Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Cobimetinib

Catalog No: tcsc0521

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
934660-93-2

Formula:
$C_{21}H_{21}F_3IN_3O_2$

Pathway:
MAPK/ERK Pathway

Target:
MEK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 100 mg/mL (188.21 mM)

Alternative Names:
GDC-0973;XL518

Observed Molecular Weight:
531.31

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Product Description

Cobimetinib is a novel selective **MEK** inhibitor, and the **IC_{50}** value against MEK1 is 4.2 nM.

IC50 & Target: IC50: 4.2 nM (MEK1)

In Vitro: The EC_{50} values of Cobimetinib (GDC-0973) for 888MEL and A2058 cells are 0.2 μM, 10 μM, respectivelly. Melanoma cells are treated with EC_{50} concentration of MEK and PI3K inhibitors for 24 hours (888MEL: 0.05 μM GDC-0973, 2.5 μM GDC-0941; A2058: 2.5 μM GDC-0973, 2.5 μM GDC-0941)[1]. Mitochondrial OXPHOS limits cell death induced by cobimetinib (100 nM) in melanoma with constitutive MAPK activation in A375 cells[4].

In Vivo: In the NCI-H2122 KRASG12C mutant non-small cell lung carcinoma (NSCLC) xenograft model, treatment with up to 5 mg/kg Cobimetinib (GDC-0973) lead to moderate TGI and at 10 mg/kg approaches tumor stasis[1]. GDC-0973 and GDC-0941 are administered to A2058 tumor-bearing mice daily (QD) or every third day (Q3D) either as single agents or in combination. The population rate constants associated with tumor growth inhibition for GDC-0973 and GDC-0941 are 0.00102 and 0000651 μM^{-1} h^{-1}, respectively[2]. Following single doses of GDC-0973 (1, 3, or 10 mg/kg, p.o.) estimated in vivo IC_{50} values of %pERK decrease based on tumor concentrations in xenograft mice are 0.78 (WM-266-4) and 0.52 μM (A375)[3].