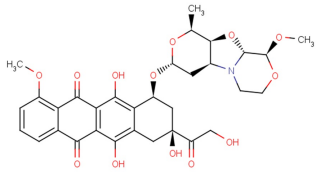
Data Sheet (Cat.No.T16557)

TargetMol
A DRUG SCREENING EXPERT

PNU-159682

Chemical Properties



CAS No.:	202350-68-3
Formula:	C32H35NO13
Molecular Weight:	641.62
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	PNU-159682 is a highly effective metabolite of the anthracycline nemorubicin. PNU-159682 has outstanding cytotoxicity. PNU-159682 is an effective ADCs cytotoxin.
Targets(IC_{50})	Daunorubicins/Doxorubicins: None
In vitro	PNU-159682 inhibits a panel of human tumor cell lines (IC70 values in the range of 0.07-0.58 nM). PNU-159682 is 2,360- to 790-fold and 6,420- to 2,100-fold more potent than MMDX and doxorubicin, respectively. PNU-159682 displays cytotoxic effect on CAIX-expressing SKRC-52 cells (IC50: 25 nM). PNU-159682 (10 μM)-DNA adducts contain one or two drug molecules bound to double-stranded DNA. PNU-159682 (100 μM) weakly inhibits topoisomerase II unknotting activity [1][2][3].
In vivo	PNU-159682 (25 nmol/kg) shows an effective antitumor effect in mice bearing SKRC-52 xenografted tumors. PNU-159682 (15 μg/kg, i.v.) displays antitumor activity in mice bearing disseminated murine L1210 leukemia and in MX-1 human mammary carcinoma xenografts at 4 μg/kg[1][3].

Solubility Information

Solubility	DMSO: 100 mg/mL (155.86 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.559 mL	7.793 mL	15.586 mL
5 mM	0.312 mL	1.559 mL	3.117 mL
10 mM	0.156 mL	0.779 mL	1.559 mL
50 mM	0.031 mL	0.156 mL	0.312 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Quintieri L, et al. Formation and antitumor activity of PNU-159682, a major metabolite of nemorubicin in human liver microsomes. Clin Cancer Res. 2005 Feb 15;11(4):1608-17.

2. Cazzamalli S, et al. Acetazolamide Serves as Selective Delivery Vehicle for Dipeptide-Linked Drugs to Renal Cell Carcinoma. Mol Cancer Ther. 2016 Dec;15(12):2926-2935.

3. Scalabrin M, et al. Virtual Cross-Linking of the Active Nemorubicin Metabolite PNU-159682 to Double-Stranded DNA. Chem Res Toxicol. 2017 Feb 20;30(2):614-624.

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