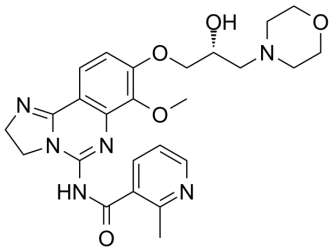
BAY1082439

Cat. No.:	HY-100886
CAS No.:	1375469-38-7
Molecular Formula:	$C_{25}H_{30}N_6O_5$
Molecular Weight:	494.54
Target:	PI3K; Apoptosis
Pathway:	PI3K/Akt/mTOR; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (10.11 mM; ultrasonic and adjust pH to 5 with HCl)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0221 mL	10.1104 mL	20.2208 mL
	5 mM	0.4044 mL	2.0221 mL	4.0442 mL
	10 mM	0.2022 mL	1.0110 mL	2.0221 mL

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

BIOLOGICAL ACTIVITY

Description

BAY1082439 is an orally bioavailable, selective PI3Kα/β/δ inhibitor. BAY1082439 also inhibits mutated forms of PIK3CA. BAY1082439 is highly effective in inhibiting Pten-null prostate cancer growth[1][2].

IC_{50} & Target	PI3Kα	PI3Kβ	PI3Kδ

In Vitro

BAY1082439 is a highly selective PI3Kα/α-balanced inhibitor. BAY1082439 has an IC_{50} ratio of 1:3 in biochemical assays of PI3Kα (4.9 nM) vs. PI3Kα (15.0 nM), and >1000-fold selectivity against mTOR kinase[1].

BAY1082439 (0.1-1 μM; 72 hours) is more effective than PI3Kα- and/or PI3Kβ-selective inhibitors in blocking PTEN-null prostate cancer cells[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	PC3 and LNCaP cells (PTEN-null human prostate cancer cell lines)
Concentration:	0.1, 0.33, 1, 3.3, 10 μM

Incubation Time:	72 hours
Result:	Efectively inhibited cell growth by blocking the G1/S cell cycle transition and by inducing apoptosis.

In Vivo

BAY1082439 (75 mg/kg; p.o.; daily for 4 weeks) is effective in preventing Pten-null prostate cancer progression[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Pten conditional knockout mouse model (Pb-Cre^{+};Pten$^{L/L}$, CP model)[2]
Dosage:	75 mg/kg
Administration:	P.o.; daily for 4 weeks
Result:	Significantly decreased tumor size and P-AKT staining, nearly normal luminal architecture, and a significant reduction of Ki67-positive cells. Significantly inhibit the human prostate cancer growth.

REFERENCES

[1]. Ningshu Liu, et al. Abstract 2799: BAY 1082439, a highly selective and balanced PI3Kα/β inhibitor demonstrated potent activity in tumors with activated PI3Kα and loss-of-function of PTEN. Abstract nr 2799. doi:1538-7445.AM2012-2799.

[2]. Yongkang Zou, et al. Co-Targeting the Cell Intrinsic and Microenvironment Pathways of Prostate Cancer by PI3Kα/β/δ inhibitor BAY1082439. Mol Cancer Ther. 2018 Oct;17(10):2091-2099.

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