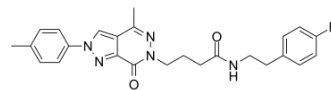


K-Ras-PDEδ-IN-1

Cat. No.:	HY-115555		
CAS No.:	1841464-21-8		
Molecular Formula:	C ₂₅ H ₂₆ FN ₅ O ₂		
Molecular Weight:	447.5		
Target:	Phosphodiesterase (PDE)		
Pathway:	Metabolic Enzyme/Protease		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 12.5 mg/mL (27.93 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
Preparing Stock Solutions	1 mM	2.2346 mL	11.1732 mL	22.3464 mL
	5 mM	0.4469 mL	2.2346 mL	4.4693 mL
	10 mM	0.2235 mL	1.1173 mL	2.2346 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: 1.25 mg/mL (2.79 mM); Suspended solution; Need ultrasonic			

BIOLOGICAL ACTIVITY

Description	K-Ras-PDEδ-IN-1 is a novel and potent competitive K-Ras-PDEδ inhibitor. K-Ras-PDEδ-IN-1 binds to the farnesyl binding pocket of PDEδ with a low nanomolar K _d of 8 nM ^[1] .
IC₅₀ & Target	PDE4 8.3 nM (Kd)
In Vitro	K-Ras-PDEδ-IN-1 exhibits an IC ₅₀ value of 12.3 μM in PaTu8902/Panc1 CTG assay ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	K-Ras-PDEδ-IN-1 (oral or intraperitoneal injection; 10 mg/kg; single dose) is in different vehicles (A=5% Tween-80, 50% NaCl, 45% H ₂ O; B=20% DMSO, 80% PEG200; C=15% DMSO, 9.5% Cremophor EL/EtOH (1:1), 75.5 % H ₂ O) for oral and intraperitoneal (IP) administration. whereas only very low compound levels are found in plasma after oral dosage, but

significantly higher plasma concentrations are found after IP administration in vehicle A, B or C at 10 mg kg^[1]. K-Ras-PDE δ -IN-1 (intravenous injection; 3 mg kg; single dose; 24 hours) shows a significant increase of the plasma exposure as well as the terminal half-life ($t_{1/2}$ =0.4 hours) when compared to compound 93, exhibits a $t_{1/2}$, CO, AUC_{0-tz}, AUC_{0-inf-obs}, Cl_{obs}, and Vss_{0-inf-obs} values of 4.1 hours, 2790.9 ng/ml, 1646.4 h.ng/ml, 1662.5 h.ng/ml, 1.8 L/h/kg, 5.9 l/kg^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Sandip Murarka, et al. Development of Pyridazinone Chemotypes Targeting the PDE δ Prenyl Binding Site. Chemistry. 2017 May 2;23(25):6083-6093.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA