



# SZABO SCANDIC

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## Produktinformation



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### Zuschläge

- Mindermengenzuschlag
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- Expressversand

### SZABO-SCANDIC HandelsgmbH

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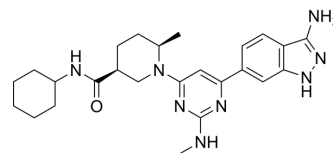
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## GSK2334470

Cat. No.:	HY-14981
CAS No.:	1227911-45-6
Molecular Formula:	C <sub>25</sub> H <sub>34</sub> N <sub>8</sub> O
Molecular Weight:	462.59
Target:	PKD-1
Pathway:	PI3K/Akt/mTOR
Storage:	<div> Powder -20°C 3 years </div> <div> 4°C 2 years </div> <div> In solvent -80°C 2 years </div> <div> -20°C 1 year </div>



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 50 mg/mL (108.09 mM)  
 \* "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		2.1617 mL	10.8087 mL	21.6174 mL
	5 mM		0.4323 mL	2.1617 mL	4.3235 mL
	10 mM		0.2162 mL	1.0809 mL	2.1617 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 2.5 mg/mL (5.40 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
 Solubility: ≥ 2.5 mg/mL (5.40 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
 Solubility: ≥ 2.5 mg/mL (5.40 mM); Clear solution

### BIOLOGICAL ACTIVITY

Description	GSK2334470 is a highly specific and potent inhibitor of PKD1 with an IC <sub>50</sub> of 10 nM.
IC <sub>50</sub> & Target	IC <sub>50</sub> : 10 nM(PKD1) <sup>[1]</sup>
In Vitro	Small molecule GSK2334470 inhibits PKD1 with an IC <sub>50</sub> of ~10 nM, but does not suppress the activity of 93 other protein kinases including 13 AGC-kinases most related to PKD1 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 $\beta$  in some of resistant sublines<sup>[2]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

The efficacy of the PDK1 inhibitor (PDKi) GSK2334470 is tested in newborn Braf<sup>V600E</sup>::Pten<sup>-/-</sup> 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<sup>[3]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

#### Cell Assay <sup>[2]</sup>

GSK2334470 is dissolved in DMSO and diluted with appropriate medium before use. To study the inhibitory effect of GSK2334470 on mTOR-S6K pathway, non-resistant cells and the resistant sublines are treated with GSK2334470 at 5  $\mu$ M for 1.5 and 12 h in 10 % FBS medium with/without MK-2206 (5  $\mu$ M)<sup>[2]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration <sup>[3]</sup>

Mice is dissolved in DMSO and then diluted with PBS or saline. Braf<sup>V600E</sup>::Pten<sup>-/-</sup> are generated as previously described. Cohorts of six animals per group are used in each experimental group. GSK2334470 is administered through IP injection (100 mg/kg) 3 times per week starting the same day of topical administration of 4-hydroxytamoxifen and ending at the time of mouse collection, based on earlier studies<sup>[3]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaq1093.
- Br J Cancer. 2020 Aug;123(4):542-555.
- Neurobiol Dis. 2021 Jan;148:105212.
- J Biol Chem. 2023 Apr 12;104699.
- Programa de Doctorado en Biomedicina. 2020 Sep.

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## REFERENCES

- [1]. Najafov A, et al. Characterization of GSK2334470, a novel and highly specific inhibitor of PDK1. Biochem J. 2011 Jan 15;433(2):357-69.
- [2]. Qi L, et al. PDK1-mTOR signaling pathway inhibitors reduce cell proliferation in MK2206 resistant neuroblastoma cells. Cancer Cell Int. 2015 Sep 29;15:91.
- [3]. Scortegagna M, et al. Genetic inactivation or pharmacological inhibition of Pdk1 delays development and inhibits metastasis of Braf(V600E)::Pten(-/-) melanoma. Oncogene. 2014 Aug 21;33(34):4330-9.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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