

GSK2334470

Catalog No: tcsc0917



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

1227911-45-6

Formula:

$C_{25}H_{34}N_8O$

Pathway:

PI3K/Akt/mTOR

Target:

PDK-1

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 50 mg/mL (108.09 mM)

Observed Molecular Weight:

462.59

Product Description

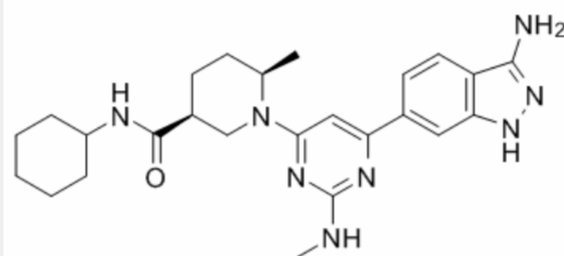
GSK2334470 is a highly specific and potent inhibitor of **PDK1** with an **IC₅₀** of 10 nM.

IC50 & Target: IC50: 10 nM(PDK1)^[1]

In Vitro:

Small molecule GSK2334470 inhibits PDK1 with an IC_{50} of ~ 10 nM, but does not suppress the activity of 93 other protein kinases including 13 AGC-kinases most related to PDK1 at 500-fold higher concentrations. Addition of GSK2334470 ablates T-loop residue phosphorylation and activation of SGK isoforms and S6K1 induced by serum or IGF-1 (insulin-like growth factor 1). GSK2334470 and AZD8055 effectively inhibit phosphorylation of PDK1 and mTOR, respectively, and induce higher G0-G1 ratio in LAN-1-MK than that in LAN-1 as well. PDK1 and mTOR inhibitors effect on phosphorylation of GSK3 β in some of resistant sublines^[2].

In Vivo: The efficacy of the PDK1 inhibitor (PDKi) GSK2334470 is tested in newborn *Braf*^{V600E::Pten}^{-/-} mice subjected to systemic administration of 4-HT. Twice weekly administration of PDK1 results in marked inhibition of pigmented lesions and concomitant melanomagenesis, as well as significant inhibition of lung metastases, seen by H&E staining-based quantification ($\sim 80\%$), and lymph node metastases as by S100 immunostaining, similar to the phenotype seen upon genetic ablation of *Pdk1*^[3].



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