PD-1/PD-L1-IN-NP19

Cat. No.: HY-131347

CAS No.: 2377916-66-8

Molecular Formula: $C_{33}H_{31}ClN_2O_4$

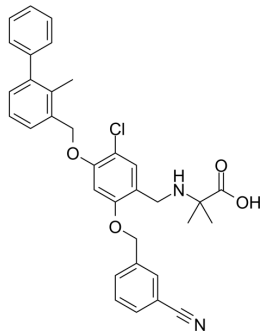
Molecular Weight: 555.06

Target: PD-1/PD-L1

Pathway: Immunology/Inflammation

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 : 2 mg/mL (3.60 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8016 mL	9.0080 mL	18.0161 mL
	5 mM	---	---	---
	10 mM	---	---	---

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

BIOLOGICAL ACTIVITY

Description

PD-1/PD-L1-IN-NP19 is a PD-1/PD-L1 inhibitor, with an IC_{50} of 12.5 nM for human PD-1/PD-L1 interaction. PD-1/PD-L1-IN-NP19 could activate the immune microenvironment in tumor, which may contribute to its antitumor effects[1].

IC_{50} & Target

IC50: 12.5 nM (human PD-1/PD-L1)[1]

In Vitro

PD-1/PD-L1-IN-NP19 (compound NP19) (0.37-10 μM; 72 h) significantly elevates the production of IFN-γ in a dose dependent manner from T cells co-cultured with tumor cells[1].

PD-1/PD-L1-IN-NP19 exhibits much lower activity for inhibiting mouse PD-1/PD-L1 interaction with an IC_{50} in the micromolar range (>1μM), as compared to the inhibition of human PD1/PD-L1 interaction (IC_{50}=12.5 nM)[1].

PD-1/PD-L1-IN-NP19 (10 μM; 48 h) displays no apparent cytotoxic effects on A549, MCF-7, and B16-F10 cells at a concentration of 10 μM[1].

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

In Vivo

PD-1/PD-L1-IN-NP19 (compound NP19) (25-100 mg/kg; intragastric gavage once daily for 15 d) inhibits the growth of melanoma tumors dramatically in mice[1].

PD-1/PD-L1-IN-NP19 (25 mg/kg; i.p. daily for 14 d) demonstrates significant antitumor efficacy with a tumor growth inhibition (TGI) of 76.5% and is well tolerated in an H22 hepatoma mouse model[1].

PD-1/PD-L1-IN-NP19 (1 mg/kg; i.v.) shows the half time ($t_{1/2}$=1.5±0.5 h), clearance rate (CL=0.9±0.2 L/h/kg) and apparent distribution volume (Vss=2.1±0.5 L/kg) in rats[1].

PD-1/PD-L1-IN-NP19 (10 mg/kg; p.o.) shows the oral absorption (T_{max}=0.6±0.2 h), long half-life ($t_{1/2}$=10.9±7.7 h) and oral bioavailability (F=5%) in rats[1].

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

Animal Model:	BALB/c mice (6-8 weeks) with B16-F10 melanoma tumors[1]
Dosage:	25, 50, 100 mg/kg
Administration:	Intragastric gavage once daily for 15 days
Result:	Inhibited the growth of melanoma tumors up to 51.1, 75 and 80.9% at dose of 25, 50, 100 mg/kg, respectively. Exhibited normal physical activity and increased body weights slightly.

Animal Model:	Male Sprague-Dawley rats[1]
Dosage:	1 mg/kg for i.v. and 10 mg/kg for p.o. (Pharmacokinetic Analysis)
Administration:	I.v. and p.o.
Result:	I.v.: $t_{1/2}$=1.5 h; C_{max}=1751 μg/L; CL=0.9 L/h/kg. P.o.: $t_{1/2}$=10.9 h; C_{max}=69.5 μg/L; CL=23.1 L/h/kg.

REFERENCES

[1]. Cheng B, et, al. Discovery of Novel Resorcinol Dibenzyl Ethers Targeting the Programmed Cell Death-1/Programmed Cell Death-Ligand 1 Interaction As Potential Anticancer Agents. J Med Chem. 2020 Jul 15.

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