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PHT-427

Catalog No: tcsc0223

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1191951-57-1

Formula:
$C_{20}H_{31}N_3O_2S_2$

Pathway:
PI3K/Akt/mTOR

Target:
Akt

Purity / Grade:
>98%

Solubility:
DMSO : 50 mg/mL (122.07 mM; Need ultrasonic)

Observed Molecular Weight:
409.61

Product Description

PHT-247 is an inhibitor of the pleckstrin homology (PH) domain of **Akt**, and it is also an inhibitor of **PDPK1** with **K_i**s of 2.7 μM and 5.2

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μM and for Akt and PDPK1, respectively.

IC50 & Target: Ki: 2.7 μM (Akt), 5.2 μM (PDPK1)[1]

In Vitro: The effects of PHT-427 on cell signaling are investigated by RPPA using a panel of 86 antibodies to phospho- and non-phosphorylated signaling protein related to PtdIns-3-K/PDPK1/Akt signaling in PC-3 prostate cells where PtdIns-3-K/PDPK1/Akt signaling is activated because of homozygous PTEN mutation. After 16 hours, a reduction is observed in phospho-Ser^{241}-PDPK1 phospho-Thr^{308}-Akt by both 10 μM PH-427 and 0.1 μM Wortmannin. Finally, phospho-Ser^{657}-protein kinase C (PKC) and total SGK1 are decreased by treatment with both PHT-427 and Wortmannin. These results suggest that at 10 μM PHT-427 inhibits both Akt and PDKP1. The BxPC-3 and MiaPaCa-2 pancreatic cancer cell lines are probed by Western blotting following up to 24 hr exposure to 10 μM PHT-427, which is below the IC_{50} for cell growth inhibition of around 30 μM, to determine the effects of PHT-427 on of the PtdIns-3-K/PDPK1/Akt signaling pathway components[1].

In Vivo: Mice with BxPC-3 pancreatic, MCF-7 breast or A-549 NSCL cancer xenografts are administered PHT-427, or its analogs with a C-4, C-6 or C-8 alkyl chain by oral gavage twice a day for 10 days. The results show that PHT-427 has the greatest antitumor activity with the C-8 chain analog having less activity, and analogs with a C-4 or C-6 chain very little activity. All further antitumor studies are conducted using compound PHT-427. Plasma levels of PHT-427 following oral administration to mice of a dose of 200 mg/kg show rapid absorption, without a lag phase, C_{max} is 8.2 μg/mL 1 hr following dosing, and the elimination half-life is 1.4 hr with a terminal PHT-427 concentration of 0.1 μg/mL 10 hr after dosing. The plasma concentration of PH-427 is above the level which gave inhibition of Akt and PDPK1 signaling in cells of 10 μM (4 μg/mL) for at least 3 hr[1].