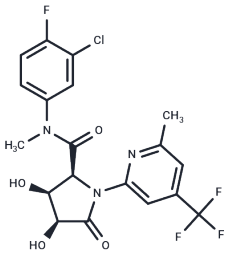
Data Sheet (Cat.No.T40236)

TargetMol

ART812

Chemical Properties



CAS No. : 2607138-82-7

Formula: C19H16ClF4N3O4

Molecular Weight: 461.79

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	ART812 is an orally active inhibitor of DNA polymerase Polθ, possessing an IC50 value of 7.6 nM. Additionally, ART812 exhibits a cell-based microhomology-mediated end joining (MMEJ) IC50 value of 240 nM.
Targets(IC50)	DNA/RNA Synthesis
In vitro	ART812 (0-40 μM) induces Polθ inhibitor sensitivity in MDA-MB-436 SHLD2 knockout cells [1].
In vivo	ART812 (100?mg/kg; p.o. daily for 76 days) shows significant tumour inhibition in rats bearing established MDA-MB-436 BRCA1/SHLD2 defective tumours (volume 250-350? mm 3) [1] .

Solubility Information

Solubility	DMSO: 90 mg/mL (194.89 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 (7.15 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	2.1655 mL	10.8274 mL	21.6549 mL
5 mM	0.4331 mL	2.1655 mL	4.331 mL
10 mM	0.2165 mL	1.0827 mL	2.1655 mL
50 mM	0.0433 mL	0.2165 mL	0.4331 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

Zatreanu D, et al. Polθ inhibitors elicit BRCA-gene synthetic lethality and target PARP inhibitor resistance. Nat Commun. 2021 Jun 17;12(1):3636.
Peter BLENCOWE, et al. Preparation of heterocyclic compounds for use in the treatment of cancer. WO2021028643 A1.