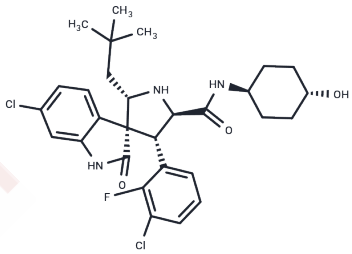
Data Sheet (Cat.No.T6585)

TargetMol

SAR405838

Chemical Properties



CAS No. : 1303607-60-4

Formula: C29H34Cl2FN3O3

Molecular Weight: 562.5

Storage: Powder: -20°C for 3 years
Actual storage temperature shall be subject to the COA.

Biological Description

Description	MI-773 (SAR405838 (MI 773)) is an orally available MDM2 antagonist with Ki of 0.88 nM. Phase 1.
Targets(IC50)	Apoptosis,Mdm2,E1/E2/E3 Enzyme,MDM-2/p53
In vitro	SAR405838 binds to MDM2 with Ki of 0.88 nM. SAR405838 potently inhibits cell growth in cancer cell lines, including SJSA-1 (IC50, 0.092 μM), RS4;11 (IC50, 0.089 μM), LNCaP (IC50, 0.27 μM), and HCT-116 (IC50, 0.20 μM) cells, and displays high selectivity over cancer cell lines with mutated or deleted p53, including SAOS-2 (IC50, >10 μM), PC-3 (IC50, >10 μM), SW620 (IC50, >10 μM), and HCT-116 (p53-/-) (IC50, >20 μM) cells. [1]
In vivo	In the SJSA-1 osteosarcoma, acute lymphoblastic leukemia RS4;11, LNCaP prostate cancer, and HCT-116 colon cancer xenograft model, SAR405838 (p.o.) effectively inhibits tumor growth in a dose-dependent manner (10 mg/kg, 30 mg/kg, 50 mg/kg, 100 mg/kg, and 200 mg/kg,). [1]
Kinase Assay	Fluorescence-polarization binding assay: Binding af?nities of MDM2 inhibitors and p53 peptide to MDM2 protein are determined using an Fluorescence-polarization (FP) binding assay. Binding af?nities of MI-773 to Bcl-2, Bcl-xL, Mcl-1, and β-catenin are determined using a competitive FP-based assay, and its binding af?nity to MDMx is determined using Biolayer Interferometry technology.
Cell Research	Cell growth inhibition activity is determined in a water-soluble tetrazolium-based assay. Cell death is measured by trypan blue staining and apoptosis is determined using an Annexin V-FLUOS staining kit.(Only for Reference)

Solubility Information

Solubility	DMSO: 245 mg/mL (435.56 mM),Sonication is recommended. Ethanol: 29 mg/mL (51.56 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 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 (5.87 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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7778 mL	8.8889 mL	17.7778 mL
5 mM	0.3556 mL	1.7778 mL	3.5556 mL
10 mM	0.1778 mL	0.8889 mL	1.7778 mL
50 mM	0.0356 mL	0.1778 mL	0.3556 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

Wang S, et al. Cancer Res. 2014, 74(20), 5855-5865.