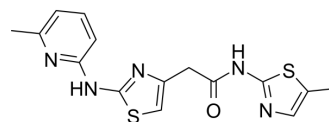


## HQ461

Cat. No.:	HY-144981		
CAS No.:	1226443-41-9		
Molecular Formula:	C <sub>15</sub> H <sub>15</sub> N <sub>5</sub> OS <sub>2</sub>		
Molecular Weight:	345.44		
Target:	CDK; Molecular Glues		
Pathway:	Cell Cycle/DNA Damage; PROTAC		
Storage:	Powder	-20°C	3 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 62.5 mg/mL (180.93 mM); ultrasonic and warming and heat to 60°C)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.8949 mL	14.4743 mL	28.9486 mL
5 mM	0.5790 mL	2.8949 mL	5.7897 mL
10 mM	0.2895 mL	1.4474 mL	2.8949 mL

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

### BIOLOGICAL ACTIVITY

#### Description

HQ461 is a molecular glue that promotes CDK12-DDB1 interaction to trigger cyclin K degradation. HQ461-mediated degradation of cyclin K impairs CDK12 function, resulting in decreased CDK12 substrate phosphorylation, downregulation of DNA damage response genes, and cell death<sup>[1]</sup>.

#### In Vitro

HQ461 inhibits A549 viability with an IC<sub>50</sub> of 1.3 μM<sup>[1]</sup>.  
 HQ461 (10 μM, 8 h) reduces CDK12 protein level in A549 cells<sup>[1]</sup>.  
 HQ461 (0-10 μM) mediates recruitment of CDK12/CCNK to DDB1 in A549 cells<sup>[1]</sup>.  
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.  
 Western Blot Analysis<sup>[1]</sup>

Cell Line:	A549 cells
Concentration:	10 μM
Incubation Time:	0-8h
Result:	Induces 50% reduction of CDK12 protein level at 8 h, and >8 fold reduction of the CCNK

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protein level at 4 h.

## REFERENCES

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[1]. Lv L, et al. Discovery of a molecular glue promoting CDK12-DDB1 interaction to trigger cyclin K degradation. *Elife*. 2020 Aug 17;9:e59994.

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

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