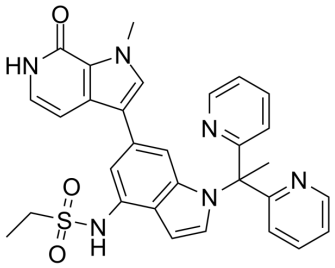
XP-524

Cat. No.:	HY-147008
CAS No.:	2344825-52-9
Molecular Formula:	$C_{30}H_{28}N_6O_3S$
Molecular Weight:	552.65
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (60.31 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8095 mL	9.0473 mL	18.0946 mL
	5 mM	0.3619 mL	1.8095 mL	3.6189 mL
	10 mM	0.1809 mL	0.9047 mL	1.8095 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 (4.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.52 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

XP-524 is a potent BET and EP300 inhibitor. XP-524 shows great tumoricidal activity in vivo. XP-524 prevents KRAS-induced, neoplastic transformation in vivo and extends survival in two transgenic mouse models of aggressive PDAC. XP-524 also enhances the presentation of self-peptide and tumor recruitment of cytotoxic T lymphocytes. XP-524 has the potential for the research of pancreatic ductal adenocarcinoma (PDAC)[1].

In Vivo

XP-524 (5 mg/kg; i.p.; daily for 150 days) extends survival and inhibits KRAS signaling in uurinePDAC[1].
XP-524 (5 mg/kg; i.p.; daily for 250 days) Cooperates with PD-1 inhibition to further extends survivalin KPC Mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	15 weeks KPC mice[1]
Dosage:	5 mg/kg
Administration:	I.p., daily for 150 days
Result:	Significantly delayed mortality in KPC mice, extending median survival from 43- to 108-d postenrollment and reduced ERK activation, with parallel reductions in cell prolif-eration and uniform increases in apoptosis.

Animal Model:	15 weeks KPC mice[1]
Dosage:	5 mg/kg
Administration:	I.p.; daily (200-μg dose of anti–PD-1 every other day) for 250 days
Result:	Increased in cell-mediated cytotoxicity andreduction in T cell exhaustion, the combination of XP-524 andanti–PD-1 enhanced expression of the surrogate marker of cyto-toxicity perforin-1 in tumor-infiltrating CD8+T cell.

REFERENCES

[1]. Principe DR, et al. XP-524 is a dual-BET/EP300 inhibitor that represses oncogenic KRAS and potentiates immune checkpoint inhibition in pancreatic cancer. Proc Natl Acad Sci U S A. 2022 Jan 25;119(4):e2116764119.

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