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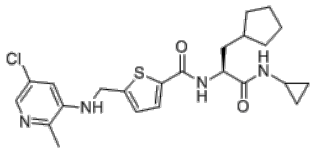
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GSK2830371
Cat. No.	:	PC-38476
CAS No.	:	1404456-53-6
Molecular Formula	:	$C_{23}H_{29}ClN_4O_2S$
Molecular Weight	:	461.0
Target	:	Protein Phosphatase/PTP
Solubility	:	10 mM in DMSO



Biological Activity

GSK2830371 (GSK 2830371) is a potent, selective orally active, allosteric inhibitor of **Wip1 phosphatase** (**PPM1D**) with IC50 of 6 nM, inhibits endogenous substrates phospho-p38 MAPK (T180) with IC50 of 13 nM.
GSK2830371 (GSK 2830371) showed no inhibition of any of the 21 additional phosphatases tested.
GSK2830371 increases phosphorylation of Wip1 substrates and causes growth inhibition in both hematopoietic tumor cell lines and Wip1-amplified breast tumor cells harboring wild-type TP53.
GSK2830371 (GSK 2830371) oral dosing at 150 mg/kg BID (twice daily) and TID (thrice daily) inhibited the growth of DOHH2 tumor xenografts by 41% and 68%, respectively.

References

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Richter M, et al. ***PLoS One.*** 2015 Feb 6;10(2):e0115635.

Esfandiari A, et al. ***Mol Cancer Ther.*** 2016 Mar;15(3):379-91.

Pechackova S, et al. ***Oncotarget.*** 2016 Mar 22;7(12):14458-75.

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