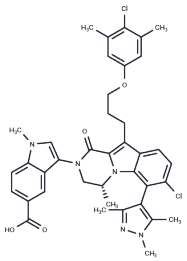
Data Sheet (Cat.No.T17247)

TargetMol

VU0661013

Chemical Properties



CAS No. : 2131184-57-9

Formula: C39H39Cl2N5O4

Molecular Weight: 712.66

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	VU0661013 is an effective and selective inhibitor of MCL-1.
Targets(IC50)	Bcl-2 Family
In vitro	VU0661013 does not obviously suppress BCL-xL (Ki>40 μM) or BCL-2 (Ki=0.73 μM). VU0661013 shows a Ki of 97±30 pM to human MCL-1 in a TR-FRET assay by displacing a fluorescently labeled peptide derived from the pro-apoptotic protein BAK [1].
In vivo	VU0661013 is a potent and selective MCL-1 inhibitor and is active in Venetoclax-resistant cells and patient-derived xenografts. VU0661013 treatment of disseminated human AML results in a dose-dependent decrease in tumor burden, nearly eliminating the hCD45+ MV-4-11 cells at the 75 mg/kg dose in the blood (mean, 13.0±2.2% in vehicle vs 7.4±7.2% in 25mg/kg vs 0.17±0.12% in 75 mg/kg treated mice), bone marrow (mean, 40.7±13.9% in vehicle vs 33.46±4.0 % in 25 mg/kg vs 0.384±0.345 in 75 mg/kg treated mice), and spleen (mean, 46.22±13.3% in vehicle vs 13.31±10.0% in 25 mg/kg vs 1.588 ±1.51% in 75 mg/kg treated mice). Treatment with VU0661013 reduces disease-associated splenomegaly (mean, vehicle vs. 75mg/kg, 0.17±0.02 vs 0.09±0.01g), and amending spleen to body weight ratio (vehicle vs 75mg/kg, 0.99 vs 0.50). In this study, NSGS mice are treated daily (starting 7 days after transplant) with vehicle only, 15 mg/kg or 75 mg/kg of VU0661013. Analysis reveals an increase in survival in mice treated with the 75mg/kg dose (vehicle treated mice=31 days, vs 15 mg/kg=32 days, vs 75 mg/kg treated mice=43 Days) [1].

Solubility Information

Solubility	DMSO: 117 mg/mL (164.17 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: 4 mg/mL (5.61 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	1.4032 mL	7.016 mL	14.0319 mL
5 mM	0.2806 mL	1.4032 mL	2.8064 mL
10 mM	0.1403 mL	0.7016 mL	1.4032 mL
50 mM	0.0281 mL	0.1403 mL	0.2806 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

Haley E. Ramsey, et al. A Novel MCL-1 Inhibitor Combined with Venetoclax Rescues Venetoclax Resistant Acute Myelogenous Leukemia. Cancer Discov. August 28, 2018.