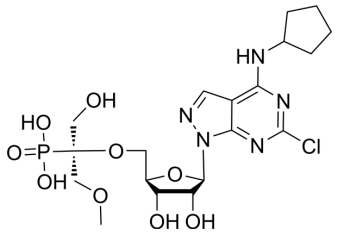
OP-5244

Cat. No.:	HY-136978
CAS No.:	2381268-71-7
Molecular Formula:	$C_{19}H_{29}ClN_5O_9P$
Molecular Weight:	537.89
Target:	CD73
Pathway:	Immunology/Inflammation
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (464.78 mM; Need ultrasonic)

H_2O : 100 mg/mL (185.91 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8591 mL	9.2956 mL	18.5912 mL
	5 mM	0.3718 mL	1.8591 mL	3.7182 mL
	10 mM	0.1859 mL	0.9296 mL	1.8591 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: ≥ 6.5 mg/mL (12.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 6.5 mg/mL (12.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.5 mg/mL (12.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

OP-5244 is a potent and orally active inhibitor of CD73, with an IC_{50} of 0.25 nM. OP-5244 reverses immunosuppression through blocking of adenosine production, and has the potential for the cancer research[1].

IC_{50} & Target

IC50: 0.25 nM (CD73)[1]

In Vitro

OP-5244 inhibits the production of adenosine (ADO), with an EC_{50} of 0.79±0.38 nM in H1568 (NSCLC) cells[1].
OP-5244 inhibits AMP hydrolysis to ADO in peripheral blood derived $CD8^+$ T cells with an EC_{50} of 0.22 nM[1].

OP-5244 (4.1-1000 nM; 96 h) rescues AMP-suppressed $CD8^+$ T cells proliferation and cytokine production[1].
OP-5244 (0.01 nM-10 μM) inhibits ADO production completely in human and murine cancer cell lines (H1568 and EMT6, respectively)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

OP-5244 (15 mg/kg/day; s.c. for 13 d) exhibits anti-tumor effects as a single agent as shown by the tumor growth inhibition in mice[1].
OP-5244 (150 mg/kg; p.o. twice daily for 16 d) increases $CD8^+$ T cells infiltration and reverses immunosuppression in mice[1].
OP-5244 (0.2 mg/kg; i.v.) exhibits terminal elimination half-lives (rat 8.5, dog 0.82, cyno 4.6 h) due to moderate plasma clearance (rat 0.18, dog 1.22, cyno 0.05 L/kg/h) and low steady-state volume of distribution (rat 0.22, dog 0.29, cyno 0.10 L/kg/h)[1].
OP-5244 (10 mg/kg; p.o.) exhibits C_{max} (rat 0.82, dog 1.25, cyno 1.72 μM) and AUC (rat 1.96, dog 1.75, cyno 14.2 μM•h) [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	BALB/c mice with breast cancer[1]
Dosage:	15 mg/kg/day
Administration:	S.c. for 13 days
Result:	Inhibited tumor growth. Showed a 95% lower ADO/AMP ratio compared to that of the vehicle group.

REFERENCES

[1]. Du X, et, al. Orally Bioavailable Small Molecule CD73 Inhibitor (OP-5244) Reverses Immunosuppression Through Blockade of Adenosine Production. J Med Chem. 2020 Aug 31.

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