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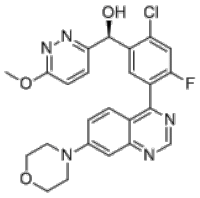
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	M3814
Cat. No.	:	PC-61389
CAS No.	:	1637542-33-6
Molecular Formula	:	$C_{24}H_{21}ClFN_5O_3$
Molecular Weight	:	481.912
Target	:	DNA-PK
Solubility	:	10 mM in DMSO



Biological Activity

M3814 (Nedisertib, Peposertib, MSC2490484A) is a highly potent, selective, ATP-competitive and orally bioavailable inhibitor of **DNA-PK** with IC50 of 0.6 nM (10 uM ATP).
M3814 exhibited a high degree of selectivity when tested using a broad panel of serine/threonine, tyrosine, and lipid kinases, only 8of 284 recombinantly expressed protein/lipid kinases, including mutant kinases, were inhibited by at least 50% at 1 μmol/L M3814.
M3814 selectively inhibits DNA-PK activity and DSB repair in human cancer cell lines.
M3814 sensitizes cancer cells to IR through impaired colony outgrowth or proliferation/viability.
M3814 inhibits radiation-induced DNA-PK autophosphorylation and DSB repair in tumor xenograft models.
M3814 in combination with ionizing radiation (IR) has antitumor activity in mouse xenograft models.

References

Harnor SJ, et al. ***ChemMedChem.*** 2017 Jun 21;12(12):895-900.
2. Zenke FT, et al. ***Mol Cancer Ther.*** 2020 May;19(5):1091-1101.

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

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