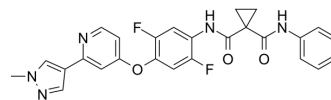


c-Kit-IN-1

Cat. No.:	HY-15240		
CAS No.:	1225278-16-9		
Molecular Formula:	C ₂₆ H ₂₁ F ₂ N ₅ O ₃		
Molecular Weight:	489.47		
Target:	c-Kit; c-Met/HGFR		
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 (204.30 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
Preparing Stock Solutions	1 mM	2.0430 mL	10.2151 mL	20.4303 mL
	5 mM	0.4086 mL	2.0430 mL	4.0861 mL
	10 mM	0.2043 mL	1.0215 mL	2.0430 mL
Please refer to the solubility information to select the appropriate solvent.				
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution 			

BIOLOGICAL ACTIVITY

Description	c-Kit-IN-1 is a potent inhibitor of c-Kit and c-Met with IC ₅₀ s of <200 nM.
IC₅₀ & Target	IC ₅₀ : <200 nM (c-Met), <200 nM (c-Kit), <2 μM (KDR), <10 μM (PDGFRα), <10 μM (PDGFRβ) ^[1]
In Vitro	c-Kit-IN-1 is a c-Kit and c-Met inhibitor extracted from patent 2010051373A1, compound example 45, has an IC ₅₀ of <200 nM. c-Kit-IN-1 also inhibits KDR, PDGFR α and β with IC ₅₀ s of <2 μM, <10 μM and <10 μM, respectively ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay ^[1]

Activity of c-KIT kinase is determined by following the production of ADP from the kinase reaction through coupling with the pyruvate kinase/lactate dehydrogenase system. In this assay, the oxidation of NADH (thus the decrease at A340nm) is continuously monitored spectrophotometrically. The reaction mixture (100 μ L) contained c-KIT (cKIT residues T544-V976, from ProQinase, 5.4 nM), polyE4Y (1 mg/mL), $MgCl_2$ (10 mM), pyruvate kinase (4 units), lactate dehydrogenase (0.7 units), phosphoenol pyruvate (1 mM), and NADH (0.28 mM) in 90 mM Tris buffer containing 0.2 % octyl-glucoside and 1% DMSO, pH 7.5. Test compounds (e.g., c-Kit-IN-1) are incubated with c-KIT and other reaction reagents at 22°C for <2 min before ATP (200 μ M) is added to start the reaction. The absorption at 340 nm is monitored continuously for 0.5 hours at 30°C on Polarstar Optima plate reader (BMG). The reaction rate is calculated using the 0 to 0.5 h time frame. Percent inhibition is obtained by comparison of reaction rate with that of a control (i.e. with no test compound). IC_{50} values are calculated from a series of percent inhibition values determined at a range of inhibitor concentrations using software routines as implemented in the GraphPad Prism software package^[1].

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

Cell Assay ^[1]

A serial dilution of test compounds (e.g., c-Kit-IN-1) are dispensed into a 96-well black clear bottom plate. For each cell line, five thousand cells are added per well in 200 μ L complete growth medium. Plates are incubated for 67 hours at 37 degrees Celsius, 5% CO_2 , 95% humidity. At the end of the incubation period 40 μ L of a 440 μ M solution of resazurin in PBS is added to each well and incubated for an additional 5 hours at 37 degrees Celsius, 5% CO_2 , 95% humidity. Plates are read on a Synergy2 reader using an excitation of 540 nm and an emission of 600 nm. Data is analyzed using Prism software to calculate IC_{50} values^[1].

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

REFERENCES

[1]. Daniel L. Flynn, et al. Cyclopropane amides and analogs exhibiting anti-cancer and anti-proliferative activities. WO 2010051373 A1

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

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