Thio-TEPA

Cat. No.: HY-17574

CAS No.: 52-24-4

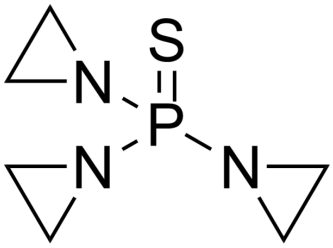
Molecular Formula: $C_6H_{12}N_3PS$

Molecular Weight: 189.22

Target: DNA Alkylator/Crosslinker; Bacterial; Antibiotic

Pathway: Cell Cycle/DNA Damage; Anti-infection

Storage: 4°C, protect from light
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (264.24 mM)
H_2O : < 0.1 mg/mL (insoluble)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	5.2849 mL	26.4243 mL	52.8485 mL
5 mM	1.0570 mL	5.2849 mL	10.5697 mL
10 mM	0.5285 mL	2.6424 mL	5.2849 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (13.21 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (13.21 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (13.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Thio-TEPA is a DNA alkylating agent, with antitumor activity.

IC_{50} & Target

DNA Alkylator[1]

In Vitro

Thio-TEPA exhibits alkylating activity in rat liver slice incubation. Thio-TEPA does not affect the viability of rat liver slices, and is not accumulated in the slices at all doses of 2, 5, 10 mM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	Thio-TEPA (20 mg/kg, i.p.) with total body irradiation (TBI) enhances donor-type blood chimerism during the first 10 weeks but is not dramatically higher than that of TBI group alone. Thio-TEPA alone improves both short- and long-term engraftment in mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	The effect of Thio-TEPA on slice viability is studied by the determination of intracellular potassium (K^+) leakage. Intracellular K^+ is measured by flame photometry and is expressed in relation to the DNA content as measured using fluorometry. Thio-TEPA is added at concentrations of 1, 2, 5, and 10 mM and slices are incubated for 6, 12, and 24 h. The results are compared with the values found for drug-free controls. Thio-TEPA in medium and slices is measured following 3, 6, and 9 h incubation at the three highest concentrations. Krebs-HEPES buffer is used as the slicing buffer and Waymouth's MB 752/1 medium supplemented with 10 μg gentamycin is used as the incubation medium[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Mice[2] Male C57BL/6JIco mice, 19 weeks old, 25 to 30 g, are used as recipients. Congenic C57BL/6J-Gpi-1^a/Gpi-1^a (B6-Gpi-1^a) and BALB.B10LiLa (Gpi-1^a) mice are used as a source of syngeneic and allogeneic donor bone marrow, respectively. The latter combination is H-2-compatible (both H-2^b), but mismatched on a number of minor histocompatibility loci. Thio-TEPA is dissolved in PBS and injected i.p. at a single bolus of 20 mg/kg. Preliminary pilot experiments have established that this approximated to the maximal tolerated dose when higher doses result in lethal gastrointestinal toxicity. Cyclophosphamide (CY) is dissolved in PBS and administered i.p. at a single dose of 200 mg/kg. Total body irradiation is delivered using a ^{137}Cs γ-irradiation unit at a dose rate of 87 cGy/min to a dose of 5 Gy. After Thio-TEPA treatment the mice are maintained on neomycin (3.5 g/L sterile drinking water) for 2 weeks to minimize the possible problems of endotoxemia via gut toxicity[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Hagen B, et al. Metabolism and alkylating activity of thio-TEPA in rat liver slice incubation. Cancer Chemother Pharmacol. 1991;28(6):441-7.

[2]. Down JD, et al. Thiotepa improves allogeneic bone marrow engraftment without enhancing stem cell depletion in irradiated mice. Bone Marrow Transplant. 1998 Feb;21(4):327-30.

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