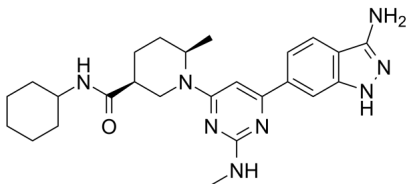


Certificate of Analysis

Catalog Number	BP22559
Product Name	GSK2334470

Physical and Chemical Properties

CAS No.	1227911-45-6
Chemical Formula	C ₂₅ H ₃₄ N ₈ O
Molecular Weight	462.59
Solubility	DMSO: ≥ 50 mg/mL (108.09 mM)
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

Product Information

Description	GSK2334470 is a highly specific and potent inhibitor of PDK1 with an IC ₅₀ of 10 nM.
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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.
In vivo	The efficacy of the PDK1 inhibitor (PDKi) GSK2334470 is tested in newborn BrafV600E::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 (~80%), and lymph node metastases as by S100 immunostaining, similar to the phenotype seen upon genetic ablation of Pdk1.

Analytical Data

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.

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