (4-5 weeks old, 20-22g); subcutaneous adrenocortical carcinoma xenograft models were established by injecting 2×10^6 SW-13 human adrenocortical carcinoma cells in 200 μ L medium into the left shoulder of each mouse

Preparation Method When the subcutaneous tumors grew to approximately $0.2 \times 0.2 \text{ cm}^2$ in size, mice were intraperitoneally injected with Thapsigargin (1 mg/kg) or vehicle (0.5% methylcellulose) daily. Mouse body weights and tumor sizes were recorded regularly, and xenograft tumor tissues were harvested after 15 consecutive days.

Dosage form 1 mg/kg; i.p.; daily for 15 days

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Applications Thapsigargin inhibited the growth of SW-13 xenograft tumors, with reduced tumor volume and weight, and no significant change in mouse body weight relative to the vehicle group. In xenograft tumor tissues, p-JNK, p-ERK, p-MAPK, p-PERK, IRE1 and GRP78 protein levels were upregulated, while total JNK, ERK, PERK and MAPK protein levels remained unchanged.

References:

- [1] Mwangi S, Anitha M, Mallikarjun C, et al. Glial Cell Line-Derived Neurotrophic Factor increases beta cell mass and improves glucose tolerance. *Gastroenterology*. 2008 Mar;134(3):727-737.
- [2] Wu L, Huang X, Kuang Y, et al. Thapsigargin induces apoptosis in adrenocortical carcinoma by activating endoplasmic reticulum stress and the JNK signaling pathway: an in vitro and in vivo study. *Drug Des Devel Ther*. 2019;13:2787-2798.

Background

Thapsigargin is a sesquiterpene lactone isolated from *Thapsia garganica* and acts as an irreversible sarco/endoplasmic reticulum Ca^{2+} -ATPase (SERCA) inhibitor. Thapsigargin depletes intracellular calcium stores by inhibiting the SERCA, thereby activating the unfolded protein response (UPR) and ATF3/ATF4 pathways. This process induces apoptosis in tumor cells or triggers a broad-spectrum antiviral state in host cells. Meanwhile, calcium dyshomeostasis activates multiple stress signals to amplify inflammatory and autophagic responses. Thapsigargin can be used in research related to cancer therapy and broad-spectrum antiviral applications including COVID-19^[1-4].

In vitro, treatment of HT29, HCT116, and SW1116 colorectal cancer cells with 0.1 μM or 10 μM Thapsigargin for 24 hours induced cell death^[5]. Treatment of β -TC-6 pancreatic β cells with 0.1 μM Thapsigargin for 24 hours induced apoptosis^[6]. Treatment of MH7A human rheumatoid arthritis synovial cells with 0.001 μM , 0.1 μM , or 1 μM Thapsigargin for 2 or 4 days inhibited cell proliferation and induced apoptosis in a time- and dose-dependent manner^[7].

In vivo, male Swiss albino mice (10-week-old) with AOM/DSS-induced colitis received weekly intraperitoneal injections of 1 mg/kg Thapsigargin for 5 consecutive weeks. Thapsigargin alleviated

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body weight loss and disease activity index scores, reduced colon weight-to-length ratio, decreased colonic tumor numbers and aberrant crypt foci formation, and improved colonic epithelial ultrastructure and tissue architecture^[8]. Male BALB/c nude mice subcutaneously inoculated with SW-13 human adrenocortical carcinoma cells received daily intraperitoneal injections of 1 mg/kg Thapsigargin for 15 days. Thapsigargin inhibited xenograft tumor growth, reduced tumor volume and weight, and did not affect mouse body weight^[9].

References:

- [1] Geiszt M, Káldi K, Szeberényi JB, et al. Thapsigargin inhibits Ca^{2+} entry into human neutrophil granulocytes. *Biochem J.* 1995 Jan 15;305 (Pt 2)(Pt 2):525-8.
- [2] Wang H, Jia XZ, Sui CJ, et al. Effects of thapsigargin on the proliferation and survival of human rheumatoid arthritis synovial cells. *ScientificWorldJournal.* 2014 Feb 9;2014:605416.
- [3] Garavito-Aguilar ZV, Recio-Pinto E, Corrales AV, et al. Differential thapsigargin-sensitivities and interaction of Ca^{2+} stores in human SH-SY5Y neuroblastoma cells. *Brain Res.* 2004 Jun 18;1011(2):177-86.
- [4] Abdullahi A, Stanojcic M, Parousis A, et al. Modeling Acute ER Stress in Vivo and in Vitro. *Shock.* 2017 Apr;47(4):506-513.
- [5] Jiang X, Li D, Wang G, et al. Thapsigargin promotes colorectal cancer cell migration through upregulation of lncRNA MALAT1. *Oncol Rep.* 2020;43(4):1245-1255.
- [6] Mwangi S, Anitha M, Mallikarjun C, et al. Glial Cell Line-Derived Neurotrophic Factor increases beta cell mass and improves glucose tolerance. *Gastroenterology.* 2008 Mar;134(3):727-737.
- [7] Wang H, Jia X, Sui C, et al. Effects of Thapsigargin on the Proliferation and Survival of Human Rheumatoid Arthritis Synovial Cells. *The Scientific World Journal.* 2014;2014:605416.
- [8] Baruah S, Bharali M K, Akhtara N, et al. Thapsigargin enhanced chemotherapeutic sensitivity of irinotecan in the inflammation-induced colorectal cancer model in mice. *Sci Rep.* 2026;16:4551.
- [9] Wu L, Huang X, Kuang Y, et al. Thapsigargin induces apoptosis in adrenocortical carcinoma by activating endoplasmic reticulum stress and the JNK signaling pathway: an in vitro and in vivo study. *Drug Des Devel Ther.* 2019;13:2787-2798.

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