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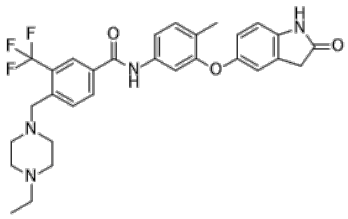
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	DDR1-IN-1
Cat. No.	:	PC-24447
CAS No.	:	1449685-96-4
Molecular Formula	:	$C_{30}H_{31}F_3N_4O_3$
Molecular Weight	:	552.60
Target	:	Discoidin Domain Receptor (DDR)
Solubility	:	10 mM in DMSO



Biological Activity

DDR1-IN-1 is a potent and selective inhibitor of DDR1 receptor tyrosine kinase with IC50 of 105 nM, 4-fold selective over DDR-2 (IC50=413 nM), inhibits DDR1 autophosphorylation in cells.
DDR1-IN-1 exhibits excellent selectivity for DDR1 with a selectivity score (S(1) at 1 μM) of 0.01 as assessed using the KinomeScan approach.
DDR1-IN-1 blocks collagen induced DDR1 autophosphorylation in U2OS cells with EC50 of 86 nM.
DDR1-IN-1 binds to DDR1 in the 'DFG-out' conformation and inhibits DDR1 autophosphorylation in cells at submicromolar concentrations with good selectivity.
Inhibitors of PI3K and mTOR such as GSK2126458 potentiate the antiproliferative activity of DDR1-IN-1 in colorectal cancer cell lines.

References

Kim HG, et al.ACS Chem Biol. 2013 Oct 18;8(10):2145-50.

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