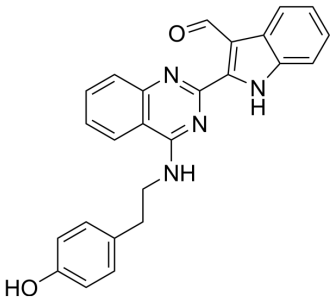
AMPK activator 11

Cat. No.:	HY-154973
CAS No.:	2948304-00-3
Molecular Formula:	$C_{25}H_{20}N_4O_2$
Molecular Weight:	408.45
Target:	Mitochondrial Metabolism; AMPK; Oxidative Phosphorylation
Pathway:	Metabolic Enzyme/Protease; Epigenetics; PI3K/Akt/mTOR
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

AMPK activator 11 is an AMP-activated protein kinase (AMPK) activator with nanomolelevel antiproliferation activities against several CRCs. AMPK activator 11 selectively inhibits the RKO xenograft growth along by activating AMPK and upregulating oxidative phosphorylation (OXPHOS) (mitochondrial metabolism) and can be used for anti-tumor and metabolic disease research[1].

In Vitro

AMPK activator 11 (Compound 18a) (0-30 μM，0-7 days) inhibits the growth and migration of CRC cells with IC_{50} values below 1 μM[1].

AMPK activator 11 (0-0.1 μM，24 hours) dramatically enhances of global oxygen consumption rate (OCR) in RKO cells while the slightly changed extracellular acidification rate (ECAR). AMPK activator 11 upregulates the expression of p-AMPK and mitochondrial complex III and V and has the ability to selectively activate OXPHOS in CRCs[1].

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

Cell Viability Assay[1]

Cell Line:	CRC cells
Concentration:	0-30 μM
Incubation Time:	0-7 days
Result:	Selectively inhibited the growth and migration of CRC cells. Inhibited the proliferation of different CRCs with IC_{50} values below 1 μM.

Western Blot Analysis[1]

Cell Line:	RKO cells
Concentration:	0.1 μM
Incubation Time:	24 hours
Result:	Upregulated the expression of p-AMPK and mitochondrial complex III and V and has the ability to selectively activate OXPHOS.

In Vivo

AMPK activator 11 (Compound 18a) (2.5 or 10 mg/kg for i.p. for 25 days) selectively suppresses tumor growth without causing toxicity in RKO cells related axenograft mice model[1].

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Animal Model:	RKO cells related axenograft model on male BALB/nude mice[1]
Dosage:	2.5 or 10 mg/kg
Administration:	Intraperitoneal injection (i.p.) for 25 days
Result:	Resulted in 58.2% tumor growth inhibition, with no significant weight loss observed. Resulted in 77.1% inhibition of tumor growth but also caused a 36% weight loss. Immunohistochemistry results also showed activation of AMPK in tumor tissue.

REFERENCES

[1]. Xu YH,et.al. Design and Synthesis of Bouchardatine Derivatives as a Novel AMP-Activated Protein Kinase Activator for the Treatment of Colorectal Cancer. J Med Chem. 2023 Jun 8;66(11):7387-7404.

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