FMK-MEA

Cat. No.: HY-52101C

CAS No.: 1414811-15-6

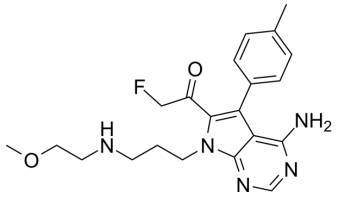
Molecular Formula: $C_{21}H_{26}FN_5O_2$

Molecular Weight: 399.46

Target: Ribosomal S6 Kinase (RSK)

Pathway: MAPK/ERK Pathway

Storage: -20°C, protect from light, stored under nitrogen
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (250.34 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5034 mL	12.5169 mL	25.0338 mL
	5 mM	0.5007 mL	2.5034 mL	5.0068 mL
	10 mM	0.2503 mL	1.2517 mL	2.5034 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 (6.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.26 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

FMK-MEA is a potent and selective p90 Ribosomal S6 Kinase (RSK) inhibitor.

IC_{50} & Target

RSK2

In Vitro

FMK-MEA is a water-soluble derivative of fmk. FMK-MEA treatment inhibits RSK2 kinase activity in diverse, highly invasive human cancer cell lines including 212LN, M4e, A549, and SKBR3 cells. Treatment with the RSK-specific inhibitor FMK-MEA significantly attenuates RSK2 activity, as assessed by the phosphorylation levels of Ser-386 and the consequent invasive ability of A549 cells[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	FMK-MEA treatment (80 mg/kg/day for 16 days by intraperitoneal injection) in highly metastatic M4e cell xenograft nude mice results in a significant attenuation of LN metastasis. FMK-MEA treatment has no effect on the tumor size, and the proliferation rate of the primary tumor[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice[1] For FMK-MEA treatment, each of the nude mice ($^{athymic\ nu/nu,\ female,\ 4\text{-}6\ weeks\ old}$) are injected with 0.5×10^6cells/100 μL of PBS submandibular to the mylohyoid muscle. On day 5 after injection, mice are divided into two groups with similar average weights with each group receiving either FMK-MEA or PBS. Each mouse is administered $^{80\ mg/kg\ of\ FMK\text{-}MEA}$ daily by intraperitoneal injection from 5 days after the xenograft for 16 days total. The control group receives PBS alone on the same schedule. Tumor growth is recorded. Mice are sacrificed after 16 days post drug treatment[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Li D, et al. The prometastatic ribosomal S6 kinase 2-cAMP response element-binding protein (RSK2-CREB) signaling pathway up-regulates the actin-binding protein fascin-1 to promote tumor metastasis. J Biol Chem. 2013 Nov 8;288(45):32528-38.

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