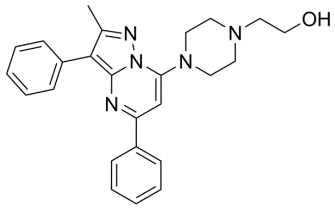
KRAS inhibitor-3

Cat. No.:	HY-122914
CAS No.:	900897-56-5
Molecular Formula:	$C_{25}H_{27}N_5O$
Molecular Weight:	413.51
Target:	Ras
Pathway:	GPCR/G Protein

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 : 50 mg/mL (120.92 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4183 mL	12.0916 mL	24.1832 mL
	5 mM	0.4837 mL	2.4183 mL	4.8366 mL
	10 mM	0.2418 mL	1.2092 mL	2.4183 mL

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

BIOLOGICAL ACTIVITY

Description

KRAS inhibitor-3 is an inhibitor of KRAS inhibitor. KRAS inhibitor-3 binds to WT and oncogenic KRAS mutants with high affinity (K_D: 0.28 μM for KRAS WT, 0.63 μM for KRAS G12C, 0.37 μM for KRAS G12D, 0.74 μM for KRAS Q61H). KRAS inhibitor-3 also disrupts interaction of KRAS with Raf[1].

IC_{50} & Target

K-Ras WT	KRAS(G12C)	KRas G12D	KRas Q61H
0.28 μM (EC50)	0.63 μM (EC50)	0.37 μM (EC50)	0.74 μM (EC50)

In Vitro

KRAS inhibitor-3 (Compound 11, 0-1 μM, 72 h) inhibits growth of KRAS-expressing lung cancer cells[1].
KRAS inhibitor-3 (0-5 μM) decreases the p-ERK levels in BHK cells stably expressing KRASG12D[1].
KRAS inhibitor-3 (1 μM, 2 h) disrupts interaction of KRAS with Raf[1].
KRAS inhibitor-3 (0-5 μM) decreases both p-ERK and p-cRaf levels in BHK cells expressing KRASG12D and KRASG12V[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	BHK cells stably expressing KRASG12D
Concentration:	0.01, 0.1, 0.5 1, 5 μM
Incubation Time:	
Result:	Decreased the p-ERK levels at >1μM.

REFERENCES

[1]. McCarthy MJ, et al. Discovery of High-Affinity Noncovalent Allosteric KRAS Inhibitors That Disrupt Effector Binding. ACS Omega. 2019 Feb 28;4(2):2921-2930.

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