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Data Sheet

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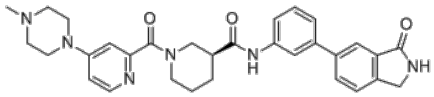

Product Name	:	UNC9512
Cat. No.	:	PC-21489
CAS No.	:	3032393-24-8
Molecular Formula	:	$C_{31}H_{34}N_6O_3$
Molecular Weight	:	538.65
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO

Biological Activity

UNC9512 is a selective methyl-lysine reader p53 binding protein 1 (**53BP1**) antagonist, binds the 53BP1 tandem Tudor domain (TTD) with TR-FRET IC50 of 0.46 uM, and SPR/ITC Kd vfalues of 0.17/0.41 uM respectively.
UNC9512 shows no measurable binding affinity (>100 μM) for all other reader domains, including Tudor domains (SETDB1, UHRF1, and PHF19), plant homeodomains (PHF19 and KDM7B), and chromodomains (CBX2, CDYL2, and MPP8).
UNC9512 antagonizes the 53BP1 TTD:H4 interaction IC50 values of 6.9 μM in cell-based assays.
UNC9512 is a potent and selective chemical probe for 53BP1 that could be useful in gene-editing applications.
P53-binding protein 1 (53BP1) is a Kme reader protein that has been observed to play a crucial role in mediating DDR mechanisms. 53BP1 was originally recognized for its binding to methylated p53, 53BP1 is also recruited to dimethylated lysine 20 on histone H4 (H4K20me2) via the tandem Tudor domain (TTD) of the protein.

References

Devan J Shell, et al. ***J Med Chem***. 2023 Oct 26;66(20):14133-14149.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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