

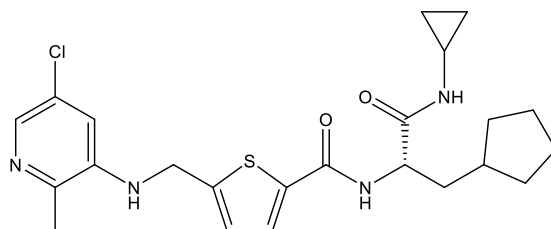
Catalog # 10-4180

GSK2830371

CAS# 1404456-53-6

5-[[[(5-Chloro-2-methylpyridin-3-yl)amino]methyl]-N-[(2S)-3-cyclopentyl-1-(cyclopropylamino)-1-oxopropan-2-yl]thiophene-2-carboxamide

Lot # FBS3030



GSK2830371 is a potent allosteric inhibitor of WIP1 phosphatase ($IC_{50} = 6 \text{ nM}$), a negative regulator of the DNA damage response.¹ It displayed no inhibition of 21 other phosphatases. Active in xenograft model DoHH2 B-cell lymphoma. GSK2830371 in combination with MDM2 inhibitors has been shown to be efficacious in the treatment of various cancers including liver adenocarcinoma², acute myeloid leukemia³, and breast⁴. It enhances phosphorylation of the tumor suppressor p53 leading to activation.^{5,6}

- 1) Gilmartin *et al.* (2014), *Allosteric Wip1 phosphatase inhibition through flap-subdomain interaction*; Nat. Chem. Biol., **10** 181
- 2) Wu *et al.* (2022), *In vitro and in vivo study of GSK2830317 and RG7388 combination in liver adenocarcinoma*; Am. J. Cancer Res., **12** 4399
- 3) Fontana *et al.* (2021), *Pharmacological Inhibition of WIP1 Sensitizes Acute Myeloid Leukemia Cells to the MDM2 Inhibitor Nutlin-3a*; Biomedicines, **9** 388
- 4) Pechackova *et al.* (2016), *Inhibition of WIP1 phosphatase sensitizes breast cancer cells to genotoxic stress and to MDM2 antagonist nutlin-3*; Oncotarget, **7** 14458
- 5) Esfandiari *et al.* (2016), *Chemical Inhibition of Wild-Type p53-Induced Phosphatase 1 (WIP1/PPM1D) by GSK2830371 Potentiates the Sensitivity to MDM2 Inhibitors in a p53-Dependent Manner*; Mol. Cancer Ther., **15** 379
- 6) Wu *et al.* (2021), *WIP1 Inhibition by GSK2830371 Potentiates HDM201 through Enhanced p53 Phosphorylation and Activation in Liver Adenocarcinoma Cells*; Cancers (Basel), **13** 3876

PHYSICAL DATA

Molecular Weight:	461.02
Molecular Formula:	C ₂₃ H ₂₉ ClN ₄ O ₂ S
Purity:	97% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml)
Physical Description:	Tan solid
Storage and Stability:	Store as supplied at -20°C for up to 2 years from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 3 months.

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