PD-1/PD-L1-IN-9

Cat. No.: HY-132192

CAS No.: 2628506-54-5

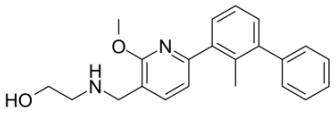
Molecular Formula: $C_{22}H_{24}N_2O_2$

Molecular Weight: 348.44

Target: PD-1/PD-L1

Pathway: Immunology/Inflammation

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PD-1/PD-L1-IN-9 is a potent and orally active inhibitor of PD-1/PD-L1 interaction, with an IC_{50} of 3.8 nM. PD-1/PD-L1-IN-9 can enhance the killing activity of tumor cells by immune cells. PD-1/PD-L1-IN-9 also exhibits significant in vivo antitumor activity in a CT26 mouse model[1].
IC_{50} & Target	IC50: 3.8 nM (PD-1/PD-L1)[1]
In Vitro	PD-1/PD-L1-IN-9 (compound 24) (46.9-1500 nM; pretreated for 2 h) dose-dependently significantly activates the antitumor immunity of PBMCs to MDB-MB 231 cells, with an EC_{50} of -100 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PD-1/PD-L1-IN-9 (compound 24) (40-80 mg/kg; p.o. once a day for 2 weeks) inhibits tumor growth in a dose-dependent manner and does not cause any body weight loss or mortality of mice[1]. PD-1/PD-L1-IN-9 (3 mg/kg; a single i.v.) exhibits half-life ($t_{1/2}$=4.2 h), plasma clearance (Cl=11.5 L/h/kg) and C_{max} (1233 ng/mL) in rats[1]. PD-1/PD-L1-IN-9 (25 mg/kg; a single p.o.) exhibits moderate oral bioavailability (F=22 %), half-life ($t_{1/2}$=6.4 h) and C_{max} (192 ng/mL) in rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male BALB/c mice (5-6 weeks) were inoculated CT26 cells[1]
Dosage:	40, 80 mg/kg
Administration:	P.o. once a day for 2 weeks
Result:	Significantly decreased the final tumor weight, with TGI values of 60 and 67% at the dose of 40 and 80 mg/kg, respectively.

Animal Model:	Sprague-Dawley (SD) rats[1]
Dosage:	3 mg/kg for i.v. and 25 mg/kg for p.o. (Pharmacokinetic Analysis)
Administration:	A single i.v. or p.o.

Result:	I.v.: $t_{1/2}$=4.2 h; Cl=11.5 L/h/kg; C_{max}=1233 ng/mL. P.o.: F=22 %; $t_{1/2}$=6.4 h; C_{max}=192 ng/mL.

REFERENCES

[1]. Wang T, et, al. Novel Biphenyl Pyridines as Potent Small-Molecule Inhibitors Targeting the Programmed Cell Death-1/Programmed Cell Death-Ligand 1 Interaction. J Med Chem. 2021 May 30.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA