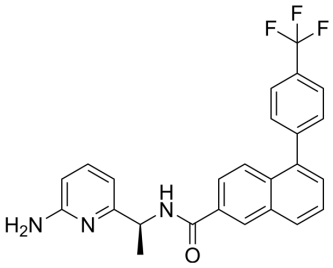
VT107

Cat. No.:	HY-134957
CAS No.:	2417718-63-7
Molecular Formula:	$C_{25}H_{20}F_3N_3O$
Molecular Weight:	435.44
Target:	YAP
Pathway:	Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 1 year -20°C 6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (229.65 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2965 mL	11.4826 mL	22.9653 mL
	5 mM	0.4593 mL	2.2965 mL	4.5931 mL
	10 mM	0.2297 mL	1.1483 mL	2.2965 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 (5.74 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.74 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

VT-107, as an analogous to VT104, is an orally active and potent pan-TEAD auto-palmitoylation inhibitor. VT-107 can be used for the research of cancer[1].

IC_{50} & Target

TEAD[1]

In Vitro

VT107 (3 μmol/L; 20 hours; HEK293T cells) inhibits palmitoylation of both endogenous TEAD1 and TEAD3 proteins and is the most potent at blocking the palmitoylation of endogenous TEAD4 protein[1].

?VT107 prevents palmitoylation of the TEAD1 protein. VT107 is slightly more potent than VT104 on TEAD2 and TEAD4. VT107 results in the disappearance of palmitoylated TEAD1 with a concomitant increase in unpalmitoylated TEAD1. VT107 decreases the levels of palmitoylated TEAD3 and TEAD4 and increases the levels of unpalmitoylated TEAD3 and TEAD4. VT107 blocks YAP and TAZ interaction with both TEAD1 and TEAD4. VT107 potently inhibits the proliferation of NF2-mutated/deficient cell lines[1].

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

Western Blot Analysis[1]

Cell Line:	HEK293T cells
Concentration:	3 μmol/L
Incubation Time:	20 hours
Result:	Inhibited palmitoylation of both endogenous TEAD1 and TEAD3 proteins and was the most potent at blocking the palmitoylation of endogenous TEAD4 protein.

In Vivo

VT107 (10 mg/kg; p.o.) is a enantiomer analogous to VT104[1].

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

Animal Model:	Mouse[1]
Dosage:	10 mg/kg (Pharmacokinetic Analysis)
Administration:	P.o.
Result:	Enantiomer analogous to VT104.

CUSTOMER VALIDATION

- J Med Chem. 2022 Jun 28.
- Acta Neuropathol Commun. 2022 Dec 25;10(1):189.

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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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