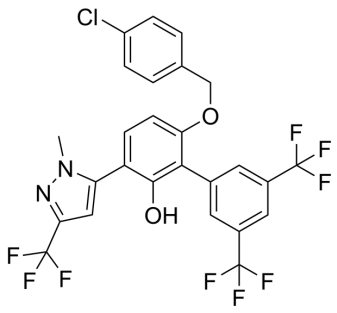
MYCi361

Cat. No.:	HY-129600
CAS No.:	2289690-31-7
Molecular Formula:	$C_{26}H_{16}ClF_9N_2O_2$
Molecular Weight:	594.86
Target:	c-Myc
Pathway:	Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (168.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6811 mL	8.4053 mL	16.8107 mL
	5 mM	0.3362 mL	1.6811 mL	3.3621 mL
	10 mM	0.1681 mL	0.8405 mL	1.6811 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MYCi361 (NUCC-0196361) is a MYC inhibitor with the K_d of 3.2 μM for binding to MYC. MYCi361 (NUCC-0196361) suppresses tumor growth and enhances anti-PD1 immunotherapy[1].

In Vitro

MYCi361 inhibits the viability of MYC-dependent cancer cells including prostate cancer (MycCaP, LNCaP, and PC3), leukemia (MV4-11), lymphoma (HL-60 and P493-6), and neuroblastoma (SK-N-B2) with low-micromolar IC_{50} values[1].

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

Cell Proliferation Assay[1]

Cell Line:	The prostate cancer (MycCaP, LNCaP, and PC3), leukemia (MV4-11), lymphoma (HL-60 and P493-6), and neuroblastoma (SK-N-B2).
Concentration:	1.4-5.0 μM

Incubation Time:	5 days
Result:	IC_{50}s of 2.9, 1.4, 1.6, 2.6, 5.0, 2.1, and 4.9 μM for prostate cancer (MycCaP, LNCaP, and PC3), leukemia (MV4-11), lymphoma (HL-60 and P493-6), and neuroblastoma (SK-N-B2), respectively.

In Vivo

MYCi361 inhibits MYC-dependent tumor growth in vivo. MYCi361 treatment (100 mg/kg/day for 2 days; then 70 mg/kg/day for 9 days) induces tumor regression in FVB or NSG male mice[1].

?MYCi361 has moderate terminal elimination half-life of 44 and 20 h for intraperitoneal (i.p.) or oral (p.o.) dosing in mice, respectively[1].

?MYCi361 suppresses tumor growth in mice, increases tumor immune cell infiltration, upregulates PD-L1 on tumors, and sensitizes tumors to anti-PD1 immunotherapy. However, MYCi361 demonstrates a narrow therapeutic index. An improved analog, MYCi975 shows better tolerability[1].

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

Animal Model:	FVB or NSG male mice of 6-8 weeks of age and 25 g bearing established MycCaP tumors[1]
Dosage:	50 mg/kg and 70 mg/kg
Administration:	Treatment i.p. initially at 50 mg/kg twice daily for 2 days, then 70 mg/kg/day for 9 days
Result:	Induced tumor regression.

Animal Model:	C57BL/6 mice[1]
Dosage:	50 mg/kg (Pharmacokinetic analysis)
Administration:	Treated p.o. or i.p.; 24 hours
Result:	Intraperitoneal (i.p.) or oral (p.o.) dosing in mice indicated plasma half-lives of 44 and 20 h, respectively, with maximum plasma concentrations (C_{max}) of 27,200 ng/mL (46 μM) i.p. and 13,867 ng/mL (23 μM) p.o..

CUSTOMER VALIDATION

- Cell Mol Immunol. 2022 Sep;19(9):1030-1041.

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REFERENCES

[1]. Han H, et al. Small-Molecule MYC Inhibitors Suppress Tumor Growth and Enhance Immunotherapy. Cancer Cell. 2019 Nov 11;36(5):483-497.e15.

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