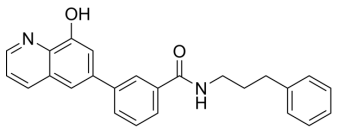
NCGC00244536

Cat. No.:	HY-101799
CAS No.:	2003260-55-5
Molecular Formula:	$C_{25}H_{22}N_2O_2$
Molecular Weight:	382.45
Target:	Histone Demethylase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 36.67 mg/mL (95.88 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6147 mL	13.0736 mL	26.1472 mL
	5 mM	0.5229 mL	2.6147 mL	5.2294 mL
	10 mM	0.2615 mL	1.3074 mL	2.6147 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: 2.75 mg/mL (7.19 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

NCGC00244536 is a potent KDM4B inhibitor with an IC_{50} of 10 nM.

IC_{50} & Target

KDM4

In Vitro

NCGC00244536 displays high selectivity for the fast-growing AR-negative PC3 cells (IC_{50}=40 nM) and over 100-fold selectivity against the immortalized prostate epithelial cell lines PrEC1 and PrEC4. NCGC00244536 effectively inhibits AR-positive cell lines, including LNCaP and VCaP, with IC_{50}s in the sub-micromolar range, and abolishes androgen-stimulated LNCaP cell growth. NCGC00244536 is also potent in inhibiting the growth of other cancer cell lines, including the breast cancer cell lines MDA-MB2 and MCF-7, with micromolar IC_{50}s[1].

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

In Vivo

Treatment with NCGC00244536 results in significant inhibition of tumor growth and animals do not exhibit any major

	toxicity and appear to be normal. Histological data clearly indicate that NCGC00244536-treated tumors have significant amount of cell apoptosis, necrosis, and fibrosis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	LNCaP cells are treated with 0.1, 0.2, 1, 2.5, 5, 20 μM of NCGC00244536. Cell viability is measured using the MTT assay[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mouse: For xenograft animal model, PC3 cell suspension is injected into 4-6 weeks old severe combined immunodeficient (SCID) mice. When tumors become palpable, animals are randomly grouped for drug treatment. Alzet osmotic minipump containing NCGC00244536 (20 mg/kg)is subcutaneously inserted into each animal, which allowed continuous drug delivery to the tumor site for up to 5 days. Tumor volume is recorded every other days and calculated by using the ellipsoid formula [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Acta Pharmacol Sin. 2021 Apr 13.

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REFERENCES

[1]. Duan L, et al. KDM4/JMJD2 Histone Demethylase Inhibitors Block Prostate Tumor Growth by Suppressing the Expression of AR and BMYB-Regulated Genes. Chem Biol. 2015 Sep 17;22(9):1185-96.

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