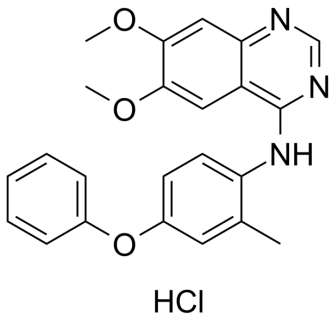
APS-2-79 hydrochloride

Cat. No.:	HY-100627A
CAS No.:	2002381-31-7
Molecular Formula:	$C_{23}H_{22}ClN_3O_3$
Molecular Weight:	423.89
Target:	MEK
Pathway:	MAPK/ERK Pathway
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	APS-2-79 hydrochloride 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 120 nM (IC_{50})	MEK1
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 Sep 1;537(7618):112-116.

Caution: Product has not been fully validated for medical applications. For research use only.

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