DDR1-IN-1

Cat. No.: HY-13979

CAS No.: 1449685-96-4

Molecular Formula: $C_{30}H_{31}F_3N_4O_3$

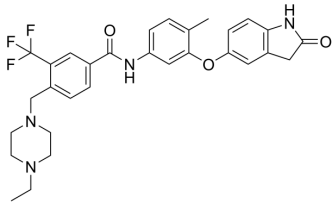
Molecular Weight: 552.59

Target: Discoidin Domain Receptor

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (180.97 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8097 mL	9.0483 mL	18.0966 mL
	5 mM	0.3619 mL	1.8097 mL	3.6193 mL
	10 mM	0.1810 mL	0.9048 mL	1.8097 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.52 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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].

IC_{50} & 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int J Biol Macromol. 2023 May 30;125130.
- Cancers. 2020 Mar 31;12(4):841.
- Exp Ther Med. 2019 Mar;17(3):1593-1600.

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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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