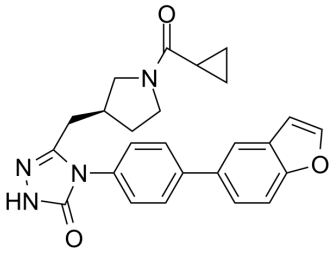
GSK2194069

Cat. No.:	HY-12325
CAS No.:	1332331-08-4
Molecular Formula:	$C_{25}H_{24}N_4O_3$
Molecular Weight:	428.48
Target:	Fatty Acid Synthase (FASN)
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (233.38 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3338 mL	11.6692 mL	23.3383 mL
	5 mM	0.4668 mL	2.3338 mL	4.6677 mL
	10 mM	0.2334 mL	1.1669 mL	2.3338 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 (5.83 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK2194069 is a potent inhibitor of β-ketoyl reductase (KR) of fatty acid synthase (FASN), with an IC_{50} value of 7.7 nM. GSK2194069 shows specifically inhibitory effect on FAS expressing cancer cells, by acting potent efficacy on acetoacetyl-CoA, NADPH with IC_{50} or K_i values of 4.8 nM and 5.6 nM, respectively[1][2][3].

In Vitro

GSK2194069 (100 nM; 24 h) inhibits fatty acid synthase (FAS) in cancer cell lines (KATO-III, MKN45, A549, SNU-1) without reducing FAS production protein level[1].

GSK2194069 decreases phosphatidylcholine levels in A549 cells with a half-maximum effective concentration (EC50) value of 15.5 ± 9 nM (n = 78), correlating with the decreased palmitate synthesis[1].
GSK2194069 (5 μM and 20 μM) shows higher efficacy in FASN-positive LNCaP cells rather than FASN-negative PC3 cells, with the higher FASN Expression level in LNCaP cells[2].
GSK2194069 (50 μM; 24 h) inhibits the growth of LNCaP-LN3 human prostate cancer cells[3].
GSK2194069 (60.4 nM; 24 h) displays properties of metabolomics, including L-acetyl carnitine, stearoyl carnitine, vaccenyl carnitine, and palmitoyl-L-carnitine decrease in LNCaP-LN3 cells[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	A549
Concentration:	0, 10, 100, 1000 nM
Incubation Time:	48 hours or 120 hours
Result:	Didn’t decrease FAS protein level.

Western Blot Analysis[2]

Cell Line:	FASN-positive LNCaP cells, and FASN-negative PC3 cells
Concentration:	1 nM-0.1 mM
Incubation Time:	48 hours
Result:	Inhibited tumor cells growth significantly, and reduced LNCaP cells much better.

CUSTOMER VALIDATION

- Anal Chem. 2020 Mar 17;92(6):4419-4426.
- PLoS One. 2017 Jul 13;12(7):e0181243.

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REFERENCES

[1]. Kelly JM, et al. Synthesis and Evaluation of 11C-Labeled Triazolones as Probes for Imaging Fatty Acid Synthase Expression by Positron Emission Tomography. Molecules. 2022 Feb 25;27(5):1552.

[2]. Oh JE, et al. Deciphering Fatty Acid Synthase Inhibition-Triggered Metabolic Flexibility in Prostate Cancer Cells through Untargeted Metabolomics. Cells. 2020 Nov 10;9(11):2447.

[3]. Hardwicke MA, et al. A human fatty acid synthase inhibitor binds β-ketoacyl reductase in the keto-substrate site. Nat Chem Biol. 2014 Sep;10(9):774-9.

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