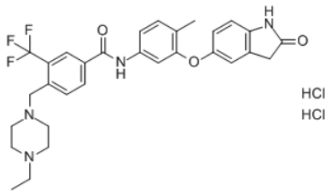
DDR1-IN-1 dihydrochloride

Cat. No.:	HY-13979A
CAS No.:	1780303-76-5
Molecular Formula:	$C_{30}H_{33}Cl_2F_3N_4O_3$
Molecular Weight:	625.51
Target:	Discoidin Domain Receptor
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	DDR1-IN-1 dihydrochloride 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].	
IC_{50} & Target	DDR1 105 nM (IC_{50})	DDR2 413 nM (IC_{50})
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].	

CUSTOMER VALIDATION

- **Cancers (Basel)**. 2020 Mar 31;12(4). pii: E841.
- **Exp Ther Med**. 2019 Jan.

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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.

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