OP-5244 sodium

Cat. No.: HY-136978A

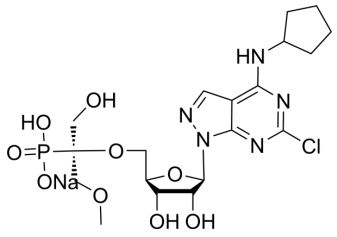
Molecular Formula: $C_{19}H_{28}ClN_5NaO_9P$

Molecular Weight: 559.87

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 : 33.33 mg/mL (59.53 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7861 mL	8.9306 mL	17.8613 mL
	5 mM	0.3572 mL	1.7861 mL	3.5723 mL
	10 mM	0.1786 mL	0.8931 mL	1.7861 mL

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

BIOLOGICAL ACTIVITY

Description

OP-5244 sodium is a potent and orally active inhibitor of CD73, with an IC_{50} of 0.25 nM. OP-5244 sodium 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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