

Product Data Sheet

Product Name: Duocarmycin TM

Cat. No.: GC32187

Chemical Properties

Cas. No. 157922-77-5

SMILES CIC[C@H]1C2=C(C=CC=C3)C3=C(O)C=C2N(C(C4=CC(C=C(OC)C(OC)=C5OC)=C5N4)=O)C1

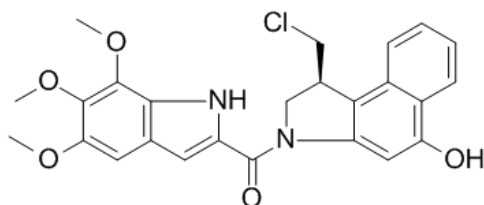
Formula $C_{25}H_{23}ClN_2O_5$ M.Wt 466.91

Solubility DMSO : ≥ 50 mg/mL (107.09 mM); Water : < 0.1 mg/mL (insoluble) 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]:

Cell lines SK-OV-3 cells (human ovarian cancer cell line)

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

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Preparation Method SK-OV-3 cells were maintained in McCoy's 5A Medium supplemented with 10% fetal bovine serum (FBS) and 1% P/S (penicillin/streptomycin), inoculated into a 96-well plate at a concentration of 3×10^4 cells/100 μ L per well, and cultured at 37°C for 4h, then treated with Duocarmycin TM at varying concentrations.

Reaction Conditions 0-10nM; 72h

Applications Duocarmycin TM exhibited potent cytotoxic activity against SK-OV-3 human ovarian cancer cells and concentration-dependently inhibited cancer cell growth, giving an IC₅₀ of 2.5nM, and served as the potency benchmark against which all synthesized CBI-benzoselenophene and heteroaromatic analogs in the study were compared.

References:

[1] Mhetre AB, Lee H, Yang H, et al. Synthesis and anticancer activity of benzoselenophene and heteroaromatic derivatives of 1,2,9,9a-tetrahydrocyclopropa[c]benzo[e]indol-4-one (CBI). Organic & Biomolecular Chemistry. 2017 Feb;15(5):1198-1208.

Background

Duocarmycin TM is a highly potent synthetic DNA minor-groove-binding alkylating antitumor antibiotic that induces sequence-selective alkylation of double-stranded DNA. Duocarmycin TM disrupts the integrity of the DNA double-helix structure, blocks replication and transcription, triggers S-phase arrest, and induces tumor cell apoptosis. Duocarmycin TM can be used in research related to malignant tumor models and ADC targeted delivery systems^[1-4].

In vitro, SK-OV-3 human ovarian cancer cells were treated with Duocarmycin TM (0–10nM) for 72h. Duocarmycin TM concentration-dependently reduced cancer cell viability and inhibited cell proliferation, exhibiting extremely potent cytotoxic activity^[5]. BJAB human Burkitt's lymphoma cells and WSU-DLCL2 diffuse large B-cell lymphoma cells were treated with Duocarmycin TM (0–100nM)

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for 4 days. Duocarmycin TM significantly decreased intracellular ATP production and cell viability and caused DNA damage^[6].

References:

- [1] Boger DL, Santillán A, Searcey M, et al. Synthesis and evaluation of duocarmycin and CC-1065 analogues containing modifications in the subunit linking amide. *Journal of Organic Chemistry*. 1999 Jul 9;64(14):5241-5244.
- [2] Boger DL, Hughes TV, Hedrick MP. Synthesis, chemical properties, and biological evaluation of CC-1065 and duocarmycin analogues incorporating the 5-methoxycarbonyl-1,2,9,9a-tetrahydrocyclopropa. *The Journal of Organic Chemistry*. 2001 Apr;66(7):2207-2216.
- [3] Jin W, Trzupek JD, Rayl TJ, et al. A unique class of duocarmycin and CC-1065 analogues subject to reductive activation. *Journal of the American Chemical Society*. 2007 Dec;129(49):15391-15397.
- [4] Li LS, Sinha SC. Studies toward the duocarmycin prodrugs for the antibody prodrug therapy approach. *Tetrahedron Letters*. 2009 Jun;50(24):2933-2935.
- [5] Mhetre AB, Lee H, Yang H, et al. Synthesis and anticancer activity of benzoselenophene and heteroaromatic derivatives of 1,2,9,9a-tetrahydrocyclopropa[c]benzo[e]indol-4-one (CBI). *Organic & Biomolecular Chemistry*. 2017 Feb;15(5):1198-1208.
- [6] Zhang D, Le H, Cruz-Chuh JD, et al. Immolation of p-Aminobenzyl Ether Linker and Payload Potency and Stability Determine the Cell-Killing Activity of Antibody-Drug Conjugates with Phenol-Containing Payloads. *Bioconjugate Chemistry*. 2018 Feb;29(2):267-274.

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