Evixapodlin

Cat. No.: HY-138407

CAS No.: 2374856-75-2

Molecular Formula: $C_{34}H_{36}Cl_2N_8O_4$

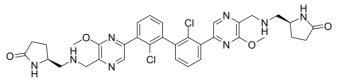
Molecular Weight: 691.61

Target: PD-1/PD-L1; HBV

Pathway: Immunology/Inflammation; Anti-infection

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 : 50 mg/mL (72.30 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4459 mL	7.2295 mL	14.4590 mL
	5 mM	0.2892 mL	1.4459 mL	2.8918 mL
	10 mM	0.1446 mL	0.7230 mL	1.4459 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.61 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.61 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Evixapodlin (GS-4224) is a human PD-1/PD-L1 protein/protein interaction inhibitor with an IC_{50} of 0.213 nM. Evixapodlin has anticancer and antiviral functions[1].

IC_{50} & Target

IC50: 0.213 nM (Human PD-1/PD-L1 protein/protein interaction)[1]

In Vitro

Evixapodlin (compound 139) enhances IFN-γ and Granzyme B Production in chronic hepatitis B (CHB) $CD8^+$ T Cells and $CD4^+$ T Cells. Evixapodlin also enhances the frequency of GrB^+ cells among HBV-specific $CD8^+$ and $CD4^+$ T cells. The ability of

	Evixapodlin to enhance the antiviral functions of HBV-specific $CD8^+$ and $CD4^+$ T cells in vitro is comparable to those of Durvalumab[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Evixapodlin (Compound 139; 10-50 mg/kg; intraperitoneal injection, daily, for 6 days) treatment shows >90% PD-L1 target occupancy (TO) on the tumor cells. Evixapodlin significantly inhibits tumor growth in a human PD-L1 expressing MC38 mouse colorectal tumor model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female C57BL/6 mice injected with MC38 tumor cells[1]
Dosage:	10 mg/kg, 25 mg/kg, and 50 mg/kg
Administration:	Intraperitoneal injection, daily, for 6 days
Result:	Showed greater than 90% TO on the tumors and inhibited tumor growth in vivo.

REFERENCES

[1]. Evangelos Aktoudianakis, et al. Pd-1/pd-l1 inhibitors. WO2019160882A1.

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