

SIAIS178

Chemical Properties

CAS No. : 2376047-73-1

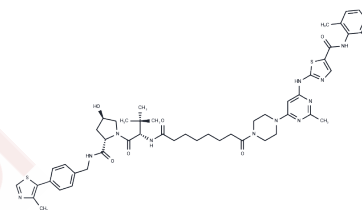
Formula: C₅₀H₆₂ClN₁₁O₆S₂

Molecular Weight: 1012.68

Keep away from direct sunlight

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	SIAIS178 is a selective PROTAC degrader targeting BCR-ABL with an IC ₅₀ of 24 nM. It degrades BCR-ABL protein by recruiting the VHL E3 ubiquitin ligase, inhibiting leukaemia cell growth in vitro and causing regression of K562 xenograft tumours.
Targets(IC50)	Bcr-Abl,Ligands for E3 Ligase,PROTACs
In vitro	Methods: K562 cells were treated with SIAIS178 (1, 3, 10, 30, 100 nM, 16 hours) and BCR-ABL protein expression was detected by Western blot. Results: SIAIS178 significantly reduced BCR-ABL protein levels in a concentration-dependent manner. [1]
In vivo	Methods: SIAIS178 (5, 15, and 45 mg/kg) was used to treat K562-Luc NOD/SCID mice and investigate its effect on tumor progression in vivo. Results: SIAIS178 attenuated tumor progression in a dose-dependent manner as determined by serial volume measurements. [1]

Solubility Information

Solubility	DMSO: 245 mg/mL (241.93 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 (4.94 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.9875 mL	4.9374 mL	9.8748 mL
5 mM	0.1975 mL	0.9875 mL	1.975 mL
10 mM	0.0987 mL	0.4937 mL	0.9875 mL
50 mM	0.0197 mL	0.0987 mL	0.1975 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

Zhao Q, et al. Discovery of SIAIS178 as an Effective BCR-ABL Degradar by Recruiting Von Hippel-Lindau (VHL) E3 Ubiquitin Ligase. J Med Chem. 2019 Oct 24;62(20):9281-9298.

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