**OP-5244**

Cat. No.: HY-136978  
CAS No.: 2381268-71-7  
Molecular Formula: C₁₉H₂₉ClN₅O₉P  
Molecular Weight: 537.89  
Target: CD73  
Pathway: Immunology/Inflammation  
Storage: Please store the product under the recommended conditions in the COA.

### Biological Activity

#### Description

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

#### IC₅₀ & Target

<table>
<thead>
<tr>
<th>IC₅₀</th>
<th>Target</th>
</tr>
</thead>
<tbody>
<tr>
<td>0.25 nM</td>
<td>CD73[^1]</td>
</tr>
</tbody>
</table>

#### In Vitro

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]).

#### 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[^3].

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 Cₘₐₓ (rat 0.82, dog 1.25, cyno 1.72 µM) and AUC (rat 1.96, dog 1.75, cyno 14.2 µM•h) [^1].

#### 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]: Product Data Sheet
[^2]: Inhibitors
[^3]: Agonists
[^4]: Screening Libraries

www.MedChemExpress.com

Page 1 of 2

Caution: Product has not been fully validated for medical applications. For research use only.
Tel: 609-228-6898     Fax: 609-228-5909     E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA