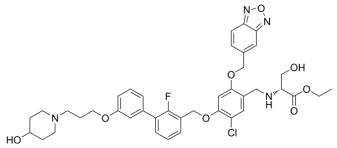
PD-L1-IN-5

Cat. No.:	HY-162357
CAS No.:	2597056-85-2
Molecular Formula:	$C_{40}H_{44}ClFN_4O_8$
Molecular Weight:	763.25
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-L1-IN-5 (X22) is an orally active PD-L1 inhibitor, with the IC_{50} value of 785.6 nM. PD-L1-IN-5 has anti-tumor activity in vivo [1].

In Vitro

PD-L1-IN-5 (X22) (0-150 μM, 12 h) has no obvious cytotoxic effect on MC38 and CT26 cells in vitro[1].

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

In Vivo

PD-L1-IN-5 (X22) (0-50 mg/kg/day for 20 days, p.o.) demonstrates significant antitumor efficacy in murine models of MC38 and CT26 colon cancer through the upregulation of tumor infiltration and cytotoxicity of $CD8^+$ T cells partially, but exhibits low antitumor effect in the syngeneic CT26 colorectal cancer model in immunodeficient nude mice which indicated that the antitumor mechanism relies on the immune system [1].

Pharmacokinetic Analysis in SD rats and C57blo/6 mice[1]

Animal model	Route	Dose (mg/kg)	$t_{1/2}$ (h)	T_{max} (h)	C_{max} (ng/mL)	AUC_{0-t} (ng·h/mL)	CL (mL/h/kg)	F (%)
SD rat	p.o.	45	4.3	4.00	49.8	405.6	/	3.4
C57blo/6 mice	p.o.	10	1.6	0.7	255.7	315.6	/	11.5

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

Animal Model:	MC38 and CT26 tumor mouse model, Balb/c mice (female, 8 week old)[1]
Dosage:	0-50 mg/kg/day for 20 days
Administration:	p.o.
Result:	Inhibited colon tumor growth in mice with tumor growth inhibition rates (TGI) of 49.5% and 73.6% at doses of 5 mg/kg and 25 mg/kg, respectively.

REFERENCES

[1]. Liu L, et al. Discovery of Novel PD-L1 Small-Molecular Inhibitors with Potent In Vivo Anti-tumor Immune Activity. J Med Chem. 2024 Mar 28;67(6):4977-4997.

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