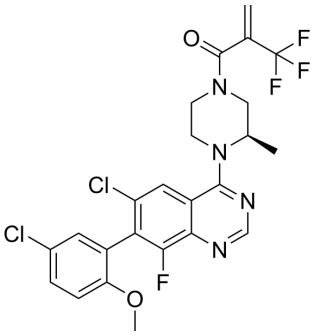
K20

Cat. No.:	HY-115907
Molecular Formula:	$C_{24}H_{20}Cl_2F_4N_4O_2$
Molecular Weight:	543.34
Target:	Apoptosis; Ras; ERK
Pathway:	Apoptosis; GPCR/G Protein; MAPK/ERK Pathway; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

K20 is a potent and selective KRas G12C inhibitor with an IC_{50} of 1.16 μM. K20 shows anticancer activity in H358 cells (IC_{50}= 0.78 μM). K20 decreases the levels of phosphorylated Erk and leads to cancer cell apoptosis. K20 suppresses NCI-H358 tumor growth with a TGI of 41% without causing obvious toxicity[1].

IC_{50} & Target

KRAS(G12C)
1.16 μM (IC_{50})

In Vitro

K20 (24 h) shows selective cytotoxicity (5 to 23-fold) against KRas G12C mutated cells (H358, IC_{50}=0.78 μM) over other KRas mutant (e.g.G12D, G12D, G12S, G12V) cancer cells (IC_{50}s of 6.34, 17.74, 4.78, 5.03, 4.05 μM in HCT116 (G13D), A549 (G12S), Pancl (G12D), Hela (WT), SW620 (G12V), respectively)[1].
K20 (0, 0.5, 2 μM; 24 h) induces apoptosis of NCI-H358 cells[1].
K20 (0, 0.5, 1.0, 2.5, 5.0 μM; 48 h) decreases pErk (phosphorylated Erk) levels in NCI-H358 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	H358, H23, HCT116, A549, Pancl, Hela, SW620 cells
Concentration:	
Incubation Time:	24 h
Result:	Showed selective cytotoxicity (5 to 23-fold) against KRas G12C mutated cells (H358, IC_{50} =0.78 μM) over other KRas mutant (e.g.G12D, G12D, G12S, G12V) cancer cells (IC_{50}s of 6.34, 17.74, 4.78, 5.03, 4.05 μM in HCT116 (G13D), A549 (G12S), Pancl (G12D), Hela (WT), SW620 (G12V), respectively).

Apoptosis Analysis[1]

Cell Line:	NCI-H358 cells
Concentration:	0, 0.5, 2 μM
Incubation Time:	24 h
Result:	Induced apoptosis of NCI-H358 cells.

Western Blot Analysis[1]	
Cell Line:	NCI-H358 cells
Concentration:	0, 0.5, 1.0, 2.5, 5.0 μM
Incubation Time:	48 h
Result:	Decreased pErk (phosphorylated Erk) levels in NCI-H358 cells.

In Vivo

K20 (35 mg/kg; i.p.; every other day for 14 days) shows high tumor suppressive effects with a tumor growth inhibition (TGI) of 41% at 35 mg/kg[1].

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

Animal Model:	6-week-old male BALB/c nude mice (xenograft model)[1]
Dosage:	35 mg/kg (dissolved in a 5%:35%:60% ratio of DMSO: castor oil: normal saline solution)
Administration:	i.p.; every other day; 14 days
Result:	Showed high tumor suppressive effects with a tumor growth inhibition (TGI) of 41% at 35 mg/kg.

REFERENCES

[1]. Zhao H, et al. Discovery of ARS-1620 analogs as KRas G12C inhibitors with high in vivo antitumor activity. Bioorg Chem. 2022, 121:105652.

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