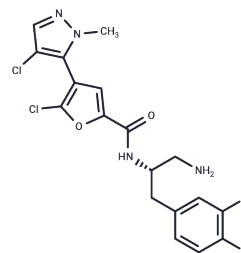


Uprosertib

Chemical Properties

CAS No. :	1047634-65-0
Formula:	C ₁₈ H ₁₆ Cl ₂ F ₂ N ₄ O ₂
Molecular Weight:	429.25
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Uprosertib (GSK2141795) (GSK2141795) is a selective, ATP-competitive, and orally bioavailable Akt inhibitor with IC ₅₀ of 180 nM, 328 nM, and 38 nM for Akt 1, 2 and 3, respectively. Phase 2.
Targets(IC ₅₀)	Akt
In vitro	Uprosertib inhibits multiple AKT substrate phosphorylation levels, including GSK3β, PRAS40, FOXO and Caspase 9 in both BT474 and LNCaP cells. Uprosertib preferentially inhibits the proliferation of human cancer cells lines with AKT pathway activation. In LNCaP, BT474, A3 and I9.2 cells lines, Uprosertib also causes cell cycle arrest. [2] In both SKOV3 and PEO4 cells, Uprosertib causes growth-arrest as single agent, and enhances cisplatin-induced apoptosis. [3]
In vivo	In mice bearing BT474 breast tumor xenografts, Uprosertib (100 mg/kg, p.o.) results 61% tumor growth inhibition. In mice bearing SKOV3 ovarian tumor xenografts, Uprosertib (30 mg/kg, p.o.) results 61% tumor growth inhibition. [2]
Kinase Assay	Selectivity profiling experiments: The lysates (5 mg of total protein each) are preincubated with 0 (DMSO control), 2.5 nM, 25 nM, 250 nM, 2.5 μM or 25 μM free compound (GSK690693 or GSK2141795) on an end-over-end shaker for 45 min at 4 °C. Subsequently, lysates are incubated with beads (coupled Akt probe or kinobeads) for 1 h at 4 °C, for both qualitative and quantitative experiments. The beads are washed with 1× CP buffer and collected by centrifugation. Bound proteins are eluted with 2× NuPAGE LDS sample buffer, and eluates are reduced and alkylated by 50 mM dithiothreitol and 55 mM iodoacetamide.
Cell Research	Cell lines are typically grown in RPMI 160 medium containing 10% FBS. Some cell lines are grown in media specified by the vendor. A 3-day proliferation assay using CellTiter-Glo is performed to measure the growth inhibition by the compounds at 0-30 μM. Cell growth is determined relative to untreated (DMSO) controls. EC ₅₀ 's are calculated from inhibition curves using a 4- or 6-parameter fitting algorithm in the Assay Client application.(Only for Reference)

Solubility Information

Solubility	H ₂ O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 76 mg/mL(177.1 mM), DMSO: 79 mg/mL (184 mM), < 1 mg/ml refers to the product slightly
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soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3296 mL	11.6482 mL	23.2964 mL
5 mM	0.4659 mL	2.3296 mL	4.6593 mL
10 mM	0.233 mL	1.1648 mL	2.3296 mL
50 mM	0.0466 mL	0.233 mL	0.4659 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.

Reference

Bing S, Xiang S, Xia Z, et al. AKT inhibitor Hu7691 induces differentiation of neuroblastoma cells. Acta Pharmaceutica Sinica B. 2023

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

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