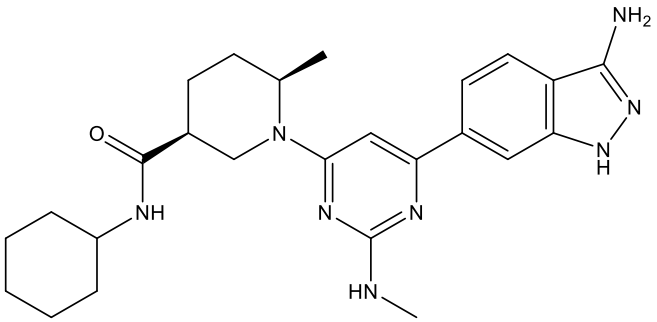
Catalog # 10-4725
GSK2334470

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 PP242[3] and proteasome inhibitor MG-132[4].

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:	$C_{25}H_{34}N_8O$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	Off-white solid
Storage and Stability:	Store as supplied at -20ºC for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 1 month.

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Focus Biomolecules LLC 400 Davis Drive, Suite 600 Plymouth Meeting PA 19462
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