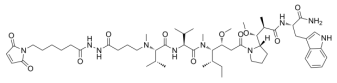
BAY 1135626

Cat. No.:	HY-147281
CAS No.:	1404071-37-9
Molecular Formula:	$C_{55}H_{86}N_{10}O_{11}$
Molecular Weight:	1063.33
Target:	Drug-Linker Conjugates for ADC
Pathway:	Antibody-drug Conjugate/ADC Related
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

BAY 1135626 is used to synthesize BAY 1129980, and use to anti-tumor research. BAY 1129980 is a Auristatin-based anti-C4.4A (LYPD3) antibody–agent conjugate (ADC), is used to non–small cell lung cancer (NSCLC) research[1].

In Vitro

C4.4A (LYPD3) is a protein expressed in non-small cell lung cancer (NSCLC), with scarcely expressing in normal tissues[1].
BAY 1135626 can be synthesized into BAY 1129980 (C4.4A-ADC), shows a strong anti-proliferative effect on C4.4A expressing cell lines[1].
BAY 1129980 (0.001-100 nM; 72 h) inhibits the proliferation of A549 lung cancer cell lines transfected with C4.4A[1].
BAY 1129980 (0.001-100 nM; 72 h) exhibits high and selective efficacy on hC4.4A:A549 cells in vitro[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	hC4.4A:A549 lung cancer cells
Concentration:	0.001-100 nM
Incubation Time:	72 hours
Result:	High potency at subnanomolar range with an IC_{50} value of 0.05 nM. Resulted remarkable selectivity on hC4.4A:A549 with over 1,000-fold compared with mock:A549 cells.

Cell Viability Assay[1]

Cell Line:	NCI-H292, FaDu, NCI-H322, SCaBER, SCC-4
Concentration:	0.001-100 nM
Incubation Time:	72 hours
Result:	Inhibited cancer cell growth in a dose-dependent manner.

In Vivo

BAY 1129980 (1.9-7.5 mg/kg; i.v.; 20 d) inhibits tumor growth in vivo in mouse[1].
BAY 1129980 with a repeated dosing (15 mg/kg; i.v.; 21 d for 1st cycle and 57 d for 2nd cycle) is well tolerated without changing the sensitivity to the treatment[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C4.4A-positive NCI-H292 NSCLC xenograft mouse model[1]
Dosage:	1.9, 3.75, 7.5 mg/kg
Administration:	Intravenous injection; 20 days
Result:	Halted tumor growth on day 20 dose dependently, as the monotherapy treatment, with a minimum effective dose (MED) of 1.9 mg/kg.

Animal Model:	C4.4A-positive NCI-H292 NSCLC xenograft mouse model[1]
Dosage:	15 mg/kg
Administration:	Intravenous injection; 21 days for the first cycle teament, 57 days for the second cycle treatment
Result:	Reduced tumor volume with a marked delay of tumor growth. Demonstrated well tolerance, still left regrown tumors sensitive to treatment.

REFERENCES

[1]. Willuda J, et al. Preclinical Antitumor Efficacy of BAY 1129980-a Novel Auristatin-Based Anti-C4.4A (LYPD3) Antibody-Drug Conjugate for the Treatment of Non-Small Cell Lung Cancer. Mol Cancer Ther. 2017 May. 16(5):893-904.

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