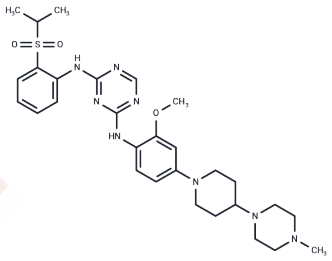
Data Sheet (Cat.No.T1962)

TargetMol

ASP3026

Chemical Properties



CAS No. : 1097917-15-1

Formula: C29H40N8O3S

Molecular Weight: 580.74

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	ASP3026 has been used in trials studying the treatment of Solid Tumor, B-Cell Lymphoma, Advanced Malignancies, Positive for Anaplastic Lymphoma Kinase, and Positive for Proto-Oncogene Tyrosine-Protein Kinase ROS.
Targets(IC50)	Apoptosis,Akt,Caspase,STAT,ALK,IGF-1R,JNK,PARP,ROS Kinase
In vitro	Mice harboring subcutaneous NCI-H2228 tumor xenografts were treated twice daily with the oral administration of ASP3026 for 14 days, which induced a dose-dependent antitumor effect, starting at 1 mg/kg and showing a pronounced reduction at 10, 30, and 100 mg/kg.
In vivo	ASP3026 inhibits the growth of the NCI-H2228 human NSCLC tumor cell line, which endogenously expresses the EML4-ALK variant 3, with an IC50 value of 64.8 nM. ASP3026 demonstrates enhanced selectivity in inhibiting ALK activity in tyrosine kinases compared to PF02341066.
Kinase Assay	Recombinant truncated FLAG-tagged mTOR expressed in HEK 293 cells is used in biochemical assays, together with a biotinylated p70S6K peptide substrate. Streptavidin donor and protein A acceptor beads are used to assemble the capture complex for generation of the assay signal. The activity of the lipid kinases, PI3K alpha, beta, delta, and gamma are measured using recombinant proteins and the lipid PIP2 as substrate. Assays for ATM and DNA-PK activity are performed. The mTOR cellular activity is measured in MDAMB468 cells, using an Acumen laser scanning cytometer to analyze the levels of phosphorylation of S6 (Ser235/236) and AKT (Ser473)[1].

Solubility Information

Solubility	DMSO: 11.6 mg/mL (19.97 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 (3.44 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	1.7219 mL	8.6097 mL	17.2194 mL
5 mM	0.3444 mL	1.7219 mL	3.4439 mL
10 mM	0.1722 mL	0.861 mL	1.7219 mL
50 mM	0.0344 mL	0.1722 mL	0.3444 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

Sadao Kuromitsu, et al. AACR 102nd Annual Meeting, 2011.