Product Data Sheet

Product Name: PD-1/PD-L1-IN-9 hydrochloride
Cat. No.: GC67694

Chemical Properties

Cas. No.			
Formula	$C_{22}H_{25}ClN_2O_2$	M.Wt	384.9
Solubility	DMSO : 125 mg/mL (324.76 mM; Need ultrasonic)	Storage	4°C, away from moisture
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	PD-1/PD-L1-IN-9 hydrochloride		

Background

PD-1/PD-L1-IN-9 hydrochloride 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 hydrochloride can enhance the killing activity of tumor cells by immune cells. PD-1/PD-L1-IN-9 hydrochloride also exhibits significant in vivo antitumor activity in a CT26 mouse model[1].

PD-1/PD-L1-IN-9 □□□(compound 24) (46.9-1500 nM; □□□ 2 h) □□□□□□□□□□□□□□□□ (PBMCs) □ MDB-MB 231 □□□□□□□□□□EC$_{50}$ □□ 100 nM[1]□

PD-1/PD-L1-IN-9 □□□ (□□□24) (40-80 mg/kg; □□; □□□□□□□ 2 □) □□□□□□□□□□□□□□□□□□□□□□□□□□□□□□□□[1]□
PD-1/PD-L1-IN-9 □□□ (3 mg/kg; □□□□; □□□□) □□□□□□□□ $T_{1/2}$=4.2 h□□□□□□ Cl=11.5 L/h/kg□C_{max}=1233 ng/mL[1]□
PD-1/PD-L1-IN-9 □□□ (25 mg/kg; □□; □□□□) □□□□□□□□□□□□□□□□□ (F= 22%)□□□□ ($t_{1/2}$=6.4 h)□□ C_{max} (=192 ng/mL)[1]□

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Animal Model: Male BALB/c mice (5-6 weeks) were inoculated CT26 cells[1]

Dosage: 40 mg/kg, 80 mg/kg

Administration: Oral gavage; once daily, 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: Pharmacokinetic analysis in sprague-Dawley (SD) rats[1]

Dosage: 3 mg/kg and 25 mg/kg

Administration: Intravenous injection or oral gavage; single dose

Result:

Route	Dose (mg/kg)	$AUC_{(0-t)}$ (ng.h/mL)	C_{max} (ng/mL)	$t_{1/2}$ (h)	T_{max}	Cl (L.h/kg)	V_z (L/kg)	F (%)
i.v.	3	430.5	1233	4.2	0.03	11.5	78.6	/
p.o.	25	787.4	192	6.4	0.69	28.8	249.3	22

[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.

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