MI-136

Cat. No.: HY-19319

CAS No.: 1628316-74-4

Molecular Formula: $C_{23}H_{21}F_3N_6S$

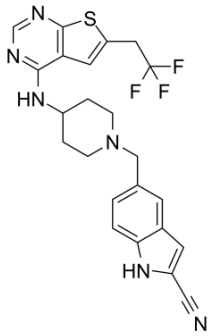
Molecular Weight: 470.51

Target: Epigenetic Reader Domain; Androgen Receptor; Apoptosis

Pathway: Epigenetics; Others; 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 : 110 mg/mL (233.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1254 mL	10.6268 mL	21.2535 mL
	5 mM	0.4251 mL	2.1254 mL	4.2507 mL
	10 mM	0.2125 mL	1.0627 mL	2.1254 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 (5.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (5.84 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (5.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MI-136 is an inhibitor of the menin-MLL protein-protein interaction (PPI), with an IC_{50} of 31 nM and a K_d of 23.6 nM. MI-136 shows to block AR signaling and has the potential for the study in castration-resistant tumors[1][2].

In Vitro

MI-136 (0-20) exhibits IC_{50}values of 5.59 μM, 7.15 μM, 5.37 μM and 19.76 μM in LNCaP, VCaP, 22rv1 and PNT2 cells[2].

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

Cell Proliferation Assay[2]

Cell Line:	AR positive cell lines such as VCaP, LNCaP and 22RV1.
Concentration:	0-20 μM.
Incubation Time:	24 h.
Result:	Inhibited cell proliferation.

In Vivo

MI-136 exhibits $T_{1/2}$ of 3.1 h after po administration[1].
MI-136 (40 mg/kg, ip) leads to a significant decrease in the growth of castration-resistant VCaP tumors[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	VCaP xenografts[2].
Dosage:	40 mg/kg.
Administration:	Intraperitoneal injection, 5 days a week.
Result:	Led to a significant decrease in the growth of castration-resistant VCaP tumors compared to vehicle controls.

REFERENCES

[1]. Dmitry Borkin, et al. Property Focused Structure-Based Optimization of Small Molecule Inhibitors of the Protein-Protein Interaction between Menin and Mixed Lineage Leukemia (MLL). J Med Chem. 2016 Feb 11;59(3):892-913.

[2]. Rohit Malik, et al. Targeting the MLL complex in castration-resistant prostate cancer. Nat Med. 2015 Apr;21(4):344-52.

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