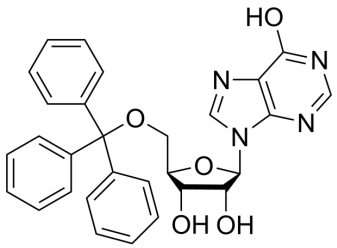
KIN59

Cat. No.:	HY-102071
CAS No.:	4152-77-6
Molecular Formula:	$C_{29}H_{26}N_4O_5$
Molecular Weight:	510.54
Target:	Nucleoside Antimetabolite/Analog
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

KIN59 (5'-O-Tritylinosine) is a potent thymidine phosphorylase allosteric inhibitor. KIN59 inhibits FGF2-stimulated cell growth. KIN59 inhibits the expression of p-FGFR1, P-Akt in FGF2 (10 ng/mL) stimulated cells. KIN59 shows anti-tumor activity [1].

In Vitro

KIN59 (0-100 μM; 24 h) inhibits GM7373 cell proliferative with IC_{50} values of 5.8, 63 μM for FGF2 (30 ng/mL) and PBS (10%) stimulated, respectively[1].

KIN59 (60 μM; 30 min) inhibits the expression of p-FGFR1, P-Akt in FGF2 (10 ng/mL) stimited FGFR1-overexpressing GM7373-FGFR1 cells[1].

KIN59 inhibits recombinant bacterial (E. coli) and human thymidine phosphorylase (TPase) with IC_{50} values of 44 μM and 67 μM, respectively[2].

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

Cell Proliferation Assay[1]

Cell Line:	GM7373 cells
Concentration:	0-100 μM
Incubation Time:	24 h
Result:	Inhibited FGF2 (30 ng/mL)-induced proliferation of bovine macrovascular endothelial GM7373 cells in a dose-dependent manner with IC_{50} values of 5.8, 63 μM for FGF2 and PBS, respectively.

Western Blot Analysis[1]

Cell Line:	GM7373-FGFR1, GM7373-VEGFR2 cells
Concentration:	60 μM
Incubation Time:	30 min
Result:	Inhibited FGFR1 phosphorylation and Akt activation triggered by FGF2 in FGFR1-overexpressing GM7373-FGFR1 cells, showed minor inhibits on VEGF-mediated VEGFR2 phosphorylation and Akt activation in GM7373 cells overexpressing VEGFR2.

In Vivo

KIN59 (15 mg/kg; s.c.; twice daily from day 2 for 20 days) shows anti-tumor activity in mice[1].

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Animal Model:	Eight-week-old female, 25 g, athymic, nude nu/nu mice (F2T-luc2.9 cellss)[1]
Dosage:	15 mg/kg
Administration:	S.c.; twice daily from day 2 (once daily during the weekend) at a site distant from the tumor (inoculation) site for 20 days
Result:	Caused a significant inhibition in the rate of tumor growth.

REFERENCES

[1]. Liekens S, et al. The thymidine phosphorylase inhibitor 5'-O-tritylinosine (KIN59) is an antiangiogenic multitarget fibroblast growth factor-2 antagonist. Mol Cancer Ther. 2012 Apr;11(4):817-29.

[2]. Liekens S, et al. Thymidine phosphorylase is noncompetitively inhibited by 5'-O-trityl-inosine (KIN59) and related compounds. Nucleosides Nucleotides Nucleic Acids. 2006;25(9-11):975-80.

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