Data Sheet (Cat.No.T37585)

TargetMol

Ensartinib

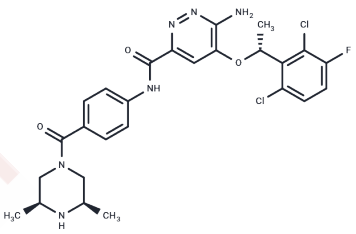
Chemical Properties

CAS No. : 1370651-20-9

Formula: C26H27Cl2FN6O3

Molecular Weight: 561.44

Storage: Store at low temperature
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	Ensartinib (X-396) is a potent and orally active dual ALK/MET inhibitor for the treatment of ALK-positive non-small cell lung cancer (NSCLC).
Targets(IC50)	ALK,c-Met/HGFR
In vitro	Ensartinib is a potent ALK inhibitor that exhibits strong antiproliferative activity in various EML4-ALK-positive NSCLC cell lines. In H3122 cells, Ensartinib (IC_{50} = 13.4nM) significantly suppressed proliferation and induced PARP cleavage and apoptosis. Ensartinib also blocked ALK autophosphorylation and downstream signaling via AKT and ERK, indicating robust ALK pathway inhibition[1].
In vivo	In H3122 xenograft mouse models, oral administration of Ensartinib (50 or 100mg/kg, once daily for 14 days) significantly inhibited tumor growth ($p < 0.001$), with complete tumor regression observed in some mice at the 100mg/kg dose. Ensartinib demonstrated good oral bioavailability, potent ALK targeting in vivo, and low toxicity. [1].
Cell Research	For viability experiments, cells are seeded in 96-well plates at 25%-33% confluency and exposed to drugs.The human lung adenocarcinoma cell lines H3122 and H2228 are treated with Ensartinib (10, 30, 100, 300 and 1000 nM). SUDHL-1 lymphoma cells are treated with Ensartinib (5, 10, 30, 100 and 300 nM). SY5Y neuroblastoma cells are treated with Ensartinib (30, 100, 300 and 1000 nM). At 72 hours post Ensartinib addition, Cell Titer Blue Reagent is added and fluorescence is measured on a Spectramax spectrophotometer. All experimental points are set up in hextuplicate replicates and are performed at least two independent times. IC50s are calculated using GraphPad Prism version 5 for Windows. The curves are fit using a nonlinear regression model with a log (inhibitor) vs. response formula[1].

Solubility Information

Solubility	DMSO: 80 mg/mL (142.49 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: 3.3 mg/mL (5.88 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	1.7811 mL	8.9057 mL	17.8113 mL
5 mM	0.3562 mL	1.7811 mL	3.5623 mL
10 mM	0.1781 mL	0.8906 mL	1.7811 mL
50 mM	0.0356 mL	0.1781 mL	0.3562 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

Lovly CM, et al. Insights into ALK-driven cancers revealed through development of novel ALK tyrosine kinaseinhibitors. Cancer Res. 2011 Jul 15;71(14):4920-31.
Wu W, Li J, Yin Y, et al.Rutin attenuates ensartinib-induced hepatotoxicity by non-transcriptional regulation of TXNIP.Cell Biology and Toxicology.2024, 40(1): 38.

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481