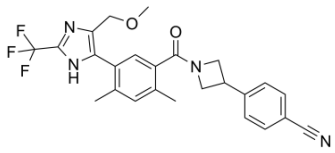
TVB-3664

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 (FAS)
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the COA.



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].

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].

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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