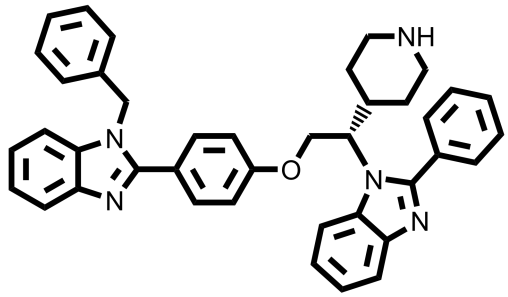
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

KRAS-PDEδ Inhibitor Deltarasin

Chemical Name: (S)-1-benzyl-2-(4-(2-(2-phenyl-1H-benzo[d]imidazol-1-yl)-2-(piperidin-4-yl)ethoxy)phenyl)-1H-benzo[d]imidazole



Molecular Weight:	603.75
Formula:	$C_{40}H_{37}N_5O$
Purity:	≥98%
CAS#:	1440898-61-2
Solubility:	DMSO up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

Deltarasin is the potent and selective small molecular inhibitor of KRAS-PDEδ interaction, selectively binds to the prenyl-binding pocket of PDEδ with 38 nM affinity. It can inhibit oncogenic RAS signaling and suppress in vitro and in vivo proliferation of human pancreatic ductal adenocarcinoma cells that are dependent on oncogenic KRAS. Correct localization and signaling by farnesylated KRAS is regulated by the prenyl-binding protein PDEδ, which sustains the spatial organization of KRAS by facilitating its diffusion in the cytoplasm. Deltarasin provides a novel opportunity to suppress oncogenic RAS signaling by altering its localization to endomembranes, and may inspire novel drug discovery efforts aimed at the development of drugs targeting oncogenic RAS.

How to Use:

In vitro: Deltarasin was used at 5 μM final concentration in various in vitro assays.

In vivo: Deltarasin was dosed to mice bearing Panc-Tu-I xenografts via intra-peritoneal (IP) injection at 10 mg/kg once or twice per day. Formulation is Lipovenoes 10% PLR and 5% DMSO.

Reference:

1. Zimmermann G, et al. Small molecule inhibition of the KRAS-PDEδ interaction impairs oncogenic KRAS signalling. (2013) Nature. 497(7451):638-42.