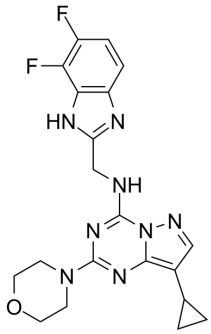
CDK12-IN-4

Cat. No.:	HY-139327
CAS No.:	2651196-69-7
Molecular Formula:	$C_{20}H_{20}F_2N_8O$
Molecular Weight:	426.42
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	CDK12-IN-4, a pyrazolotriazine, is a potent CDK12 inhibitor with an IC_{50} of 0.641 μM at high ATP (2 mM). CDK12-IN-4 has no effect on CDK2/Cyclin E (IC_{50}>20 μM) and CDK9/Cyclin T1 (IC_{50}>20 μM) at high ATP (2 mM) (WO2021116178A1)[1].		
IC_{50} & Target	CDK12 0.641 μM (IC_{50})	CDK2/cyclinE >20 μM (IC_{50})	CDK9/cyclinT1 >20 μM (IC_{50})
In Vitro	CDK12-IN-4 (Example 172) inhibits BRCA1 MRNA expression in MDA-MB-231 cells (IC_{50}=0.626 nM) and has no effect on CAL-120 cells[1]. CDK12-IN-4 has antproliferative activity in MDA-MB-231 cells (IC_{50}=0.535 nM) and CAL-120 cells (IC_{50}=2.43 nM)[1]. CDK12-IN-4 has a inhibition IC_{50} CDK12 high ATP to Degradation DC_{50} CDK12 ratio of 581[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.		

REFERENCES

[1]. Kai Thede, et al. Pyrazolotriazines. WO2021116178A1.

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