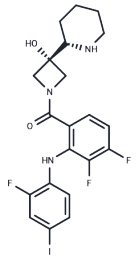
Data Sheet (Cat.No.T3623)

TargetMol
YOUR TARGET MOLECULES

Cobimetinib

Chemical Properties



CAS No. : 934660-93-2

Formula: C21H21F3IN3O2

Molecular Weight: 531.31

Appearance: no data available

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

Biological Description

Description	Cobimetinib (GDC-0973) is a selective inhibitor of mitogen-activated protein kinase kinase (MEK) (IC50: 0.9 nM). Cobimetinib specifically binds to and inhibits the catalytic activity of MEK1, resulting in inhibition of extracellular signal-related kinase 2 (ERK2) phosphorylation and activation and decreased tumor cell proliferation.
Targets(IC50)	Apoptosis,MEK
In vitro	In mice bearing tumors with KRAS and BRAFV600E mutations, Cobimetinib (10 mg/kg, p.o.) has an inhibitory effect on tumor progression. Furthermore, in mice carrying A375 xenografts resistant to treatment, the combined use of Cobimetinib and GDC-0941 further reduces the levels of proteins Hexokinase II, Ksr, c-RAF, and p-MEK.
In vivo	Cobimetinib exhibits potent inhibitory effects on the growth of various tumor cells, particularly those with KRAS or BRAF mutations. When used in conjunction with GDC-0941, cobimetinib significantly reduces the viability of 888MEL and A2058 cells, inhibits pathways, and increases apoptosis. Additionally, the combination of cobimetinib and vemurafenib markedly intensifies the reduction of GLUT-1 on the cell membranes across all BRAFV600E cell lines. [1]
Cell Research	Cells are plated in quadruplicate at a density of 3,000 per well in 384-well plates in normal growth medium and allowed to adhere overnight. Compounds are added in 10 concentrations based on a 3-fold dilution series. Cell viability is measured 72 h later using the CellTiter-Glo Luminescent Cell Viability Assay.(Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 44 mg/mL (82.8 mM), DMSO: 16.67 mg/mL (31.37 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8821 mL	9.4107 mL	18.8214 mL
5 mM	0.3764 mL	1.8821 mL	3.7643 mL
10 mM	0.1882 mL	0.9411 mL	1.8821 mL
50 mM	0.0376 mL	0.1882 mL	0.3764 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

Meng Y, Lv T, Zhang J, et al.Temporospatial inhibition of Erk signaling is required for lymphatic valve formation. Signal Transduction and Targeted Therapy.2023, 8(1): 342.