

## Deltarasin

## Chemical Properties

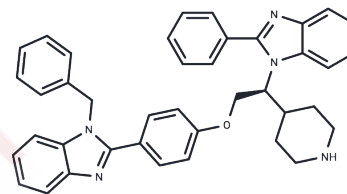
CAS No. : 1440898-61-2

Formula: C<sub>40</sub>H<sub>37</sub>N<sub>5</sub>O

Molecular Weight: 603.75

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year



## Biological Description

Description	Deltarasin is a small molecular inhibitor of KRAS-PDEδ interaction with K <sub>d</sub> of 38 nM for binding to purified PDEδ.
Targets(IC <sub>50</sub> )	Ras,PDE
In vitro	In liver cells, Deltarasin inhibits the interaction of RAS with PDEδ with K <sub>D</sub> 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-1 tumor cell xenografts [1]. The finding may inspire novel drug discovery efforts aimed at the development of drugs targeting oncogenic RAS.
Kinase Assay	K <sub>d</sub> 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 <sub>d</sub> 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 <sub>m</sub> shift assays, protein melting points are detected by circular dichroism spectroscopy in the presence of small molecules.

## Solubility Information

Solubility	H <sub>2</sub> O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 93 mg/mL (154.04 mM), Sonication is recommended. DMSO: 93 mg/mL (154.04 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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## A DRUG SCREENING EXPERT

### Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0331 mL	0.1656 mL	0.3313 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

### Reference

Zimmermann G, et al. Nature. 2013, 497(7451), 638-642.

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**This product is for Research Use Only. Not for Human or Veterinary or Therapeutic Use**

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