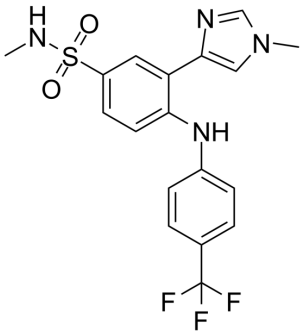
VT103

Cat. No.:	HY-134955
CAS No.:	2290608-13-6
Molecular Formula:	$C_{18}H_{17}F_3N_4O_2S$
Molecular Weight:	410.41
Target:	YAP
Pathway:	Stem Cell/Wnt
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 : 50 mg/mL (121.83 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4366 mL	12.1829 mL	24.3659 mL
	5 mM	0.4873 mL	2.4366 mL	4.8732 mL
	10 mM	0.2437 mL	1.2183 mL	2.4366 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.09 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VT103, an analog of VT101, is an orally active and selective TEAD1 protein palmitoylation inhibitor. VT103 inhibits YAP/TAZ-TEAD promoted gene transcription, blocks TEAD auto-palmitoylation, and disrupts interaction between YAP/TAZ and TEAD. VT103 can be used for the research of cancer[1].

IC_{50} & Target

TEAD1 Palmitoylation[1]

In Vitro

VT103 (HEK293T cells; 3 μM) appears to be TEAD1-selective, as it does not block palmitoylation of TEAD2, TEAD3, or TEAD4.
VT103 (NF2-deficient NCI-H226 cells; 3 mmol/L; 4 or 24 hours) selectively disrupts YAP–TEAD1 interaction[1].
VT103 results in the disappearance of palmitoylated TEAD1 with a concomitant increase in unpalmitoylated TEAD1[1].
VT103 shows an IC_{50} of 1.02 nM in YAP reporter assay[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

VT103 (0.3~10 mg/kg; p.o. once per day) blocks tumor growth even at 0.3 mg/kg[1].

Pharmacokinetics of VT103 in mice[1]

Dose	IV					PO		
7 mg/kg	T1/2 (hours)	Vdss (L/kg)	Cl(mL/min/kg)	AUC 0-24 hours (μg*h/mL)	AUC 0-24 hours (μg*h/mL)	Oral availability (%)	C_{max} (ng/mL)	C_{24} hours (ng/mL)
	13.2	4.5	4.7	20.0	14.9	75	896 (1 hour)	340

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

Animal Model:	NCI-H226-tumor bearing mice[1]
Dosage:	0.3~10 mg/kg
Administration:	P.o. once per day
Result:	Blocked tumor growth even at 0.3 mg/kg.

CUSTOMER VALIDATION

- J Med Chem. 2022 Jun 28.

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REFERENCES

[1]. Tang TT, et al. Small Molecule Inhibitors of TEAD Auto-palmitoylation Selectively Inhibit Proliferation and Tumor Growth of NF2-deficient Mesothelioma. Mol Cancer Ther. 2021;20(6):986-998.

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