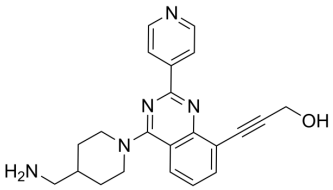
VT02956

Cat. No.:	HY-147165
CAS No.:	2999763-09-4
Molecular Formula:	$C_{22}H_{23}N_5O$
Molecular Weight:	373.45
Target:	YAP
Pathway:	Stem Cell/Wnt
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (267.77 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6777 mL	13.3887 mL	26.7773 mL
	5 mM	0.5355 mL	2.6777 mL	5.3555 mL
	10 mM	0.2678 mL	1.3389 mL	2.6777 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 (6.69 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.69 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (6.69 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

VT02956 is a LATS inhibitor (IC_{50}: 0.76 nM for LATS1, 0.52 nM for LATS2). VT02956 targets the Hippo pathway. VT02956 inhibits ESR1 expression and growth of ER+ breast cancer cell lines and patient-derived tumor organoids[1]. VT02956 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

IC50: 0.76 nM for LATS1, 0.52 nM for LATS2[1]

In Vitro

VT02956 (2 μM, 0-30 min) reduces YAP/TAZ phosphorylation in HEK293A cells and 4T1 cells[1].
VT02956 (2 μM, 2 days) reduces ERα and its target genes TFF1 and GREB1 in breast tumor organoids BTO-02[1].
VT02956 (2 μM, 4 days) inhibits the proliferation of ER+ breast cancer cells, such as MCF-7 and T47D cells[1].
VT02956 (2 μM, 7 days) dramatically reduces MCF-7 growth when combined with Palbociclib (HY-50767) (0.1 and 0.3 μM)[1].
VT02956 (2 μM, 9 days) results in a much more drastic reduction in colony formation of MCF-7 cells when combined with Palbociclib (HY-50767) (0.1 μM)[1].

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

Cell Viability Assay[1]

Cell Line:	MCF-7 and T47D cells
Concentration:	2 μM
Incubation Time:	4 days
Result:	Inhibited the proliferation of ER+ breast cancer cells by targeting the LATS-YAP/TAZ-ERα.

Western Blot Analysis[1]

Cell Line:	HEK293A cells and 4T1 cells
Concentration:	2 μM
Incubation Time:	0-30 min
Result:	Inhibited YAP/TAZ phosphorylation with IC_{50}s of 0.16 μM and 0.43 μM respectively.

REFERENCES

[1]. Ma S, et al. Transcriptional repression of estrogen receptor alpha by YAP reveals the Hippo pathway as therapeutic target for ER+ breast cancer. Nat Commun. 2022 Feb 25;13(1):1061.

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