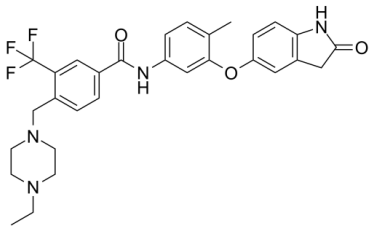
Data Sheet

Product Name:	DDR1-IN-1
Cat. No.:	CS-2808
CAS No.:	1449685-96-4
Molecular Formula:	C30H31F3N4O3
Molecular Weight:	552.59
Target:	Discoidin Domain Receptor
Pathway:	Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 100 mg/mL (180.97 mM; Need ultrasonic); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

DDR1-IN-1 is a potent and selective **DDR1 receptor tyrosine kinase** inhibitor with an **IC_{50}** of 105 nM; 4-fold less potent for DDR2 (IC_{50} = 413 nM)[1]. IC50 & Target: IC50: 105 nM (DDR1)[1]. **In Vitro:** DDR1-IN-1 effectively blocks collagen-induced DDR1 pY513 autophosphorylation in U2OS cells (EC_{50} = 86.76 nM) with excellent selectivity over a panel of >380 kinases. DDR1-IN-1 inhibits DDR2-mediated MT1-MMP activation in human rheumatoid synovial fibroblasts (RASF) upon collagen stimulation (IC_{50} < 2.5 μM) and enhances PI3K/mTOR inhibitor GSK2126458 antiproliferation efficacy in SNU-1040 colorectal cancer culture[1].

References:

[1]. Kim HG, et al. Discovery of a potent and selective DDR1 receptor tyrosine kinase inhibitor. ACS Chem Biol. 2013 Oct 18;8(10):2145-50.

CAIndexNames:

Benzamide, N-[3-[(2,3-dihydro-2-oxo-1H-indol-5-yl)oxy]-4-methylphenyl]-4-[(4-ethyl-1-piperazinyl)methyl]-3-(trifluoromethyl)-

SMILES:

O=C(NC1=CC=C(C)C(OC2=CC3=C(NC(C3)=O)C=C2)=C1)C4=CC=C(CN5CCN(CC)CC5)C(C(F)(F)F)=C4

Caution: Product has not been fully validated for medical applications. For research use only.

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