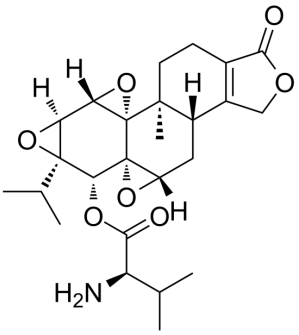
WBC100

Cat. No.:	HY-145898
CAS No.:	2095780-08-6
Molecular Formula:	$C_{25}H_{33}NO_7$
Molecular Weight:	460
Target:	c-Myc; Molecular Glues
Pathway:	Apoptosis; PROTAC
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 (217.39 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1739 mL	10.8696 mL	21.7391 mL
	5 mM	0.4348 mL	2.1739 mL	4.3478 mL
	10 mM	0.2174 mL	1.0870 mL	2.1739 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 (5.43 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 (5.43 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (5.43 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

WBC100 (14-D-Valine-TPL) is a potent, selective, and orally active c-Myc molecule glue degrader. WBC100 is a c-Myc degrader and targets ubiquitin E3 ligase CHIP mediated 26S proteasome pathway. WBC100 is used for c-Myc overexpressing tumors research[1].

In Vitro

WBC100 selectively kills c-Myc overexpressing cancer cells Mia-paca2, H9, and MOLM-13 cell as well as c-Myc-low normal human cell lines L02, MRC-5 and WI38, the IC_{50} values are 61×10^{-9}, 17×10^{-9}, and 16×10^{-9} M for c-Myc overexpressing cancer cells, respectively. Whereas the IC_{50} values for normal cell lines are 2205×10^{-9}, 151×10^{-9}, and 570×10^{-9} M,

respectively[1].
WBC100 (0-320 nM; 24 hour) decreases c-Myc protein levels in MOLM-13 cells and Mia-paca2 cells in a dose-dependent manner, but has no obvious impact on XPB, Rpb1, and STAT3. Additionally, MG132 can rescue the WBC100-induced decline in c-Myc protein[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	c-Myc overexpressing cancer cells: Mia-paca2, H9, and MOLM-13 cell c-Myc-low normal human cell lines: L02, MRC-5 and WI38
Concentration:	
Incubation Time:	72 hours
Result:	Preferentially killed c-Myc overexpressing cancer cells.

Western Blot Analysis[1]

Cell Line:	Mia-paca2 cells, MOLM-13 cell
Concentration:	0 nM, 20 nM, 40 nM, 80 nM, 160 nM,320 nM
Incubation Time:	24 hours
Result:	Selectively induced degradation of c-Myc protein through E3 ubiquitin ligase CHIP mediated 26S proteasome pathway.

In Vivo

WBC100 (p.o.; 0.1-0.4 mg/kg; twice daily; 21 days) exerts dose-dependent antitumor activity in vivo. Higher or medium (0.4/0.2 mg/kg) doses of WBC100 eradicates MOLM-13-luciferase cells in vivo and all the mice were disease-free survival on day 35. Additionally, at a low dose (0.1 mg/kg), WBC100 also significantly inhibits tumor growth and prolongs survival of leukemia mice[1].
WBC100 (p.o.; 0.4-0.8 mg/kg; once daily; 14 days) eliminates refractory MOLM-13-luciferase cells in vivo, but both (+)-JQ1 (50 mg/kg, intraperitoneal (i.p.), once a day for 14 d) is ineffective in suppressing tumor growth. WBC100 exhibits stronger antitumor activity than c-Myc transcription inhibitor (+)-JQ1[1].
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Animal Model:	Orthotopic human AML model using NOD/SCID/IL2Rγ$^{-/-}$ (NSG) mice with refractory MOLM-13-luciferase cells with high c-Myc levels
Dosage:	0.1 mg/kg; 0.2 mg/kg; 0.4 mg/kg
Administration:	p.o.; 0.1-0.4 mg/kg; Twice daily; 21 days
Result:	Regresses c-Myc overexpressing refractory acute myeloid leukemia model.

REFERENCES

[1]. Ying Xu, et al. A Selective Small-Molecule c-Myc Degrader Potently Regresses Lethal c-Myc Overexpressing Tumors. Adv Sci (Weinh). 2022 Mar;9(8):e2104344.

Caution: Product has not been fully validated for medical applications. For research use only.

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