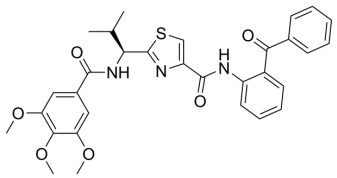
TTT-28

Cat. No.:	HY-101511
CAS No.:	1609138-51-3
Molecular Formula:	$C_{31}H_{31}N_3O_6S$
Molecular Weight:	573.66
Target:	P-glycoprotein
Pathway:	Membrane Transporter/Ion Channel
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 : 250 mg/mL (435.80 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7432 mL	8.7160 mL	17.4319 mL
	5 mM	0.3486 mL	1.7432 mL	3.4864 mL
	10 mM	0.1743 mL	0.8716 mL	1.7432 mL

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

BIOLOGICAL ACTIVITY

Description

TTT-28 is a synthesized thiazole-valine peptidomimetic, a novel selective inhibitor of ABCB1 (P-gp/MDR1) with high efficacy and low toxicity, which reverses the ATP-binding cassette sub-family B member 1 (ABCB1)-mediated multidrug resistance (MDR) by selectively blocking the efflux function of ABCB1[1].

In Vitro

TTT-28 (0-100 μM; 72 hours) reverses ABCB1-mediated MDR in drug selected SW620/Ad300 cells and transfected HEK293/ABCB1 cells; the IC_{50}s of TTT-28 in CCD-18Co, SW620 and SW620/Ad300 cells are 213.4±11.0 μM, 89.4±3.9 μM and 92.0±5.0 μM, respectively[1].

TTT-28 (10 μM; 2 hours) raises the ABCB1-mediated MDR and increased the accumulation of [^{3}H]-paclitaxel in ABCB1 overexpressing cells[1].

TTT-28 (10 μM; 0-72 hours) does not interfer with the expression level and localization of ABCB1, it results from blocking the efflux function of ABCB1[1].

TTT-28 (0-40 μM; 2 hours) interacts at the drug-substrate-binding site and actives the ATPase activity of ABCB1 in a concentration-dependent fashion[1].

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

Cell Viability Assay[1]

Cell Line:	SW620 cells, SW620/Ad300 cells
Concentration:	0.1 μM, 1 μM, 10 μM, 100 μM
Incubation Time:	72 hours
Result:	Decreased the resistance fold of ABCB1 substrates (Paclitaxel, Doxorubicin, Vincristine) in SW620/Ad300 cells compared to SW620 cells.

In Vivo

TTT-28 (deliver orally; 30 mg/kg; every 3 rd day; 18 days) potentiates the anticancer activity of paclitaxel due to its inhibitory effect on the efflux function of ABCB1, it enhances the inhibitory effect of paclitaxel on the growth of SW620/Ad300 tumor and promoted apoptosis[1].

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

Animal Model:	5-10 week Male athymic NCR (nu/nu) nude mice ABCB1 overexpressing tumor xenograft model with SW620/Ad300 cells
Dosage:	30 mg/kg
Administration:	Deliver orally; every 3rd day; 18 days
Result:	Lead to higher intratumoral accumulation of paclitaxel in tumors.

REFERENCES

[1]. Wang YJ, et al. Thiazole-valine peptidomimetic (TTT-28) antagonizes multidrug resistance in vitro and in vivo by selectively inhibiting the efflux activity of ABCB1. Sci Rep. 2017 Feb 9;7:42106.

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