

## **Data Sheet**

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 Product Name
 :
 PT2385

 Cat. No.
 :
 PC-43267

 CAS No.
 :
 1672665-49-4

 Molecular Formula
 :
 C<sub>17</sub>H<sub>12</sub>F<sub>3</sub>NO<sub>4</sub>S

 Molecular Weight
 :
 383.3417

**Target** : HIF/HIF Prolyl-hydroxylase

**Solubility** : 10 mM in DMSO

## **Biological Activity**

PT2385 (PT-2385) is a potent, selective, and orally active antagonist of  $HIF2\alpha$ , binds to  $HIF2\alpha$  PAS-B domain (Kd=50 nM) and disrupts  $HIF2\alpha$ /ARNT dimer formation.

PT2385 allosterically blocks HIF2 $\alpha$  dimerization with the HIF1 $\alpha$ /2 $\alpha$  transcriptional dimerization partner ARNT/HIF1 $\beta$ , disrupts HIF2 $\alpha$ , but not HIF1 $\alpha$ , heterodimerization with ARNT in Hep3B cells.

PT2385 inhibits the expression of HIF2 $\alpha$ -dependent genes, including VEGF-A, PAI-1, and cyclin D1 in ccRCC cell lines and tumor xenografts.

PT2385 also reduces HIF2 $\alpha$  mRNA and protein levels in xenograft tumors.

## References

Wallace EM, et al. *Cancer Res*. 2016 Sep 15;76(18):5491-500.

Chen W, et al. *Nature*. 2016 Nov 3;539(7627):112-117.

Courtney KD, et al. *J Clin Oncol*. 2018 Mar 20;36(9):867-874.

Xie C, et al. Nat Med. 2017 Nov;23(11):1298-1308.

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

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