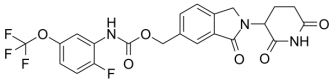
MRT-2359

Cat. No.:	HY-153356
CAS No.:	2803881-11-8
Molecular Formula:	$C_{22}H_{17}F_4N_3O_6$
Molecular Weight:	495.38
Target:	Apoptosis
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 (201.87 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0187 mL	10.0933 mL	20.1865 mL
5 mM	0.4037 mL	2.0187 mL	4.0373 mL
10 mM	0.2019 mL	1.0093 mL	2.0187 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.05 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

MRT-2359 is a potent, orally active and selective GSPT1 depressant (IC_{50}: >30 nM and <300 nM) that specifically induces apoptosis dependent on protein translation. MRT-2359 exhibits significant and preferred anti-proliferative activity in a variety of cancer cell lines, especially MYC-driven cell lines, such as non-small cell lung cancer (NSCLC) and small cell lung cancer (SCLC) with high expression of N-Myc or L-Myc. MRT-2359 inhibits the growth of drug-resistant NSCLC and SCLC cells, making it suitable for cancer research[1][2][3][4][5].

IC_{50} & Target

IC_{50}: >30 nM and <300 nM (HIV-1) [1]

In Vitro

MRT-2359 demonstrates significant selective activity in MYC-driven lung cancer. In a broad range of cancer cell lines, MRT-2359 exhibits pronounced and preferential anti-proliferative activity towards those cell lines with high N-Myc or L-Myc expression, such as non-small cell lung cancer (NSCLC) and small cell lung cancer (SCLC) cell lines. However, MRT-2359 shows minimal to no effect on cell lines with low N-Myc or L-Myc expression[4].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	MRT-2359 completely degrades GSPT1 in high N-MYC non-small cell lung cancer (NSCLC) transplanted tumors and PDX models, reduces the expression level of N-Myc protein, consequently leading to a reduction in tumor size[3]. MRT-2359 (10 mg/kg; Oral gavage (p.o.); 5 days on, 9 days off, 4 weeks) can completely regress tumors in immunocompromised xenografted mouse models of AR-V7-positive cell lines 22RV1 and NCI-H660[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Gavory G, et al. Development of MRT-2359, an orally bioavailable GSPT1 molecular glue degrader, for the treatment of lung cancers with MYC-induced translational addiction[J]. Cancer Research, 2023, 83(7_Supplement): 3449-3449.

[2]. Fasching Bernhard, et al. Preparation of isoindolinone compounds as modulators of cereblon. Patent. WO2022152821.

[3]. Gerald Gavory, et al. Abstract 3929: Identification of MRT-2359 a potent, selective and orally bioavailable GSPT1-directed molecular glue degrader (MGD) for the treatment of cancers with Myc-induced translational addiction. Cancer Res 15 June 2022; 82 (12_Supplement): 3929.

[4]. Gerald Gavory, et al. Abstract 3449: Development of MRT-2359, an orally bioavailable GSPT1 molecular glue degrader, for the treatment of lung cancers with MYC-induced translational addiction. Cancer Res 1 April 2023; 83 (7_Supplement): 3449.

[5]. Ralph Tiedt, et al. Abstract 3294: The GSPT1 molecular glue degrader MRT-2359 is active against prostate cancer. Cancer Res 15 March 2024; 84 (6_Supplement): 3294

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