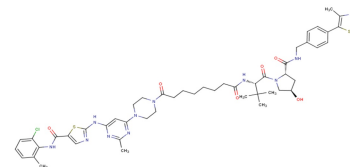


SIAIS178

## Chemical Properties

CAS No.:	2376047-73-1
Formula:	C <sub>50</sub> H <sub>62</sub> CIN <sub>11</sub> O <sub>6</sub> S <sub>2</sub>
Molecular Weight:	1012.68
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



## Biological Description

Description	SIAIS178 is a potent and selective degrader of BCR-ABL based on PROTAC technology (IC <sub>50</sub> of 24 nM).
Targets(IC <sub>50</sub> )	VHL: 24 nM Bcr-Abl: 24 nM
In vitro	the BCR-ABL protein levels in a concentration dependent manner significantly reduced by SIAIS178 (1-100 nM; for 16 hours) . SIAIS178 significantly inhibits the phosphorylation of BCR-ABL and the substrates STAT5. in BCR-ABL driven CML cell lines, SIAIS178 (1, 10, 100, 1000 nM) exerts significant antiproliferative activity. SIAIS178 retains potency and selectivity against the BCR-ABL driven cell lines.
In vivo	Tumor progression in a dose-dependent manner attenuated by SIAIS178 (ip; 5, 15, and 45 mg/kg; 12 days), as determined by serial volumetric measurement . SIAIS178 (iv or ip; 2 mg/kg; 24 hours) has T <sub>1/2</sub> of 3.82 and 12.35 hours and C <sub>max</sub> of 1165.2 nM and 30 nM for iv and ip, respectively.

## Solubility Information

Solubility	DMSO: 300 mg/mL (296.24 mM) ( < 1 mg/ml refers to the product slightly soluble or insoluble)
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### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.987 mL	4.937 mL	9.875 mL
5 mM	0.197 mL	0.987 mL	1.975 mL
10 mM	0.099 mL	0.494 mL	0.987 mL
50 mM	0.02 mL	0.099 mL	0.197 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

### Reference

1. 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.

Inhibitors · Natural Compounds · Compound Libraries

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