

Product Data Sheet

Product Name: Nanaomycin A

Cat. No.: GC14562

Chemical Properties

Cas. No. 52934-83-5

Chemical Name 2-[(1S,3R)-9-hydroxy-1-methyl-5,10-dioxo-3,4-dihydro-1H-benzo[g]isochromen-3-yl]acetic acid

SMILES CC1C2=C(CC(O1)CC(=O)O)C(=O)C3=C(C2=O)C(=CC=C3)O

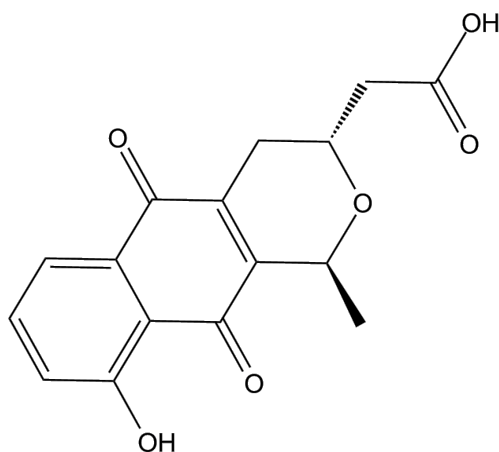
Formula $C_{16}H_{14}O_6$ M.Wt 302.28

Solubility $\geq 15.1\text{mg/mL}$ in DMSO, $\geq 31.07\text{mg/mL}$ in EtOH with ultrasonic 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 A549, HL60, HeLa and HCT116 cells

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

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Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Reaction Conditions Ranging from 10 nM to 10 µM for 72 h

Applications Nanaomycin A, initially identified by a virtual screening for inhibitors against DNMT1, as a compound inducing antiproliferative effects in three different tumor cell lines originating from different tissues. Nanaomycin A treatment reduced the global methylation levels in all three cell lines and reactivated transcription of the RASSF1A tumor suppressor gene. In biochemical assays, nanaomycin A revealed selectivity toward DNMT3B.

Animal experiment [2]:

Animal models Guinea pigs

Applications The therapeutic effect of nanaomycin A and siccanin against experimental cutaneous Trichophyton mentagrophytes infection in guinea pigs was investigated. Topically applied formulation of nanaomycin A was very effective in improving the condition of lesions and in preventing fungal growth in the infected tissues. Nanaomycin A and siccanin were comparable in activity in experiments.

Other notes Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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References:

1. Kuck D1, Caulfield T, Lyko F et al. Nanaomycin A selectively inhibits DNMT3B and reactivates silenced tumor suppressor genes in human cancer cells. Mol Cancer Ther. 2010 Nov;9(11):3015-23.
2. Kitaura K, Araki Y, Marumo H. The therapeutic effect of nanaomycin A against experimental Trichophyton mentagrophytes infection in guinea pigs. Kitaura K, Araki Y, Marumo H.

Background

Nanaomycin A is a selective inhibitor of DNA methyltransferase 3B (DNMT3B) with IC₅₀ value of 500 nM [1].

In the biochemical in vitro methylation assay, Nanaomycin A showed selective inhibition of DNMT3B but not DNMT1 although it was docked to the catalytic domain of human DNMT1 in a multi-step docking approach. Nanaomycin A showed cell viability inhibition in HCT116, A549 and HL60 cells with IC₅₀ values of 400 nM, 4100 nM and 800 nM, respectively. It decreased the genomic methylation level of these cells significantly. Besides that, Nanaomycin A treatment resulted in demethylation of the RASSF1A promoter in A549 cells. The demethylation caused by Nanaomycin A reactivated the transcription and expression of a silenced tumor suppressor gene [1].

References:

- [1] Kuck D, Caulfield T, Lyko F, et al. Nanaomycin A selectively inhibits DNMT3B and reactivates silenced tumor suppressor genes in human cancer cells. Molecular cancer therapeutics, 2010, 9(11): 3015-3023.

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