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产品名称：APS-2-79
产品别名：APS-2-79

生物活性：

Description	APS-2-79 behaves as a kinase suppressor of Ras (KSR)-dependent antagonist of RAF-mediated MEK phosphorylation. APS-2-79 binds directly to KSR2 within the KSR2-MEK1 complex with an IC 50 of 120±23 nM for KSR2.	
IC_{50} & Target	KSR2	MEK1
	120 nM (IC_{50})	
In Vitro	APS-2-79 (1 μM) shifts the cell viability dose response to Trametinib in Ras-mutant cell lines HCT-116 and A549, but not BRAF mutant cell lines SK-MEL-239 and A375. Although the cellular effects of APS-2-79 alone are modest, combination analysis over full concentration matrices reveal that kinase suppressor of Ras (KSR)-inactive state (KSRi) synergizes with Trametinib, and other MEK inhibitors, specifically in KRAS mutant cell lines. APS-3-77, and additional control compounds, do not demonstrate Ras-mutant-specific synergy, supporting the hypothesis that the enhanced activity of Trametinib when combined with APS-2-79 depends on co-modulation of KSR[1].	

Solvent&Solubility

In Vitro:

DMSO : ≥ 33 mg/mL (85.18 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Cell Assay	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].
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.