iMDK quarterhydrate

Cat. No.: HY-110171A

Molecular Formula: $C_{21}H_{13}FN_2O_2S.{}_1/_4H_{20}$

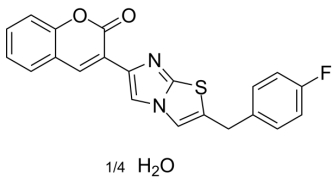
Molecular Weight: 381

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 (8.74 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6247 mL	13.1234 mL	26.2467 mL
	5 mM	0.5249 mL	2.6247 mL	5.2493 mL
	10 mM	---	---	---

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

In Vivo

1. Add each solvent one by one: corn oil
   Solubility: 2 mg/mL (5.25 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

iMDK quarterhydrate is a potent PI3K inhibitor and inhibits the growth factor MDK (also known as midkine or MK). iMDK quarterhydrate 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) quarterhydrate suppressed AKT phosphorylation in a dose-dependent manner in H441 lung adenocarcinoma cells after treatment for 72 h. In contrast, iMDK quarterhydrate 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) quarterhydrate and PD0325901 (0.5 μM) for H441 and H2009 cells

	iMDK (0.125 μM) quarterhydrate and PD0325901 (0.25 μM) for H520 cells iMDK (0.25 μM) quarterhydrate and PD0325901 (0.125 μM) for A549 cells
Incubation Time:	72 hours
Result:	iMDK quarterhydrate alone did not inhibit cell viability of A549 cells, the combinatorial treatment of iMDK quarterhydrate 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].

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

Animal Model:	female BALB/c nude mice (6 week old) bearing H441 human lung cancer xenografts[1]
Dosage:	iMDK (9 mg/kg) quarterhydrate and PD0325901 (5 mg/kg)
Administration:	Intraperitoneally injected with 100 μL iMDK everyday and/or orally
Result:	Reduced significantly volume of the tumors derived from H441 lung adenocarcinoma cells after the combination treatment with iMDK quarterhydrate 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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