Proteins

Screening Libraries

Product Data Sheet

PD-1/PD-L1-IN-29

Cat. No.: HY-152240 CAS No.: 2665734-13-2 Molecular Formula: $C_{26}H_{24}N_{2}O_{6}$ 460.48 Molecular Weight: Target: PD-1/PD-L1

Pathway: Immunology/Inflammation -20°C Storage: Powder 3 years

> In solvent -80°C 6 months

> > -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO: 66.67 mg/mL (144.78 mM; ultrasonic and adjust pH to 2 with 1M HCl)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.1716 mL	10.8582 mL	21.7165 mL
	5 mM	0.4343 mL	2.1716 mL	4.3433 mL
	10 mM	0.2172 mL	1.0858 mL	2.1716 mL

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

BIOLOGICAL ACTIVITY

Description

PD-1/PD-L1-IN-29 (S4-1) is a potent PD-1/PD-L1 inhibitor with an IC₅₀ value of 6.1 nM. PD-1/PD-L1-IN-29 binds PD-L1 and disrupts PD-1/PD-L1 interactions, induces PD-L1 dimerization and internalization, improves its localization to the endoplasmic reticulum, and promotes PD-L1 entry into the endoplasmic reticulum. PD-1/PD-L1-IN-29 has anticancer activity

In Vitro

PD-1/PD-L1-IN-29(S4-1) (10 or 20 μM, 48 h) can increase the cytotoxicity of PBMCs against A375 tumor cells by blocking PD-1/PD-L1 interaction and restoring the activation state of PBMCs, with little direct killing effect on tumor cells^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

PD-1/PD-L1-IN-29(S4-1)(10 or 25 mg/kg, i.p., 12 days) significantly inhibits tumour growth in the MC38 colorectal tumour mouse model, as observed in both the low and high dose groups (10 and 25 mg/kg), with inhibition rates of 65.9% and 88.8% respectively[1].

The pharmacokinetic parameters of PD-1/PD-L1-IN-29(S4-1) in mice

Parameters iv (5 mg/kg) po (50 mg/kg)

T _{1/2} (h)	9.78	4.77
T _{max} (h)	0.08	0.92
C _{max} (ng/mL)	6290	260.67
AUC _{0-t} (h*ng/mL)	3466.58/td>	808.04
AUC _{0-∞} (h*ng/mL)	3566.62	830.34
CL (mL/h/kg)	1404.22	-

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

REFERENCES

[1]. Chengliang Sun, et al. Novel Small-Molecule PD-L1 Inhibitor Induces PD-L1 Internalization and Optimizes the Immune Microenvironment. J Med Chem. 2022 Dec 29.

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

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