Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

BAY 87-2243

Catalog No: tcsc2319

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1227158-85-1

Formula:
$C_{26}H_{26}F_3N_7O_2$

Pathway:
Metabolic Enzyme/Protease

Target:
HIF/HIF Prolyl-Hydroxylase

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
525.53

Product Description

BAY 87-2243 is a highly potent and selective hypoxia-inducible factor-1 (**HIF-1**) inhibitor.

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

IC50 & Target: HIF-1α[1]

In Vitro: BAY 87-2243 inhibits luciferase activity with a calculated IC_{50} value of ~0.7 nM. Hypoxic induction of the HIF target gene CA9 on protein level in HCT116luc cells is inhibited by BAY 87-2243 with an IC_{50} value of ~2 nM. BAY 87-2243 inhibits mitochondrial oxygen consumption measured by using the oxygen sensitive fluorescence dye LUX-MitoXpress with an IC_{50} value of ~10 nM[1]. BAY-87-2243 inhibits nuclear HIF-1α protein expression. Administration of BAY-87-2243 for about 18 days significantly reduces HIF-1α protein expression as well as pimonidazole hypoxic fraction (pHF) (mean 2.4% (BAY-87-2243) vs. 17.6% (carrier), p[2].

In Vivo: Nude mice are inoculated with H460 cells subcutaneously and after tumors have been established, animals are treated with BAY 87-2243 (0.5, 1, 2, and 4 mg/kg) for 3 weeks by daily oral gavage. BAY 87-2243 reduced tumor weight dose dependently in line with a dose-dependent reduction of the mRNA expression levels of the HIF-1 target genes CA9, ANGPTL4, and EGLN3, whereas the mRNA expression levels of hypoxia-insensitive EGLN2 gene and of HIF-1α itself are not affected by compound treatment in vivo[1].