TVB-3664

Cat. No.: HY-120062

CAS No.: 2097262-58-1

Molecular Formula: $C_{25}H_{23}F_3N_4O_2$

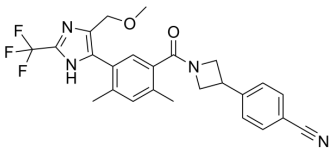
Molecular Weight: 468.47

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 : 10 mg/mL (21.35 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.1346 mL	10.6730 mL	21.3461 mL
5 mM	0.4269 mL	2.1346 mL	4.2692 mL
10 mM	0.2135 mL	1.0673 mL	2.1346 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.34 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.44 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.44 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TVB-3664 is an orally available, reversible, potent, selective and highly bioavailable fatty acid synthase (FASN) inhibitor, with IC_{50} values of 18 nM and 12 nM for human and mouse cell palmitate synthesis, respectively. TVB-3664 significantly reduces tubulin palmitoylation and mRNA expression[1][2].

IC_{50} & Target

FASN[1][2].

In Vitro

TVB-3664 (0-1 μM, 7 days) shows anti-tumor activity in CaCo2, HT29 and LIM2405 cell lines[1].

?TVB-3664 decreases viability in multiple tumor cell lines from solid and hematopoietic tumor types[1].

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

Cell Proliferation Assay[1]

Cell Line:	CaCo2, HT29 and LIM2405 cell lines.
Concentration:	0-1 μM.
Incubation Time:	7 days.
Result:	Showed anti-tumor activity.

In Vivo

TVB-3664 (3 mg/kg (Pt 2614 and Pt 2449PT) or 6 mg/kg (Pt 2402 and Pt 2449LM), oral gavage, daily, 4 weeks) treatment leads to a significant reduction in tumor volume and tumor weight in Pt 2614, Pt 2449PT, and Pt 2402 PDX models, with an average reduction in tumor weight of 30%, 37.5% and 51.5%, respectively[1].

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

Animal Model:	Colorectal cancer (CRC) PDX models in NOD-SCID-IL2rg-/- (NSG) mice using specimens collected from patients who had undergone surgery for resection of primary CRC or CRC metastasis[1].
Dosage:	3 mg/kg (Pt 2614 and Pt 2449PT) or 6 mg/kg (Pt 2402 and Pt 2449LM).
Administration:	Oral gavage daily for 4 weeks.
Result:	Led to a significant reduction in tumor volume and tumor weight in Pt 2614, Pt 2449PT, and Pt 2402 PDX models, with an average reduction in tumor weight of 30%, 37.5% and 51.5%, respectively.

REFERENCES

[1]. Zaytseva YY, et al. Preclinical evaluation of novel fatty acid synthase inhibitors in primary colorectal cancer cells and a patient-derived xenograft model of colorectal cancer. Oncotarget. 2018 May 15;9(37):24787-24800.

[2]. Heuer TS, et al. FASN Inhibition and Taxane Treatment Combine to Enhance Anti-tumor Efficacy in Diverse Xenograft Tumor Models through Disruption of Tubulin Palmitoylation and Microtubule Organization and FASN Inhibition-Mediated Effects on Oncogenic Signaling and Gene Expression. EBioMedicine. 2017 Feb;16:51-62.

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