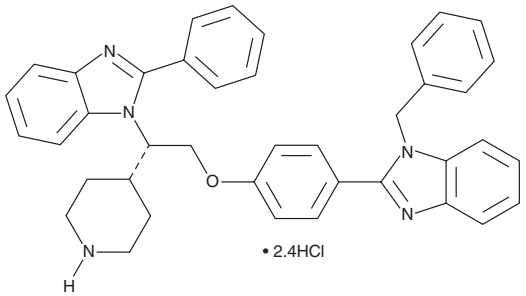
PRODUCT INFORMATION

Deltarasin (hydrochloride)

Item No. 9001536

CAS Registry No.:	1440898-82-7
Formal Name:	2-[4-[(2S)-2-(2-phenyl-1H-benzimidazol-1-yl)-2-(4-piperidinyl)ethoxy]phenyl]-1-(phenylmethyl)-1H-benzimidazole, hydrochloride
MF:	$C_{40}H_{37}N_5O \bullet 2.4HCl$
FW:	691.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 241, 290 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Deltarasin (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the deltarasin (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Deltarasin (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of deltarasin (hydrochloride) in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of deltarasin (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of deltarasin (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

KRAS is an oncogene product that acts as a GTPase tethered to cell membranes to assist in various cell signaling pathways. The prenyl-binding protein PDEδ regulates the correct localization and signaling of farnesylated KRAS by facilitating its diffusion to the cytoplasm. Deltarasin is a small molecule that binds to the farnesyl-binding pocket of PDEδ (K_d = 41 nM) in cells thus inhibiting its interaction with KRAS and disrupting RAS signaling.[1] Treatment of human pancreatic ductal adenocarcinoma cell models with 5 µM deltarasin has been reported to prevent KRAS plasma membrane localization and subsequent solubilization by PDEδ, resulting in reduced proliferation and increased cell death of Panc-Tu-I tumor cells.[1] Furthermore, in nude mice bearing pancreatic carcinoma xenografts, deltarasin has been shown to reduce tumor growth at a dose of 10 mg/kg.[1]

Reference

1. Zimmermann, G., Papke, B., Ismail, S., *et al*. Small molecule inhibition of the KRAS-PDEd interaction impairs oncogenic KRAS signalling. *Nature* **497(7451)**, 638-642 (2013).

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