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

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Alternative Names:
GDC-0941 (dimethanesulfonate) ;GDC-0941 (2 MeSO3H salt)

Observed Molecular Weight:
705.85

Product Description

Pictilisib (GDC-0941) dimethanesulfonate is a potent inhibitor of **PI3Kα/δ** with **IC_{50}** of 3 nM, with modest selectivity against p110β (11-fold) and p110γ (25-fold).

IC50 & Target: IC50: 3 nM (PI3Kα), 3 nM (PI3Kδ)[5]

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 (PI3Kα wild-type), MCF7-neo/HER2 (PI3Kα-mutant), and MX-1 (PTEN-null) tumor models. Pictilisib (GDC-0941) decreases the time of docetaxel-induced mitotic arrest prior to apoptosis[1]. 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[3]. 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[4].

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[1]. 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[2]. 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[4].