Tyrosine kinase-IN-1

Cat. No.: HY-100315

CAS No.: 705946-27-6

Molecular Formula: $C_{26}H_{28}FN_5O$

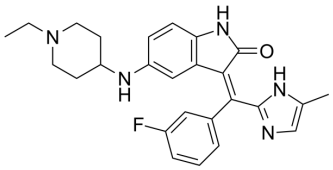
Molecular Weight: 445.53

Target: VEGFR; PDGFR; FGFR

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 : 50 mg/mL (112.23 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2445 mL	11.2226 mL	22.4452 mL
	5 mM	0.4489 mL	2.2445 mL	4.4890 mL
	10 mM	0.2245 mL	1.1223 mL	2.2445 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: ≥ 2.08 mg/mL (4.67 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tyrosine kinase-IN-1 is a multi-targeted tyrosine kinase inhibitor with IC_{50}s of 4, 20, 4, 2 nM for KDR, Flt-1, FGFR1 and PDGFR α, respectively.

IC_{50} & Target

Flt-1	KDR	PDGFRα	FGFR1
4 nM (IC_{50})	20 nM (IC_{50})	4 nM (IC_{50})	2 nM (IC_{50})

In Vitro

Tyrosine kinase-IN-1 is from reference (compound 8K)[1].

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

In Vivo

Tyrosine kinase-IN-1 shows a reasonable PK profile ($AUC_{(0-\infty)}$=1.9, $t_{1/2}$=4.6 h). It has a favorable oral bioavailability (F=63%)

in rats[1].

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PROTOCOL

Kinase Assay [1]

Kinase Inhibition Assays Kinase activities of KDR and PDGFRα are measured as the percent of ATP consumed following the kinase reaction using luciferaseluciferin-coupled chemiluminescence. Kinase reactions are initiated by combining test compound (Tyrosine kinase-IN-1), ATP, kinases and substrates in a 20 mL volume using 384-well microtiter plates. For KDR, the final reaction mixture contained 3 mM ATP, 1.6 mM poly(Glu, Tyr) 4:1 and 1.5 nM KDR of residues D807-V1356 with an N-terminal GST tag. For PDGFRα, the final reaction mixture contained 2 mM ATP, 10 mM MBP and 14 nM PDGFRα of residues Q551-L1089 with an N-terminal GST tag. The reaction mixture is incubated at room temperature for 4 h (KDR) or 2 h PDGFRα before a 20 mL aliquot of Kinase Glo is added and luminescence signal is measured using a Victor2 plate reader. Total ATP consumption is limited below 50%[1].

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

REFERENCES

[1]. Moon K, et al. The design, synthesis, and biological evaluation of potent receptor tyrosine kinase inhibitors. Bioorganic & Medicinal Chemistry Letters 22 (2012) 4979–4985

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

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