## **Product** Data Sheet

## SIAIS100

**Cat. No.:** HY-152036

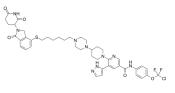
Molecular Weight: 890.44

Target: PROTACs; Bcr-Abl

Pathway: PROTAC; Protein Tyrosine Kinase/RTK

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.



## **BIOLOGICAL ACTIVITY**

| DIOLOGICAL ACT            |  |                                  |
|---------------------------|--|----------------------------------|
| Description               | SIAIS100 is a potent BCR-ABL PROTAC degrader with an DC $_{50}$ value of 2.7 nM. SIAIS100 can be used to research chronic myeloid leukemia (CML) $^{[1]}$ .  |                                  |
| IC <sub>50</sub> & Target | DC <sub>50</sub> : 2.7 nM <sup>[1]</sup>   |                                  |
| In Vitro                  | SIAIS100 exhibits anti-proliferative activity against K562 cells with an IC <sub>50</sub> value of 12 nM <sup>[1]</sup> .  SIAIS100 degrades BCR-ABL with degradation ratio of 81.78% and 91.20% at 5 nM and 100 nM, respectively <sup>[1]</sup> .  SIAIS100 (100 nM; 8 h) significantly decreases BCR-ABL in K562 cells <sup>[1]</sup> .  SIAIS100 (100 nM; 6 h) induced sustained and robust BCR-ABL degradation and maintained a durable cellular response after drug removal <sup>[1]</sup> .  SIAIS100 (1-1000 nM) significantly degrade mutation G250E/T315I dose-dependently accompanied by the inhibition of BCR-ABL signaling assessed by the level of p-BCR-ABL in 32D cells <sup>[1]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.  Western Blot Analysis <sup>[1]</sup> |                                  |
|                           | Cell Line:   | K562                             |
|                           | Concentration:   | 100 nM                           |
|                           | Incubation Time:   | 8 h                              |
|                           | Result:  | Significantly decreases BCR-ABL. |

## **REFERENCES**

[1]. Liu H, et al. Discovery and characterization of novel potent BCR-ABL degraders by conjugating allosteric inhibitor. Eur J Med Chem. 2022 Dec 15;244:114810.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$ 

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Page 2 of 2 www.MedChemExpress.com