CPI-444

**Cat. No.:** HY-101978  
**CAS No.:** 1202402-40-1  
**Molecular Formula:** C₂₀H₂₁N₇O₃  
**Molecular Weight:** 407.43  
**Target:** Adenosine Receptor  
**Pathway:** GPCR/G Protein  
**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 : 67.5 mg/mL (165.67 mM; Need ultrasonic)

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td>2.4544 mL</td>
<td>12.2720 mL</td>
<td>24.5441 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td>0.4909 mL</td>
<td>2.4544 mL</td>
<td>4.9088 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td>0.2454 mL</td>
<td>1.2272 mL</td>
<td>2.4544 mL</td>
</tr>
</tbody>
</table>

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**<br>
   Solubility: ≥ 2.25 mg/mL (5.52 mM); Clear solution

2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**<br>
   Solubility: ≥ 2.25 mg/mL (5.52 mM); Clear solution

### BIOLOGICAL ACTIVITY

**Description**

CPI-444 is a potent, oral and selective A2A receptor (A2AR) antagonist, which induces antitumor responses.

**IC₅₀ & Target**

Adenosine A2A receptor[^1]

**In Vitro**

CPI-444 is a potent, oral, selective A2AR antagonist. CD8⁺ T cell depletion abrogates the efficacy of CPI-444 treatment as a single agent as well as in combination with anti-PD-L1, demonstrating a role for CD8⁺ T cells in mediating primary and secondary immune responses. Anti-tumor efficacy of CPI-444±anti-PD-L1 is associated with increased CD8+ cell infiltration and activation in MC38 tumor tissues, and a corresponding rise in PD-1 expression on CD8⁺ T cells in the spleen. Additionally, levels of immune checkpoints are modulated by treatment with CPI-444.
including GITR, OX40, and LAG3 on tumor infiltrating lymphocytes and circulating T cells, suggesting a broad role for adenosine mediated immunosuppression[1].

| **In Vivo** | Daily treatment of the syngeneic mouse model MC38 with CPI-444 (1, 10, 100 mg/kg) leads to dose-dependent inhibition of tumor growth, leading to tumor elimination in ~30% of treated mice. Combining CPI-444 (100 mg/kg, qd, 14 days) with anti-PD-L1 (200 μg, 3qw, 4 doses) treatment in MC38 models synergistically inhibits tumor growth and eliminates tumors in 90% of treated mice. When cured mice are later re-challenged with MC38 cells, tumor growth is rejected in 100% of challenged mice, indicating that CPI-444 induces systemic anti-tumor immune memory [1]. |

REFERENCES