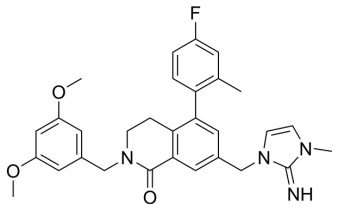
WDR5-IN-1

Cat. No.:	HY-133121
CAS No.:	2408842-51-1
Molecular Formula:	$C_{30}H_{31}FN_4O_3$
Molecular Weight:	514.59
Target:	Histone Methyltransferase; Apoptosis
Pathway:	Epigenetics; 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 (194.33 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9433 mL	9.7165 mL	19.4329 mL
	5 mM	0.3887 mL	1.9433 mL	3.8866 mL
	10 mM	0.1943 mL	0.9716 mL	1.9433 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: ≥ 2.5 mg/mL (4.86 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

WDR5-IN-1 is a potent and selective WD repeat domain 5 (WDR5) inhibitor, with a K_d of <0.02 nM. WDR5-IN-1 inhibits MLL1 histone methyltransferase (HMT) activity with an IC_{50} of 2.2 nM. WDR5-IN-1 diminishes MYC recruitment at WDR5-displaced genes and exhibits potent anti-proliferative effects in CHP-134 (neuroblastoma) and Ramos (Burkitt's lymphoma) lines[1].

In Vitro

WDR5-IN-1 (1 μM; 48 hours) shows an apparent decrease in G2M phase cells[1].
?WDR5-IN-1 (0.01-3 μM; 24-48 hours) increases p53 and p21 protein levels[1].?WDR5-IN-1 shows anti-proliferative activity in MYC-driven cancers (CHP-134, Ramos, Raji, Daudi, SW620, SW480 cells), with GI50s ranging from 0.26-3.2 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	MV4:11 cells
Concentration:	1 μM
Incubation Time:	48 hours
Result:	Showed an approximate 4 fold increased SubG1 cells.

Western Blot Analysis[1]

Cell Line:	MV4:11 cells
Concentration:	0.01, 0.03, 0.1, 0.3, 1, 3 μM
Incubation Time:	24, 48 hours
Result:	p53 and p21 protein levels started to increase from 8 h post treatment of compound 16 at 300 nM and continued to elevate up to 32 h.

REFERENCES

[1]. Tian J, et al.Discovery and Structure-
Based Optimization of Potent and Selective WD Repeat Domain 5 (WDR5) Inhibitors Containing a Dihydroisoquinolinone Bicyclic Core.J Med Chem. 2020 Jan 23;63(2):656-675.

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