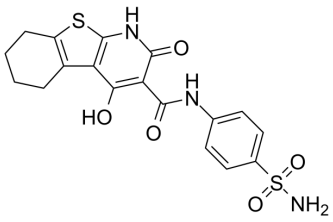
M435-1279

Cat. No.:	HY-141891
CAS No.:	1359431-16-5
Molecular Formula:	$C_{18}H_{17}N_3O_5S_2$
Molecular Weight:	419.47
Target:	E1/E2/E3 Enzyme
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (119.20 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3840 mL	11.9198 mL	23.8396 mL
	5 mM	0.4768 mL	2.3840 mL	4.7679 mL
	10 mM	0.2384 mL	1.1920 mL	2.3840 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: ≥ 2.08 mg/mL (4.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

M435-1279 is a UBE2T inhibitor. M435-1279 inhibits the Wnt/β-catenin signaling pathway hyperactivation through blocking UBE2T-mediated degradation of RACK1[1].

IC_{50} & Target

UBE2T

In Vitro

M435-1279 (0, 2, 4, 8, 16, 31 μM; 48 h) significantly inhibits the growth of HGC27, AGS, and MKN45 cells[1].
M435-1279 (0, 4, 8, 12, 16, 20 μM) inhibits the cell viability with IC_{50}s of 16.8, 11.88, 6.93, 7.76 μM of GES-1, HGC27, MKN45, AGS cells, respectively[1].
M435-1279 (31 nM to 500 μM) binds to UBE2T with a K_D value of 50.5 μM[1].
M435-1279 (11.88 μM; 48 h) inhibits the ubiquitination of RACK1 and the hyperactivation of the Wnt/β-catenin pathway[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HGC27, AGS, and MKN45 cells
Concentration:	0, 2, 4, 8, 16, 31 μM
Incubation Time:	48 h
Result:	Inhibited the cell growth.

Cell Viability Assay[1]

Cell Line:	HGC27, AGS, and MKN45 cells
Concentration:	0, 4, 8, 12, 16, 20 μM
Incubation Time:	
Result:	Inhibited the cell viability with IC_{50}s of 16.8, 11.88, 6.93, 7.76 μM in GES-1, HGC27, MKN45, AGS cells, respectively.

In Vivo

M435-1279 (5 mg/kg/day; intratumor injection for 18 days) slows the tumor growth[1].
M435-1279 induces higher RACK1 proteins expression, and lower Ki-67 and β-catenin proteins expression in intratumor tumors[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	BALB/C nude mice CDX model (MKN45 tumor size: 75–100 mm^3)[1]
Dosage:	5 mg/kg/day
Administration:	Intratumor injection for 18 days
Result:	Slowed the tumor growth. Induced higher RACK1 proteins expression, and lower Ki-67 and β-catenin proteins expression in intratumor tumors.

REFERENCES

[1]. Yu Z, et al. A novel UBE2T inhibitor suppresses Wnt/β-catenin signaling hyperactivation and gastric cancer progression by blocking RACK1 ubiquitination. Oncogene. 2021 Feb;40(5):1027-1042. doi: 10.1038/s41388-020-01572-w. Epub 2020 Dec 15. Erratum in: Oncogene. 2021 Apr;40(14):2622-2623.

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