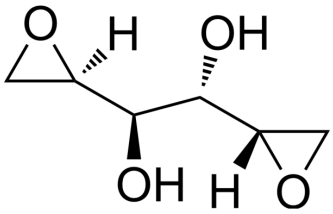
VAL-083

Cat. No.:	HY-16513
CAS No.:	23261-20-3
Molecular Formula:	$C_6H_{10}O_4$
Molecular Weight:	146.14
Target:	DNA Alkylator/Crosslinker
Pathway:	Cell Cycle/DNA Damage
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (1368.55 mM; ultrasonic and warming and heat to 60°C)
DMF : ≥ 100 mg/mL (684.28 mM)
H_2O : 50 mg/mL (342.14 mM; Need ultrasonic)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	6.8428 mL	34.2138 mL	68.4275 mL
	5 mM	1.3686 mL	6.8428 mL	13.6855 mL
	10 mM	0.6843 mL	3.4214 mL	6.8428 mL

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

BIOLOGICAL ACTIVITY

Description

VAL-083 is an alkylating agent that creates N7 methylation on DNA, with antitumor activity.

IC_{50} & Target

DNA Alkylator[1]

In Vitro

VAL-083 is an alkylating agent that creates N7 methylation on DNA. VAL-083 suppresses U251 and SF188 cell growth and induces apoptosis after 72 h. VAL-083 (5 μM) inhibits the growth of SF188 by ⊠95%. VAL-083 inhibits T98G cells growth in a dose-dependent manner (IC_{50} <5 μM)[1]. VAL-083 (Dianhydrogalactitol) inhibits the proliferation of HUVEC and U251 cells at doses of more than 12.5 μg/mL. VAL-083 (3.125, 6.25, 12.5 μg/mL) also suppresses the migration and invasion, and reduces MMP2, VEGF, VEGFR2, and FGF2 expression in HUVEC and U251 cells[2]. VAL-083 (1,2:5,6-dianhydrogalactitol, 1, 2, 5 μM) dose-dependently induces cell cycle arrest at G2/M phase in the 3 glioma cell lines. VAL-083 activates two parallel signaling cascades, the p53-p21 and the CDC25C-CDK1 cascade. In addition, VAL-083 significantly enhances the radiosensitivity of LN229 cells[3].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	VAL-083 (Dianhydrogalactitol; 25, 50, 100 μg/mL) dose-dependently inhibits angiogenesis in zebrafish model. VAL-083 considerably reduces VEGF, VEGFR2, and FGF2 expression at 25 μg/mL, and further causes reduction in FGFR2 expression at 50 μg/mL[2]. VAL-083 (1,2:5,6-dianhydrogalactitol; 5 mg/kg, iv, twice per week for 6 weeks) significantly blocks the growth of LN229 cells in mice with the relative tumor growth rate (T/C) of 22.38%, and the tumor growth inhibitory rate (TGI) of 83.58%. Moreover, VAL-083 dramatically activates the CDC25C-CDK1 cascade in the xenografted tumor moedl[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	The effects of VAL-083 in HUVEC and U251 cellHUVEC and U251 cell proliferation are measured by the CCK8 assay. Cells are seeded into 96-well plates at a destiny of 1×10^4 cells per well. After overnight incubation, cell attachment is followed by the addition of VAL-083 in various concentrations for 24 h; then 10 μL CCK8 is added to each well and incubated at 37°C for 2 h. Optical density is measured at 450 nm[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Mice[3] LN229 cells are suspended in MEM, and 2×10^6 cells per mouse are subcutaneously injected into the flank of BALB/c nude mice at 6-8 weeks old. The tumor volume is calculated as follows: $0.5 \times L \times W^2$. Tumor-bearing mice are divided into two groups (n = 8) with similar average volumes (vehicle: 108 ± 4 mm^3 vs VAL-083: 107 ± 4 mm^3). Then, both groups undergo the following treatment: The VAL-083 treatment group receives VAL-083 at 5 mg/kg or 10 μL/g, iv, twice per week for 6 weeks. The vehicle group receives saline at 10 μL/g, iv, three times per week for 6 weeks. Tumor volumes are measured twice per week[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- ACS Med Chem Lett. 2015 Jun 22;6(8):948-52.

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REFERENCES

[1]. Kaiji Hu, et al. Abstract 811: VAL083, a novel N7 alkylating agent, surpasses NSC 362856 activity and inhibits cancer stem cells providing a new potential treatment option for glioblastoma multiforme. Cancer Research. 2012 Mar 31-Apr 4.

[2]. Jiang X, et al. Dianhydrogalactitol, a potential multitarget agent, inhibits glioblastoma migration, invasion, and angiogenesis. Biomed Pharmacother. 2017 Jul;91:1065-1074.

[3]. Peng C, et al. 1,2:5,6-dianhydrogalactitol inhibits human glioma cell growth in vivo and in vitro by arresting the cell cycle at G2/M phase. Acta Pharmacol Sin. 2017 Apr;38(4):561-570.

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