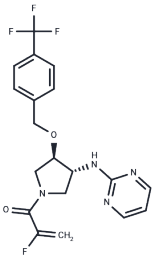
Data Sheet (Cat.No.T62074)

TargetMol

MYF-03-176

Chemical Properties



CAS No. : 2857937-59-6

Formula: C19H18F4N4O2

Molecular Weight: 410.37

Storage: Keep away from moisture,Keep away from direct sunlight
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	MYF-03-176 is an orally active TEAD1/3/4 inhibitor with IC_{50} values of 47/32/71 nM, respectively. It also inhibits TEAD transcriptional activity and cell growth in NCI-H226 cells, exhibiting antitumour activity in malignant pleural mesothelioma (MPM) cells with defective Hippo signalling and in mouse xenograft models.
Targets(IC50)	YAP
In vitro	MYF-03-176 (0.1-10^5 nM) acted on NCI-H226 cells for 72 hours and inhibited TEAD transcriptional activity with an IC_{50} of 11 nM [1]. When treated with MYF-03-176 (20-500 nM) for 24 hours, it significantly downregulated the expression of YAP target genes CTGF, CYR61, and ANKRD1 while upregulating BMF expression. This result indicates its inhibitory effect on malignant mesothelioma of pleura (MPM) cells with Hippo signaling pathway defects [1]. MYF-03-176 (0-10^4 nM) acted on NCI-H226 cells for 5 days and inhibited cell growth, with a corresponding IC_{50} value of 9 nM [1]. When treated with MYF-03-176 (0-5 μM) for 10-14 days in combination with KRAS G12C and KRAS G12D inhibitors across multiple cell lines, it exhibited significant synergistic effects [3].
In vivo	In the human mesothelioma NCI-H226 cell-derived xenograft (CDX) model, MYF-03-176 was administered orally at a dose of 30-75 mg/kg, twice daily for 28 consecutive days, and exhibited potent antitumor activity [1]. In the SW1753 xenograft BALB/c nude mouse model, when MYF-03-176 was administered orally at a dose of 100 mg/kg, twice daily for 21 consecutive days in combination with AMG510, it could effectively reduce tumor volume without affecting the body weight of nude mice [3].

Solubility Information

Solubility	DMSO: 80 mg/mL (194.95 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+90% Corn Oil: 3.3 mg/mL (8.04 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	2.4368 mL	12.1841 mL	24.3683 mL
5 mM	0.4874 mL	2.4368 mL	4.8737 mL
10 mM	0.2437 mL	1.2184 mL	2.4368 mL
50 mM	0.0487 mL	0.2437 mL	0.4874 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

Mengyang Fan, et al. Covalent Disruptor of YAP-TEAD Association Suppresses Defective Hippo Signaling. BioRxiv.
Lu W, et al. Structure-Based Design of Y-Shaped Covalent TEAD Inhibitors. J Med Chem. 2023 Apr 13;66(7):4617-4632.
Yang W, et al. YAP/TAZ mediates resistance to KRAS inhibitors through inhibiting proapoptosis and activating the SLC7A5/mTOR axis. JCI Insight. 2024 Dec 20;9(24):e178535.