TVB-3166

Cat. No.: HY-120394

CAS No.: 1533438-83-3

Molecular Formula: $C_{24}H_{24}N_4O$

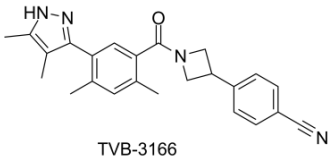
Molecular Weight: 384.47

Target: Fatty Acid Synthase (FASN); Apoptosis

Pathway: Metabolic Enzyme/Protease; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



TVB-3166

SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (162.56 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6010 mL	13.0049 mL	26.0098 mL
	5 mM	0.5202 mL	2.6010 mL	5.2020 mL
	10 mM	0.2601 mL	1.3005 mL	2.6010 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: ≥ 2.08 mg/mL (5.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (5.41 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

TVB-3166 is an orally-available, reversible, and selective fatty acid synthase (FASN) inhibitor with IC_{50}s of 42 nM and 81 nM for biochemical FASN and cellular palmitate synthesis, respectively. TVB-3166 induces apoptosis, and inhibits in-vivo xenograft tumor growth[1].

IC_{50} & Target

IC50: 42 nM (FASN) and 81 nM (cellular palmitate synthesis)[1]

In Vitro

TVB-3166 (0.001-10 μM; 24 hours) causes cell death in CALU-6 non-small-cell lung tumor cells with a cellular IC_{50} value of

0.10 μM[1].
TVB-3166 (0.02 or 0.20 μM; 7 days) inhibits palmitate synthesis and tumor cell viability in a dose-dependent manner[1].
TVB-3166 (0.2 μM; 48 hours) inhibits β-catenin pathway signal transduction and transcriptional activity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	CALU-6 tumor cells
Concentration:	0.001, 0.01, 0.1, 1, 10 μM
Incubation Time:	24 hours
Result:	Caused cell death in CALU-6 non-small-cell lung tumor cells with a cellular IC_{50} value of 0.10 μM.

Cell Viability Assay[1]

Cell Line:	90 different tumor cell lines (such as CALU-6 NSCLC cell line, NCI-H1975 NSCLC cell line)
Concentration:	0.02 or 0.20 μM
Incubation Time:	7 days
Result:	Dose-dependent induction of cell death was observed in all tumor cell lines.

Western Blot Analysis[1]

Cell Line:	COLO-205 and A549 cells
Concentration:	0.2 μM
Incubation Time:	48 hours
Result:	Inhibited β-catenin pathway signal transduction and transcriptional activity.

In Vivo

TVB-3166 (Oral gavage; 30-100 mg/kg/day) inhibits xenograft tumor growth[1].
TVB-3166 (Oral gavage; 30-100 mg/kg/day) has the concentration is approximately 3-fold higher in plasma than tumor. The 100 and 30 mg/kg groups had plasma and tumor concentrations of 7 and 2.9 μM, respectively[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female BALB-c-nude mice[1]
Dosage:	30, 60, or 100 mg/kg
Administration:	Oral gavage; once daily
Result:	Inhibited xenograft tumor growth.

Animal Model:	Female BALB-c-nude mice[1]
Dosage:	30, 60, or 100 mg/kg (Pharmacokinetic Study)
Administration:	Oral gavage; once daily
Result:	The concentration was approximately 3-fold higher in plasma than tumor. The 100 and 30 mg/kg groups had plasma and tumor concentrations of 7 and 2.9 μM, respectively.

REFERENCES

[1]. Ventura R, et al. Inhibition of de novo Palmitate Synthesis by Fatty Acid Synthase Induces Apoptosis in Tumor Cells by Remodeling Cell Membranes, Inhibiting Signaling Pathways, and Reprogramming Gene Expression. EBioMedicine. 2015 Jul 2;2(8):808-24.

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