

Product Datasheet

GDC-0941

Catalog No. **BP-02299** · CAS **957054-30-7** · Form **free base** · Physical state **solid** · Color **white to yellow** · Version **1.0** ·
 Revision **2026-09-10**

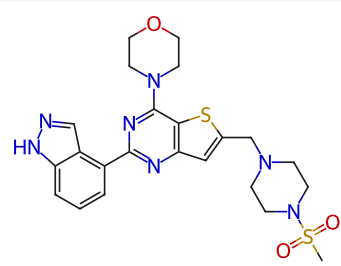
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Target PI3K; Autophagy; Apoptosis	Research area Cancer
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Molecular formula **C₂₃H₂₇N₇O₃S₂**

Molecular weight **513.6360**

STRUCTURE



DESCRIPTION

Pictilisib (GDC-0941) is a potent inhibitor of PI3K α /6 with an IC₅₀ of 3 nM, with modest selectivity against p110 β (11-fold) and p110 γ (25-fold).

BIOLOGICAL ACTIVITY

In Vitro

Pictilisib (GDC-0941) and RP-56976 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 α wild-type), MCF7-neo/HER2 (PI3K α -mutant), and MX-1 (PTEN-null) tumor models. Pictilisib (GDC-0941) decreases the time of RP-56976-induced mitotic arrest prior to apoptosis[1]. Pictilisib (GDC-0941) shows a high efficacy of antitumor activity in two ZD1839-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.

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 RP-56976 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]. Pictilisib (GDC-0941) (25 or 50 mg/kg) reduces tumor growth and PI3K and HIF-1 pathway activity in eGFP-FTC133 tumor-bearing mice.

SOLUBILITY

Soluble in DMSO

REFERENCES

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- [5]. Folkes AJ, et al. The identification of 2-(1H-indazol-4-yl)-6-(4-methanesulfonyl-piperazin-1-ylmethyl)-4-morpholin-4-yl-thieno[3,2-d]pyrimidine (GDC-0941) as a potent, selective, orally bioavailable inhibitor of class I PI3 kinase for the treatment of cancer . *J Med Chem.* 2008 Sep 25;51(18):5522-32.
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