Palifosfamide

Cat. No.: HY-14798

CAS No.: 31645-39-3

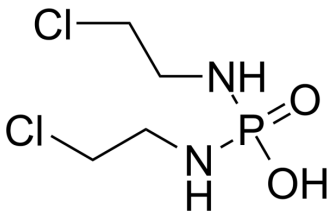
Molecular Formula: $C_4H_{11}Cl_2N_2O_2P$

Molecular Weight: 221.02

Target: DNA Alkylator/Crosslinker; Drug Metabolite

Pathway: Cell Cycle/DNA Damage; Metabolic Enzyme/Protease

Storage: -20°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 40 mg/mL (180.98 mM; Need ultrasonic)
H_2O : 14.29 mg/mL (64.65 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.5245 mL	22.6224 mL	45.2448 mL
	5 mM	0.9049 mL	4.5245 mL	9.0490 mL
	10 mM	0.4524 mL	2.2622 mL	4.5245 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 10 mg/mL (45.24 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 3 mg/mL (13.57 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 3 mg/mL (13.57 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 3 mg/mL (13.57 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Palifosfamide is a novel DNA alkylator and the active metabolite of ifosfamide, with antitumor activity.

In Vitro

Palifosfamide lysine (ZIO-201) is a stable form of palifosfamide. Palifosfamide lysine has broad activity in sarcoma lines in vitro. The IC_{50} ranges from 2.25 ro 6.75 μM for most cell lines except OS222 (IC_{50}=31.5 μM)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Tumor growth inhibition is seen in both OS31 and OS33 xenografts and the RMS xenograft resulting in a significant difference in event-free survival between the control and the treated groups. Differential gene expression of ALDH3A1 but not ALDH1A1 is noted in the OS31 xenograft[1]. Stabilized palifosfamide administered to mice suppresses MX-1 tumor growth by greater than 80% with 17% complete antitumor responses. Oral bioavailability in rats is 48-73% of parenteral administration, and antitumor activity in mice is equivalent by both routes. Treatment with palifosfamide-tris combined with docetaxelor doxorubicin at optimal regimens results in complete tumor regression in 62-75% of mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Palifosfamide is dissolved in phosphate buffered saline (PBS). Cells are plated in 96-well microtiter plates with approximately 500 cells per well in 100 µL of media. After 24 h of incubation at 37°C, cells are treated with increasing concentrations of palifosfamide lysine in separate plates either as a single-day treatment or three consecutive days of treatment, with fresh drug being added each day. The plates are incubated for 72 h at 37°C with 5% CO_2. After 72 h, 250 µg of MTT is added to each well and incubated at 37°C for 6 h. MTT is converted to formazine crystals by mitochondria of viable cells, which are then dissolved in 100 µL of dimethyl sulfoxide. Optical density is measured at 595 nm[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice: CB17 female SCID mice are used in the study. Once the tumors reached 50–150 mm^3, mice are randomized into control and treatment groups (5-8 mice/group) for each tumor line. Cyclophosphamide is administered at the dose of 150 mg/kg intraperitoneally once a week for 6 weeks. Palifosfamide lysine is administered intravenously at the maximum tolerated dose of 100 mg/kg for three consecutive days as a one-time treatment and serial tumor volumes are determined over the next 6 weeks. Mice are sacrificed at the end of the experiment[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Acta Pharmacol Sin. 2021 Jan;42(1):108-114.
- J Mol Med (Berl). 2019 Aug;97(8):1183-1193.

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REFERENCES

[1]. Hingorani P, et al. Preclinical activity of palifosfamide lysine (ZIO-201) in pediatric sarcomas including oxazaphosphorine-resistant osteosarcoma. Cancer Chemother Pharmacol. 2009 Sep;64(4):733-40.

[2]. Jones B, et al. Anticancer activity of stabilized palifosfamide in vivo: schedule effects, oral bioavailability, and enhanced activity with docetaxel and doxorubicin. Anticancer Drugs. 2012 Feb;23(2):173-84.

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