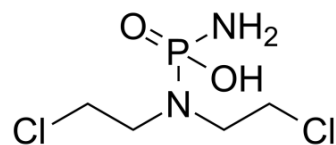


Phosphoramidate mustard

Cat. No.:	HY-137316
CAS No.:	10159-53-2
Molecular Formula:	C ₄ H ₁₁ Cl ₂ N ₂ O ₂ P
Molecular Weight:	221.02
Target:	DNA Alkylator/Crosslinker; Drug Metabolite
Pathway:	Cell Cycle/DNA Damage; Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Phosphoramidate mustard is a biologically active metabolite of Cyclophosphamide (HY-17420), with anticancer activity. Phosphoramidate mustard induces DNA damage ^{[1][2]} .																
IC₅₀ & Target	DNA Alkylator ^[1]																
In Vitro	<p>Phosphoramidate mustard causes cytotoxicity through forming cross-linked DNA adducts which inhibit DNA strand separation during replication^[1].</p> <p>Phosphoramidate mustard (3-6 μM; 48 hours) reduces cell viability in rat spontaneously immortalized granulosa cells (SIGCs)^[1].</p> <p>Phosphoramidate mustard (3-6 μM; 24-48 hours) induces DNA adduct formation and ovarian DNA damage^[1].</p> <p>Phosphoramidate mustard (3-6 μM; 24-48 hours) increases DNA damage responses (DDR) gene mRNA expression levels and DDR proteins^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>SIGCs</td> </tr> <tr> <td>Concentration:</td> <td>0.5 μM, 1 μM, 3 μM, 6 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>48 hours</td> </tr> <tr> <td>Result:</td> <td>Reduced cell viability at concentrations of 3 μM and higher.</td> </tr> </table> <p>RT-PCR^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>SIGCs</td> </tr> <tr> <td>Concentration:</td> <td>3 μM, 6 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours, 48 hours</td> </tr> <tr> <td>Result:</td> <td>Increased DDR gene mRNA expression levels.</td> </tr> </table> <p>Western Blot Analysis^[1]</p>	Cell Line:	SIGCs	Concentration:	0.5 μM, 1 μM, 3 μM, 6 μM	Incubation Time:	48 hours	Result:	Reduced cell viability at concentrations of 3 μM and higher.	Cell Line:	SIGCs	Concentration:	3 μM, 6 μM	Incubation Time:	24 hours, 48 hours	Result:	Increased DDR gene mRNA expression levels.
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	Cell Line:	SIGCs
	Concentration:	3 μ M, 6 μ M
	Incubation Time:	24 hours, 48 hours
	Result:	Generally increased DDR proteins.
In Vivo	Phosphoramidate mustard (2.1-20.7 mg/kg; i.p.; daily; for 5 days) inhibits subcutaneous tumor growth in rats ^[2] . Phosphoramidate mustard exhibits terminal elimination half-lives (rat 15.1 min) following intravenous administration (rat 59.4 mg/kg) ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	Rat, subcutaneously implanted Walker 256 carcinosarcoma tumor ^[2]
	Dosage:	2.1 mg/kg, 4.8 mg/kg, 10.4 mg/kg, 20.7 mg/kg
	Administration:	Intraperitoneal injection, once daily, for 5 consecutive days
	Result:	Required to produce 50% inhibition of subcutaneous tumor growth with dose of 12 mg/kg.
	Animal Model:	Rats ^[2]
	Dosage:	59.4 mg/kg (Pharmacokinetic Analysis)
	Administration:	Intravenous injection
	Result:	T _{1/2} (15.1 min).

REFERENCES

[1]. Shanthi Ganesan, et al. Phosphoramidate mustard exposure induces DNA adduct formation and the DNA damage repair response in rat ovarian granulosa cells. *Toxicol Appl Pharmacol.* 2015 Feb 1; 282(3): 252–258.

[2]. S Genka, et al. Brain and plasma pharmacokinetics and anticancer activities of cyclophosphamide and phosphoramidate mustard in the rat. *Cancer Chemother Pharmacol.* 1990;27(1):1-7.

Caution: Product has not been fully validated for medical applications. For research use only.

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