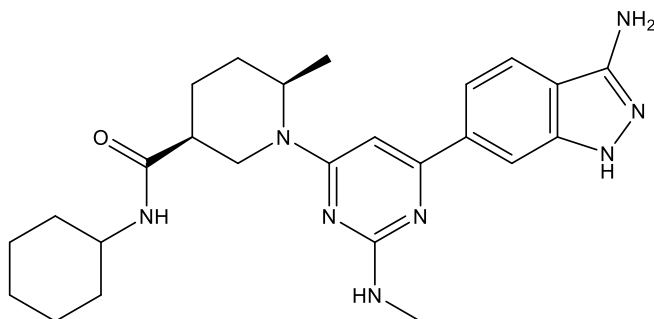


Catalog # 10-4725

GSK2334470

CAS# 1227911-45-6

(3S,6R)-1-[6-(3-Amino-1H-indazol-6-yl)-2-(methylamino)-4-pyrimidinyl]-N-cyclohexyl-6-methyl-3-piperidinecarboxamide
Lot #



GSK2334470 is a potent ($IC_{50} = 10$ nM) and selective inhibitor of 3-Phosphoinositide-dependent kinase 1 (PDK1), which phosphorylates and activates a group of protein kinases in the AGC/PKG/PKC family.¹ It is more effective at inhibiting PDK1 substrates that are activated in the cytosol rather than at the plasma membrane.¹ GSK2334470 delayed melanogenesis and metastasis in *Braf^(V600E)::Pten^(-/-)* mice.² It also displays antitumor activity against multiple myeloma synergistically with mTORC1/2 inhibitor PP242³ and proteasome inhibitor MG-132⁴.

- 1) Najafov *et al.* (2011) *Characterization of GSK2334470, a novel and highly specific inhibitor of PDK1*; *Biochem.J.* **433** 37
- 2) Scortegagna *et al.* (2014) *Genetic inactivation or pharmacological inhibition of Pdk1 delays development and inhibits metastasis of *Braf^(V600E)::Pten^(-/-)* melanoma*; *Oncogene* **33** 4330
- 3) Yang *et al.* (2017) *PDK1 inhibitor GSK2334470 exerts antitumor activity in multiple myeloma and forms a novel multitargeted combination with dual mTORC1/C2 inhibitor PP242.*; *Oncotarget* **8** 39185
- 4) Zhang *et al.* (2018) *PDK1 inhibitor GSK2334470 synergizes with proteasome inhibitor MG-132 in multiple myeloma cells by inhibiting full AKT activity and increasing nuclear accumulation of PTEN protein.*; *Oncol.Rep.* **39** 2951

PHYSICAL DATA

Molecular Weight: 462.60
Molecular Formula: C₂₅H₃₄N₈O
Purity: >98% by HPLC
NMR: (Conforms)
Solubility: DMSO (>25 mg/ml)
Physical Description: Off-white solid
Storage and Stability: Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.