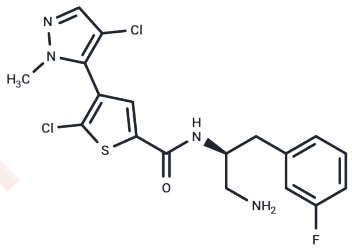
Data Sheet (Cat.No.T1911)

TargetMol

Afuresertib

Chemical Properties



CAS No. :	1047644-62-1
Formula:	C18H17Cl2FN4OS
Molecular Weight:	427.32
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	Afuresertib (GSK2110183) is an orally bioavailable inhibitor of the serine/threonine protein kinase Akt (protein kinase B) with potential antineoplastic activity.
Targets(IC50)	Akt,PKC,ROCK
In vitro	Overall 65% of hematological cell lines were sensitive to Afuresertib with an EC50 < 1 μM. 21% of solid tumor cell lines tested had an EC50 < 1 μM for Afuresertib. Afuresertib inhibited the kinase activity of the E17K AKT1 mutant protein with an EC50 of 0.2 nM. Afuresertib showed Afuresertib showed a concentration-dependent effect on the phosphorylation levels of multiple AKT substrates, including GSK3b, PRAS40, FOXO and cystatin 9.
In vivo	Overall 65% of hematological cell lines were sensitive to Afuresertib with an EC50 < 1 μM. 21% of solid tumor cell lines tested had an EC50 < 1 μM for Afuresertib. Afuresertib inhibited the kinase activity of the E17K AKT1 mutant protein with an EC50 of 0.2 nM. Afuresertib showed Afuresertib showed a concentration-dependent effect on the phosphorylation levels of multiple AKT substrates, including GSK3b, PRAS40, FOXO and cystatin 9.
Kinase Assay	Potency (Ki*) of afuresertib: The true potency (Ki*) of the inhibitor is initially determined at low enzyme concentrations (0.1 nM AKT1, 0.7 nM AKT2, and 0.2 nM AKT3) using a filter binding assay and then confirmed with progress curve analysis. In the filter binding assay, a pre-mix of enzyme plus inhibitor is incubated for 1 h and then added to a GSKα peptide (Ac-KKGGRARTSS-FAEPG-amide) and [γ33P] ATP. Reactions are terminated after 2 h and the radio labeled AKT peptide product is captured in a phospho-cellulose filter plate. Progress curve analysis utilizes continuous real-time fluorescence detection of product formation using the Sox-AKT-tide substrate (Ac-ARKRERAYSF-d-Pro-Sox-Gly-NH2).
Cell Research	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. EC50'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	DMSO: 250 mg/mL (585.04 mM),Sonication is recommended. Ethanol: 79 mg/mL (184.87 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 (4.68 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 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	2.3402 mL	11.7008 mL	23.4017 mL
5 mM	0.468 mL	2.3402 mL	4.6803 mL
10 mM	0.234 mL	1.1701 mL	2.3402 mL
50 mM	0.0468 mL	0.234 mL	0.468 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

Dumble M, et al. PLoS One, 2014, 9(6):e100880.
Wang J, Xu X, Wang T, et al. Ceritinib increases sensitivity of AKT inhibitors to gastric cancer. European Journal of Pharmacology. 2021: 173879.
Wang J, Xu X, Wang T, et al. Ceritinib increases sensitivity of AKT inhibitors to gastric cancer[J]. European Journal of Pharmacology. 2021: 173879.
Wang J, Xu X, Wang T, et al. Ceritinib increases sensitivity of AKT inhibitors to gastric cancer. European Journal of Pharmacology. 2021: 173879.
Huang Q, Ru Y, Luo Y, et al.Identification of a targeted ACSL4 inhibitor to treat ferroptosis-related diseases.Science Advances.2024, 10(13): eadk1200.
Xu X, Ma S, Liu Z, et al.EV71 5'UTR interacts with 3D protein affecting replication through the AKT-mTOR pathway. Virology Journal.2024, 21.