Go6976

Cat. No.: HY-10183

CAS No.: 136194-77-9

Molecular Formula: $C_{24}H_{18}N_4O$

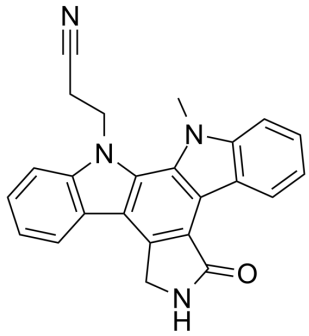
Molecular Weight: 378.43

Target: PKC; Influenza Virus

Pathway: Epigenetics; TGF-beta/Smad; Anti-infection

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (132.12 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6425 mL	13.2125 mL	26.4250 mL
	5 mM	0.5285 mL	2.6425 mL	5.2850 mL
	10 mM	0.2642 mL	1.3212 mL	2.6425 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3.25 mg/mL (8.59 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1.39 mg/mL (3.67 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.39 mg/mL (3.67 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Go6976 is a Protein Kinase C (PKC) inhibitor, with an IC_{50} of 20 nM.

IC_{50} & Target

IC50: 20 nM (PKC)[1].

In Vitro

Go6976 is a potent inhibitor of PKC in vitro (IC_{50} is 20 nM. This compound is structurally related to staurosporine, which is the most potent PKC inhibitor[1]. UCN-01 is originally identified as a PKC inhibitor. Surprisingly, Go6976 is found to abrogate S and G_2 arrest. Dose-response studies reveal that 30 nM Go6976 is sufficient to cause abrogation of S-phase arrest in 6 h and abrogation of G_2 arrest followed by lethal mitosis in 24 h. Incubation of cells with 100 nM Go6976 is sufficient to cause

complete abrogation of S and G_2 arrest at 6 and 24 h, respectively, which is only slightly less potent than in bovine serum. Incubation of cells with UCN-01 or Go6976 alone do not decrease viability compared with control at the concentrations used. Incubation of cells with 5 ng/mL SN38 result in cytostasis, and addition of 50 nM UCN-01 or 100 nM Go6976 to arrested MDA-MB-231 cells cause a dramatic decrease in viable cell number by 96 h[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]

Logarithmically growing cells are incubated with or without 5 ng/mL SN38 for 24 h and then incubated with or without 50 nM UCN-01 or 100 nM Go6976 for the following 24 h. MDA-MB-231 (500 cells) or MCF-10A (1000 cells) are plated in 100 µL in each well of a 96-well plate. The following day, drugs are added at the desired concentrations (Go6976: 1, 3, 10, 30, 100 nM) and with the required schedule to replicate wells (a minimum of 4 wells/concentration). Drugs are removed, and plates are rinsed and then incubated for an additional 6 days. Inhibition of growth was then assessed on the basis of DNA content. Briefly, the media are removed, and attached cells are washed in 0.25×PBS, followed by the addition of 100 µL of H_2O. Cells are lysed by freeze/thawing the plates. Hoechst 33258 is added in high-salt buffer, cells are incubated for 2 h, and fluorescence is measured[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Life Sci. 2023 Jun 30;121903.
- J Cell Mol Med. 2019 Apr;23(4):2731-2743.
- FEBS Lett. 2024 Feb;598(4):400-414.
- Cardiovasc Drugs Ther. 2021 Jul 28.

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REFERENCES

[1]. Qatsha KA, et al. Gö 6976, a selective inhibitor of protein kinase C, is a potent antagonist of human immunodeficiency virus 1 induction from latent/low-level-producing reservoir cells in vitro. Proc Natl Acad Sci U S A. 1993 May 15;90(10):4674-8.

[2]. Hayamitsu Adachi, et al. Microbial metabolites and derivatives targeted at inflammation and bone diseases therapy: chemistry, biological activity and pharmacology. The Journal of Antibiotics volume 71, pages 60–71 (2018).

[3]. Mahmoudian S, et al. Influenza A virus proteins PB1 and NS1 are subject to functionally important phosphorylation by protein kinase C. J Gen Virol. 2009;90(Pt 6):1392-1397.

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