

## **Data Sheet**

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Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 CB-5083

 Cat. No.
 :
 PC-43263

 CAS No.
 :
 1542705-92-9

 Molecular Formula
 :
 C24H23N5O2

 Molecular Weight
 :
 413.4717

 Target
 :
 p97/VCP

**Solubility** : 10 mM in DMSO

## **Biological Activity**

CB-5083 is a potent, selective, orally bioavailable inhibitor of **p97/VCP** AAA ATPase with IC50 of 11 nM, specifically targets D2 ATPase site of p97, shows 9.6, 128 and 158-fold less affinity for DNA-PK, mTOR and PIK3C3.

CB-5083 causes accumulation of poly-ubiquitinated proteins, retention of ERAD substrates, and generation of irresolvable proteotoxic stress, leading to activation of the apoptotic arm of the unfolded protein response (UPR) in cancer cells. CB-5083 inhibits A549 cell viability with IC50 of 0.67 uM, induces the UPR and apoptosis in xenograft tumor models.

## References

Anderson DJ, et al. *Cancer Cell*. 2015 Nov 9;28(5):653-665.

Zhou HJ, et al. *J Med Chem*. 2015 Dec 24;58(24):9480-97.

Le Moigne R, et al. *Mol Cancer Ther*. 2017 Nov;16(11):2375-2386.

Bastola P, et al. *Mol Oncol*. 2016 Dec;10(10):1559-1574.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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