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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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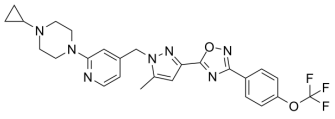
BAY 87-2243

Cat. No.:	HY-15836
CAS No.:	1227158-85-1
Molecular Formula:	$C_{26}H_{26}F_3N_7O_2$
Molecular Weight:	525.53
Target:	HIF/HIF Prolyl-Hydroxylase; Ferroptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (47.57 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9028 mL	9.5142 mL	19.0284 mL
5 mM	0.3806 mL	1.9028 mL	3.8057 mL
10 mM	0.1903 mL	0.9514 mL	1.9028 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & 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<0.0001), and necrotic fraction (NF) (mean 9% vs. 35.6%, p=0.0002), whereas relative vascular area (RVA)

	and perfused vessels (PF) remained unchanged[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Luciferase activity is given in % of DMSO-treated cells. To evaluate the cytotoxicity of BAY 87-2243, 2.000 cells of the respective cell lines are seeded in 96-well plates and cultured in the appropriate growth medium containing 10% FCS. BAY 87-2243 at various concentrations is added at 24 h after seeding for additional 48 h and cell viability is determined using Cell Titer Glow Assay[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] Tumor xenograft experiment is carried out on female immune-deficient, athymic NMRI nude mice, aged 7-9 weeks, weighing 20-25 g. The lung carcinoma xenograft mouse model is established by subcutaneous injection into the right flank with 0.1 mL H460 tumor cells (1.5×10^6) mixed 1:1 with Matrigel. Mice are randomized into control and BAY 87-2243 (0.5, 1, 2, and 4 mg/kg) groups when tumors reach a size of more than 40 mm^2. Body weight is monitored as a measure for treatment-related, acute toxicity. Tumor area (measured by caliper) or tumor weight (measured when mice are sacrificed 21 days after cell injection) is calculated by the formula 100-100×(tumor weight/area of treatment group)/(tumor weight/area of vehicle group). Tumor Statistical analysis is performed using the one-way analysis of variance. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Res. 2018 Dec;28(12):1171-1185.
- Brain Behav Immun. 2023 Feb 6;S0889-1591(23)00029-6.
- Theranostics. 2020 Jun 12;10(16):7409-7421.
- Cancer Metab. 2019 Jul 16;7:7.
- Cancer Metab. 2019 Mar 6;7:2.

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REFERENCES

[1]. Ellinghaus P, et al. BAY 87-2243, a highly potent and selective inhibitor of hypoxia-induced gene activation has antitumor activities by inhibition of mitochondrial complex I. Cancer Med. 2013 Oct;2(5):611-24.

[2]. Helbig L, et al. BAY 87-2243, a novel inhibitor of hypoxia-induced gene activation, improves local tumor control after fractionated irradiation in a schedule-dependent manner in head and neck human xenografts. Radiat Oncol. 2014 Sep 19;9:207.

Caution: Product has not been fully validated for medical applications. For research use only.

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