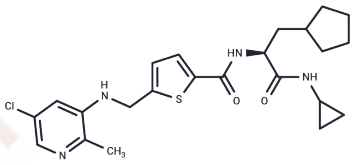
Data Sheet (Cat.No.T6521)

TargetMol

GSK 2830371

Chemical Properties



CAS No. :	1404456-53-6
Formula:	C23H29ClN4O2S
Molecular Weight:	461.02
Storage:	Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	GSK 2830371 is an orally active, allosteric Wip1 phosphatase inhibitor with IC50 of 6 nM.
Targets(IC50)	Phosphatase
In vitro	In the PPM1D-amplified MCF7 breast carcinoma cells, GSK2830371 increases the phosphorylation of multiple Wip1 substrates, including p53 (S15), Chk2 (T68), H2AX (S139) and ATM (S1981). GSK2830371 shows selective antiproliferative activity in a subset of lymphoid cell lines, all of which carry a wild-type TP53 allele. Furthermore, co-treatment of doxorubicin and GSK2830371 results in a synergistic antiproliferative effect in DOHH2 and MX-1 tumor cells. [1]
In vivo	In vivo, GSK2830371 increases phosphorylation of Chk2 (T68) and p53 (S15) and decreases Wip1 protein concentrations in DOHH2 tumors. GSK2830371 (150 mg/kg p.o.) also inhibits the growth of DOHH2 tumor xenografts via inhibition of Wip1. [1]
Kinase Assay	In vitro phosphatase assays: The primary in vitro Wip1 enzymatic assay measures fluorescence generated by Wip-1 (2-420) hydrolysis of fluorescein diphosphate (FDP). 50 μM FDP substrate with compound or DMSO is added at room temperature before addition of 10 nM Wip1 in assay buffer (50 mM TRIS, pH 7.5, 30 mM MgCl2, 0.8 mM CHAPS, 0.05 mg/ml BSA). Fluorescent signal is detected on a Spectramax microplate reader (485/530 nm).
Cell Research	Cells are seeded into 96 well plates at 200-400 cells per well and treated with a compound dilution series on day 1. After 7 d, The CellTiter-Glo cell viability assay is used to determine effects on cell growth. Luminescent signal is detected on an EnVision 2104. (Only for Reference)

Solubility Information

Solubility	DMSO: 46.1 mg/mL (100 mM),Sonication is recommended. Ethanol: 23.1 mg/mL (50.11 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.34 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and*

In vivo Formulation	*used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1691 mL	10.8455 mL	21.691 mL
5 mM	0.4338 mL	2.1691 mL	4.3382 mL
10 mM	0.2169 mL	1.0846 mL	2.1691 mL
50 mM	0.0434 mL	0.2169 mL	0.4338 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Gilmartin AG, et al. Nat Chem Biol. 2014, 10(3), 181-187