Naphthofluorescein

Cat. No.: HY-124473

CAS No.: 61419-02-1

Molecular Formula: $C_{28}H_{16}O_5$

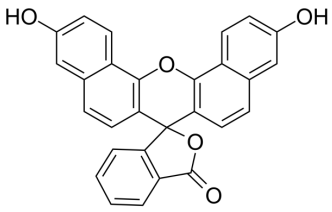
Molecular Weight: 432.42

Target: HIF/HIF Prolyl-Hydroxylase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (289.07 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3126 mL	11.5628 mL	23.1257 mL
	5 mM	0.4625 mL	2.3126 mL	4.6251 mL
	10 mM	0.2313 mL	1.1563 mL	2.3126 mL

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

BIOLOGICAL ACTIVITY

Description

Naphthofluorescein inhibits the interaction between HIF-1 and Mint3.Naphthofluorescein suppresses Mint3-dependent HIF-1 activity and glycolysis in cancer cells and macrophages without cytotoxicity in vitro and adverse effect in vivo[1]. Naphthofluorescein is also a fluorescent pH-sensitive probe that can be used for functional Cerenkov imaging[2].

IC_{50} & Target

HIF-1[1]

In Vitro

Naphthofluorescein (compound 19) (0-10 μM; 24 hours) suppresses the HIF-1 reporter activity in a concentration-dependent manner[1].

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

Cell Viability Assay[1]

Cell Line:	HT1080 cells
Concentration:	0-10 μM

Incubation Time:	24 hours
Result:	Significantly suppressed the HIF-1 reporter activity in a concentration-dependent manner.

In Vivo

Naphthofluorescein (compound 19) (100 mg/kg; i.p.; once daily for 5 consecutive days followed by 2 days off for 2 weeks)does not show weight loss or apparent histological abnormalities in the lung, liver, and kidney, or cause cause severe adverse effects for at least 2 weeks in mice[1].

Naphthofluorescein (compound 19) (100 mg/kg; i.p.; once daily for 5 consecutive days followed by 2 days off for 2 weeks) strikingly suppresses the tumour growth of subcutaneously injected E0771 cells and significantly attenuates tumour growth of MDA-MB-231 and AsPC-1 cells in immunodeficient mice. In turn, naphthofluorescein does not attenuate tumour growth of FIH-1-depleted MDA-MB-231 cells[1].

Naphthofluorescein (compound 19) (100 mg/kg; i.p.; once daily for 5 consecutive days followed by 2 days off for 2 weeks) suppresses tumour growth in human cancer cells in an FIH-1-dependent manner[1].

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

Animal Model:	Male C57BL/6J mice (8 weeks)[1]
Dosage:	100 mg/kg
Administration:	i.p.; once daily for 5 consecutive days followed by 2 days off for 2 weeks
Result:	Neither showed weight loss or apparent histological abnormalities in the lung, liver, and kidney, or caused cause severe adverse effects for at least 2 weeks in mice.

Animal Model:	Female C57BL/6J mice (E0771) and BALB/c nude mice (HT1080, MDA-MB-231, and AsPC-1) (6 weeks)[1]
Dosage:	100 mg/kg
Administration:	i.p.; once daily for 5 consecutive days followed by 2 days off for 2 weeks
Result:	Strikingly suppressed the tumour growth of subcutaneously injected E0771 cells and significantly attenuated tumour growth of MDA-MB-231 and AsPC-1 cells in immunodeficient mice. In turn, naphthofluorescein did not attenuate tumour growth of FIH-1-depleted MDA-MB-231 cells.

REFERENCES

[1]. Sakamoto T, et al. Pharmacological inhibition of Mint3 attenuates tumour growth, metastasis, and endotoxic shock. Commun Biol. 2021;4(1):1165. Published 2021 Oct 7.

[2]. Arroyo AD, et al. Development of fluorinated naphthofluoresceins for Cerenkov imaging. J Fluor Chem. 2019;225:27-34.

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