

产品名称: Deltarasin

产品别名: Deltarasin

生物活性:					
Description	Deltarasin is an inhibitor of KRAS-PDEδ interaction with Kd of 38 nM for binding to purified PDEδ.				
IC ₅₀ & Target	Kd: 38 nM (PDEδ)				
In Vitro	In liver cells, deltarasin inhibits the interaction of RAS with PDEδ with Kd of 41 nM. Inhibition of PDEδ-KRAS interaction by deltarasin suppresses proliferation of human pancreatic ductal adenocarcinoma cells that are dependent on oncogenic KRAS[1].				
In Vivo	Deltarasin (10 mg/kg, i.p.) impairs dose-dependent tumor growth in nude mice bearing subcutaneous human Panc-Tu-I tumour cell xenografts[1].				
Solvent&Solubility	In Vitro: DMSO : ≥ 42 mg/mL (69.57 mM) * "≥" means soluble, but saturation unknown.				
	Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
		1 mM	1.6563 mL	8.2816 mL	16.5631 mL
		5 mM	0.3313 mL	1.6563 mL	3.3126 mL
		10 mM	0.1656 mL	0.8282 mL	1.6563 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℃, 6 months; -20℃, 1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。 In Vivo: 1.Deltarasin is prepared in PBS[2].				
References	[1]. Zimmermann G, et al. Small molecule inhibition of the KRAS-PDEδ interaction impairs oncogenic KRAS signalling. Nature. 2013 May 30;497(7451):638-42. [2]. Agalioti T, et al. Mutant KRAS promotes malignant pleural effusion formation. Nat Commun. 2017 May 16;8:15205. doi: 10.1038/ncomms15205.				
实验参考:					
Kinase Assay	K _d values are measured by fluorescence polarization measurements. For direct titrations, increasing amounts of PDEδ are added to a solution containing 50-100 nM labelled small molecule in 200 μL PBS buffer. For displacement titrations, increasing amounts of the small molecules in DMSO are directly added to fluorescein-labelled atorvastatin (24 nM) and His6-tagged PDEδ (40 nM) in 200 μL PBS-buffer (containing 0.05% CHAPS, 1% DMSO), keeping the concentration of fluorescein-labelled atorvastatin, PDEδ and DMSO constant. For K _d measurements using isothermal titration calorimetry, PDEδ protein (280 μM) is titrated to small molecule (30 μM) in Tris/HCl buffer (temperature 25°C). In the T _m shift assays, protein melting points are detected by circular dichroism spectroscopy in the presence of small molecules. [1]				
References	[1]. Zimmermann G, et al. Small molecule inhibition of the KRAS-PDEδ interaction impairs oncogenic KRAS signalling. Nature. 2013 May 30;497(7451):638-42. [2]. Agalioti T, et al. Mutant KRAS promotes malignant pleural effusion formation. Nat Commun. 2017 May 16;8:15205. doi: 10.1038/ncomms15205.				