
Product Data Sheet

Product Name: OP-5244 sodium

Cat. No.: GC62695

Chemical Properties

Cas. No.

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

M.Wt 559.87

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

Storage 4°C, away from moisture and light

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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

Background

OP-5244 sodium is a potent and orally active inhibitor of CD73, with an IC₅₀ of 0.25 nM. OP-5244 sodium reverses immunosuppression through blocking of adenosine production, and has the potential for the cancer research[1].

OP-5244 inhibits the production of adenosine (ADO), with an EC₅₀ 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 EC₅₀ 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].

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

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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1.75, cyno 14.2 $\mu\text{M}\cdot\text{h}$) [1].

[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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