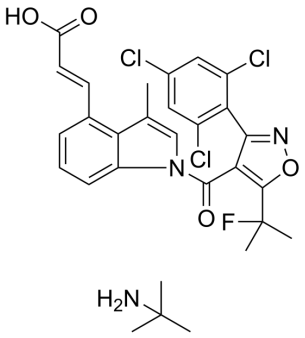
DS-1001b



Cat. No.:	HY-129545
CAS No.:	1898207-64-1
Molecular Formula:	$C_{29}H_{29}Cl_3FN_3O_4$
Molecular Weight:	608.92
Target:	Isocitrate Dehydrogenase (IDH)
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : 29 mg/mL (47.63 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6423 mL	8.2113 mL	16.4225 mL
	5 mM	0.3285 mL	1.6423 mL	3.2845 mL
	10 mM	0.1642 mL	0.8211 mL	1.6423 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: ≥ 2.42 mg/mL (3.97 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.42 mg/mL (3.97 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DS-1001b is an orally active, blood-brain permeable, potent IDH-1 (isocitrate dehydrogenase-1) mutant inhibitor. DS-1001b has antitumor activity[1][2][3].

IC_{50} & Target

IDH-1[1]

In Vitro

DS-1001b (0.1-10 μM, 14 days) inhibits the proliferation of IDH1-mutated chondrosarcoma cells[2].

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

Cell Proliferation Assay[2]

Cell Line:	JJ012, L835
Concentration:	0.1, 1, 10 μM
Incubation Time:	14 days
Result:	Inhibited cell proliferation in a dose-dependent manner. GI_{50} values for JJ012, L835 are 81 and 77 nM.

RT-PCR[2]

Cell Line:	L835
Concentration:	10 μM
Incubation Time:	6 weeks
Result:	Upregulated the expression of SOX9, RUNX2, COL2A1, COL10A1 and ACAN.

Cell Cycle Analysis[2]

Cell Line:	JJ012
Concentration:	1 μM
Incubation Time:	7 days
Result:	Induced G1 phase arrest. Increased the percentage of cells in the G0/G1 phase by 5.4% and decreased that of cells in the S phase by 4.4%.

In Vivo

DS-1001b (continuous feeding of DS-1001b mixed sterile pellet food from 3 weeks after transplantation) can damage the growth of subcutaneous tumor in JJ012 xenografted mice[2].

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

CUSTOMER VALIDATION

- Nat Commun. 2022 Aug 15;13(1):4785.

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REFERENCES

[1]. Nakagawa M, et al. Selective inhibition of mutant IDH1 by DS-1001b ameliorates aberrant histone modifications and impairs tumor activity in chondrosarcoma. Oncogene. 2019 Oct;38(42):6835-6849.

[2]. Matsunaga H, et al. Characterization of a novel BBB-permeable mutant IDH1 inhibitor, DS-1001b. Annals of Oncology, 2019, 30: v145-v146.

[3]. Zhao Yizhaiteng, et al. Isoxazole derivative as mutated isocitrate dehydrogenase 1 inhibitor. WO2016052697A1.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA