Product Data Sheet

Product Name: VAL-083
Cat. No.: GC10339

Chemical Properties

Cas. No.	23261-20-3		
Chemical Name	(1S,2R)-1-[(2S)-oxiran-2-yl]-2-[(2R)-oxiran-2-yl]ethane-1,2-diol		
SMILES	C1C(O1)C(C(C2CO2)O)O		
Formula	$C_6H_{10}O_4$	M.Wt	146.14
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	VAL-083		

Protocol

Cell experiment:

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 × 104 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].

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Animal experiment:

Mice[3]LN229 cells are suspended in MEM, and 2 × 106 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×L×W2. Tumor-bearing mice are divided into two groups (n = 8) with similar average volumes (vehicle: 108 ± 4 mm3 vs VAL-083: 107 ± 4 mm3). 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].

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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.

Background

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

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 (IC50 <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].

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].

Reference:
[1]. Kaiji Hu, et al. Abstract 811: VAL083, a novel N7 alkylating agent, surpasses temozolomide 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.
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