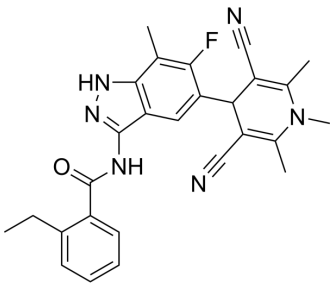
BAY-3827

Cat. No.:	HY-112083
CAS No.:	2377576-35-5
Molecular Formula:	$C_{27}H_{25}FN_6O$
Molecular Weight:	468.53
Target:	AMPK
Pathway:	Epigenetics; PI3K/Akt/mTOR
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (53.36 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1343 mL	10.6717 mL	21.3434 mL
	5 mM	0.4269 mL	2.1343 mL	4.2687 mL
	10 mM	0.2134 mL	1.0672 mL	2.1343 mL

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

BIOLOGICAL ACTIVITY

Description

BAY-3827 is a potent and selective AMPK inhibitor with IC_{50} values of 1.4 nM at low (10 μM ATP concentration) and 15 nM at high (2 mM ATP concentration). BAY-3827 shows over 500-fold selectivity for most of the 331 kinases. BAY-3827 prevents phosphorylation of acetyl-CoA carboxylase 1 and shows strongest anti-proliferative activity in androgen-dependent prostate cancer cell lines[1].

IC_{50} & Target

IC50: 1.4 nM (AMPK kinase,10 μM ATP), 15 nM (AMPK kinase, 2 mM ATP), 1324 nM (Aurora A), 124 nM (Flt3), 788 nM (c-Met), 36 nM (Rsk4)[1]

In Vitro

BAY-3827 (0-200 μM) inhibits AMPK kinase activity with IC_{50} values of 1.4 nM at low, 10 μM ATP concentration and 15 nM at high, 2 mM ATP concentration[1].

BAY-3827 (0-200 μM) inhibits Aurora A, Flt3, c-Met and Rsk4 with IC_{50} values of 1324, 124, 788 and 36 nM , respectively with 10 μM ATP concentration[1].

BAY-3827 (overnight) strongly reduces ACC1 Ser79 phosphorylation in LNCaP and VCaP cells, and shows a lesser extent in IMR-32 and especially in Colo320 cells[1].

BAY-3827 (0-10 nM; 6 d) shows strong inhibitory effects to LNCaP and VCaP cells[1].

BAY-3827 (1 and 5 μM; 24 and 48 h) represses LIPE gene expression, reduces serine/threonine kinase AKT3 and blocks the expression of several genes from the mitochondrial carnitine palmitoyltransferase (CPT) family which is involved in acyl

carnitine formation in VCaP cells[1].
BAY-3827 (5 μM; 2-4 d) significantly increases the formation of lipid droplets in comparison to androgen treatment only[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	LNCaP, VCaP, 22Rv1, C4-2B, PC-3 and DU-145 prostate cancer cell lines
Concentration:	0-10 nM
Incubation Time:	6 d
Result:	Showed strong inhibitory effects for LNCaP and VCaP cells, two prostate cancer cell lines with IC_{50} values of 0.28 and 1.71 nM, respectily. Inhibited proliferation of 22Rv1 cells with an IC_{50} value of 5.55 nM.

CUSTOMER VALIDATION

- Int Immunopharmacol. 2023 Feb 9;116:109826.

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REFERENCES

[1]. Lemos C, et al. The potent AMPK inhibitor BAY-3827 shows strong efficacy in androgen-dependent prostate cancer models. Cell Oncol (Dordr). 2021 Jun;44(3):581-594.

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