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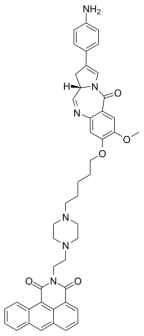
Anticancer agent 81

Cat. No.:	HY-151207
CAS No.:	2820286-56-2
Molecular Formula:	$C_{46}H_{46}N_6O_5$
Molecular Weight:	762.89
Target:	Apoptosis; ADC Cytotoxin
Pathway:	Apoptosis; Antibody-drug Conjugate/ADC Related
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Anticancer agent 81 (Compound 37b3) is an anticancer agent and can induce tumor cell cycle arrest and apoptosis. Anticancer agent 81 can be used as a payload to conjugate with Trastuzumab (HY-P9907) to obtain the antibody–agent conjugate (ADC) T-PBA. T-PBA maintained its mode of target and internalization ability of Trastuzumab[1].

In Vitro

Anticancer agent 81 (Compound 37b3) (72 h) shows cytotoxicity against SKOV3, MDA-MB-231 and NCI-N87 cells[1].
Anticancer agent 81 (0-5 μM) induces DNA interstrand cross-linking[1].
Anticancer agent 81 (0-3 nM; 24 h) arrests SKOV3 cell cycle at the S-phase[1].
Anticancer agent 81 (0-3 nM; 48 h) induces SKOV3 cell apoptosis[1].
Anticancer agent 81 (25 nM; 12 h) acts on DNA in the nucleus after entering SKOV3 cells and MDA-MB-231 cells[1].
Anticancer agent 81 induces DDR signaling pathways via cross-linking DNA and then activates the caspase cascade and PARP, finally leading to cell cycle arrest and apoptosis[1].
Anticancer agent 81 covalently binds to the DNA sequences and acts on the major groove of DNA[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	SKOV3, MDA-MB-231 and NCI-N87
Concentration:	
Incubation Time:	72 h
Result:	Showed cytotoxicity with IC_{50}s of 0.17 ± 0.07, 0.90 ± 0.11 and 0.94 ± 0.14 nM against SKOV3, MDA-MB-231 and NCI-N87 cells, respectively.

Cell Cycle Analysis[1]

Cell Line:	SKOV3
Concentration:	0.33, 1 and 3 nM
Incubation Time:	24 h
Result:	Inhibited the cell cycle at the S-phase.

Apoptosis Analysis[1]

Cell Line:	SKOV3
Concentration:	0.33, 1 and 3 nM
Incubation Time:	48 h
Result:	Induced cell apoptosis in a concentration-dependent manner.

Western Blot Analysis[1]

Cell Line:	SKOV3 and NCI-N87
Concentration:	0.02, 0.1, 0.5, 2.5 and 12.5 nM
Incubation Time:	48 h
Result:	Induced the phosphorylation of histone 2AX (γ-H2AX) in a dose-dependent manner. Induced the cleavage of PARP (cPARP) and caspase 3 (cCas3) in a concentration-dependent manner.

In Vivo

T-PBA (1-10 mg/kg; i.v.; every 3 days for 4 times) could significantly delay tumor growth in two Her2-positive xenograft models in mice without obvious toxicity and side effects, and the effect is better than Trastuzumab[1].

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

Animal Model:	Female balb/c nude mice, SKOV3 and NCI-N87 tumor model[1]
Dosage:	1, 5 and 10 mg/kg
Administration:	Tail vein injection on days 0, 3, 6, and 9
Result:	Inhibited tumor growth in a dose-dependent manner (57.5% inhibition at 1 mg/kg, 70.0% inhibition at 5 mg/kg, and 91.5% inhibition at 10 mg/kg in SKOV3 tumor model; the tumor growth inhibitory rate was 50.2% for 1 mg/kg, 88.0% for 5 mg/kg, and 97.1% for 10 mg/kg in NCI-N87 tumor model) without obvious side effects.

REFERENCES

[1]. Lai W, et al. Design, Synthesis, and Bioevaluation of a Novel Hybrid Molecular Pyrrolobenzodiazepine-Anthracenecarboxyimide as a Payload for Antibody-Drug Conjugate. J Med Chem. 2022 Aug 18.

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