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Data Sheet

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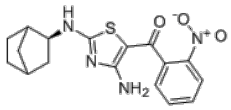
Product Name	:	MC180295
Cat. No.	:	PC-35808
CAS No.	:	2237942-08-2
Molecular Formula	:	$C_{17}H_{18}N_4O_3S$
Molecular Weight	:	358.416
Target	:	Cyclin-dependent Kinase (CDK)
Solubility	:	10 mM in DMSO



Biological Activity

MC180295 (MC-180295, MC 180295) is a novel potent, highly selective **CDK9** inhibitor with IC50 of 5 nM, displays >22-fold selectivity over other CDKs.
MC180295 also shows high selectivity against a panel of 250 kinases at 1 uM.
MC180295 specificly inhibits the phosphorylation levels of Ser2 (pSer2); CDK9-mediated phosphorylation of BRG1 prevents it from being recruited to heterochromatin loci, while CDK9 inhibition allows BRG1 to remodel chromatin and alter gene expression.
MC180295 demonstrates broad anti-cancer activity in vitro and is effective in in vivo cancer models.
MC180295 also sensitizes to anti-PD1 in vivo, increases the numbers of CD45+ immune cells and the percentages of CD3+ T cells and activates dendritic cells in the tumor environment, while not kill human T lymphocytes and did not affect the ratio of CD4 and CD8 T cells in vivo.

References

Zhang H, et al. ***Cell.*** 2018 Nov 15;175(5):1244-1258.e26.

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