APS-2-79

Cat. No.: HY-100627

CAS No.: 2002381-25-9

Molecular Formula: $C_{23}H_{21}N_3O_3$

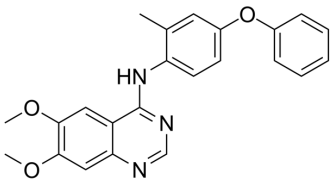
Molecular Weight: 387.43

Target: MEK

Pathway: MAPK/ERK Pathway

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 : 20 mg/mL (51.62 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5811 mL	12.9056 mL	25.8111 mL
	5 mM	0.5162 mL	2.5811 mL	5.1622 mL
	10 mM	0.2581 mL	1.2906 mL	2.5811 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 mg/mL (5.16 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2 mg/mL (5.16 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2 mg/mL (5.16 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

APS-2-79 is a KSR-dependent MEK antagonist. APS-2-79 inhibits ATP^{biotin} binding to KSR2 within the KSR2-MEK1 complexe with an IC_{50} of 120 nM. APS-2-79 makes the stabilization of the KSR inactive state antagonizes oncogenic Ras-MAPK signaling [1].

IC_{50} & Target		
	KSR2	MEK1
	120 nM (IC_{50})	

In Vitro	APS-2-79 (5 μM) suppresses KSR-stimulated MEK and ERK phosphorylation in 293H cells[1]. APS-2-79 (1 μM) enhances the efficacy of the clinical MEK inhibitor trametinib within cancer cell lines containing K-Ras mutations[1] MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Cell viability assays are performed in 96 well plates. Optimal cell densities for 96 well plate assays are determined to obtain linear growth over the time course of assays. A549, HCT-116, A375, SK-MEL-239, COLO-205, LOVO, SK-MEL-2, CALU-6, MEWO, SW620 and SW1417 cells are plated at 500 cells per well and treated with inhibitors (e.g., APS-2-79; 100-3,000 nM) for 72hrs before measuring viability. H2087 and HEPG2 cells are plated at 2000 cells per well, and treated with inhibitors (e.g., APS-2-79; 100-3,000 nM) for 72hrs. Cell viability is measured using Resazurin, and the percent cell viability is determined by normalizing inhibitor-treated samples to DMSO controls[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Dhawan NS, et al. Small molecule stabilization of the KSR inactive state antagonizes oncogenic Ras signalling. Nature. 2016 Aug 24;537(7618):112-116.

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