K-Ras-PDEδ-IN-1

Cat. No.: HY-115555

CAS No.: 1841464-21-8

Molecular Formula: $C_{25}H_{26}FN_5O_2$

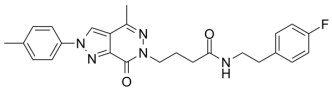
Molecular Weight: 447.5

Target: Phosphodiesterase (PDE)

Pathway: Metabolic Enzyme/Protease

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (27.93 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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].

IC_{50} & 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% H2O; B=20% DMSO, 80% PEG200; C=15% DMSO, 9.5% Cremophor EL/EtOH (1:1), 75.5 % H2O) 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-PDEδ-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 compares to compound 93,exhibits a $t_{1/2}$, CO, $AUC_{0\text{-}tz}$, $AUC_{0.inf\text{-}obs}$, Cl_{obs}, and $Vss_{0\text{-}inf\text{-}obs}$ 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 PDEδ Prenyl Binding Site. Chemistry. 2017 May 2;23(25):6083-6093.

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