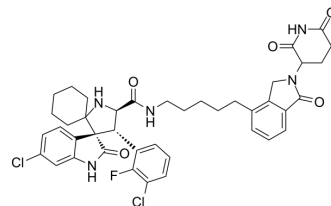


MG-277

Cat. No.:	HY-130122		
CAS No.:	2411085-89-5		
Molecular Formula:	C ₄₁ H ₄₂ Cl ₂ FN ₅ O ₅		
Molecular Weight:	774.71		
Target:	PROTACs; Apoptosis		
Pathway:	PROTAC; 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 : 50 mg/mL (64.54 mM; Need ultrasonic)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	1.2908 mL	6.4540 mL	12.9081 mL	
		5 mM	0.2582 mL	1.2908 mL	2.5816 mL	
10 mM		0.1291 mL	0.6454 mL	1.2908 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: 5 mg/mL (6.45 mM); Suspended solution; Need ultrasonic 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 5 mg/mL (6.45 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	MG-277, a molecular glue degrader, effectively induces degradation of a translation termination factor based on Cereblon E3 ligand, GSPT1, with a DC ₅₀ of 1.3 nM. MG-277 potently inhibits tumor cell growth in a p53-independent manner, with IC ₅₀ s of 3.5 nM for RS4;11 cells and 3.4 nM for p53 mutant RS4;11/IRMI-2 cells, respectively. Anticancer activity ^[1] .
IC₅₀ & Target	Cereblon
In Vitro	MG-277 (0.03-10 nM; 24 hours; RS4;11 cells) treatment inhibits cell growth and degrades GSPT1 ^[1] . MG277 has a significantly decreased potency in reducing the level of MDM2 protein in cells and fails to activate wild-type p53. MG-277 is highly potent and effective in inhibition of cell growth in cancer cell lines with wild-type p53, mutated p53, or deleted p53, indicating a p53-independent mechanism. MG-277 induces rapid GSPT1 degradation in cancer cells in a p53-

and MDM2-independent manner but in a manner dependent upon cereblon, CUL4 E3 ubiquitin ligase, and proteasomes^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis^[1]

Cell Line:	RS4;11 cells
Concentration:	0.03 nM, 0.1 nM, 0.3 nM, 1 nM, 3 nM, 10 nM
Incubation Time:	24 hours
Result:	Degraded GSPT1.

REFERENCES

[1]. Yang J, et al. Simple Structural Modifications Converting a Bona fide MDM2 PROTAC Degradator into a Molecular Glue Molecule: A Cautionary Tale in the Design of PROTAC Degradators. J Med Chem. 2019 Oct 21.

Caution: Product has not been fully validated for medical applications. For research use only.

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