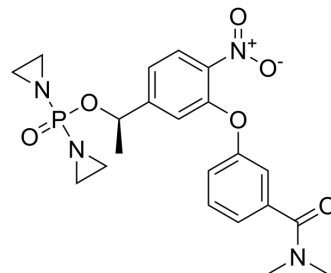


OBI-3424

Cat. No.:	HY-124573
CAS No.:	2097713-68-1
Molecular Formula:	C ₂₁ H ₂₅ N ₄ O ₆ P
Molecular Weight:	460.42
Target:	DNA Alkylator/Crosslinker
Pathway:	Cell Cycle/DNA Damage
Storage:	-20°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 (108.60 mM; Need ultrasonic)						
	Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg	
				1 mM	2.1719 mL	10.8596 mL	21.7193 mL
				5 mM	0.4344 mL	2.1719 mL	4.3439 mL
				10 mM	0.2172 mL	1.0860 mL	2.1719 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: ≥ 5 mg/mL (10.86 mM); Clear solution						
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution						
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.43 mM); Clear solution						

BIOLOGICAL ACTIVITY

Description	OBI-3424 (TH-3424) is a proagent that is selectively converted by AKR1C3 (aldo-keto reductase 1C3) to a potent DNA-alkylating agent. OBI-3424 can be used for hepatocellular carcinoma, castrate-resistant prostate cancer, and acute lymphoblastic leukemia (ALL) research ^[1] .
In Vitro	OBI-3424 exerts potent cytotoxicity against the H460 lung cancer cell line (IC ₅₀ of 4.0 nM). OBI-3424 exhibits potent cytotoxicity, in particular against cell lines derived from T-ALL with high AKR1C3 expression, with IC ₅₀ values in the low nM range ^[1] . OBI-3424 also exerts potent cell killing against ALL PDXs, and the median IC ₅₀ values were 60.3 nM for B-ALL, 9.7 nM for T-ALL and 31.5 nM for ETP-ALL ^[1] .

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

OBI-3424 (0.5-2.5 mg/kg; i.p.; once weekly; for 3 weeks) treatment induces regressions in PDXs mice and results in prolongation of mouse event-free survival^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female NSG mice (20-25 g) bearing patient-derived xenografts (PDX) ^[1]
Dosage:	0.5 mg/kg, 1 mg/kg, 2.5 mg/kg
Administration:	Intraperitoneal injection; once weekly; for 3 weeks
Result:	Resulted in prolongation of mouse event-free survival.

REFERENCES

[1]. Kathryn Evans, et al. OBI-3424, a Novel AKR1C3-Activated Prodrug, Exhibits Potent Efficacy against Preclinical Models of T-ALL. Clin Cancer Res. 2019 Jul 15;25(14):4493-4503.

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

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