

# Pictilisib (dimethanesulfonate)

Catalog No: tcsc0082



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg

**Size:** 200mg

**Size:** 500mg

**Size:** 1g

**Size:** 2g



## Specifications

**CAS No:**

957054-33-0

**Formula:**

$C_{25}H_{35}N_7O_9S_4$

**Pathway:**

PI3K/Akt/mTOR;Autophagy

**Target:**

PI3K;Autophagy

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

### Alternative Names:

GDC-0941 (dimethanesulfonate) ;GDC-0941 (2 MeSO<sub>3</sub>H salt)

### Observed Molecular Weight:

705.85

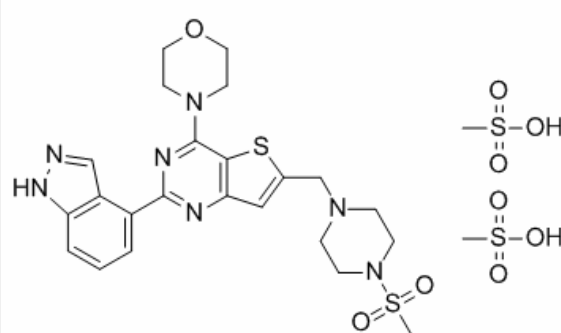
## Product Description

Pictilisib (GDC-0941) dimethanesulfonate is a potent inhibitor of **PI3K $\alpha$ / $\delta$**  with **IC<sub>50</sub>** of 3 nM, with modest selectivity against p110 $\beta$  (11-fold) and p110 $\gamma$  (25-fold).

IC<sub>50</sub> & Target: IC<sub>50</sub>: 3 nM (PI3K $\alpha$ ), 3 nM (PI3K $\delta$ )<sup>[5]</sup>

**In Vitro:** Pictilisib (GDC-0941) and docetaxel reduce tumor cell viability by 80% or greater in the breast cancer cell lines than single-agent treatment. GDC-0941 inhibits Akt phosphorylation and downstream targets of Akt signaling such as pPRAS40 and pS6 in Hs578T1.2 (PI3K $\alpha$  wild-type), MCF7-neo/HER2 (PI3K $\alpha$ -mutant), and MX-1 (PTEN-null) tumor models. Pictilisib (GDC-0941) decreases the time of docetaxel-induced mitotic arrest prior to apoptosis<sup>[1]</sup>. Pictilisib (GDC-0941) shows a high efficacy of antitumor activity in two gefitinib-resistant non-small cell lung cancer (NSCLC) cell lines, A549 and H460. Pictilisib (GDC-0941) is highly efficacious in combination with U0126 in inducing cell growth inhibition, G0-G1 arrest and cell apoptosis. H460 cells with activating mutations of PIK3CA are relatively more sensitive to Pictilisib (GDC-0941) than A549 cells with wild-type PIK3CA<sup>[3]</sup>. Pictilisib (GDC-0941) reduces PI3K pathway activity in both cell lines, illustrated by decreased pAK. Pictilisib (GDC-0941) significantly reduces secreted VEGF detected in the medium after hypoxic/anoxic exposure in all cells<sup>[4]</sup>.

**In Vivo:** Pictilisib (GDC-0941) (150 mg/kg, p.o.) leads to tumor stasis in MCF7-neo/HER2-bearing animals model. Pictilisib (GDC-0941) and docetaxel result in tumor regressions during the treatment period leading to enhanced antitumor responses<sup>[1]</sup>. Tumours in the Pictilisib (GDC-0941)-treated mice show a marked non-linear shrinkage, and when the Pictilisib (GDC-0941) treatment ceased, the tumours in the test cohort mice grow again<sup>[2]</sup>. GDC-0941Pictilisib (GDC-0941) (25 or 50 mg/kg) reduces tumor growth and PI3K and HIF-1 pathway activity in eGFP-FTC133 tumor-bearing mice<sup>[4]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!