For Research Use Only

LW6

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Catalog Number: CM04469

产品信息

Catalog Number:
CM04469

CAS号:
934593-90-5

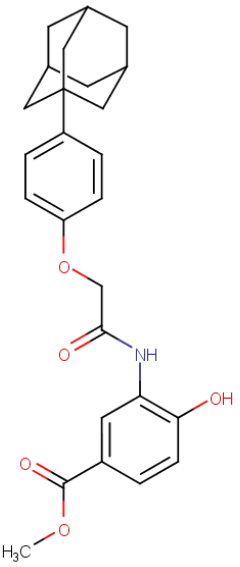
分子式:
$C_{26}H_{29}NO_5$

分子量:
435.52

溶解度:
DMSO:33 mg/mL

主要靶点:
Apoptosis|HIF|Dehydrogenase|HIF/HIF Prolyl-Hydroxylase

主要通路:
表观遗传|代谢|凋亡|血管生成



靶点活性

MDH2:6.3 μM|HIF:4.4 μM

体外活性

LW6 decreases the expression of HIF-1α protein without affecting HIF-1β expression. LW6 affects the stability of the HIF-1α protein. LW6 promotes the degradation of wild type HIF-1α while not of a DM-HIF-1α with modifications of P402A and P564A, at hydroxylation sites in the oxygen-dependent degradation domain. LW6 induces the expression of von Hippel-Lindau (VHL), which interacts with prolyl-hydroxylated HIF-1α for proteasomal degradation. The knockdown of VHL does not abolish HIF-1α protein accumulation in the presence of LW6 which indicates that LW6 degraded HIF-1α via regulation of VHL expression[2]. In MDCKII-BCRP cells overexpressing BCRP, LW6 enhances significantly the cellular accumulation of mitoxantrone, a BCRP substrate. LW6 also down-regulates BCRP expression at concentrations of 0.1-10 μM[3]. LW6 inhibits the expression of HIF 1α induced by hypoxia in A549 cells at 20 mM, independently of the von Hippel Lindau protein. LW6 induces hypoxia selective apoptosis together with a reduction in the mitochondrial membrane potential[4].

体内活性

LW6 demonstrates strong anti-tumor efficacy in vivo and causes a decrease in HIF-1α expression in frozen-tissue immunohistochemical staining in mice carrying xenografts of human colon cancer HCT116 cells[2].

细胞实验

Inhibition of HIF-1a is assayed by a reporter assay using dualluciferase reporter assay system. HCT116 cells in 75-90% confluence are transiently co-transfected with pGL3-HRE-luciferase plasmid containing six copies of HREs from human VEGF genes and pRLSV40 encoding firefly renilla luciferase and incubated for 24 h. Cells are treated with LW6 or 17-AAG for 16 h before report assay. Luciferase activity is integrated over a 10 second period and measured using a luminometer[2].

描述

LW6 is a novel HIF-1 inhibitor.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years

For technical support and original validation data for this product please contact
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