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

Omipalisib

Catalog No: tcsc0085

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1086062-66-9

Formula:
$C_{25}H_{17}F_2N_5O_3S$

Pathway:
PI3K/Akt/mTOR;PI3K/Akt/mTOR

Target:
PI3K;mTOR

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
GSK2126458;GSK458

Observed Molecular Weight:
505.5

Product Description

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Omipalisib (GSK2126458) is a highly selective and potent inhibitor of **PI3K** with **K_i**s of 0.019 nM/0.13 nM/0.024 nM/0.06 nM and 0.18 nM/0.3 nM for p110α/β/δ/γ, mTORC1/2, respectively.

IC50 & Target: Ki: 0.019 nM (p110α), 0.13 nM (p110β), 0.024 nM (p110δ), 0.06 nM (p110γ), 0.18 nM (mTORC1), 0.3 nM (mTORC2)

In Vitro: Omipalisib (GSK2126458) potently inhibits the activity of common activating mutants of p110α (E542K, E545K, and H1047R) found in human cancer with K_i of 8 pM, 8 pM and 9 pM, respectively. Omipalisib causes a significant reduction in the levels of pAkt-S473 with remarkable potency in T47D and BT474 cells with IC_{50} of 0.41 nM and 0.18 nM, respectively. Furthermore, Omipalisib (GSK2126458) leads to a G1 cell cycle arrest and produces the inhibitory effect on cell proliferation in a large panel of cell lines, including T47D and BT474 breast cancer lines with IC_{50} of 3 nM and 2.4 nM, respectively[1]. The combination of Omipalisib or GSK1120212 with Omipalisib enhances cell growth inhibition and decreases S6 ribosomal protein phosphorylation in drug-resistant clones from the A375 BRAF(V600E) and the YUSIT1 BRAF(V600K) melanoma cell lines[2]. Omipalisib (GSK2126458) potentiates the antiproliferative activity of DDR1-IN-1 in colorectal cancer cell lines[3].

In Vivo: In a BT474 human tumor xenograft model, Omipalisib (GSK2126458) treatment results in a dose-dependent reduction in pAkt-S473 levels, and exhibits dose-dependent tumor growth inhibition at a low dose of 300 μg/kg. Besides, Omipalisib (GSK2126458) shows low blood clearance and good oral bioavailability in four preclinical species (mouse, rat, dog, and monkey)[1].