

# 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 :  $\geq 100$  mg/mL (188.21 mM)

**Alternative Names:**

GDC-0973;XL518

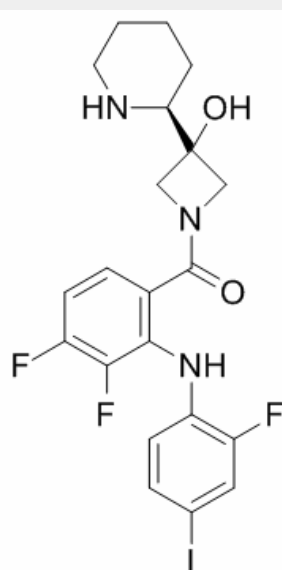
**Observed Molecular Weight:**

531.31

Cobimetinib is a novel selective **MEK** inhibitor, and the **IC<sub>50</sub>** value against MEK1 is 4.2 nM.

**In Vitro:** The EC<sub>50</sub> values of Cobimetinib (GDC-0973) for 888MEL and A2058 cells are 0.2 μM, 10 μM, respectively. Melanoma cells are treated with EC<sub>50</sub> 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)<sup>[1]</sup>. Mitochondrial OXPHOS limits cell death induced by cobimetinib (100 nM) in melanoma with constitutive MAPK activation in A375 cells<sup>[4]</sup>.

**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<sup>[1]</sup>. 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  $\mu\text{M}^{-1} \text{h}^{-1}$ , respectively<sup>[2]</sup>. Following single doses of GDC-0973 (1, 3, or 10 mg/kg, p.o.) estimated in vivo  $\text{IC}_{50}$  values of %pERK decrease based on tumor concentrations in xenograft mice are 0.78 (WM-266-4) and 0.52  $\mu\text{M}$  (A375)<sup>[3]</sup>.



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