KRAS inhibitor-9

Cat. No.: HY-137497

CAS No.: 300809-71-6

Molecular Formula: $C_{13}H_9ClN_2S_2$

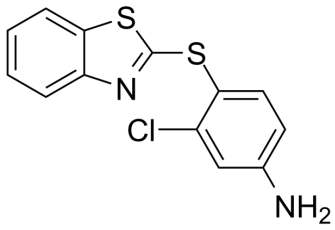
Molecular Weight: 292.81

Target: Ras; Apoptosis

Pathway: GPCR/G Protein; Apoptosis

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 : 250 mg/mL (853.80 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.4152 mL	17.0759 mL	34.1518 mL
5 mM	0.6830 mL	3.4152 mL	6.8304 mL
10 mM	0.3415 mL	1.7076 mL	3.4152 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.10 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.10 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KRAS inhibitor-9, a potent KRAS inhibitor (K_d=92 μM), blocks the formation of GTP-KRAS and downstream activation of KRAS. KRAS inhibitor-9 binds to KRAS G12D, KRAS G12C and KRAS Q61H protein with a moderate binding affinity. KRAS inhibitor-9 causes G2/M cell cycle arrest and induces apoptosis. KRAS inhibitor-9 selectively inhibits the proliferation of NSCLC cells with KRAS mutation but not normal lung cells[1].

In Vitro

KRAS inhibitor-9 bound to KRASG12D, KRAS G12C and KRAS Q61H protein with a moderate binding affinity of -5.38, -5.41, and -3.97 kcal/mol, respectively[1].

KRAS inhibitor-9 (0-100 μM) shows strong inhibition selectivity in NSCLC cells with IC_{50}s ranging from 39.56 to 66.02 μM for H2122, H358 and H460 cells (at 72 hours)[1].

KRAS inhibitor-9 (0-100 μM; 24 hours) blocks GTP-KRAS formation in H2122, H358 and H460 cells[1].

KRAS inhibitor-9 (25-100 μM; 48 hours) inhibits the activation of KRAS downstream signaling pathway[1].
KRAS inhibitor-9 (0-100 μM; 24-72 hours) induces cell cycle arrest and apoptosis in NSCLC[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	H2122 (KRAS G12C), H358 (KRAS G12C) and H460 (KRAS Q61H) cell lines
Concentration:	0, 25, 50, 100 μM
Incubation Time:	24, 48, and 72 hours
Result:	Inhibited three NSCLC cell lines in a dose- and time-dependent manner, but not in normal lung fibroblast cell line CCD-19Lu.

Western Blot Analysis[1]

Cell Line:	H2122, H358 and H460 cells
Concentration:	0, 25, 50, 100 μM
Incubation Time:	48 hours
Result:	Reduces the levels of phosphorylation of CRAF and AKT in a dose-dependent manner in H2122, H358 and H460 cells.

Apoptosis Analysis[1]

Cell Line:	H2122, H358, H460 cells
Concentration:	0, 25, 50, 100 μM
Incubation Time:	24-72 hours
Result:	Significantly decreased in G0/G1 phase while remarkably increased in G2/M phase after 24 hours and induced a significantly increased apoptosis for 48h in NSCLC cell lines.

REFERENCES

[1]. Xie C, et al. Identification of a New Potent Inhibitor Targeting KRAS in Non-small Cell Lung Cancer Cells. Front Pharmacol. 2017;8:823. Published 2017 Nov 14.

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