Pan KRas-IN-1

Cat. No.: HY-148098

CAS No.: 2791263-84-6

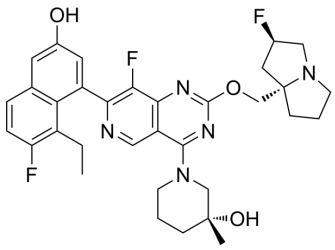
Molecular Formula: $C_{33}H_{36}F_3N_5O_3$

Molecular Weight: 607.67

Target: Ras

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (164.56 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6456 mL	8.2282 mL	16.4563 mL
	5 mM	0.3291 mL	1.6456 mL	3.2913 mL
	10 mM	0.1646 mL	0.8228 mL	1.6456 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 (4.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pan KRas-IN-1 is a pan KRas inhibitor, can be used for agent resistance in cancer developed with KRas G12C inhibitors[1].

IC_{50} & Target

KRas G12D 9 nM (IC_{50})	KRas G12S 11 nM (IC_{50})	KRas G12C 6 nM (IC_{50})	KRas Q61H 12 mM (IC_{50})
KRas G12V 29 mM (IC_{50})	K-Ras WT 32 nM (IC_{50})	K-Ras G12R 681 nM (IC_{50})	K-Ras G13D 23 nM (IC_{50})

In Vitro

Pan KRas-IN-1 (example 5) shows high binding capacity to KRas, with IC_{50}s of <2 nM among different KRas isform, including G12D, G12V, G12R, G12A, G12S, G13D, Q61H, and WT[1].

Pan KRas-IN-1 inhibits the phosphorylation of ERK downstream of KRas in different cells; AsPC-1 (G12D, IC_{50}=9 nM), A549 (G12S, IC_{50}=11 nM), HCT116 (G13D, IC_{50}=23 nM), NCI-H358 (G12C, IC_{50}=6 nM), NCI-H460 (Q61H, IC_{50}=12 nM), NCI-H727 (G12V, IC_{50}=29 nM), MKN1 (WT, IC_{50}=32 nM), PSN-1 (G12R, IC_{50}=681 nM)[1].

Pan KRas-IN-1 (0-3000 nM; 5 d) exhibits anti-proliferative activity against mutation of reststance to Adagrasib (HY-130149, MRTX849) in mouse 3T3 fibroblasts, with IC_{50}s of 32 nM (G12A), 28.1 nM (G12C), 20.25 nM (G12D), 1742 nM (G12R), 94 nM (G12V), 50 nM (G12W), 610 nM (G13D), 58 nM (Q61H)[1].

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

REFERENCES

[1]. Wang Xiaolun, et al. Preparation of azaquinazoline pan-KRas inhibitors: World Intellectual Property Organization, WO2022132200[P]. 2022-06-23.

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