优睿科
— UREIKO —

Data Sheet

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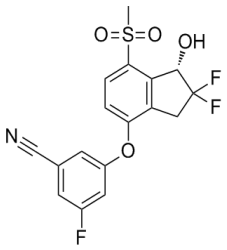
Global Supplier of Chemical Probes, Inhibitors & Agonists

Product Name	:PT2385
Cat.No.	:URK-V622
CAS No.	:1672665-49-4
Molecular Formula	:$C_{17}H_{12}F_3NO_4S$
Molecular Weight	:383.342
Target	:HIF/HIF Prolyl-hydroxylase
Solubility	:10 mM in DMSO



Biological Activity

PT2385 (PT-2385) is a potent, selective, and orally active antagonist of HIF2α, binds to HIF2α PAS-B domain (Kd=50 nM) and disrupts HIF2α/ARNT dimer formation; allosterically blocks HIF2α dimerization with the HIF1α/2α transcriptional dimerization partner ARNT/HIF1β, disrupts HIF2α, but not HIF1α, heterodimerization with ARNT in Hep3B cells; inhibits the expression of HIF2α-dependent genes, including VEGF-A, PAI-1, and cyclin D1 in ccRCC cell lines and tumor xenografts, also reduces HIF2α mRNA and protein levels in xenograft tumors.

Kidney Cancer

Phase 2 Clinical

References

1. Wallace EM, et al. Cancer Res. 2016 Sep 15;76(18):5491-500.
2. Chen W, et al. Nature. 2016 Nov 3;539(7627):112-117.
3. Courtney KD, et al. J Clin Oncol. 2018 Mar 20;36(9):867-874.
4. Xie C, et al. Nat Med. 2017 Nov;23(11):1298-1308.

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