

Data Sheet

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Product Name : HIF- 1α inhibitor LW6

 Cat. No.
 : PC-24681

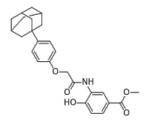
 CAS No.
 : 934593-90-5

 Molecular Formula : C₂₆H₂₉NO₅

 Molecular Weight : 435.52

Target : HIF/HIF Prolyl-hydroxylase

Solubility : 10 mM in DMSO



Biological Activity

HIF- 1α inhibitor LW6 is a specific small compound that inhibits the accumulation of HIF- 1α , decreases HIF- 1α protein expression without affecting HIF- 1β expression, has IC50 of 2.6 uM in HIF-1-mediated cell-based reporter assay in Hep3B cells.

LW6 promoted the degradation of wild type HIF- 1α , but not of a DM-HIF- 1α with modifications of P402A and P564A, at hydroxylation sites in the oxygen-dependent degradation domain (ODDD).

LW6 does not affect the activity of prolyl hydroxylase (PHD), but induced the expression of von Hippel-Lindau (VHL), which interacts with prolyl-hydroxylated HIF- 1α for proteasomal degradation.

LW6 demonstrated strong anti-tumor efficacy in vivo and caused a decrease in HIF- 1α expression in frozen-tissue immunohistochemical staining in mice carrying xenografts of human colon cancer HCT116 cells.

References

Lee K, et al. Biochem Pharmacol. 2010 Oct 1;80(7):982-9.

Naik R, et al. J Med Chem. 2014 Nov 26;57(22):9522-38.

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

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