

# 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

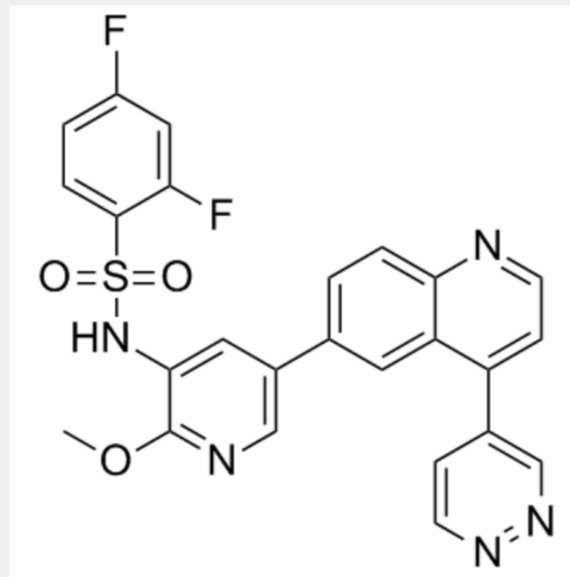
## Product Description

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 p110 $\alpha$ / $\beta$ / $\delta$ / $\gamma$ , mTORC1/2, respectively.

IC50 & Target:  $K_i$ : 0.019 nM (p110 $\alpha$ ), 0.13 nM (p110 $\beta$ ), 0.024 nM (p110 $\delta$ ), 0.06 nM (p110 $\gamma$ ), 0.18 nM (mTORC1), 0.3 nM (mTORC2)

**In Vitro:** Omipalisib (GSK2126458) potently inhibits the activity of common activating mutants of p110 $\alpha$  (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<sub>50</sub> 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<sub>50</sub> of 3 nM and 2.4 nM, respectively<sup>[1]</sup>. 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<sup>[2]</sup>. Omipalisib (GSK2126458) potentiates the antiproliferative activity of DDR1-IN-1 in colorectal cancer cell lines<sup>[3]</sup>.

**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  $\mu$ g/kg. Besides, Omipalisib (GSK2126458) shows low blood clearance and good oral bioavailability in four preclinical species (mouse, rat, dog, and monkey)<sup>[1]</sup>.



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