

Product Data Sheet

Product Name: Brefeldin A

Cat. No.: GC17683

Chemical Properties

Cas. No. 20350-15-6

Chemical Name (1S,2E,7S,10E,12R,13R,15S)-12,15-dihydroxy-7-methyl-8-oxabicyclo[11.3.0]hexadeca-2,10-dien-9-one

SMILES CC1CCCC=CC2CC(CC2C(C=CC(=O)O1)O)O

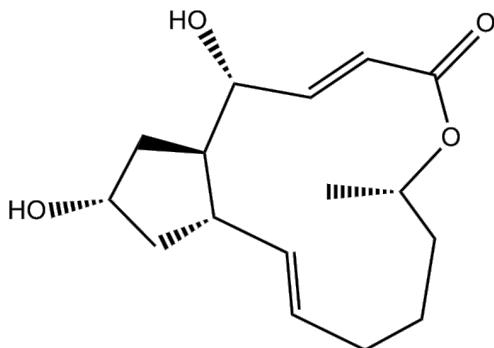
Formula $C_{16}H_{24}O_4$ M.Wt 280.36

Solubility $\geq 4.67\text{mg/mL}$ in DMSO 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]:

Caution: Product has not been fully validated for medical applications. For research use only.

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Cell lines Mouse primary bone marrow-derived macrophages (BMDMs) from the femurs of C57BL/6 or Nlrp-/- mice

Preparation Method Quantification of IL-6 and IL-1 β in the culture supernatants of mouse BMDMs untreated (Unt) or treated with LPS in the presence of Golgi-Plug, Brefeldin A (2 or 5 ug/ ml), or MCC950 for 3 h followed by ATP treatment

Reaction Conditions 2 or 5 ug/ ml Brefeldin A for 3 h

Applications Inhibition of vesicle trafficking by Brefeldin A between ER and golgi attenuates IL-1 β production from BMDMs upon stimulation with NLRP3 agonists

Animal experiment [2]:

Animal models Female BALB/ C mice (20 $\pm$ 2 g, 5-6 weeks)

Preparation Method HepG2 tumor-bearing nude mice were injected with M- Brefeldin A daily for 14 days(intravenous injection)

Dosage form 5 mg/kg and 10 mg/kg Brefeldin A for 14 days

Applications M- Brefeldin A 10 mg/kg group showed effective antitumor effect and significantly delayed tumor progression, while M- Brefeldin A 5 mg/kg mice did not show significant inhibitory effect.

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References:

- [1]. Hong S, Hwang I, et.al. Brefeldin A-sensitive ER-Golgi vesicle trafficking contributes to NLRP3-dependent caspase-1 activation. FASEB J. 2019 Mar;33(3):4547-4558. doi: 10.1096/fj.201801585R. Epub 2018 Dec 28. PMID: 30592629.
- [2]. Zhang JM, Jiang YY, et.al. Brefeldin A delivery nanomicelles in hepatocellular carcinoma therapy: Characterization, cytotoxic evaluation in vitro, and antitumor efficiency in vivo. Pharmacol Res. 2021 Oct;172:105800. doi: 10.1016/j.phrs.2021.105800. Epub 2021 Aug 4. PMID: 34363949.

Background

Brefeldin A is a macrocyclic lactone compound derived from fungi with protein transport inhibitory activity. Brefeldin A can inhibit Arf-GEFs (such as GBF1) activity to inactivate Arf1, thereby blocking ER-to-Golgi vesicle transport and disrupting Golgi structure. Brefeldin A induces ER stress to activate UPR and promote apoptosis. Brefeldin A can be used in research related to cancer, virology, and cellular secretion pathways^[1-4].

In vitro, Brefeldin A (0-500nM) treated human colorectal cancer HCT116, HT29, DLD-1, SW620, SW480 cells for 24h. Brefeldin A significantly inhibited proliferation and colony formation of colorectal cancer cells, induced apoptosis and Bip/Akt-mediated autophagic cell death. Brefeldin A upregulated Bip expression and promoted Bip-Akt interaction to reduce Akt phosphorylation level, inhibited Akt/mTOR pathway, and activated ER stress^[5]. Brefeldin A (0.005–50µg/mL) treated MDA-MB-231 human breast cancer cells for 24–48h, and treated them in soft agar for 7 day. Brefeldin A induced cell death, increased sub-G1 cell proportion and promoted PARP cleavage. Brefeldin A inhibited cell colony formation in soft agar and two-dimensional clonogenicity, suppressed cell migration and reduced MMP-9 activity^[6].

In vivo, Brefeldin A (0.5mg/mL; 500µL per mouse; single dose) was injected via tail vein into C57BL/6J mice infected with Burkholderia thailandensis (2×10⁷CFU; administered 12h after infection). Brefeldin A blocked the Golgi secretory pathway, inducing accumulation of cytokines such as IFN-γ in splenic lymphocytes^[7]. Brefeldin A (5, 10, 15, 20mg/kg) was intraperitoneally injected every other day into 3-week-old female C57BL/6J mice, and (15mg/kg) every other day into 12-month-old female C57BL/6J mice for 1 month. Brefeldin A significantly inhibited oocyte maturation,

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induced granulosa cell apoptosis, and reduced corpus luteum number. In 3-week-old mice, Brefeldin A elevated serum estradiol and luteinizing hormone levels, upregulated apoptosis-related genes Caspase-3/P53/BAX and senescence markers p21/p16. In 12-month-old mice, Brefeldin A further reduced estradiol and steroid hormones such as 7-hydroxycholesten-3-one and progesterone, disrupted GnRH signaling and FOXO pathway, and interfered with meiosis-related gene expression^[8].

References:

- [1] Paek SM. Recent Synthesis and Discovery of Brefeldin A Analogs. Mar Drugs. 2018 Apr 18;16(4):133.
- [2] Chardin P, McCormick F. Brefeldin A: the advantage of being uncompetitive. Cell. 1999 Apr 16;97(2):153-5.
- [3] Nebenführ A, Ritzenthaler C, Robinson DG. Brefeldin A: deciphering an enigmatic inhibitor of secretion. Plant Physiol. 2002 Nov;130(3):1102-8.
- [4] Pelham HR. Multiple targets for brefeldin A. Cell. 1991 Nov 1;67(3):449-51.
- [5] Zhou L, Gao W, Wang K, et al. Brefeldin A inhibits colorectal cancer growth by triggering Bip/Akt-regulated autophagy. FASEB J. 2019 Apr;33(4):5520-5534.
- [6] Tseng CN, Hong YR, Chang HW, et al. Brefeldin A reduces anchorage-independent survival, cancer stem cell potential and migration of MDA-MB-231 human breast cancer cells. Molecules. 2014 Oct 29;19(11):17464-77.
- [7] Kovacs SB, Oh C, Aachoui Y, et al. Evaluating cytokine production by flow cytometry using brefeldin A in mice. STAR Protoc. 2020 Dec 30;2(1):100244.
- [8] Jiang Y, Lv M, Fan H, et al. Disruption of ovarian function and induction of apoptosis in female mice by Brefeldin A: Mechanistic insights into reproductive toxicity. Animal Model Exp Med. 2025 Nov;8(11):2053-2068.

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