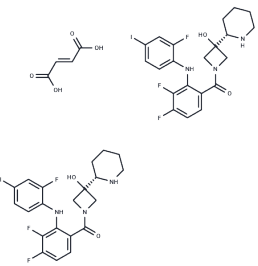
Data Sheet (Cat.No.T64175)

TargetMol

Cobimetinib hemifumarate

Chemical Properties



CAS No. : 1369665-02-0

Formula: C46H46F6I2N6O8

Molecular Weight: 1178.71

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Cobimetinib hemifumarate is a potent and selective MEK1 inhibitor with an IC50 value of 4.2 nM for MEK1.
Targets(IC50)	Apoptosis,MEK
In vitro	The EC50 values of Cobimetinib hemifumarate(GDC-0973) on 888MEL and A2058 cells were 0.2 μM and 10 μM, respectively. Melanoma cells were treated with MEK and PI3K inhibitors with EC50 concentration for 24 hours (888mel: 0.05μ m GDC-0973, 2.5 μM GDC-0941；；A2058：2.5 μM GDC-0973、2.5 μM GDC-0941）[1]。 Mitochondrial oxidative phosphorylation can limit cell death induced by Cobimetinib hemifumarate(100 nM) in melanoma with constitutive MAPK activation in A375 cells [4].

Solubility Information

Solubility	DMSO: 50.00 mg/mL (42.42 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.8484 mL	4.2419 mL	8.4839 mL
5 mM	0.1697 mL	0.8484 mL	1.6968 mL
10 mM	0.0848 mL	0.4242 mL	0.8484 mL
50 mM	0.017 mL	0.0848 mL	0.1697 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Hoeflich KP, et al. Intermittent administration of MEK inhibitor GDC-0973 plus PI3K inhibitor GDC-0941 triggers robust apoptosis and tumor growth inhibition. Cancer Res. 2012 Jan 1;72(1):210-9.
Choo EF, et al. PK-PD modeling of combination efficacy effect from administration of the MEK inhibitor GDC-0973 and PI3K inhibitor GDC-0941 in A2058 xenografts. Cancer Chemother Pharmacol. 2013 Jan;71(1):133-43.
Wong H, et al. Bridging the gap between preclinical and clinical studies using pharmacokinetic-pharmacodynamic modeling: an analysis of GDC-0973, a MEK inhibitor. Clin Cancer Res. 2012 Jun 1;18(11):3090-9.
Corazao-Rozas P, et al. Mitochondrial oxidative phosphorylation controls cancer cell's life and death decisions upon exposure to MAPK inhibitors. Oncotarget. 2016 Feb 29. doi: 10.18632/oncotarget.7790.

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