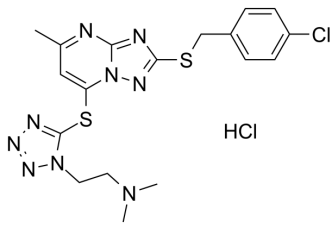
WS-383

Cat. No.:	HY-126075A
CAS No.:	2247544-02-9
Molecular Formula:	$C_{18}H_{21}Cl_2N_9S_2$
Molecular Weight:	498.46
Target:	E1/E2/E3 Enzyme
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 7.35 mg/mL (14.75 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0062 mL	10.0309 mL	20.0618 mL
	5 mM	0.4012 mL	2.0062 mL	4.0124 mL
	10 mM	0.2006 mL	1.0031 mL	2.0062 mL

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

BIOLOGICAL ACTIVITY

Description

WS-383 is a potent, selective and reversible inhibitor of DCN1-UBC12 interaction, with an IC_{50} of 11 nM. WS-383 inhibits Cul3/1 neddylation, induces accumulation of p21, p27 and NRF2[1].

IC_{50} & Target

IC50: 11 nM (DCN1-UBC12 interaction)[1]

In Vitro

WS-383 (10 μM) is against a panel of kinases such as BTK, CDKs, and EGFR [L858R] using staurosporine and BIBW 2992 as the positive controls. WS-383 showed weak inhibitory activity at 10.0 μM,it is selective to the DCN1-UBC12 interaction over the selected kinasesr[1].

WS-383 (0.03-3 μM;24 hours) blocks Cul3 neddylation at 3 μM and also has certain inhibition of Cul1 neddylation at 10 μM but was not effective in inhibiting neddylation of other cullin members[1].

WS-383 (0.03-3 μM;24 hours) increases Cul1, Skp1 (adaptor protein), F-box protein, and RBX1/RBX2 RING protein form SCF E3 complex. Cyclin dependent kinase inhibitor 1A (p21) and cyclin dependent kinase inhibitor 1B (p27) expression in a dose-dependent manner in MGC-803 and KYSE70 manner[1].

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

Western Blot Analysis[1]

Cell Line:	MGC-803 cells
Concentration:	0.03 μM; 0.3 μM; 3 μM; 10 μM
Incubation Time:	24 hours
Result:	Decreased N8-Cul1 and N8-Cul2 protein expression.

Western Blot Analysis[1]

Cell Line:	MGC-803 and KYSE70 cells
Concentration:	0.03 μM; 0.3 μM; 3 μM; 10 μM
Incubation Time:	24 hours
Result:	Induced accumulation of p21, p27, and NRF2 in MGC-803 cells.

REFERENCES

[1]. Wang S, et al. Development of Highly Potent, Selective, and Cellular Active Triazolo[1,5- a]pyrimidine-Based Inhibitors Targeting the DCN1-UBC12 Protein-Protein Interaction. J Med Chem. 2019 Mar 14;62(5):2772-2797.

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