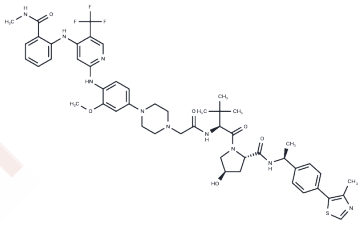
Data Sheet (Cat.No.T67843)

TargetMol

GSK215

Chemical Properties



CAS No. : 2743427-26-9

Formula: C50H59F3N10O6S

Molecular Weight: 985.13

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	GSK215 is a potent and selective PROTAC focal adhesion kinase (FAK) degrader (pDC50= 8.4), designed using the FAK inhibitor VS-4718 and a binder for the VHL E3 ligase, capable of inducing rapid and prolonged FAK degradation.
Targets(IC50)	FAK,PROTACs
In vitro	GSK215 induced degradation is proteasome and ubiquitin dependent. GSK215 (0.1-1000 nM; 2 h) effectively increases the FAK degradation by >90% and determines a DC50 of 1.3 nM in A549 cells[1]. GSK215 (100 nM, 48 h) inhibits migration, invasion and collagen deposition in A549 cells. GSK215 (above 100 nM, 6h) reduces primarily kinases CDK7, RPS6KA3, MET and GAK[1].
In vivo	GSK215 (8 mg/kg; i.h.; once) degrades FAK, and exhibits the Cmax and tmax values of 526 ng/mL and 0.33 hours, respectively[1].

Solubility Information

Solubility	DMSO: 225 mg/mL (228.4 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: 5 mg/mL (5.08 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	1.0151 mL	5.0755 mL	10.1509 mL
5 mM	0.203 mL	1.0151 mL	2.0302 mL
10 mM	0.1015 mL	0.5075 mL	1.0151 mL
50 mM	0.0203 mL	0.1015 mL	0.203 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

Law RP, Nunes J, Chung CW, et al. Discovery and Characterisation of Highly Cooperative FAK-Degrading PROTACs [published online ahead of print, 2021 Aug 20]. Angew Chem Int Ed Engl. 2021;10.1002/anie.202109237.