iMDK

Cat. No.: HY-110171

CAS No.: 881970-80-5

Molecular Formula: $C_{21}H_{13}FN_2O_2S$

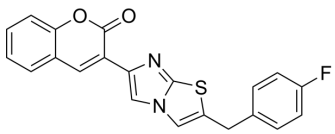
Molecular Weight: 376.4

Target: PI3K

Pathway: PI3K/Akt/mTOR

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 : 3.33 mg/mL (8.85 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6567 mL	13.2837 mL	26.5675 mL
5 mM	0.5313 mL	2.6567 mL	5.3135 mL
10 mM	---	---	---

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

In Vivo

1. Add each solvent one by one: 15% Cremophor EL >> 85% Saline
   Solubility: 5 mg/mL (13.28 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

iMDK is a potent PI3K inhibitor and inhibits the growth factor MDK (also known as midkine or MK). iMDK suppresses non-small cell lung cancer (NSCLC) cooperatively with A MEK inhibitor without harming normal cells and mice[1].

In Vitro

iMDK (50–500 nM) suppressed AKT phosphorylation in a dose-dependent manner in H441 lung adenocarcinoma cells after treatment for 72 h. In contrast, iMDK robustly increases p-ERK[1].

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

Cell Viability Assay[1]

Cell Line:	H441 (lung adenocarcinoma; $KRAS^{G12V}$), H2009 (non-small cell carcinoma; $KRAS^{G12A}$), A549 (lung carcinoma; $KRAS^{G12S}$) and H520 (lung squamous cell carcinoma; $KRAS^{WT}$)
Concentration:	iMDK (2.5 μM) and PD0325901 (0.5 μM) for H441 and H2009 cells

	iMDK (0.125 μM) and PD0325901 (0.25 μM) for H520 cells iMDK (0.25 μM) and PD0325901 (0.125 μM) for A549 cells
Incubation Time:	72 hours
Result:	iMDK alone did not inhibit cell viability of A549 cells, the combinatorial treatment of iMDK with PD0325901 significantly inhibited that of A549 cells compared to the single treatment of PD0325901.

Western Blot Analysis[1]

Cell Line:	H441 lung adenocarcinoma cells
Concentration:	0-500 nM
Incubation Time:	72 hours
Result:	Suppressed AKT phosphorylation in a dose-dependent manner. ERK1/2 phosphorylation was increased.

In Vivo

The combination treatment of iMDK ((9 mg/kg/day; intraperitoneally injected with 100 μl) and PD0325901 (5 mg/kg; orally administered) effectively reduced lung tumor growth in a xenograft mouse model[1].

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Animal Model:	female BALB/c nude mice (6 week old) bearing H441 human lung cancer xenografts[1]
Dosage:	iMDK (9 mg/kg) and PD0325901 (5 mg/kg)
Administration:	Intraperitoneally injected with 100 μL iMDK everyday and/or orally administered PD0325901 five times per week (on days 1, 2, 3, 4, 5, 7, 8, 9, 10, 11)
Result:	Reduced significantly volume of the tumors derived from H441 lung adenocarcinoma cells after the combination treatment with iMDK and PD0325901 compared to that of single compound in a xenograft mouse model.

REFERENCES

[1]. Naomasa Ishida, et al. A novel PI3K inhibitor iMDK suppresses non-small cell lung Cancer cooperatively with A MEK inhibitor. Exp Cell Res. 2015 Jul 15;335(2):197-206.

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